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ACCESS DB # 172660  
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Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: Nyeemah Grazier Examiner #: 81002 Date: 11/28/05  
Art Unit: 1626 Phone Number: 2-8782 Serial Number: 101509633  
Location (Bldg/Room): B29 Rm (Mailbox #): 5C18 Results Format Preferred (circle): PAPER DISK  
\*\*\*\*\*

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: Chemical Compounds

Inventors (please provide full names): Sean-Claude Arnold

Earliest Priority Date: 9/29/04

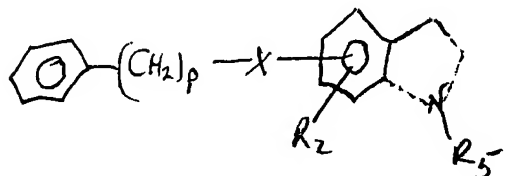
Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Please search for compound

(claim 7)



X = O, S, SO, SO<sub>2</sub>

P = 0-1

R<sub>2</sub> = H, alk

R<sub>5</sub> = H, alk, or  $\text{-(CH}_2\text{)}_{0-1}\text{-C(=O)-}$  Y = NH or O

Z = NH, O, C(O)- or aband

R<sup>8</sup> = H, alk, alkoxy, Aryl, Heterocycle (5-6 member) or 5-6 heteroaryl

STAFF USE ONLY

Searcher: \_\_\_\_\_  
Searcher Phone #: \_\_\_\_\_  
Searcher Location: \_\_\_\_\_  
Date Searcher Picked Up: \_\_\_\_\_  
Date Completed: 11-9-05  
Searcher Prep & Review Time: \_\_\_\_\_  
Online Time: \_\_\_\_\_

Type of Search  
\_\_\_\_ NA Sequence (#)  
\_\_\_\_ AA Sequence (#)  
\_\_\_\_ Structure (#)  
\_\_\_\_ Bibliographic  
\_\_\_\_ Litigation  
\_\_\_\_ Fulltext  
\_\_\_\_ Other

Vendors and cost where applicable  
\_\_\_\_ STN \_\_\_\_\_ Dialog  
\_\_\_\_ Questel/Orbit \_\_\_\_\_ Lexis/Nexis  
\_\_\_\_ Westlaw \_\_\_\_\_ WWW/Internet  
\_\_\_\_ In-house sequence systems  
\_\_\_\_ Commercial \_\_\_\_\_ Oligomer \_\_\_\_\_ Score/Length  
\_\_\_\_ Interference \_\_\_\_\_ SPDI \_\_\_\_\_ Encode/Transl  
\_\_\_\_ Other (specify)

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NOV 29 2005  
FIC/STIC/CHEM DIVISION  
(STIC)

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# **STIC Search Report**

## **Biotech-Chem Library**

**STIC Database Tracking Number: 172660**

**TO: Nyeemah Grazier**  
**Location: rem/5B29/5C18**  
**Art Unit: 1626**  
**December 8, 2005**

**Case Serial Number: 10/509633**

**From: P. Sheppard**  
**Location: Remsen Building**  
**Phone: (571) 272-2529**

**sheppard@uspto.gov**

### **Search Notes**

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=> d his ful

(FILE 'HOME' ENTERED AT 16:13:33 ON 09 DEC 2005)

FILE 'REGISTRY' ENTERED AT 16:13:41 ON 09 DEC 2005

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L3      STR
L5      STR
L7      STR
L9      STR
L11     49 SEA SSS SAM L3 OR L5 OR L7 OR L9
L12     11678 SEA SSS FUL L3 OR L5 OR L7 OR L9
L13     STR
L14     7996 SEA SUB=L12 SSS FUL L13
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FILE 'HCAPLUS' ENTERED AT 16:19:52 ON 09 DEC 2005

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L16     30199 SEA ABB=ON PLU=ON ANGIOGENESIS/CV OR ?ANGIOGENE?
L17     44 SEA ABB=ON PLU=ON L15 AND L16
L18     37 SEA ABB=ON PLU=ON L17 AND PD=<SEPTEMBER 29, 2004
        D STAT QUE
        D IBIB ABS HITSTR L18 1-37
L19     41 SEA ABB=ON PLU=ON ("ARNOULD J"/AU OR "ARNOULD J C"/AU) OR
        ("ARNOULD JEAN"/AU OR "ARNOULD JEAN C"/AU OR "ARNOULD JEAN
        CLAUDE"/AU)
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L21     0 SEA ABB=ON PLU=ON L20 NOT L18
L22     38 SEA ABB=ON PLU=ON L19 NOT L18
L23     38 SEA ABB=ON PLU=ON L21 OR L22
        D STAT QUE L23
        D IBIB ABS HITSTR L23 1-38
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FILE HOME

FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 8 DEC 2005 HIGHEST RN 869627-02-1

DICTIONARY FILE UPDATES: 8 DEC 2005 HIGHEST RN 869627-02-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

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*****
*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*
*****
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Structure search iteration limits have been increased. See HELP SLIMITS for details.

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Grazier 10\_509633- - History

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

FILE HCAPLUS

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FILE COVERS 1907 - 9 Dec 2005 VOL 143 ISS 25  
FILE LAST UPDATED: 8 Dec 2005 (20051208/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> fil hcaplus  
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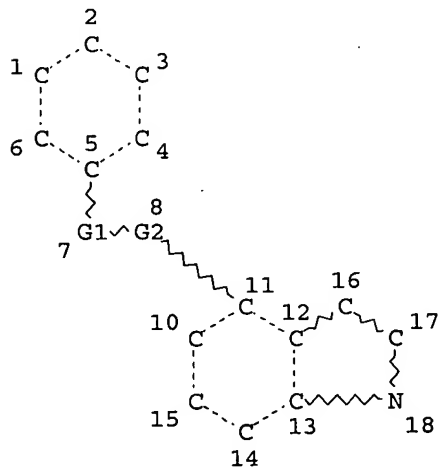
FILE COVERS 1907 - 9 Dec 2005 VOL 143 ISS 25  
 FILE LAST UPDATED: 8 Dec 2005 (20051208/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=>  
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=> d stat que  
 L3 STR

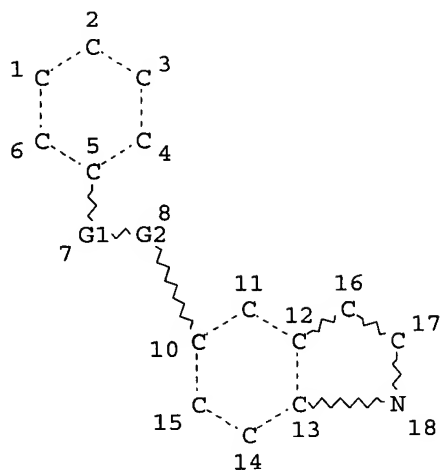


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 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
 RING(S) ARE ISOLATED OR EMBEDDED  
 NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

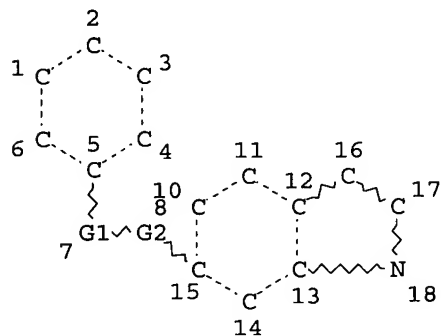
L5 STR



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REP G1=(0-1) CH2
VAR G2=O/S
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
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RING(S) ARE ISOLATED OR EMBEDDED  
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STEREO ATTRIBUTES: NONE  
L7 STR



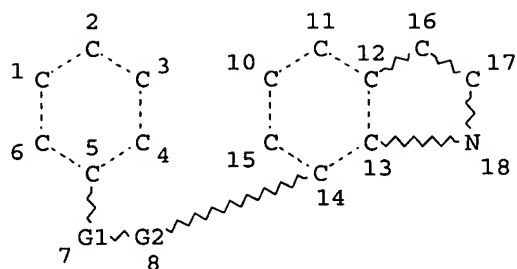
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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

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GRAPH ATTRIBUTES:  
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NUMBER OF NODES IS 17

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L9                STR
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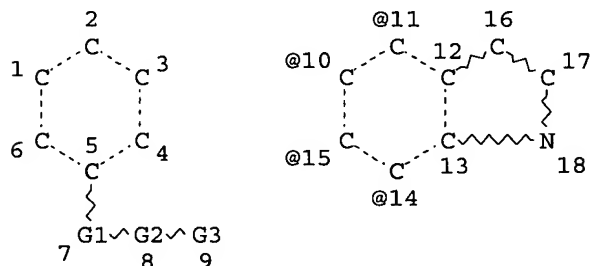
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VAR G2=O/S
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

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RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

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L13      STR
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VAR G3=10/11/14/15
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
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GRAPH ATTRIBUTES:  
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NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE

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L15      1916 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L14
L16      30199 SEA FILE=HCAPLUS ABB=ON  PLU=ON  ANGIOGENESIS/CV OR ?ANGIOGENE?

L17      44 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L15 AND L16
L18      37 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L17 AND PD=<SEPTEMBER 29,
        2004
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=&gt;

=&gt; d ibib abs hitstr 118 1-37

L18 ANSWER 1 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:569863 HCAPLUS

DOCUMENT NUMBER: 141:123559

TITLE: A preparation of indole derivatives, useful as integrin inhibitors

INVENTOR(S): Wiesner, Matthias; Goodman, Simon; Gottschlich, Rudolf

PATENT ASSIGNEE(S): Germany

SOURCE: U.S. Pat. Appl. Publ., 33 pp., Cont.-in-part of U.S. Ser. No. 203,406.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

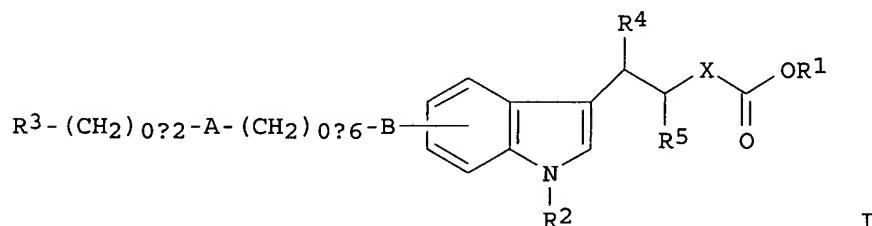
| PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE         |
|---|------|----------|------------------|--------------|
| US 2004138284   | A1   | 20040715 | US 2004-750879   | 20040105 <-- |
| DE 10006139   | A1   | 20010816 | DE 2000-10006139 | 20000211 <-- |
| WO 2001058893   | A2   | 20010816 | WO 2001-EP84     | 20010105 <-- |
| WO 2001058893   | A3   | 20020418 |                  |              |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW |      |          |                  |              |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  |      |          |                  |              |
| US 2003045728   | A1   | 20030306 | US 2002-203406   | 20020809 <-- |
| US 6743810  | B2   | 20040601 |                  |              |

PRIORITY APPLN. INFO.:

|                  |    |          |
|------------------|----|----------|
| DE 2000-10006139 | A  | 20000211 |
| WO 2001-EP84     | W  | 20010105 |
| US 2002-203406   | A2 | 20020809 |

OTHER SOURCE(S): MARPAT 141:123559

GI



AB The invention relates to a preparation of indole derivs. of formula I [wherein: A and B are independently selected from O, S, NH, NH, C(O), or C(O)NH, etc.; X is (un)substituted alkylene; R1 is H, C1-6alkyl, or (CH2)0-2-aryl; R2 is H, (cyclo)alkyl, or -C(O)-alkyl; R3 is NH2, -NHC(O)-alkyl,



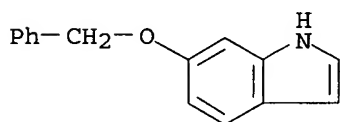
-NH(CO)-aryl, etc.; R4 and R5 are independently selected from H, oxo, (cyclo)alkyl, C(O)NH2, or NH-heterocycle, etc.), useful as integrin inhibitors (no biol. data). Compds. of formula I can be employed for combating thromboses, cardiac infarction, coronary heart diseases, arteriosclerosis, inflammations, tumors, osteoporosis, rheumatic arthritis, macular degenerative disease, and diabetic retinopathy, etc. The invention compds. act as integrin inhibitors, inhibiting, in particular, the interaction of the  $\alpha v$ -,  $\beta 3$ - and  $\beta 5$ -integrin receptors with ligands (no biol. data).

IT 15903-94-3

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of indole derivs., useful as integrin inhibitors)

RN 15903-94-3 HCAPLUS

CN 1H-Indole, 6-(phenylmethoxy)- (9CI) (CA INDEX NAME)

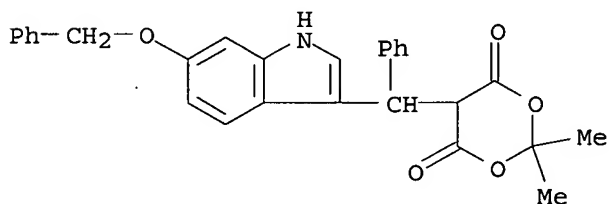


IT 354822-51-8P 354822-52-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of indole derivs., useful as integrin inhibitors)

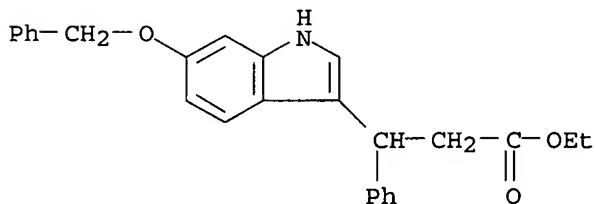
RN 354822-51-8 HCAPLUS

CN 1,3-Dioxane-4,6-dione, 2,2-dimethyl-5-[phenyl[6-(phenylmethoxy)-1H-indol-3-yl]methyl]- (9CI) (CA INDEX NAME)



RN 354822-52-9 HCAPLUS

CN 1H-Indole-3-propanoic acid,  $\beta$ -phenyl-6-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



L18 ANSWER 2 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

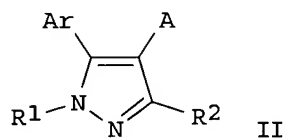
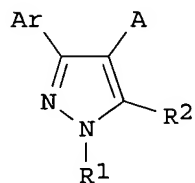
ACCESSION NUMBER: 2004:546484 HCAPLUS

DOCUMENT NUMBER: 141:106462

TITLE: Preparation of pyrazoles as inhibitors of HSP90

INVENTOR(S): Beswick, Mandy Christine; Drysdale, Martin James;  
 Dymock, Brian William; McDonald, Edward  
 PATENT ASSIGNEE(S): Vernalis Cambridge Limited, UK; Cancer Research  
 Technology Ltd.; The Institute of Cancer Research  
 SOURCE: PCT Int. Appl., 98 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO.   | DATE         |
|---|------|----------|-------------------|--------------|
| WO 2004056782   | A1   | 20040708 | WO 2003-GB5501    | 20031218 <-- |
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| CA 2509403  | AA   | 20040708 | CA 2003-2509403   | 20031218 <-- |
| EP 1572664  | A1   | 20050914 | EP 2003-768007    | 20031218     |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,<br>IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  |      |          |                   |              |
| PRIORITY APPLN. INFO.:  |      |          | GB 2002-29618     | A 20021219   |
|   |      |          | WO 2003-GB5501    | W 20031218   |
| OTHER SOURCE(S):  |      |          | MARPAT 141:106462 |              |
| GI  |      |          |                   |              |



AB The title compds. [I or II; Ar = (un)substituted aryl, arylalkyl, heteroaryl, heteroarylalkyl; R1 = H, alkyl; R2 = H, (un)substituted cycloalkyl, cycloalkenyl, alkyl, alkenyl, alkynyl, carboxyl, carboxamide or carboxyl ester group; A = non-aromatic carbocyclic or heterocyclic ring wherein (i) a ring carbon is optionally substituted, and/or (ii) a ring nitrogen is optionally substituted by a group of formula - (Alk1)p(Cyc)n(Alk3)m(Z)r(Alk2)sQ where Alk1, Alk2 and Alk3 = alkyl; Cyc = carbocyclic or heterocyclic radical; m, n, p, r and s = 0-1; Z = O, S, CO, SO2, etc.; Q = H, (un)substituted carbocyclic or heterocyclic radical] which are inhibitors of HSP90, and are of value in the treatment of diseases responsive to HSP90 inhibition such as cancer, were prepared E.g., a multi-step synthesis of 4-chloro-6-(4-piperazin-1-yl-1H-pyrazol-3-yl)benzene-1,3-diol which showed IC50 of <50 µM in the malachite green ATPase assay, was given.

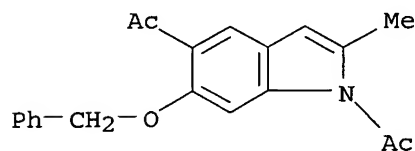
IT 719288-34-3P 719288-35-4P 719288-36-5P  
 719288-37-6P 719288-38-7P 719288-39-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(preparation of pyrazoles as inhibitors of HSP90)

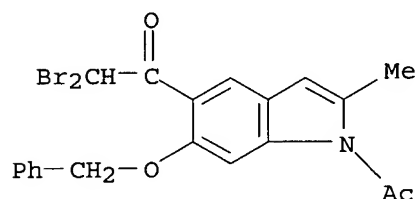
RN 719288-34-3 HCAPLUS

CN 1H-Indole, 1,5-diacetyl-2-methyl-6-(phenylmethoxy) - (9CI) (CA INDEX NAME)



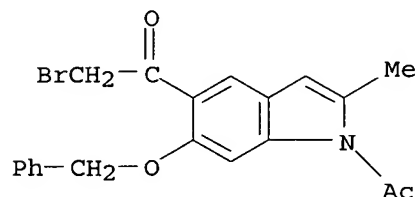
RN 719288-35-4 HCAPLUS

CN 1H-Indole, 1-acetyl-5-(dibromoacetyl)-2-methyl-6-(phenylmethoxy) - (9CI)  
(CA INDEX NAME)



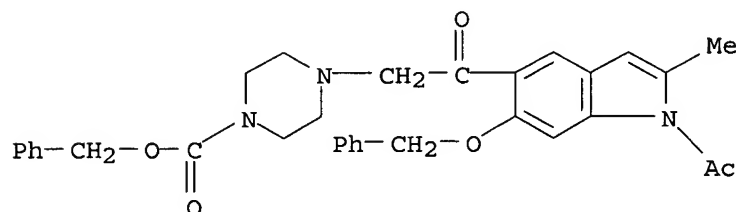
RN 719288-36-5 HCAPLUS

CN 1H-Indole, 1-acetyl-5-(bromoacetyl)-2-methyl-6-(phenylmethoxy) - (9CI) (CA  
INDEX NAME)



RN 719288-37-6 HCAPLUS

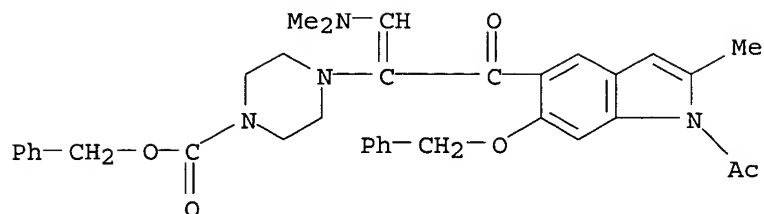
CN 1-Piperazinecarboxylic acid, 4-[2-[1-acetyl-2-methyl-6-(phenylmethoxy)-1H-  
indol-5-yl]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 719288-38-7 HCAPLUS

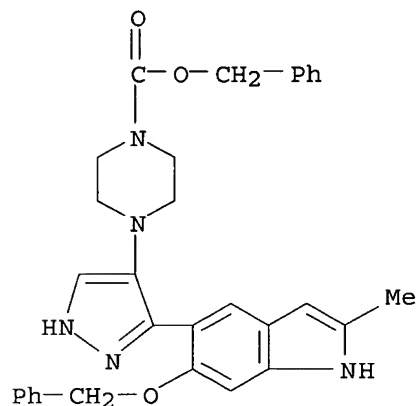
CN 1-Piperazinecarboxylic acid, 4-[1-[[1-acetyl-2-methyl-6-(phenylmethoxy)-1H-  
indol-5-yl]carbonyl]-2-(dimethylamino)ethenyl]-, phenylmethyl ester (9CI)

(CA INDEX NAME)



RN 719288-39-8 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[3-[2-methyl-6-(phenylmethoxy)-1H-indol-5-yl]-1H-pyrazol-4-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 3 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:493561 HCAPLUS

DOCUMENT NUMBER: 141:54365

TITLE: Preparation of 1,3,5-triazines as kinase inhibitors for treatment of **angiogenesis** or vasculogenesis

INVENTOR(S): Armistead, David M.; Bemis, Jean E.; Buchanan, John L.; Dipietro, Lucian V.; Elbaum, Daniel; Geuns-Meyer, Stephanie D.; Habgood, Gregory J.; Kim, Joseph L.; Marshall, Teresa L.; Novak, Perry M.; Nunes, Joseph J.; Patel, Vinod F.; Toledo-Sherman, Leticia M.; Zhu, Xiaotian

PATENT ASSIGNEE(S): Amgen Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 300 pp., Cont. of U.S. Ser. No. 85,053, abandoned.

CODEN: USXXCO

DOCUMENT TYPE: Patent

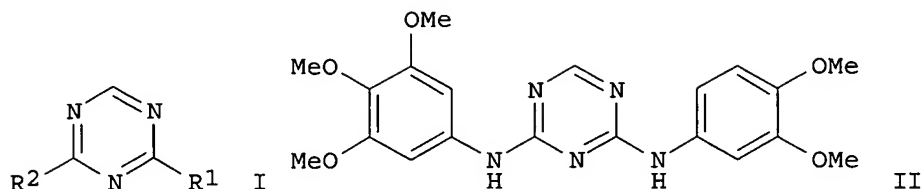
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|------|-----------------|------|
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 US 2004116388 A1 20040617 US 2003-699518 20031031 <--  
 PRIORITY APPLN. INFO.: US 2000-685053 B1 20001006  
 OTHER SOURCE(S): MARPAT 141:54365  
 GI



AB Title compds. I [wherein R1 and R2 = independently R3, R8, NHR3, NHR5, NHR6, NR5R5, NR5R6, SR5, SR6, SR3, OR5, OR6, OR3, COR3, (un)substituted heterocyclyl, alkyl; R3 = independently aryl, (un)substituted Ph, heteroaryl; R5 = independently H, alkynyl, cycloalkenyl, aryl, R9, (un)substituted (cyclo)alkyl, alkenyl; R6 = independently COR5, CO2R5, CONR5R5, C(=NR5)NR5R5, SO1-2R5; R8 = independently (un)substituted (hetero)monocyclyl, (hetero)bicyclyl, (hetero)tricyclyl] were prepared as inhibitors of enzymes that bind to ATP or GTP and/or catalyze phosphoryl transfer. Examples include a number of general synthetic methods, specific exptl. details for the preparation of selected invention compds., and phys. and bioassay data. For instance, 2,4-dichloro-1,3,5-triazine was coupled with 3,4,5-trimethoxyaniline in the presence of diisopropylethylamine in DMF to give the triazinamine (37%). Subsequent reaction with 4-aminoveratrole using diisopropylethylamine in EtOH provided II (66%). The latter was one of over 950 invention compds. tested for activity against the EGFR-1, IGFR-1, Akt3-1, Met-1, KDR-1, Zap-1, Lck-1, Itk-1, PDGFRB-1, Tek-1, ErbB2-2, EPHB4-1, ErbB4-1, FGFR1-1, Flt-1, Fyn-1, Hck-1, Lyn-1, Ret-1, and/or Src-1 receptors with IC50 values in ranges from <0.4 µg/mL to >4.5 µg/mL. Thus, I and their compns. are useful for the treatment of diseases or conditions involving **angiogenesis** or **vasculogenesis** (no data).

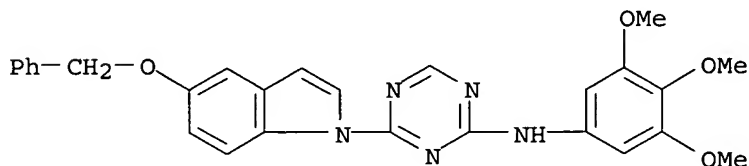
IT 333727-64-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(kinase inhibitor; preparation of triazines as kinase inhibitors for treatment of **angiogenesis** or **vasculogenesis**)

RN 333727-64-3 HCAPLUS

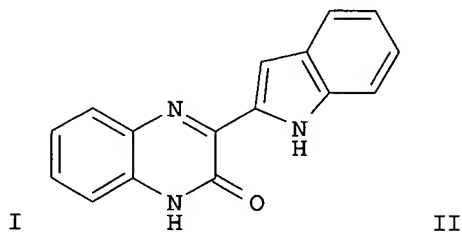
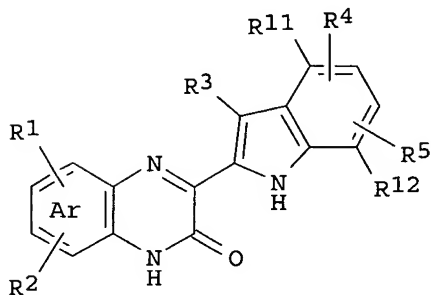
CN 1,3,5-Triazin-2-amine, 4-[5-(phenylmethoxy)-1H-indol-1-yl]-N-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



DOCUMENT NUMBER: 141:7139  
 TITLE: Preparation of indolylquinoxalinones for treating hyperproliferative disorders and diseases associated with **angiogenesis**  
 INVENTOR(S): Ladouceur, Gaetan H.; Bear, Brian; Bi, Cheng; Brittelli, David R.; Burke, Michael J.; Chen, Gang; Cook, James; Dumas, Jacques; Sibley, Robert; Turner, Michael R.  
 PATENT ASSIGNEE(S): Bayer Pharmaceuticals Corporation, USA  
 SOURCE: PCT Int. Appl., 217 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE         |
|--|------|----------|-----------------|--------------|
| WO 2004043950  | A1   | 20040527 | WO 2003-US36003 | 20031110 <-- |
| W:   |      |          |                 |              |
| AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |              |
| RW:  |      |          |                 |              |
| BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |      |          |                 |              |
| CA 2505819   | AA   | 20040527 | CA 2003-2505819 | 20031110 <-- |
| EP 1565455   | A1   | 20050824 | EP 2003-783328  | 20031110     |
| R:   |      |          |                 |              |
| AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK   |      |          |                 |              |
| BR 2003016169  | A    | 20050927 | BR 2003-16169   | 20031110     |
| NO 2005002796  | A    | 20050609 | NO 2005-2796    | 20050609     |
| PRIORITY APPLN. INFO.:   |      |          | US 2002-425490P | P 20021112   |
|  |      |          | US 2003-460915P | P 20030407   |
|  |      |          | US 2003-484202P | P 20030630   |
|  |      |          | WO 2003-US36003 | W 20031110   |

OTHER SOURCE(S): MARPAT 141:7139  
 GI



AB The invention relates to title compds. I [wherein Ar = 6-membered aromatic

ring containing 0-2 N atoms; R1 and R2 = independently H, halo, CF<sub>3</sub>, acyl, piperidinyl, piperazinyl, morpholinyl, or (un)substituted alkyl, alkoxy, amino, pyrrolidinyl, Ph, etc.; R3 = H, alkyl, OH, NO<sub>2</sub>, NH<sub>2</sub>, alkylamino, alkoxyamino, or (un)substituted benzoylamino; R4 = H, OH, halo, CN, acyl, sulfamoyl, trialkylsiloxy, tetrazolyl, thienyl, pyrrolyl, pyrimidinyl, oxazolyl, furanyl, or (un)substituted alkyl, alkenyl, alkynyl, alkoxy, amino, oxadiazolyl, Ph, pyridyl(oxy), carbamoyl; R11 and R12 = independently H, F, or Cl with the proviso that when one of R11 and R12 = F or Cl, the other must be H; and pharmaceutically acceptable salts and esters thereof]. The invention also relates to the use of I and their pharmaceutical compns. for treating hyperproliferative disorders and diseases associated with **angiogenesis** (no data). Examples include representative syntheses for compds. of the invention, pharmaceutical compns. comprising them, and tumor model assays (no specific data given). For instance, N-Boc-indole was coupled with di-Me oxalate using t-BuLi to give tert-Bu 2-[methoxy(oxo)acetyl]-1H-indole-1-carboxylate (72%). Cyclization of the dione with 1,2-phenylenediamine in AcOH afforded the quinoxalinone II (77%).

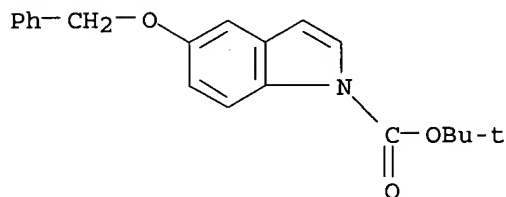
IT 170147-29-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of indolylquinoxalinones for treating hyperproliferative disorders and diseases associated with **angiogenesis**)

RN 170147-29-2 HCAPLUS

CN 1H-Indole-1-carboxylic acid, 5-(phenylmethoxy)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 5 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:203828 HCAPLUS

DOCUMENT NUMBER: 140:253450

TITLE: Preparation of azaarene derivatives as neovascularization inhibitors

INVENTOR(S): Tsuruoka, Akihiko; Matsushima, Tomohiro; Matsukura, Masayuki; Miyazaki, Kazuki; Takahashi, Keiko; Kamata, Junichi; Fukuda, Yoshio

PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan

SOURCE: PCT Int. Appl., 347 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

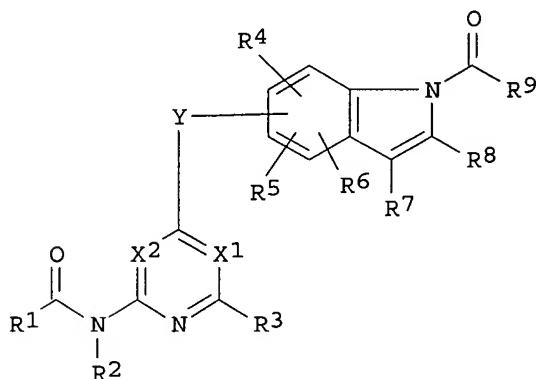
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE         |
|--|------|----------|-----------------|--------------|
| WO 2004020434  | A1   | 20040311 | WO 2003-JP10964 | 20030828 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, |      |          |                 |              |

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,  
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,  
 PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,  
 TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,  
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 CA 2488739 AA 20040311 CA 2003-2488739 20030828 <--  
 EP 1522540 A1 20050413 EP 2003-791389 20030828  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  
 BR 2003013871 A 20050719 BR 2003-13871 20030828  
 US 2005187236 A1 20050825 US 2003-651496 20030829  
 NO 2005001577 A 20050527 NO 2005-1577 20050329  
 PRIORITY APPLN. INFO.: JP 2002-253123 A 20020830  
 US 2003-464690P P 20030422  
 WO 2003-JP10964 W 20030828  
 OTHER SOURCE(S): MARPAT 140:253450  
 GI

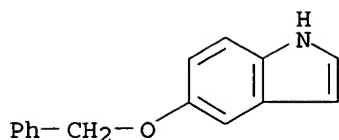


AB The title compds. I [X1 is nitrogen or a group represented by the general formula CR10 ; X2 is nitrogen or a group represented by the general formula CR11 ; Y is oxygen or the like; R1 is C1-6 alkoxy, optionally substituted C6-10 aryloxy, a group represented by the general formula NR12aR12b, or the like; R2 is hydrogen, optionally substituted C1-6 alkyl, or the like; R3 - R8, R10, and R11 are each independently hydrogen, halogeno, optionally substituted C1-6 alkyl, or the like; R9 is a group represented by the general formula NR16aR16b, or the like; and R12a, R12b, R16a, and R16b are each independently hydrogen, optionally substituted C1-6 alkyl, or the like] are prepared Compds. of this invention showed IC50 values of 3 nM to 40 nM against VEGFR2 kinase.

IT 1215-59-4, 5-Benzyloxyindole  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of azaarene derivs. as neovascularization inhibitors)

RN 1215-59-4 HCAPLUS  
 CN 1H-Indole, 5-(phenylmethoxy) - (9CI) (CA INDEX NAME)



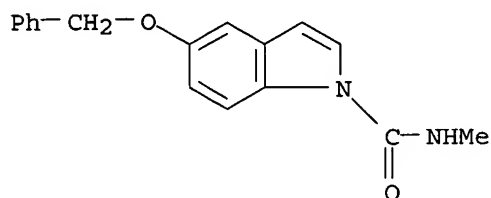


IT 670252-55-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of azaarene derivs. as neovascularization inhibitors)

RN 670252-55-8 HCAPLUS

CN 1H-Indole-1-carboxamide, N-methyl-5-(phenylmethoxy)- (9CI) (CA INDEX  
NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 6 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:80847 HCAPLUS

DOCUMENT NUMBER: 140:124558

TITLE: Pyrrolotriazine inhibitors of kinases for use in  
treatment of diseases associated with growth factor  
receptor signal transduction

INVENTOR(S): Bhide, Rajeev; Cai, Zhen-wei; Qian, Ligang; Barbosa,  
Stephanie

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 84 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

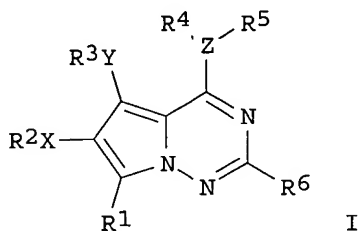
FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

| PATENT NO.    | KIND   | DATE     | APPLICATION NO. | DATE         |
|---------------|--|----------|-----------------|--------------|
| WO 2004009784 | A2   | 20040129 | WO 2003-US22826 | 20030718 <-- |
| WO 2004009784 | A3   | 20040422 |                 |              |
| W:            | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |          |                 |              |
| RW:           | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |              |
| CA 2492804    | AA   | 20040129 | CA 2003-2492804 | 20030718 <-- |

|   |    |          |                 |              |
|---|----|----------|-----------------|--------------|
| US 2004063707   | A1 | 20040401 | US 2003-622593  | 20030718 <-- |
| US 6969717  | B2 | 20051129 |                 |              |
| US 2004072832   | A1 | 20040415 | US 2003-623171  | 20030718 <-- |
| US 6869952  | B2 | 20050322 |                 |              |
| EP 1534290  | A2 | 20050601 | EP 2003-765881  | 20030718     |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK |    |          |                 |              |
| BR 2003012940   | A  | 20050621 | BR 2003-12940   | 20030718     |
| NO 2005000072   | A  | 20050203 | NO 2005-72      | 20050106     |
| US 2005124621   | A1 | 20050609 | US 2005-35248   | 20050113     |
| PRIORITY APPLN. INFO.:  |    |          | US 2002-397256P | P 20020719   |
|   |    |          | US 2003-447213P | P 20030213   |
|   |    |          | US 2003-623171  | A1 20030718  |
|   |    |          | WO 2003-US22826 | W 20030718   |

OTHER SOURCE(S) : MARPAT 140:124558  
GI



AB The present invention provides pyrrolo[2,1-f][1,2,4]triazine compds. I (Z = O, S, N, OH, Cl; when Z = O or S, R4 is absent; when Z = OH or Cl, both R4 and R5 are absent; when Z = N, R4 = H; X, Y = O, OCO, S, SO, SO2, CO, CO2, halo, NO2, CN, etc., or X and Y are absent; R1 = H, Me, OH, OMe, SH, SMe, halo, NO2, CN, etc.; R2, R3 = H, (substituted)alkyl, (substituted)alkenyl, (substituted)alkynyl, (substituted)aryl, (substituted)heterocyclo, etc.; when X = halo, NO2, or CN, R2 is absent; when Y = halo, NO2, or CN, R3 is absent; R5 = (unsubstituted)indole; R6 = H, (substituted)alkyl, (substituted)aryl, (substituted)heterocyclo, halo, etc.), and pharmaceutically acceptable salts thereof. I compds. inhibit the tyrosine kinase activity of growth factor receptors such as VEGFR-2 and FGFR-1 (no data), thereby making them useful as anti-cancer agents. I compds. are also useful for the treatment of other diseases associated with signal transduction pathways operating through growth factor receptors. Thus, many I (R1, R4, R6 absent; R3Y = Me; Z = O; R5 = 2-methyl-4-fluoro-1H-indol-5-yl; X = O; R2 = (substituted)alkyl, arylalkyl, etc.) were synthesized.

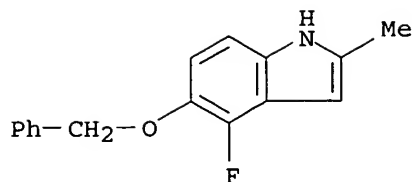
IT **649736-47-0**

RL: RCT (Reactant); RACT (Reactant or reagent)

(pyrrolo[2,1-f][1,2,4]triazine inhibitors of kinases for use in treatment of diseases associated with growth factor receptor signal transduction)

RN 649736-47-0 HCAPLUS

CN 1H-Indole, 4-fluoro-2-methyl-5-(phenylmethoxy) - (9CI) (CA INDEX NAME)



L18 ANSWER 7 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:80644 HCAPLUS

DOCUMENT NUMBER: 140:146018

TITLE: Process for preparation of indolyloxypyrrolotriazines and their use as drugs.

INVENTOR(S): Bhide, Rajeev; Fan, Junying; Parlanti, Luca; Barbosa, Stephanie; Qian, Ligang; Cai, Zhen-wei; Gibson, Francis S.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 48 pp.

CODEN: PIXXD2

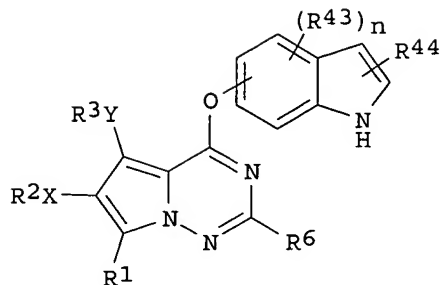
DOCUMENT TYPE: Patent

LANGUAGE: English

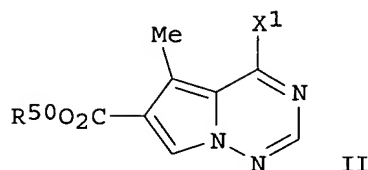
FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE         |
|---|------|----------|-----------------|--------------|
| WO 2004009542   | A2   | 20040129 | WO 2003-US22755 | 20030721 <-- |
| WO 2004009542   | A3   | 20040513 |                 |              |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |              |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |              |
| US 2004077858   | A1   | 20040422 | US 2003-622280  | 20030718 <-- |
| US 6933386  | B2   | 20050823 |                 |              |
| CA 2492861  | AA   | 20040129 | CA 2003-2492861 | 20030721 <-- |
| EP 1554281  | A2   | 20050720 | EP 2003-765846  | 20030721     |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK   |      |          |                 |              |
| BR 2003012648   | A    | 20050802 | BR 2003-12648   | 20030721     |
| US 2005124621   | A1   | 20050609 | US 2005-35248   | 20050113     |
| PRIORITY APPLN. INFO.:  |      |          |                 |              |
|   |      |          | US 2002-397256P | P 20020719   |
|   |      |          | US 2003-447213P | P 20030213   |
|   |      |          | US 2003-622280  | A 20030718   |
|   |      |          | US 2003-623171  | A1 20030718  |
|   |      |          | WO 2003-US22755 | W 20030721   |
| OTHER SOURCE(S): MARPAT 140:146018  |      |          |                 |              |
| GI  |      |          |                 |              |



I



II

AB Title compds. [I; X, Y = O, O<sub>2</sub>C, S, SO, SO<sub>2</sub>, CO, CO<sub>2</sub>, NR<sub>10</sub>, NR<sub>11</sub>CO, NR<sub>12</sub>CONR<sub>13</sub>, NR<sub>14</sub>CO<sub>2</sub>, NR<sub>15</sub>SO<sub>2</sub>, NR<sub>16</sub>SO<sub>2</sub>NR<sub>17</sub>, SO<sub>2</sub>NR<sub>18</sub>, CONR<sub>19</sub>, halo, NO<sub>2</sub>, cyano, null; R<sub>1</sub>, R<sub>6</sub> = H; R<sub>2</sub>, R<sub>3</sub> = H, (substituted) alkyl, alkenyl, alkynyl, aryl, heterocyclyl, aralkyl, heteroaryl, heterocycloalkyl; R<sub>7</sub>-R<sub>19</sub> = H, (substituted) alkyl, aryl, heteroaryl, heterocyclyl; R<sub>43</sub> = H, F, Cl, Me; n = 0-2; R<sub>44</sub> = H, Me; with provisos], were prepared in a 6-step procedure starting from pyrrolotriazinecarboxylates (II; R<sub>50</sub> = alkyl, aryl; X<sub>1</sub> = halo). Thus, Et 4-chloro-5-methylpyrrolo[2,1-f][1,2,4]triazine-6-carboxylate (preparation given) was stirred with NaOEt in EtOH at 0° for 1 h to give 98% Et 4-ethoxy-5-methylpyrrolo[2,1-f][1,2,4]triazine-6-carboxylate. The latter was stirred with MeMgBr in THF/Et<sub>2</sub>O at 0° for 4 h to give 100% 2-(4-ethoxy-5-methylpyrrolo[2,1-f][1,2,4]triazin-6-yl)propan-2-ol. This was stirred with H<sub>2</sub>O<sub>2</sub>/BF<sub>3</sub>·Et<sub>2</sub>O in CH<sub>2</sub>Cl<sub>2</sub> at -3° to -40° to give 76% 4-ethoxy-5-methylpyrrolo[2,1-f][1,2,4]triazin-6-ol. Benzylation of the latter with PhCH<sub>2</sub>Br/K<sub>2</sub>CO<sub>3</sub> in DMF gave 6-benzyloxy-4-ethoxy-5-methylpyrrolo[2,1-f][1,2,4]triazine. Reflux of this with 1N HCl in EtOH gave 6-benzyloxy-4-chloro-5-methylpyrrolo[2,1-f][1,2,4]triazine. This was added to a mixture prepared from 4-fluoro-2-methyl-1H-indol-5-ol and NaH in DMF at -20° followed by warming to room temperature to give 95% 6-benzyloxy-4-(4-fluoro-2-methyl-1H-indol-5-yloxy)-5-methylpyrrolo[2,1-f][1,2,4]triazine. Stirring of the latter with ammonium formate and Pd/C in DMF at room temperature for 2 h gave 64% 4-(4-fluoro-2-methyl-1H-indol-5-yloxy)-5-methylpyrrolo[2,1-f][1,2,4]triazin-6-ol.

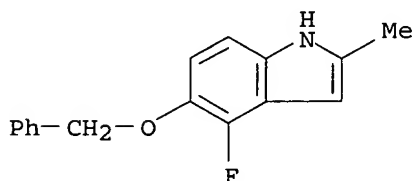
IT 649736-47-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(process for preparation of indolyloxypyrrolotriazines and their use as drugs)

RN 649736-47-0 HCAPLUS

CN 1H-Indole, 4-fluoro-2-methyl-5-(phenylmethoxy) - (9CI) (CA INDEX NAME)



L18 ANSWER 8 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

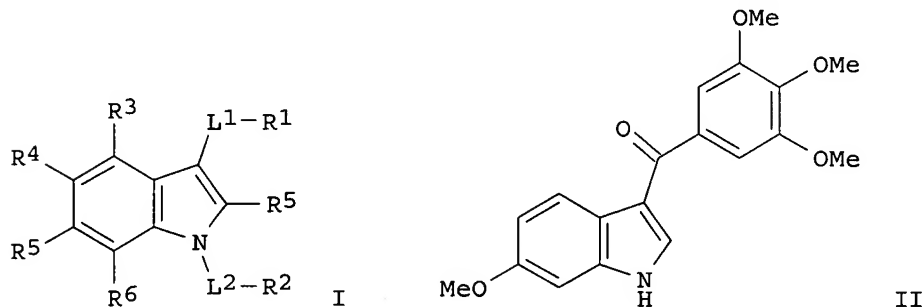
ACCESSION NUMBER: 2003:818147 HCAPLUS

DOCUMENT NUMBER: 139:323432

TITLE: Preparation of indole compounds for treating an

**angiogenesis-related disorders**  
 INVENTOR(S): Hsieh, Hsing-pang; Liou, Jing-ping; Chang, Jang-yang;  
 Chang, Chun-wei  
 PATENT ASSIGNEE(S): National Health Research Institutes, Taiwan  
 SOURCE: U.S. Pat. Appl. Publ., 31 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

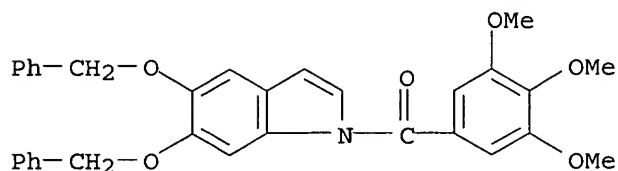
| PATENT NO.  | KIND              | DATE     | APPLICATION NO. | DATE         |
|---|-------------------|----------|-----------------|--------------|
| US 2003195244   | A1                | 20031016 | US 2002-318337  | 20021212 <-- |
| US 6933316  | B2                | 20050823 |                 |              |
| EP 1506960  | A1                | 20050216 | EP 2003-254909  | 20030807     |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK |                   |          |                 |              |
| CA 2437104  | AA                | 20050213 | CA 2003-2437104 | 20030813     |
| US 2005267194   | A1                | 20051201 | US 2005-195524  | 20050801     |
| US 2005267108   | A1                | 20051201 | US 2005-195531  | 20050801     |
| PRIORITY APPLN. INFO.:  |                   |          | US 2001-340317P | P 20011213   |
|   |                   |          | US 2002-318337  | A2 20021212  |
| OTHER SOURCE(S):  | MARPAT 139:323432 |          |                 |              |
| GI  |                   |          |                 |              |



AB The title compds. [I; L1 = CO; L2 = a bond; R1 = (hetero)aryl; R2 = H, aryl, heteroaryl, halo, etc.; R3-R6 = halo, nitro, nitroso, CN, etc.; or R4 and R5, R3 and R4, or R5 and R6 taken together are O(CH<sub>2</sub>)<sub>n</sub>O; R5 = H, alkyl, alkenyl, alkynyl, etc.; n = 1-5], were prepared Thus, treating 6-methoxyindole with ZnCl<sub>2</sub> and EtMgBr in CH<sub>2</sub>Cl<sub>2</sub> in CH<sub>2</sub>Cl<sub>2</sub> followed by addition of solution of 3,4,5-trimethoxybenzoyl chloride in CH<sub>2</sub>Cl<sub>2</sub> and after 1 h AlCl<sub>3</sub> afforded 72% II. When tested in cell growth inhibition assay, at least 28 compds. I had IC<sub>50</sub> values of at least 5 μM and, unexpectedly, some of the test compds. had IC<sub>50</sub> values as low as <10 nM. The compds. I were tested in tubulin polymerization assay and results showed that a test indole compound of 2 μM inhibited tubulin polymerization

IT **613679-40-6P**  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of indole compds. for treating an angiogenesis-related disorders)

RN 613679-40-6 HCAPLUS  
 CN 1H-Indole, 5,6-bis(phenylmethoxy)-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)



L18 ANSWER 9 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:796476 HCAPLUS

DOCUMENT NUMBER: 139:307677

TITLE: Preparation of indole derivatives for use as **angiogenesis** inhibitors

INVENTOR(S): Arnould, Jean Claude

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 77 pp.

CODEN: PIXXD2

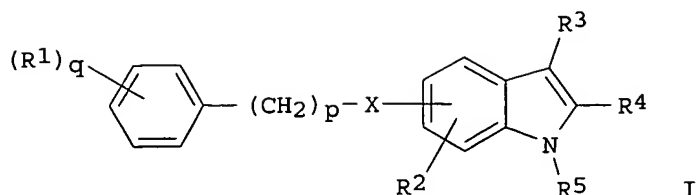
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO. | DATE         |
|------------------------|--|----------|-----------------|--------------|
| WO 2003082271          | A2   | 20031009 | WO 2003-GB1405  | 20030331 <-- |
| WO 2003082271          | A3   | 20040325 |                 |              |
| W:                     | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |          |                 |              |
| RW:                    | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |              |
| EP 1515716             | A2   | 20050323 | EP 2003-710036  | 20030331     |
| R:                     | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK   |          |                 |              |
| US 2005159474          | A1   | 20050721 | US 2003-509633  | 20030331     |
| JP 2005532280          | T2   | 20051027 | JP 2003-579809  | 20030331     |
| PRIORITY APPLN. INFO.: |  |          | EP 2002-290822  | A 20020403   |
|                        |  |          | WO 2003-GB1405  | W 20030331   |
| OTHER SOURCE(S):       | MARPAT 139:307677  |          |                 |              |
| GI                     |  |          |                 |              |



AB The invention relates to the use of a compound of formula (I) [R1 = independently halo, HO or its ester, (un)substituted NH<sub>2</sub>, alkanoylamino, OPO<sub>3</sub>H<sub>2</sub>, C1-4 alkoxy; X = O, S, SO, SO<sub>2</sub>; R2 = H, C1-4 alkyl, C1-4 alkoxy; R3, R4 = H, C1-4 alkyl, C1-4 alkanoyl, C1-4 alkoxycarbonyl, C1-4 alkoxycarbonyl-C1-4 alkyl, C1-4 alkoxycarbonylamino, optionally alkylated amino, amino-C1-4 alkyl, CONH<sub>2</sub>, carbamoyl-C1-4 alkyl, cyano, cyano-C1-4 alkyl, HO, hydroxy-C1-4 alkyl; R5 = H, C1-4 alkyl, a group of formula (CH<sub>2</sub>)<sub>t</sub>CO-Y-(CH<sub>2</sub>)<sub>r</sub>-Z-R8 (wherein Y = NH, O or a bond; Z = NH, O, CO, a bond; r = an integer from 0 to 4; t = 0, 1; R8 = H, C1-4 alkyl, C1-4 alkoxy, each (un)substituted aryl, 5 or 6 membered heterocyclyl, 5- or 6-membered heteroaryl); p = 0, 1; q = an integer from 0 to 3; with the proviso that: (i) when R3 is cyano then R4 cannot be an (un)substituted amino, and (ii) when q is 0, R3 is cyano and X is S then R4 is other than amino] or a salt, prodrug or solvate thereof, for the manufacture of a medicament to inhibit and/or reverse and/or alleviate symptoms of **angiogenesis** and/or any disease state associated with **angiogenesis**. The invention also relates to use of compds. I as medicaments and also to novel compds. I. The invention further provides pharmaceutical compns. of compds. I and processes for the synthesis of compds. I. A subset of the compds. I, e.g. 3-cyano-5-phenylsulfanyl-1H-indole, 3-cyano-5-phenoxy-1H-indole, 3-cyano-5-(4-hydroxyphenoxy)-1H-indole, 2-cyano-5-benzyloxy-1H-indole, 1-methyl-3-cyano-5-(4-hydroxy-3,5-dimethoxyphenoxy)-1H-indole, and 1-methyl-3-cyano-5-(4-phosphonoxy-3,5-dimethoxyphenoxy)-1H-indole, are also claimed.

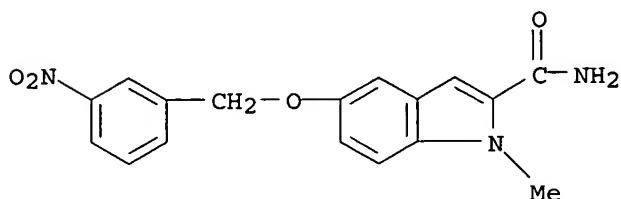
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 611228-66-1P 611228-67-2P 611228-68-3P  
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 611228-73-0P 611228-74-1P 611228-75-2P  
 611228-76-3P 611228-77-4P 611228-79-6P  
 611228-80-9P 611228-83-2P 611228-87-6P  
 611228-88-7P 611228-91-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

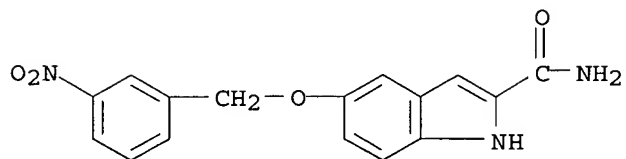
(intermediate; preparation of indole derivs. for medicament to inhibit and/or reverse and/or alleviate symptoms of **angiogenesis** and/or any disease state associated with **angiogenesis**)

RN 611228-61-6 HCAPLUS

CN 1H-Indole-2-carboxamide, 1-methyl-5-[(3-nitrophenyl)methoxy]- (9CI) (CA INDEX NAME)

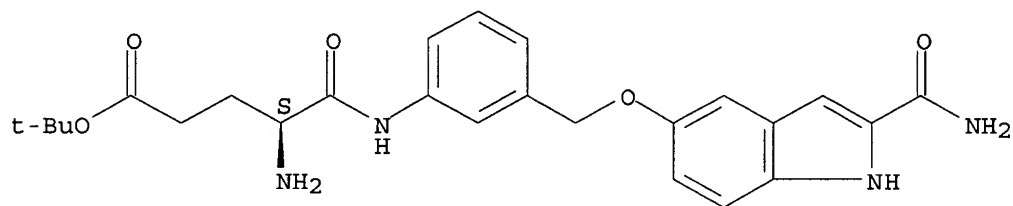


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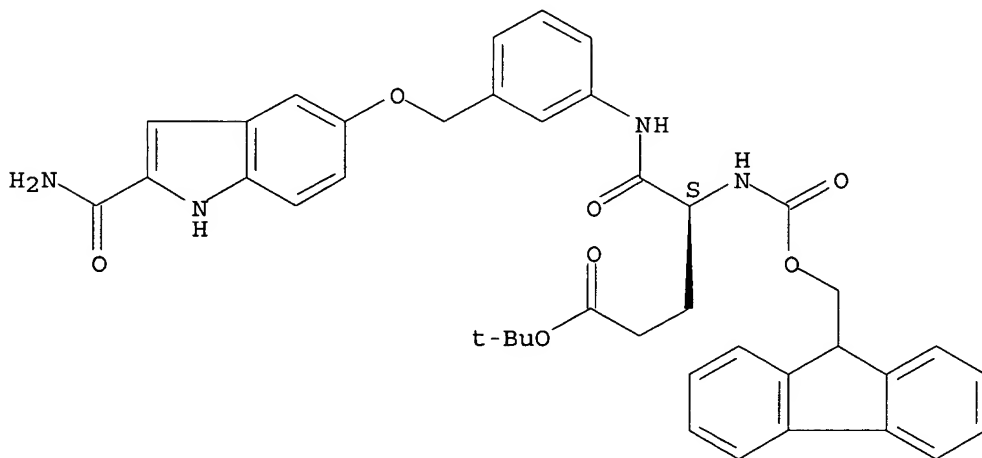
RN 611228-65-0 HCAPLUS  
 CN Pentanoic acid, 4-amino-5-[[3-[[[2-(aminocarbonyl)-1H-indol-5-yl]oxy]methyl]phenyl]amino]-5-oxo-, 1,1-dimethylethyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 611228-66-1 HCAPLUS  
 CN Pentanoic acid, 5-[[3-[[[2-(aminocarbonyl)-1H-indol-5-yl]oxy]methyl]phenyl]amino]-4-[[[9H-fluoren-9-ylmethoxy]carbonyl]amino]-5-oxo-, 1,1-dimethylethyl ester, (4S)- (9CI) (CA INDEX NAME)

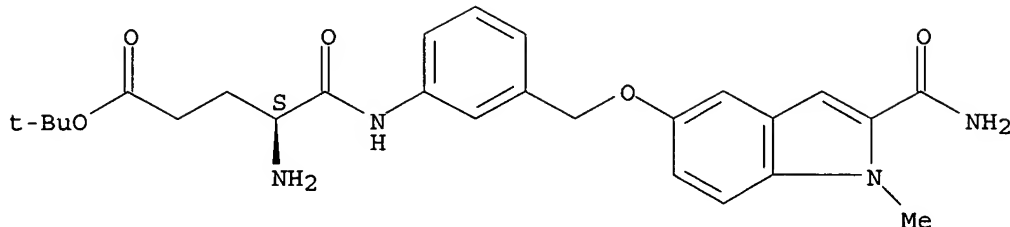
Absolute stereochemistry.



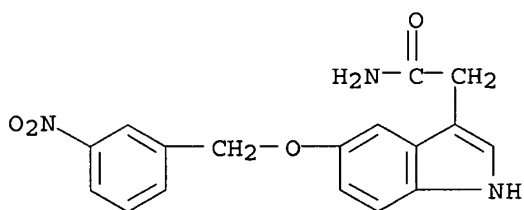
RN 611228-67-2 HCAPLUS  
 CN Pentanoic acid, 4-amino-5-[[3-[[[2-(aminocarbonyl)-1-methyl-1H-indol-5-yl]oxy]methyl]phenyl]amino]-5-oxo-, 1,1-dimethylethyl ester, (4S)- (9CI) (CA INDEX NAME)



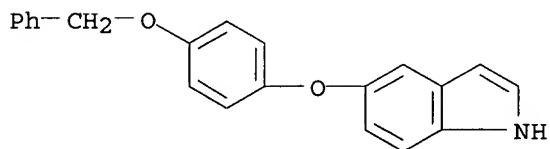
Absolute stereochemistry.



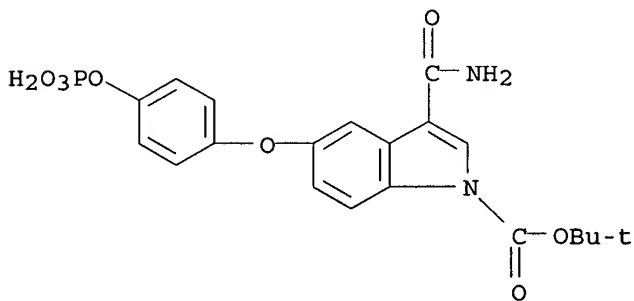
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CN 1H-Indole-3-acetamide, 5-[(3-nitrophenyl)methoxy]- (9CI) (CA INDEX NAME)



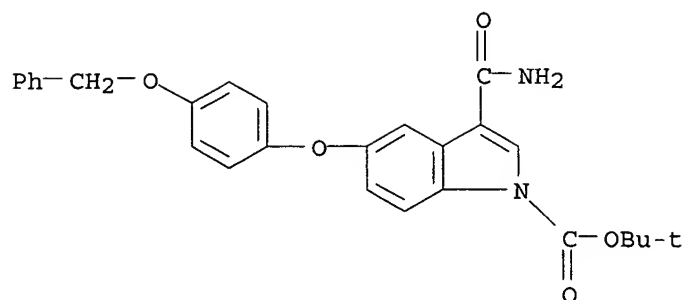
RN 611228-70-7 HCAPLUS  
CN 1H-Indole, 5-[4-(phenylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



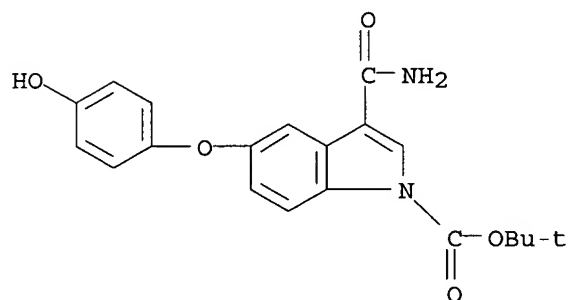
RN 611228-71-8 HCAPLUS  
CN 1H-Indole-1-carboxylic acid, 3-(aminocarbonyl)-5-[4-(phosphonoxy)phenoxy]-, 1-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)



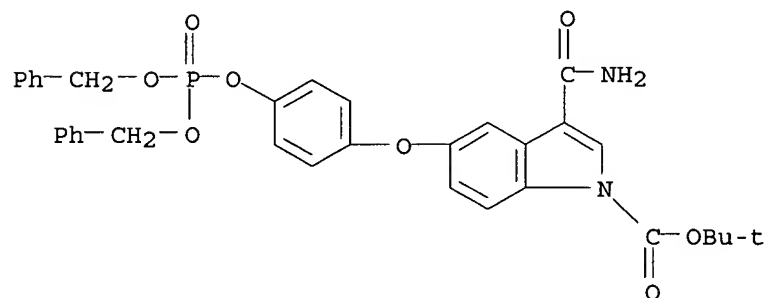
RN 611228-72-9 HCAPLUS  
CN 1H-Indole-1-carboxylic acid, 3-(aminocarbonyl)-5-[4-(phenylmethoxy)phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



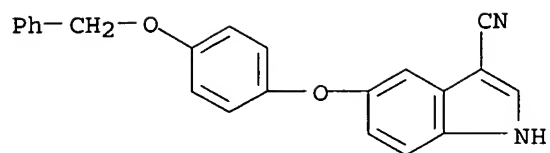
RN 611228-73-0 HCAPLUS  
 CN 1H-Indole-1-carboxylic acid, 3-(aminocarbonyl)-5-(4-hydroxyphenoxy)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



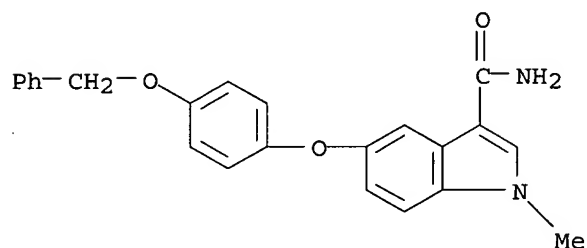
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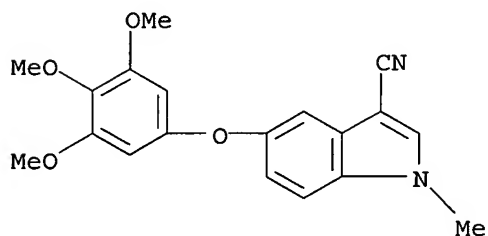
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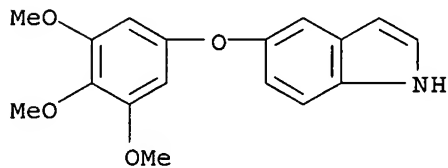
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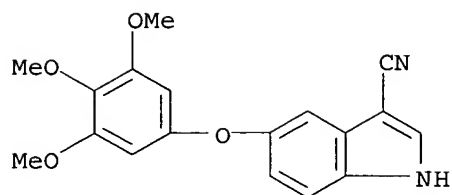
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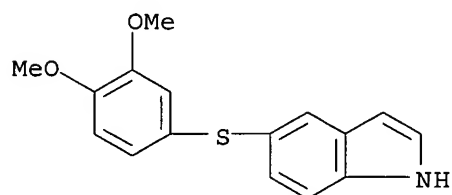
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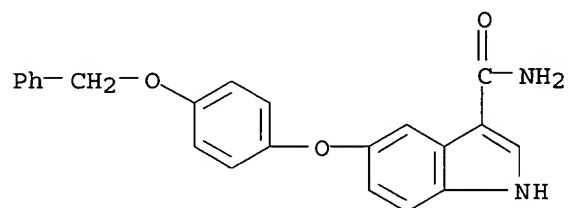
RN 611228-80-9 HCAPLUS  
 CN 1H-Indole-3-carbonitrile, 5-(3,4,5-trimethoxyphenoxy)- (9CI) (CA INDEX NAME)



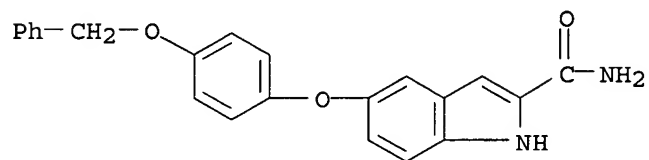
RN 611228-83-2 HCAPLUS  
CN 1H-Indole, 5-[(3,4-dimethoxyphenyl)thio]- (9CI) (CA INDEX NAME)



RN 611228-87-6 HCAPLUS  
CN 1H-Indole-3-carboxamide, 5-[4-(phenylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)

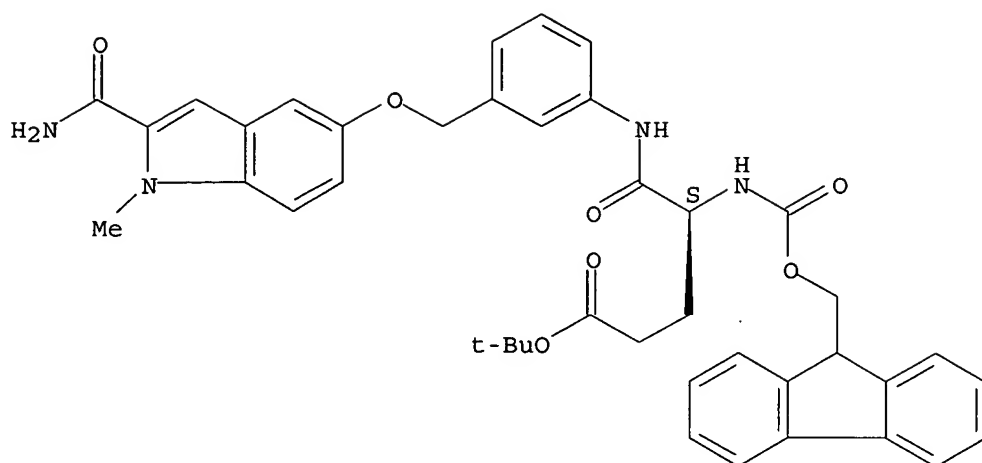


RN 611228-88-7 HCAPLUS  
CN 1H-Indole-2-carboxamide, 5-[4-(phenylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



RN 611228-91-2 HCAPLUS  
CN Pentanoic acid, 5-[[[3-[[[2-(aminocarbonyl)-1-methyl-1H-indol-5-yl]oxy]methyl]phenyl]amino]-4-[[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-5-oxo-, 1,1-dimethylethyl ester], (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

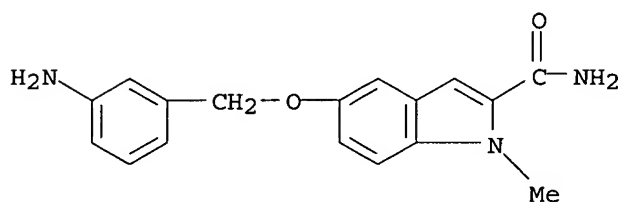


IT 611228-36-5P 611228-37-6P 611228-46-7P  
611228-57-0P 611228-58-1P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of indole derivs. for medicament to inhibit and/or reverse and/or alleviate symptoms of **angiogenesis** and/or any disease state associated with **angiogenesis**)

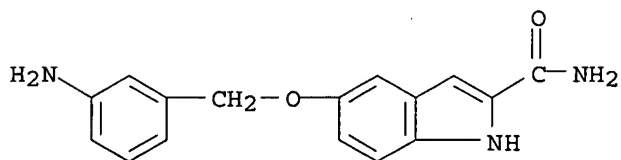
RN 611228-36-5 HCAPLUS

CN 1H-Indole-2-carboxamide, 5-[(3-aminophenyl)methoxy]-1-methyl- (9CI) (CA INDEX NAME)



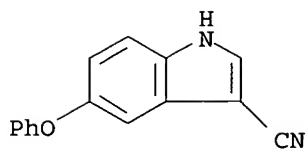
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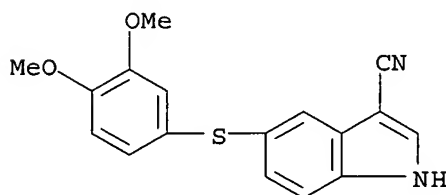
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CN 1H-Indole-3-carbonitrile, 5-phenoxy- (9CI) (CA INDEX NAME)



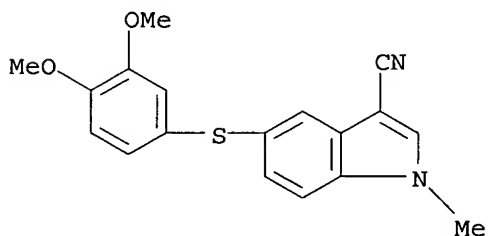
RN 611228-57-0 HCAPLUS

CN 1H-Indole-3-carbonitrile, 5-[(3,4-dimethoxyphenyl)thio]- (9CI) (CA INDEX NAME)



RN 611228-58-1 HCAPLUS

CN 1H-Indole-3-carbonitrile, 5-[(3,4-dimethoxyphenyl)thio]-1-methyl- (9CI) (CA INDEX NAME)



IT 611228-38-7P 611228-39-8P 611228-40-1P  
 611228-41-2P 611228-42-3P 611228-43-4P  
 611228-44-5P 611228-45-6P 611228-47-8P  
 611228-48-9P 611228-49-0P 611228-50-3P  
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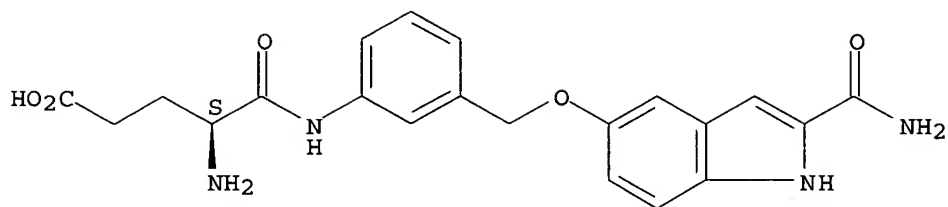
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(preparation of indole derivs. for medicament to inhibit and/or reverse  
 and/or alleviate symptoms of **angiogenesis** and/or any disease  
 state associated with **angiogenesis**)

RN 611228-38-7 HCAPLUS

CN Pentanoic acid, 4-amino-5-[[3-[[[2-(aminocarbonyl)-1H-indol-5-yl]oxy]methyl]phenyl]amino]-5-oxo-, (4S)- (9CI) (CA INDEX NAME)

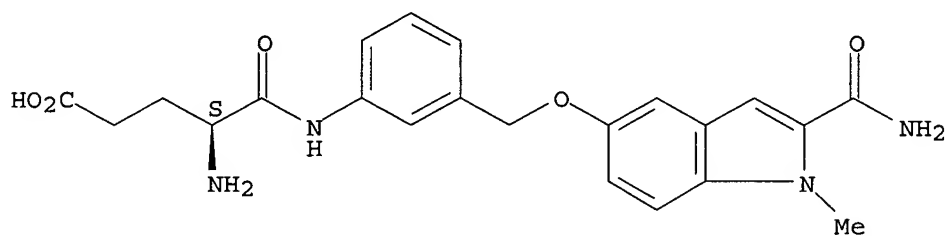
Absolute stereochemistry.



RN 611228-39-8 HCAPLUS

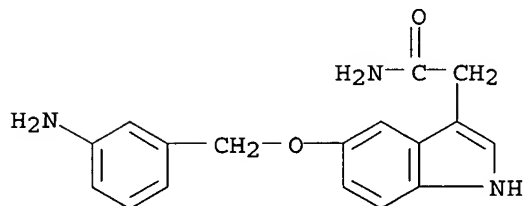
CN Pentanoic acid, 4-amino-5-[[3-[[[2-(aminocarbonyl)-1-methyl-1H-indol-5-yl]oxy]methyl]phenyl]amino]-5-oxo-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 611228-40-1 HCAPLUS

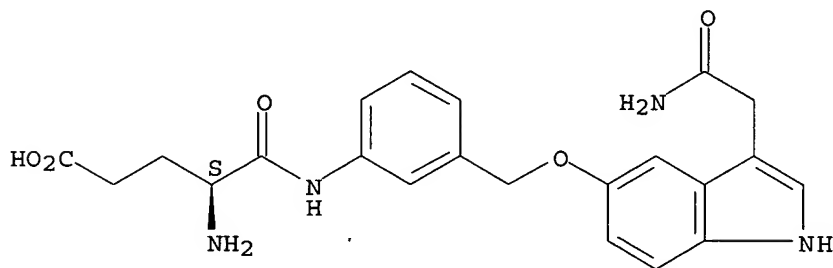
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RN 611228-41-2 HCAPLUS

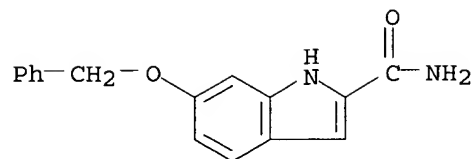
CN Pentanoic acid, 4-amino-5-[[3-[[[3-(2-amino-2-oxoethyl)-1H-indol-5-yl]oxy]methyl]phenyl]amino]-5-oxo-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

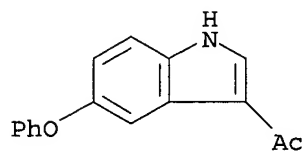


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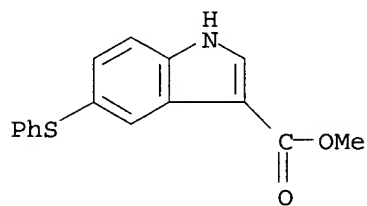
CN 1H-Indole-2-carboxamide, 6-(phenylmethoxy)- (9CI) (CA INDEX NAME)



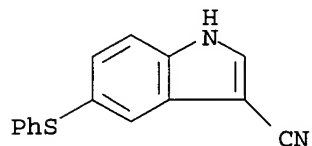
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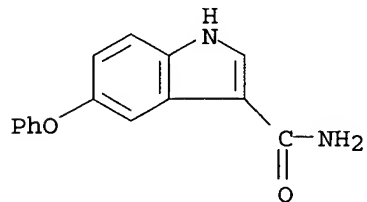
RN 611228-44-5 HCAPLUS  
 CN 1H-Indole-3-carboxylic acid, 5-(phenylthio)-, methyl ester (9CI) (CA INDEX NAME)



RN 611228-45-6 HCAPLUS  
 CN 1H-Indole-3-carbonitrile, 5-(phenylthio)- (9CI) (CA INDEX NAME)



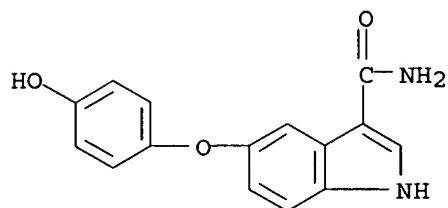
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RN 611228-48-9 HCAPLUS

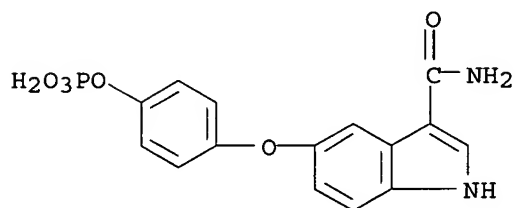


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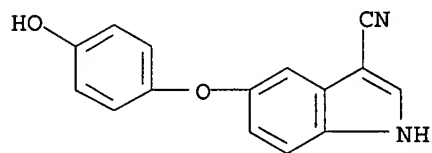
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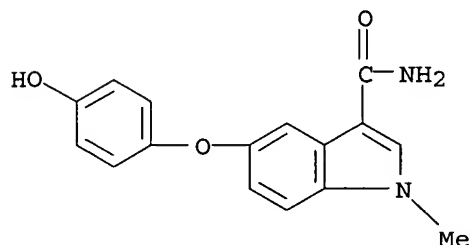
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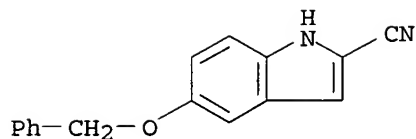
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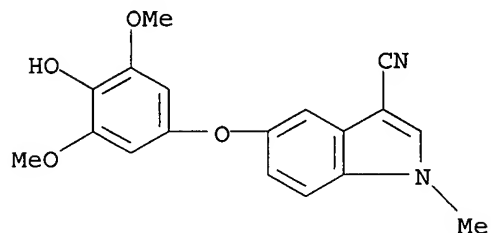
RN 611228-52-5 HCAPLUS

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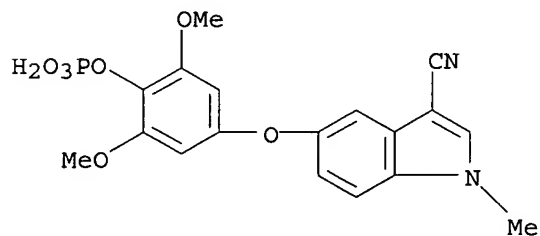
RN 611228-53-6 HCAPLUS

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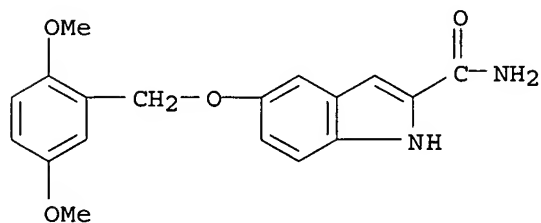
RN 611228-54-7 HCAPLUS

CN 1H-Indole-3-carbonitrile, 5-[3,5-dimethoxy-4-(phosphonooxy)phenoxy]-1-methyl- (9CI) (CA INDEX NAME)



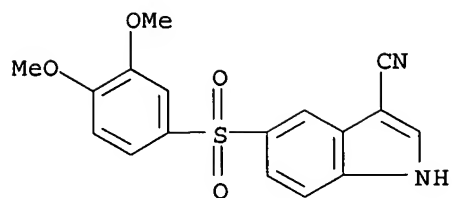
RN 611228-56-9 HCAPLUS

CN 1H-Indole-2-carboxamide, 5-[(2,5-dimethoxyphenyl)methoxy]- (9CI) (CA INDEX NAME)

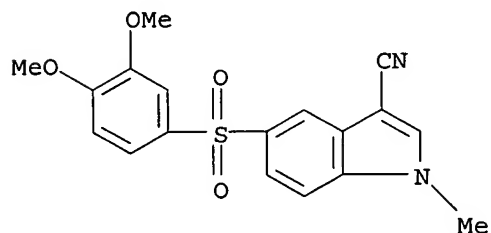


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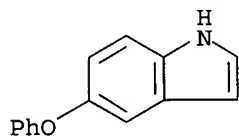
CN 1H-Indole-3-carbonitrile, 5-[(3,4-dimethoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



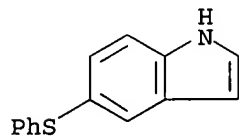
RN 611228-60-5 HCAPLUS  
 CN 1H-Indole-3-carbonitrile, 5-[(3,4-dimethoxyphenyl)sulfonyl]-1-methyl-  
 (9CI) (CA INDEX NAME)



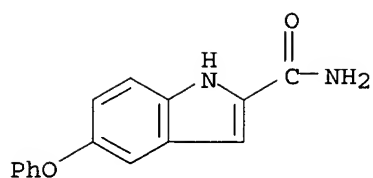
IT 78304-53-7, 5-Phenoxyindole 163258-14-8  
 611228-90-1  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of indole derivs. for medicament to inhibit and/or reverse  
 and/or alleviate symptoms of **angiogenesis** and/or any disease  
 state associated with **angiogenesis**)  
 RN 78304-53-7 HCAPLUS  
 CN 1H-Indole, 5-phenoxy- (9CI) (CA INDEX NAME)



RN 163258-14-8 HCAPLUS  
 CN 1H-Indole, 5-(phenylthio)- (9CI) (CA INDEX NAME)



RN 611228-90-1 HCAPLUS  
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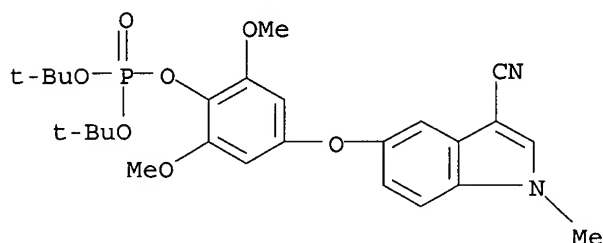
IT 611228-55-8P 611228-84-3P 611228-85-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of indole derivs. for medicament to inhibit and/or reverse and/or alleviate symptoms of **angiogenesis** and/or any disease state associated with **angiogenesis**)

RN 611228-55-8 HCAPLUS

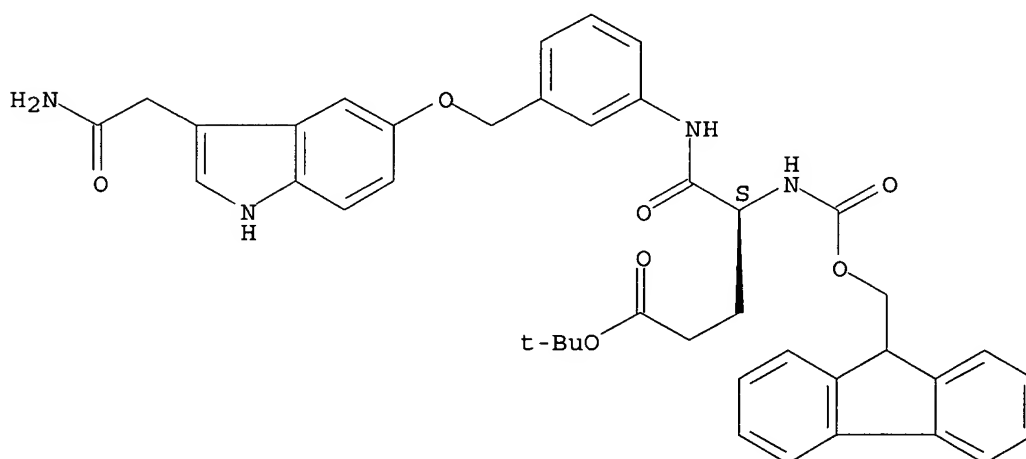
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| CN | Phosphoric acid, 4-[(3-cyano-1-methyl-1H-indol-5-yl)oxy]-2,6-dimethoxyphenyl bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME) |
|----|---|



RN 611228-84-3 HCAPLUS

CN Pentanoic acid, 5-[[[3-[[[3-(2-amino-2-oxoethyl)-1H-indol-5-yl]oxy]methyl]phenyl]amino]-4-[[[9H-fluoren-9-ylmethoxy]carbonyl]amino]-5-oxo-, 1,1-dimethylethyl ester, (4S)- (9CI) (CA INDEX NAME)

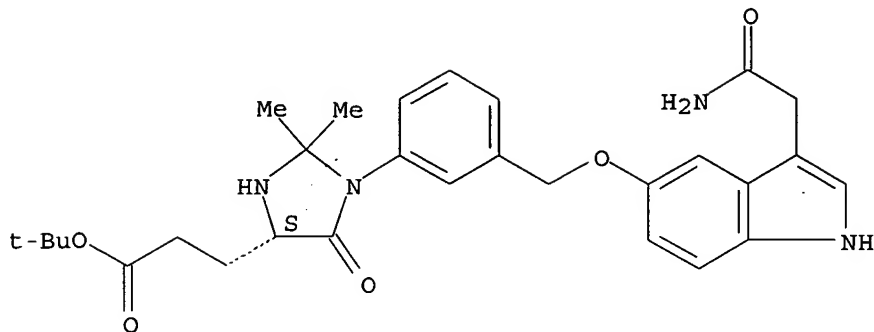
Absolute stereochemistry.



RN 611228-85-4 HCAPLUS

CN 4-Imidazolidinepropanoic acid, 1-[3-[[[3-(2-amino-2-oxoethyl)-1H-indol-5-yl]oxy)methyl]phenyl]-2,2-dimethyl-5-oxo-, 1,1-dimethylethyl ester, (4S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



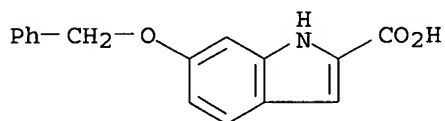
IT 40047-22-1 133845-43-9 133845-45-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(reactant; preparation of indole derivs. for medicament to inhibit and/or reverse and/or alleviate symptoms of **angiogenesis** and/or any disease state associated with **angiogenesis**)

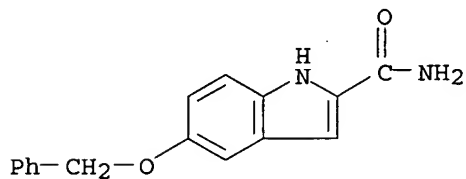
RN 40047-22-1 HCAPLUS

CN 1H-Indole-2-carboxylic acid, 6-(phenylmethoxy)- (9CI) (CA INDEX NAME)



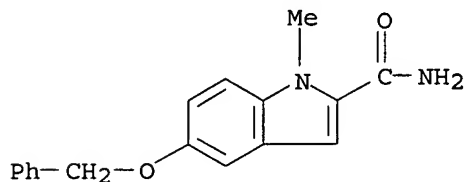
RN 133845-43-9 HCAPLUS

CN 1H-Indole-2-carboxamide, 5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 133845-45-1 HCAPLUS

CN 1H-Indole-2-carboxamide, 1-methyl-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L18 ANSWER 10 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:356415 HCAPLUS

DOCUMENT NUMBER: 138:368759

TITLE: Preparation of 2-acylindoles as tubulin polymerization inhibitors for the treatment of metastatic tumors

INVENTOR(S): Beckers, Thomas; Mahboobi, Siavosh; Pongratz, Herwig; Frieser, Markus; Hufsky, Harald; Hockemeyer, Joerg; Vanhoefer, Udo

PATENT ASSIGNEE(S): Baxter Healthcare SA, Switz.

SOURCE: PCT Int. Appl., 110 pp.

CODEN: PIXXD2

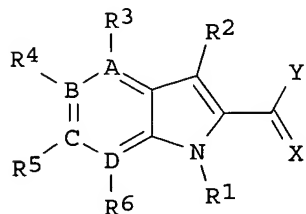
DOCUMENT TYPE: Patent

LANGUAGE: German

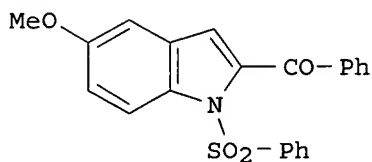
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

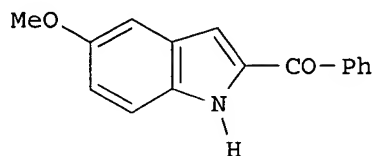
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| WO 2003037861   | A1   | 20030508 | WO 2002-EP11883   | 20021024 <-- |
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| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                   |              |
| DE 10152306   | A1   | 20030724 | DE 2001-10152306  | 20011026 <-- |
| EP 1442015  | A1   | 20040804 | EP 2002-802302    | 20021024 <-- |
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| JP 2005516895   | T2   | 20050609 | JP 2003-540143    | 20021024     |
| PRIORITY APPLN. INFO.:  |      |          | DE 2001-10152306  | A 20011026   |
|   |      |          | WO 2002-EP11883   | W 20021024   |
| OTHER SOURCE(S):  |      |          | MARPAT 138:368759 |              |
| GI  |      |          |                   |              |



I



II



III

AB Title compds. I [R1 = H, alkylcarbonyl, e.g., acetyl, alkyl etc.; R2 = H, halo, CN, etc.; A = B, C, D = independently for a N or C with provisos; Y = electron pair, H, halo with provisos; X = O, S, NH, etc.] and their pharmaceutically acceptable salts were prepared For example, sodium hydroxide mediated deprotection of N-sulfone II, e.g., prepared from benzoyl chloride and 5-methoxy-1-(phenylsulfonyl)-1H-indole, afforded acylindole III. In tubulin polymerization inhibition studies, 8-examples of I exhibited IC50 values ranging from 0.53->10  $\mu$ M, e.g., the IC50 value of acylindole III was 0.53  $\mu$ M. Compds. I are claimed useful for the treatment of therapy-resistant and metastatic tumors.

IT 370580-71-5P 370580-74-8P 370580-77-1P  
370580-78-2P 370580-81-7P 370580-83-9P  
521309-87-5P

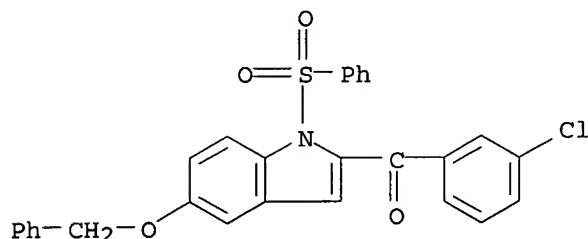
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of acylindoles as tubulin polymerization inhibitors

for the treatment of metastatic tumors)

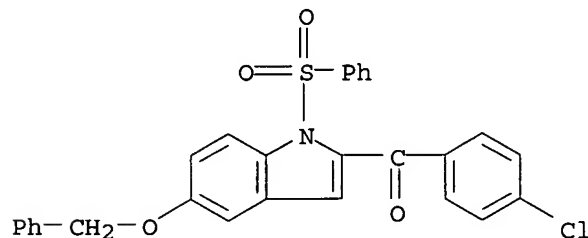
RN 370580-71-5 HCAPLUS

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(CA INDEX NAME)



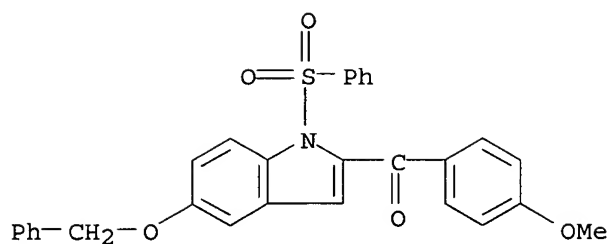
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(CA INDEX NAME)



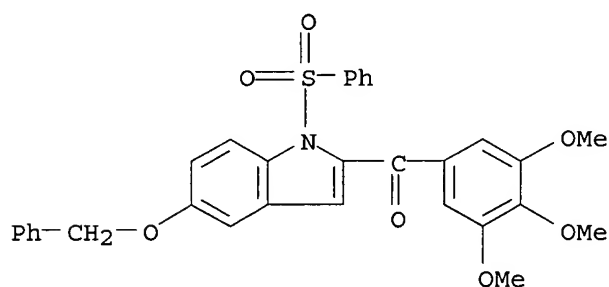
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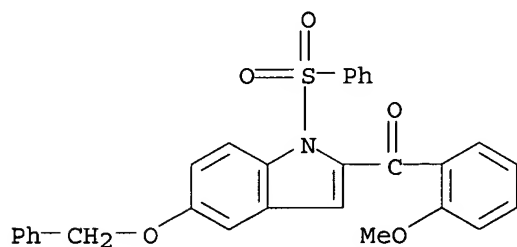
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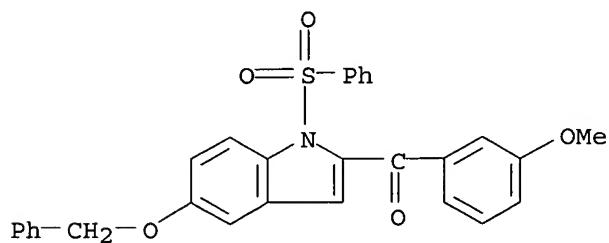
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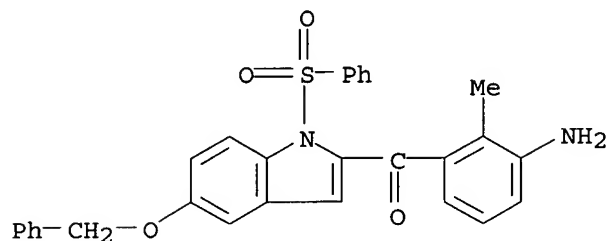
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CN 1H-Indole, 2-(3-methoxybenzoyl)-5-(phenylmethoxy)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)





RN 521309-87-5 HCAPLUS  
 CN 1H-Indole, 2-(3-amino-2-methylbenzoyl)-5-(phenylmethoxy)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

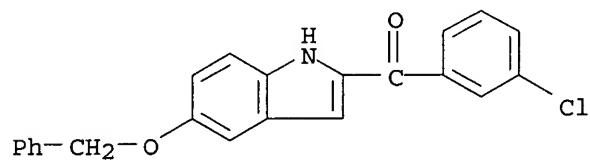


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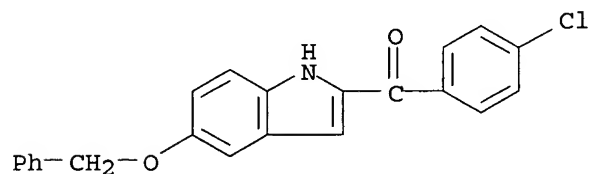
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of acylindoles as tubulin polymerization inhibitors for the treatment of metastatic tumors)

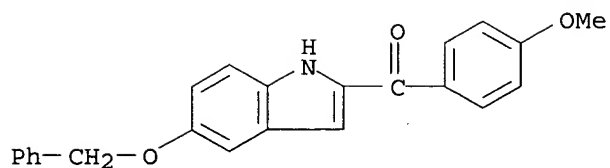
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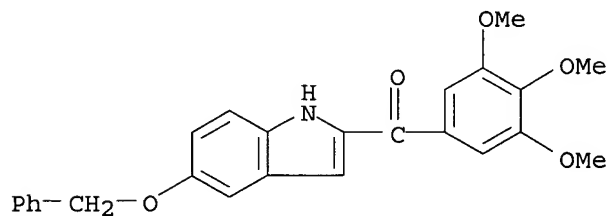
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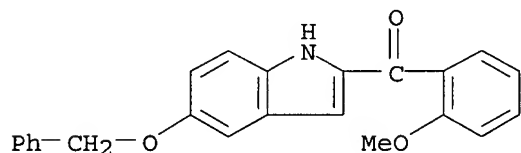
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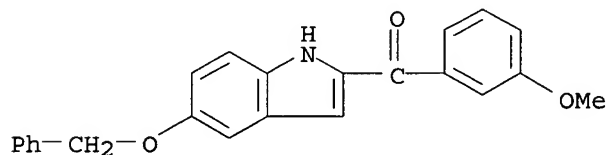
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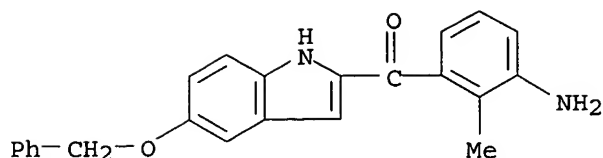
RN 370581-44-5 HCAPLUS  
 CN Methanone, (2-methoxyphenyl) [5-(phenylmethoxy)-1H-indol-2-yl] - (9CI) (CA INDEX NAME)



RN 370581-45-6 HCAPLUS  
 CN Methanone, (3-methoxyphenyl) [5-(phenylmethoxy)-1H-indol-2-yl] - (9CI) (CA INDEX NAME)



RN 521309-93-3 HCAPLUS  
 CN Methanone, (3-amino-2-methylphenyl) [5-(phenylmethoxy)-1H-indol-2-yl] - (9CI) (CA INDEX NAME)



IT 170147-24-7

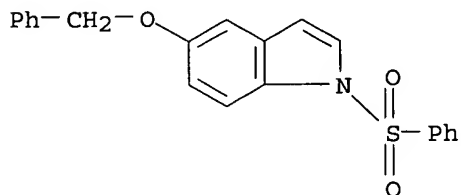
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of acylindoles as tubulin polymerization inhibitors for the treatment

of metastatic tumors)

RN 170147-24-7 HCAPLUS

CN 1H-Indole, 5-(phenylmethoxy)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 11 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:5957 HCAPLUS

DOCUMENT NUMBER: 138:55984

TITLE: Preparation of azaindoles as protein kinase inhibitors

INVENTOR(S): Cox, Paul Joseph; Majid, Tahir Nadeem; Lai, Justine  
Yeun Quai; Morley, Andrew; Amendola, Shelley; Deprets,  
Stephanie Daniele; Edlin, Chris; Gardner, Charles J.;  
Kominos, Dorothea; Pedgrift, Brian Leslie; Halley,  
Frank; Gillespy, Timothy Alan; Edwards, Michael;  
Clerc, Francois Frederic; Nemecek, Conception;  
Houille, Olivier; Damour, Dominique; Bouchard, Herve;  
Bezard, Daniel; Carrez, Chantal

PATENT ASSIGNEE(S): Aventis Pharma Limited, UK

SOURCE: PCT Int. Appl., 373 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

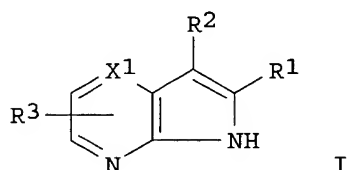
PATENT INFORMATION:

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|---|------|----------|-----------------|--------------|
| WO 2003000688   | A1   | 20030103 | WO 2002-GB2799  | 20020620 <-- |
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CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,  
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| EP 1397360   | A1 | 20040317 | EP 2002-730531  | 20020620 <-- |
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| EE 200400015   | A  | 20040415 | EE 2004-15      | 20020620 <-- |
| BR 2002010507  | A  | 20040615 | BR 2002-10507   | 20020620 <-- |
| SI 21462   | C  | 20041031 | SI 2002-20015   | 20020620     |
| JP 2004534826  | T2 | 20041118 | JP 2003-507091  | 20020620     |
| US 2004053931  | A1 | 20040318 | US 2002-177804  | 20020621 <-- |
| US 6897207   | B2 | 20050524 |                 |              |
| ZA 2003009648  | A  | 20050311 | ZA 2003-9648    | 20031211     |
| BG 108481  | A  | 20050531 | BG 2003-108481  | 20031219     |
| US 2005267304  | A1 | 20051201 | US 2004-995103  | 20041123     |
| PRIORITY APPLN. INFO.:   |    |          | GB 2001-15109   | A 20010621   |
|  |    |          | US 2001-300257P | P 20010622   |
|  |    |          | WO 2002-GB2799  | W 20020620   |
|  |    |          | US 2002-177804  | A1 20020621  |

OTHER SOURCE(S): MARPAT 138:55984  
 GI



AB The invention is directed to physiologically active azaindoles (shown as I; variables defined below; e.g. 6-(5-methoxy-1-methyl-1H-indol-3-yl)-5H-pyrrolo[2,3-b]pyrazine) and compounds containing such compounds; and their prodrugs, and pharmaceutically acceptable salts and solvates of such compounds and their prodrugs. Such compounds and compounds have valuable pharmaceutical properties, in particular the ability to inhibit kinases, especially Syk, FAK, KDR, Aurora2 and IGF1R (data given in general rather than for specific I). Although the methods of preparation are not claimed, >100 example preparations of intermediates and I are included. For I: R1 = aryl or heteroaryl each optionally substituted by ≥1 groups = alkylendioxy, alkenyl, alkenyloxy, alkynyl, aryl, cyano, halo, hydroxy, heteroaryl, heterocycloalkyl, nitro, R4, -C(O)R, -C(O)OR5, -C(O)NY1Y2, -NY1Y2, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)C(O)OR7, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -SO2NY1Y2 and -Z2R. R2 = H, acyl, cyano, halo, lower alkenyl, -Z2R4, -SO2NY3Y4, -NY1Y2 or lower alkyl optionally substituted by aryl, cyano, heteroaryl, heterocycloalkyl, hydroxy, -Z2R4, -C(O)NY1Y2, -C(O)R, -CO2R8, -NY3Y4, -N(R6)C(O)R, -N(R6)C(O)NY1Y2, -N(R6)C(O)OR7, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -SO2NY1Y2 and ≥1 halogen atoms. R3 = H, aryl, cyano, halo, heteroaryl, lower alkyl, -Z2R4, -C(O)OR5 or -C(O)NY3Y4. R4 = alkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl or heterocycloalkylalkyl each optionally substituted by aryl, cycloalkyl, cyano, halo, heteroaryl, heterocycloalkyl, -CHO (or a 5- 6- or 7-membered cyclic acetal derivative thereof), -C(O)NY1Y2, -C(O)OR5, -NY1Y2, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -Z3R7 and ≥1 hydroxy, alkoxy and carboxy. R5 = H, alkyl, alkenyl, aryl, arylalkyl, heteroaryl or heteroarylalkyl. R6 = H or lower alkyl; R7 = alkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroarylalkyl, heterocycloalkyl or heterocycloalkylalkyl; R8 = H or lower alkyl. R = aryl or heteroaryl;

alkenyl; or alkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl or heterocycloalkylalkyl each optionally substituted by aryl, cycloalkyl, cyano, halo, heteroaryl, heterocycloalkyl, -CHO (or a 5- 6- or 7-membered cyclic acetal derivative thereof), -C(O)NY<sub>1</sub>Y<sub>2</sub>, -C(O)OR<sub>5</sub>, -NY<sub>1</sub>Y<sub>2</sub>, -N(R<sub>6</sub>)C(O)R<sub>7</sub>, -N(R<sub>6</sub>)C(O)NY<sub>3</sub>Y<sub>4</sub>, -N(R<sub>6</sub>)SO<sub>2</sub>R<sub>7</sub>, -N(R<sub>6</sub>)SO<sub>2</sub>NY<sub>3</sub>Y<sub>4</sub>, -Z<sub>3</sub>R<sub>7</sub> and  $\geq 1$  hydroxy, alkoxy and carboxy. X<sub>1</sub> = N, CH, C-aryl, C-heteroaryl, C-heterocycloalkyl, C-heterocycloalkenyl, C-halo, C-CN, C-R<sub>4</sub>, CNY<sub>1</sub>Y<sub>2</sub>, COH, CZ<sub>2</sub>R, CC(O)R, CC(O)OR<sub>5</sub>, CC(O)NY<sub>1</sub>Y<sub>2</sub>, CN(R<sub>8</sub>)C(O)R, CN(R<sub>6</sub>)C(O)OR<sub>7</sub>, CN(R<sub>6</sub>)C(O)NY<sub>3</sub>Y<sub>4</sub>, CN(R<sub>6</sub>)SO<sub>2</sub>NY<sub>3</sub>Y<sub>4</sub>, CN(R<sub>6</sub>)SO<sub>2</sub>R, CSO<sub>2</sub>NY<sub>3</sub>Y<sub>4</sub>, C-NO<sub>2</sub>, or C-alkenyl or C-alkynyl optionally substituted by  $\geq 1$  aryl, cyano, halo, hydroxy, heteroaryl, heterocycloalkyl, nitro, -C(O)NY<sub>1</sub>Y<sub>2</sub>, -C(O)OR<sub>5</sub>, -NNY<sub>1</sub>Y<sub>2</sub>, -N(R<sub>6</sub>)C(O)R<sub>7</sub>, -N(R<sub>6</sub>)C(O)NY<sub>3</sub>Y<sub>4</sub>, -N(R<sub>6</sub>)C(O)OR<sub>7</sub>, -N(R<sub>6</sub>)SO<sub>2</sub>R<sub>7</sub>, -N(R<sub>6</sub>)SO<sub>2</sub>NY<sub>3</sub>Y<sub>4</sub>, -SO<sub>2</sub>NY<sub>1</sub>Y<sub>2</sub> and -Z<sub>2</sub>R<sub>4</sub>. Y<sub>1</sub> and Y<sub>2</sub> = H, alkenyl, aryl, cycloalkyl, heteroaryl or alkyl optionally substituted by  $\geq 1$  aryl, halo, heteroaryl, heterocycloalkyl, hydroxy, -C(O)NY<sub>3</sub>Y<sub>4</sub>, -C(O)OR<sub>5</sub>, NY<sub>3</sub>Y<sub>4</sub>, -N(R<sub>6</sub>)C(O)R<sub>7</sub>, -N(R<sub>6</sub>)C(O)NY<sub>3</sub>Y<sub>4</sub>, -N(R<sub>6</sub>)SO<sub>2</sub>R<sub>7</sub>, -N(R<sub>6</sub>)SO<sub>2</sub>NY<sub>3</sub>Y<sub>4</sub> and -OR<sub>7</sub>, or the group -NY<sub>1</sub>Y<sub>2</sub> may form a cyclic amine. Y<sub>3</sub> and Y<sub>4</sub> = H, alkenyl, alkyl, aryl, arylalkyl, cycloalkyl, heteroaryl or heteroarylalkyl; or the group -NY<sub>3</sub>Y<sub>4</sub> may form a cyclic amine; Z<sub>1</sub> = O or S; Z<sub>2</sub> = O or S(O)<sub>n</sub>; Z<sub>3</sub> = O, S(O)<sub>n</sub>, NR<sub>6</sub>; n = 0-2.

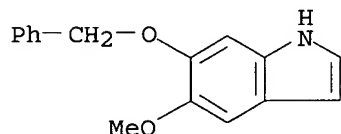
IT 2426-59-7, 6-Benzyloxy-5-methoxyindole 4790-04-9,  
5-Benzyloxy-6-methoxyindole

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of azaindoles as protein kinase inhibitors with therapeutic uses)

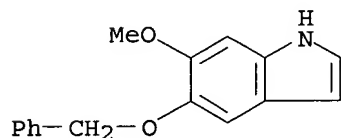
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CN 1H-Indole, 5-methoxy-6-(phenylmethoxy) - (9CI) (CA INDEX NAME)



RN 4790-04-9 HCAPLUS

CN 1H-Indole, 6-methoxy-5-(phenylmethoxy) - (9CI) (CA INDEX NAME)



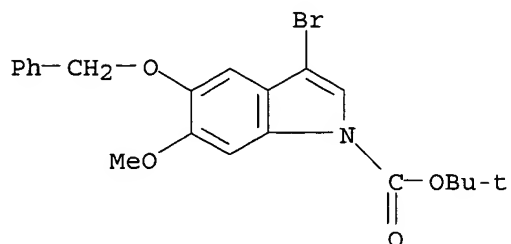
IT 348640-14-2P, 5-Benzyloxy-3-bromo-6-methoxyindole-1-carboxylic acid tert-butyl ester 348640-22-2P, 2-(5-Benzyloxy-6-methoxy-1H-indol-3-yl)-1-(toluene-4-sulfonyl)-1H-pyrrolo[2,3-b]pyridine 348640-33-5P, 2-(5-Benzyloxy-6-methoxy-1-methyl-1H-indol-3-yl)-1-(toluene-4-sulfonyl)-1H-pyrrolo[2,3-b]pyridine 479552-89-1P, 6-Benzyloxy-3-iodo-5-methoxyindole-1-carboxylic acid tert-butyl ester 479552-90-4P, 2-(6-Benzyloxy-5-methoxy-1H-indol-3-yl)-1-(toluene-4-sulfonyl)-1H-pyrrolo[2,3-b]pyridine 479552-91-5P, 2-(6-Benzyloxy-5-methoxy-1-methyl-1H-indol-3-yl)-1-(toluene-4-sulfonyl)-1H-pyrrolo[2,3-b]pyridine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of azaindoles as protein kinase inhibitors with therapeutic uses)

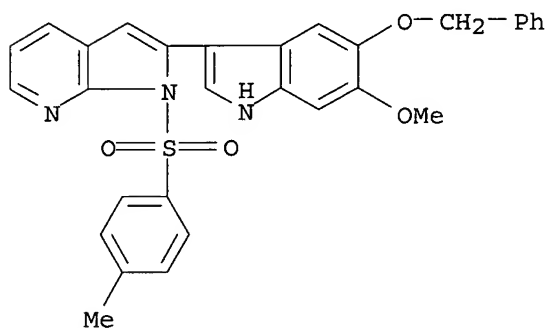
RN 348640-14-2 HCAPLUS

CN 1H-Indole-1-carboxylic acid, 3-bromo-6-methoxy-5-(phenylmethoxy)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



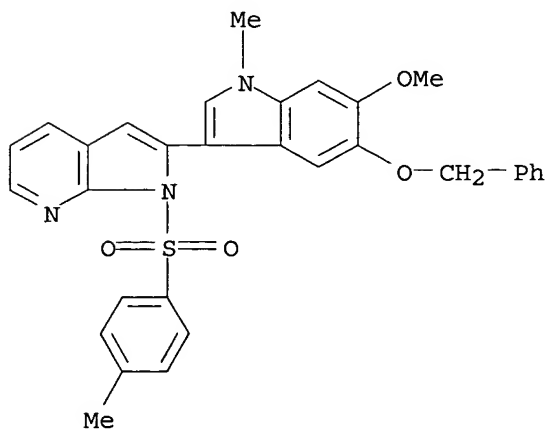
RN 348640-22-2 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 2-[6-methoxy-5-(phenylmethoxy)-1H-indol-3-yl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



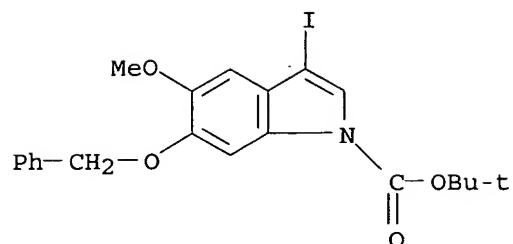
RN 348640-33-5 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 2-[6-methoxy-1-methyl-5-(phenylmethoxy)-1H-indol-3-yl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



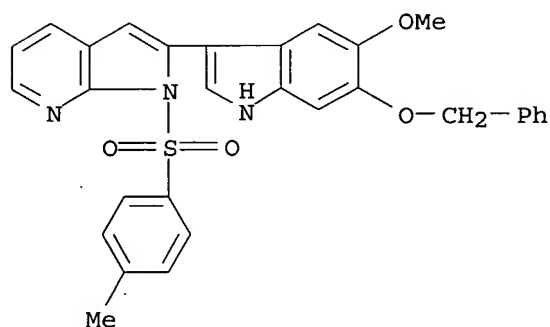
RN 479552-89-1 HCAPLUS

CN 1H-Indole-1-carboxylic acid, 3-iodo-5-methoxy-6-(phenylmethoxy)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



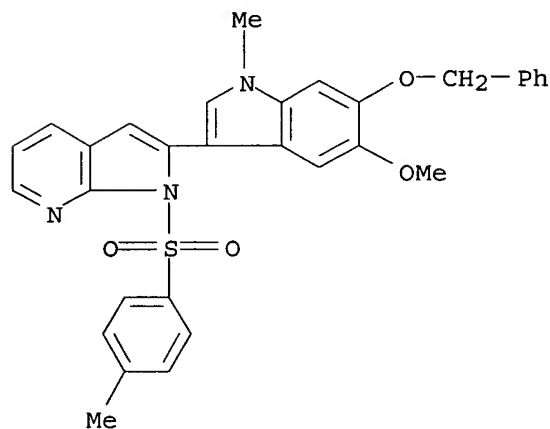
RN 479552-90-4 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 2-[5-methoxy-6-(phenylmethoxy)-1H-indol-3-yl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 479552-91-5 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 2-[5-methoxy-1-methyl-6-(phenylmethoxy)-1H-indol-3-yl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 12 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:927188 HCAPLUS

DOCUMENT NUMBER: 138:14005

TITLE: Preparation of 5-aralkylsulfonyl-3-(pyrrol-2-ylmethylidene)-2-indolinone derivatives as kinase inhibitors

INVENTOR(S): Cui, Jingrong; Ramphal, Yudhi; Liang, Congxin; Sun, Li; Wei, Chung Chen; Tang, Peng Cho

PATENT ASSIGNEE(S): USA

SOURCE: PCT Int. Appl., 479 pp.  
CODEN: PIXXD2

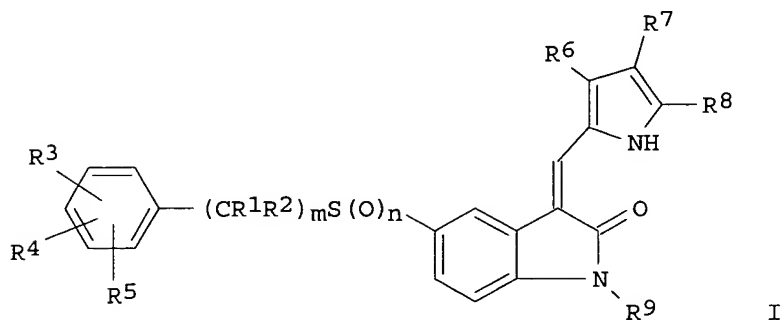
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE         |
|---|------|----------|------------------|--------------|
| WO 2002096361   | A2   | 20021205 | WO 2002-US16841  | 20020530 <-- |
| WO 2002096361   | A3   | 20030313 |                  |              |
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| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                  |              |
| US 2003125370   | A1   | 20030703 | US 2002-157007   | 20020530 <-- |
| US 6599902  | B2   | 20030729 |                  |              |
| PRIORITY APPLN. INFO.:  |      |          | US 2001-294544P  | P 20010530   |
|   |      |          | US 2001-328408P  | P 20011010   |
| OTHER SOURCE(S):  |      |          | MARPAT 138:14005 |              |
| GI  |      |          |                  |              |



AB The present invention relates to certain 5-aralkylsulfonyl-3-(pyrrol-2-ylmethylidene)-2-indolinone derivs. (shown as I; see below for variable definitions; e.g. 2,4-dimethyl-5-(2-oxo-5-phenylmethanesulfonyl-1,2-dihydroindol-(3Z)-ylidenemethyl)-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide) that inhibit kinases (no data), in particular met kinase. Pharmaceutical compns. comprising these compds., methods of treating diseases mediated by kinases using pharmaceutical compns. comprising these compds., and methods of preparing them are also disclosed.



In I: n = 0-2; m = 1-3; R1 and R2 = H or alkyl; R3, R4, and R5 = H, halo, alkyl, cycloalkyl, haloalkyl, hydroxy, alkoxy, alkoxycarbonyl, haloalkoxy, cyano, carboxy, carboxyalkyl, nitro, aryl, aryloxy, heteroaryl, heteroaryloxy, -(alkylene)-CONR10R11, -CONR10R11, or -NR10R11 (R10 is H or alkyl, and R11 is aryl, heteroaryl, heterocycle, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, hydroxyalkyl, acetylalkyl, cyanoalkyl, carboxyalkyl, alkoxycarbonylalkyl, heteroaralkyl, aralkyl, or heterocyclylalkyl wherein the alkyl chain in aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, aralkyl, heteroaralkyl, or heterocyclylalkyl is optionally substituted with one or two hydroxy, or R10 and R11 together with the N atom to which they are attached combine to form saturated or unsatd. heterocycloamino). R6 is H, alkyl, cycloalkyl, hydroxyalkyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, carboxyalkyl, heterocyclylalkyl, aryl, heteroaryl, carboxy, alkoxycarbonyl, heterocyclylcarbonyl, aminoalkylcarbonyl, alkylaminoalkylcarbonyl, dialkylaminoalkylcarbonyl, -CONR10R11 or -(alkylene)-CONR10R11. R7 and R8 = H, alkyl, cycloalkyl, heterocyclylalkyl, -COR12, -(alkylene)-COR12 (R12 = alkoxy, hydroxy, or heterocycle, alkylamino, dialkylamino), -SO2R14, -CONR13R14, or -(alkylene)-CONR13R14 (R13 is H or alkyl, and R14 is aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, hydroxyalkyl, acetylalkyl, cyanoalkyl, carboxyalkyl, alkoxycarbonylalkyl, heteroaralkyl, or heterocyclylalkyl wherein the alkyl chain in aminoalkyl, heteroaralkyl, heteroaralkyl, or heterocyclylalkyl is optionally substituted with one or two hydroxy group(s), or when R13 and R14 are attached to a N atom R13 and R14 together with the N atom to which they are attached form saturated or unsatd. heterocycloamino). R6 and R7 or R7 and R8 can combine to form a saturated or unsatd. 5 to 8 membered ring; and R9 is: H or alkyl; -PO(OR15)2 where each R15 = H or alkyl; -COR16 where R16 is H or alkyl; or -CHR17NR18R19 where R17 is H or alkyl, and R18 and R19 = H or alkyl or R18 and R19 together with the N atom to which they are attached form heterocycloamino. Although the methods of preparation are not claimed, 375 example preps. of I plus addnl. preps. of intermediates are included.

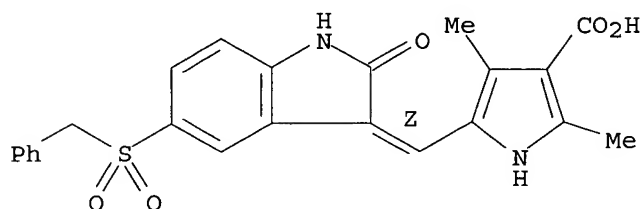
IT **477574-57-5P**, 2,4-Dimethyl-5-(2-oxo-5-phenylmethanesulfonyl)-1,2-dihydroindol-3-(Z)-ylidenemethyl]-1H-pyrrole-3-carboxylic acid  
**477574-82-6P**, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid  
**477574-92-8P**, 2-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-5-methyl-1H-pyrrole-3-carboxylic acid  
**477574-93-9P**, [5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetic acid  
**477575-20-5P**, 5-[5-(2,6-Dimethylphenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid  
**477575-21-6P**, 5-[5-(2,3-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid  
**477575-28-3P**, [2,4-Dimethyl-5-(2-oxo-5-phenylmethanesulfonyl)-1,2-dihydroindol-3-(Z)-ylidenemethyl]-1H-pyrrol-3-yl]acetic acid  
**477575-66-9P**, 3-[5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-yl]propionic acid  
**477575-81-8P**, 5-[5-(3,5-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid  
**477575-83-0P**, 5-[5-(2,5-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid  
**477576-36-6P**, 2-[5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-yl]-N-(2-piperazin-1-yl)ethyl)acetamide  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of aralkylsulfonyl- and pyrrolylmethylidene-substituted indolinones as kinase inhibitors useful against cancers and other disorders)

RN 477574-57-5 HCAPLUS

CN 1H-Pyrrole-3-carboxylic acid, 5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI)  
(CA INDEX NAME)

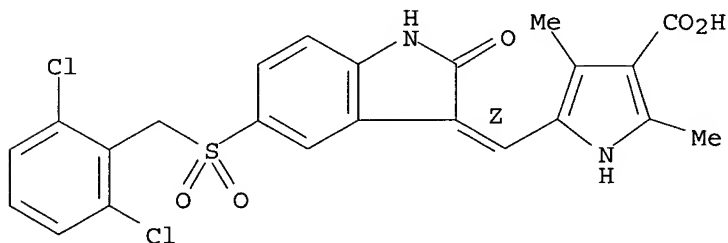
Double bond geometry as shown.



RN 477574-82-6 HCAPLUS

CN 1H-Pyrrole-3-carboxylic acid, 5-[(Z)-[5-[[[2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

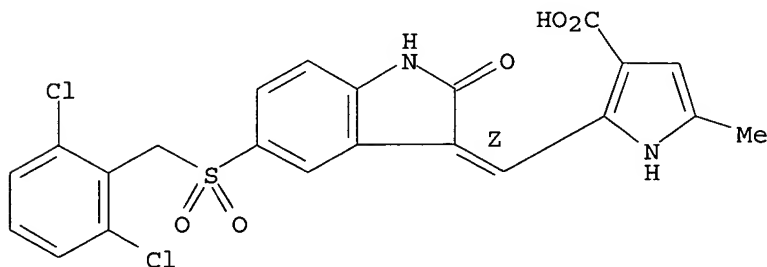
Double bond geometry as shown.



RN 477574-92-8 HCAPLUS

CN 1H-Pyrrole-3-carboxylic acid, 2-[(Z)-[5-[[[2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-5-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

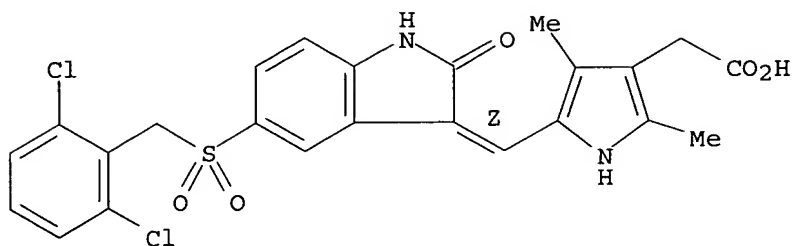


RN 477574-93-9 HCAPLUS

CN 1H-Pyrrole-3-acetic acid, 5-[(Z)-[5-[[[2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

INDEX NAME)

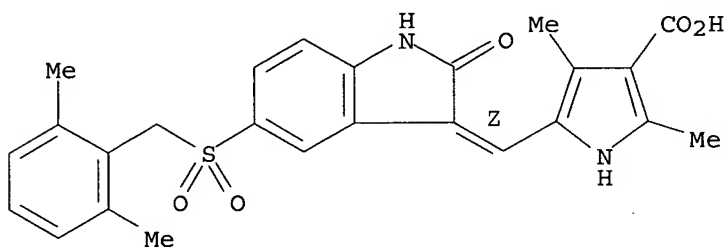
Double bond geometry as shown.



RN 477575-20-5 HCAPLUS

CN 1H-Pyrrole-3-carboxylic acid, 5-[(Z)-[5-[[[(2,6-dimethylphenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

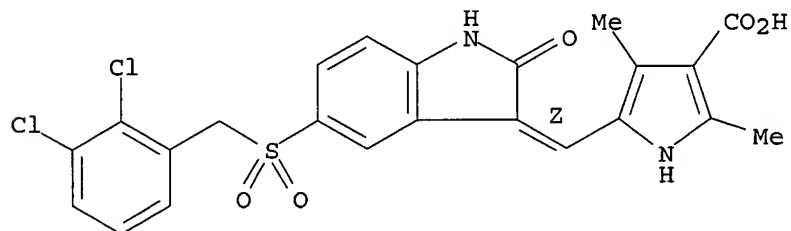
Double bond geometry as shown.



RN 477575-21-6 HCAPLUS

CN 1H-Pyrrole-3-carboxylic acid, 5-[(Z)-[5-[[[(2,3-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

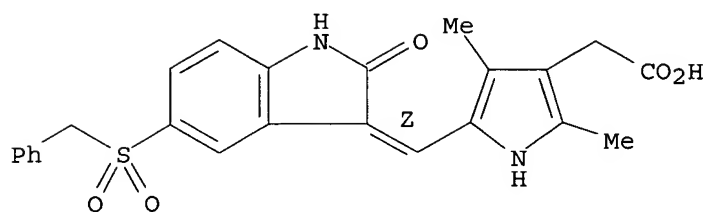
Double bond geometry as shown.



RN 477575-28-3 HCAPLUS

CN 1H-Pyrrole-3-acetic acid, 5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

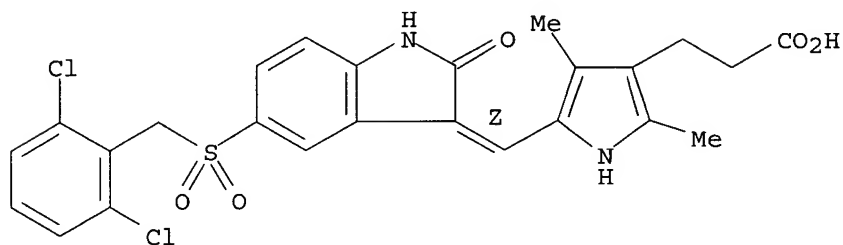
Double bond geometry as shown.



RN 477575-66-9 HCAPLUS

CN 1H-Pyrrole-3-propanoic acid, 5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

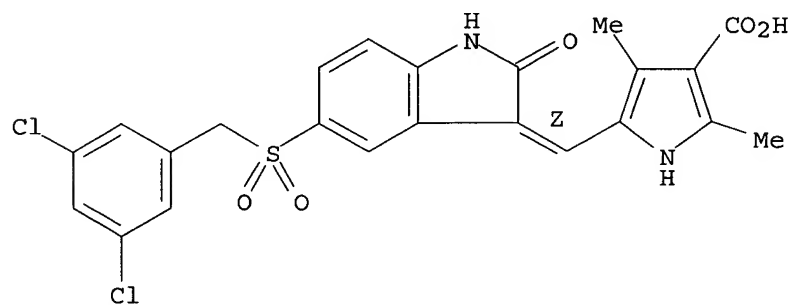
Double bond geometry as shown.



RN 477575-81-8 HCAPLUS

CN 1H-Pyrrole-3-carboxylic acid, 5-[(Z)-[5-[(3,5-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

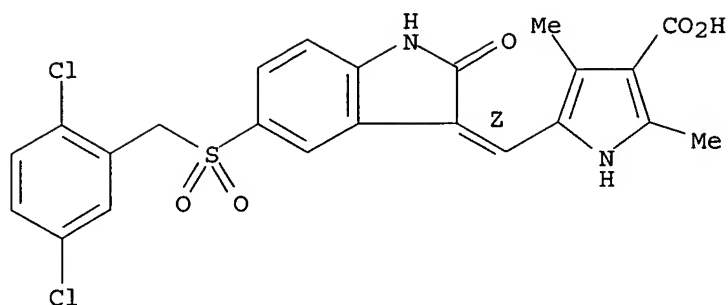
Double bond geometry as shown.



RN 477575-83-0 HCAPLUS

CN 1H-Pyrrole-3-carboxylic acid, 5-[(Z)-[5-[(2,5-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

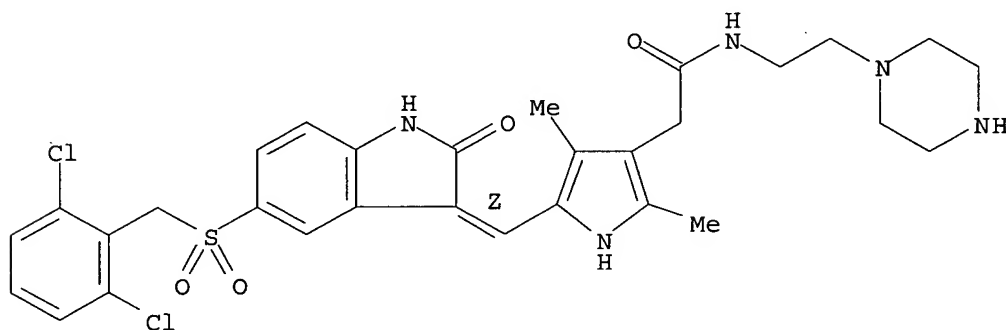
Double bond geometry as shown.



RN 477576-36-6 HCAPLUS

CN 1H-Pyrrole-3-acetamide, 5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[2-(1-piperazinyl)ethyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT 477573-60-7P, 2,4-Dimethyl-5-(2-oxo-5-phenylmethanesulfonyl-1,2-dihydroindol-3-(Z)-ylidenemethyl)-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide 477573-61-8P, 5-[5-(2-Cyanophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide 477573-62-9P, 2,4-Dimethyl-5-[2-oxo-5-(3-trifluoromethylphenylmethanesulfonyl)-1,2-dihydroindol-3-(Z)-ylidenemethyl]-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide 477573-63-0P, 5-[5-(3-Methoxyphenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide 477573-64-1P, 2-[[[3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-2-oxo-2,3-dihydro-1H-indol-5-yl]sulfonyl]methyl]benzonitrile 477573-65-2P, 3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(3-methoxyphenylmethanesulfonyl)-1,3-dihydroindol-2-one 477573-66-3P, 3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2-nitrophenylmethanesulfonyl)-1,3-dihydroindol-2-one 477573-67-4P, 2,4-Dimethyl-5-[5-(2-nitrophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide 477573-68-5P, 2,4-Dimethyl-5-(2-oxo-5-phenylmethanesulfonyl-1,2-dihydroindol-3-(Z)-ylidenemethyl)-1H-pyrrole-3-carboxylic acid (2-[1,2,3]triazol-1-ylethyl)amide 477573-69-6P, 2,4-Dimethyl-5-[5-(2-nitrophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-

(Z)-ylidenemethyl]-1H-pyrrole-3-carboxylic acid (2-[1,2,3]triazol-1-ylethyl)amide **477573-70-9P**, 3-[1-(3,5-Dimethyl-1H-pyrrol-2-yl)meth-(Z)-ylidene]-5-phenylmethanesulfonyl-1,3-dihydroindol-2-one **477573-71-0P**, 4-[[[3-[1-(3,5-Dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl)meth-(Z)-ylidene]-2-oxo-2,3-dihydro-1H-indol-5-yl]sulfonyl]methyl]benzoic acid **477573-72-1P**, [4-[[[3-[1-(3,5-Dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl)meth-(Z)-ylidene]-2-oxo-2,3-dihydro-1H-indol-5-yl]sulfonyl]methyl]phenyl]acetic acid **477573-73-2P**, 4-[[[3-[1-(3,5-Dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl)meth-(Z)-ylidene]-2-oxo-2,3-dihydro-1H-indol-5-yl]sulfonyl]methyl]-3-nitrobenzoic acid **477573-74-3P**, 4-[[[3-[1-(4-(2-Diethylaminoethylcarbonyl)-3,5-dimethyl-1H-pyrrol-2-yl)meth-(Z)-ylidene]-2-oxo-2,3-dihydro-1H-indol-5-yl]sulfonyl]methyl]benzoic acid **477573-75-4P**, [4-[[[3-[1-(4-(2-Diethylaminoethylcarbonyl)-3,5-dimethyl-1H-pyrrol-2-yl)meth-(Z)-ylidene]-2-oxo-2,3-dihydro-1H-indol-5-yl]sulfonyl]methyl]phenyl]acetic acid **477573-76-5P**, 4-[[[3-[1-(4-(2-Diethylaminoethylcarbonyl)-3,5-dimethyl-1H-pyrrol-2-yl)meth-(Z)-ylidene]-2-oxo-2,3-dihydro-1H-indol-5-yl]sulfonyl]methyl]-3-nitrobenzoic acid **477573-77-6P**, 3-[1-(3,5-Dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl)meth-(Z)-ylidene]-1-methyl-5-phenylmethanesulfonyl-1,3-dihydroindol-2-one **477573-78-7P**, 5-[5-(3,5-Dibromo-2-hydroxyphenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477573-79-8P**, 5-[5-(2-Fluorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-[1,2,3]triazol-1-ylethyl)amide **477573-80-1P**, 2,4-Dimethyl-5-(4-methyl-2-oxo-5-phenylmethanesulfonyl-1,2-dihydroindol-3-(Z)-ylidenemethyl)-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477573-81-2P**, 5-[5-(2-Fluorophenylmethanesulfonyl)-4-methyl-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477573-82-3P**, 5-[5-(2-Chlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477573-83-4P**, 4-[[[3-[1-(4-(2-Diethylaminoethylcarbonyl)-3,5-dimethyl-1H-pyrrol-2-yl)meth-(Z)-ylidene]-2-oxo-2,3-dihydro-1H-indol-5-yl]sulfonyl]methyl]benzoic acid methyl ester **477573-84-5P**, 5-[5-(4-Trifluoromethoxyphenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477573-85-6P**, 5-[2,4-Bis(trifluoromethyl)phenylmethanesulfonyl]-3-[1-(3,5-dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl)meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477573-86-7P**, 5-[5-[2,4-Bis(trifluoromethyl)phenylmethanesulfonyl]-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477573-87-8P**, 5-(4-Bromophenylmethanesulfonyl)-3-[1-(3,5-dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl)meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477573-88-9P**, 5-[5-(4-Bromophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477573-89-0P**, 5-[5-(2-Iodophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477573-90-3P**, 3-[1-(3,5-Dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl)meth-(Z)-ylidene]-5-(2-iodophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477573-91-4P**, 5-[5-(4-Cyanophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477573-92-5P**, 4-[[[3-[1-(3,5-Dimethyl-

4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl)meth-(Z)-ylidene]-2-oxo-2,3-dihydro-1H-indol-5-yl)sulfonyl)methyl]benzonitrile **477573-93-6P**, 3-[[[3-[1-[4-(2-Diethylaminoethylcarbamoyl)-3,5-dimethyl-1H-pyrrol-2-yl)meth-(Z)-ylidene]-2-oxo-2,3-dihydro-1H-indol-5-yl)sulfonyl)methyl]benzoic acid methyl ester **477573-94-7P**, 3-[[[3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl)meth-(Z)-ylidene]-2-oxo-2,3-dihydro-1H-indol-5-yl)sulfonyl)methyl]benzoic acid methyl ester **477573-95-8P**, 3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl)meth-(Z)-ylidene]-5-(3-trifluoromethoxyphenylmethanesulfonyl)-1,3-dihydroindol-2-one **477573-96-9P**, 2,4-Dimethyl-5-[2-oxo-5-(3-trifluoromethoxyphenylmethanesulfonyl)-1,2-dihydroindol-3-(Z)-ylidenemethyl]-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477573-97-0P**, 3-[[[3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl)meth-(Z)-ylidene]-2-oxo-2,3-dihydro-1H-indol-5-yl)sulfonyl)methyl]benzonitrile **477573-98-1P**, 5-[5-(3-Cyanophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477573-99-2P**, 3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl)meth-(Z)-ylidene]-5-m-tolylmethanesulfonyl-1,3-dihydroindol-2-one **477574-00-8P**, 2,4-Dimethyl-5-(2-oxo-5-m-tolylmethanesulfonyl)-1,2-dihydroindol-3-(Z)-ylidenemethyl]-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477574-01-9P**, 5-(3-Chlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl)meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477574-02-0P**, 5-[5-(2,4-Difluorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477574-03-1P**, 5-(4-tert-Butylphenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl)meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477574-04-2P**, 5-[5-(4-tert-Butylphenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477574-05-3P**, 5-(2,6-Difluorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl)meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477574-06-4P**, 5-[5-(2,6-Difluorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477574-07-5P**, 5-(3-Bromophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl)meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477574-08-6P**, 5-[5-(3-Chlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477574-09-7P**, 5-(2,4-Difluorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl)meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477574-10-0P**, 3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl)meth-(Z)-ylidene]-5-(4-nitrophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477574-11-1P**, 2,4-Dimethyl-5-[5-(4-nitrophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477574-12-2P**, 3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl)meth-(Z)-ylidene]-5-(3-nitrophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477574-13-3P**, 2,4-Dimethyl-5-[5-(3-nitrophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477574-14-4P**, 5-[5-(3-Bromophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477574-15-5P**, 5-(3,5-Difluorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(4-

methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477574-16-6P**, 5-[5-(3,5-Difluorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477574-17-7P**, 5-(3,4-Difluorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477574-18-8P**, 5-[5-(3,4-Difluorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477574-19-9P**, 5-[2,5-Bis(trifluoromethyl)phenylmethanesulfonyl]-3-[1-[3,5-dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477574-20-2P**, 5-[5-[2,5-Bis(trifluoromethyl)phenylmethanesulfonyl]-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477574-21-3P**, 5-[3,5-Bis(trifluoromethyl)phenylmethanesulfonyl]-3-[1-[3,5-dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477574-22-4P**, 5-[5-[3,5-Bis(trifluoromethyl)phenylmethanesulfonyl]-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477574-23-5P**, 3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2-hydroxy-5-nitrophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477574-24-6P**, 5-[5-(2-Hydroxy-5-nitrophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477574-25-7P**, 3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2-methoxy-5-nitrophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477574-26-8P**, 5-[5-(2-Methoxy-5-nitrophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477574-27-9P**, 3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2-fluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477574-28-0P**, 5-[5-(2-Fluorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477574-29-1P**, 3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(3-fluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477574-30-4P**, 5-[5-(3-Fluorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477574-31-5P**, 3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(4-fluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477574-32-6P**, 5-[5-(4-Fluorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477574-33-7P**, 3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(4-trifluoromethylphenylmethanesulfonyl)-1,3-dihydroindol-2-one **477574-34-8P**, 3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2-trifluoromethylphenylmethanesulfonyl)-1,3-dihydroindol-2-one **477574-35-9P**, 2,4-Dimethyl-5-[2-oxo-5-(2-trifluoromethylphenylmethanesulfonyl)-1,2-dihydroindol-3-(Z)-ylidenemethyl]-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477574-36-0P**, 3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(3-trifluoromethylphenylmethanesulfonyl)-1,3-dihydroindol-2-one **477574-37-1P**, 2,4-Dimethyl-5-[2-oxo-5-(4-



trifluoromethylphenylmethanesulfonyl)-1,2-dihydroindol-3-(Z)-ylidenemethyl]-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477574-38-2P**, 3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl)meth-(Z)-ylidene]-5-(4-trifluoromethylphenylmethanesulfonyl)-1,3-dihydroindol-2-one **477574-39-3P**, 3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl)meth-(Z)-ylidene]-5-pentafluorophenylmethanesulfonyl-1,3-dihydroindol-2-one **477574-40-6P**, 2,4-Dimethyl-5-(2-oxo-5-pentafluorophenylmethanesulfonyl)-1,2-dihydroindol-3-(Z)-ylidenemethyl]-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477574-41-7P**, 5-(2,5-Difluorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl)meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477574-42-8P**, 5-[5-(2,5-Difluorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477574-43-9P**, 3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl)meth-(Z)-ylidene]-5-(2,3,6-trifluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477574-44-0P**, 2,4-Dimethyl-5-[2-oxo-5-(2,3,6-trifluorophenylmethanesulfonyl)-1,2-dihydroindol-3-(Z)-ylidenemethyl]-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477574-45-1P**, 5-(2,3-Difluorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl)meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477574-46-2P**, 5-[5-(2,3-Difluorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477574-47-3P**, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477574-48-4P**, 5-(Biphenyl-2-ylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl)meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477574-49-5P**, 5-[5-(Biphenyl-2-ylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477574-50-8P**, 3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl)meth-(Z)-ylidene]-5-(2-fluoro-6-nitrophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477574-51-9P**, 5-[5-(2-Fluoro-6-nitrophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477574-52-0P**, 3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl)meth-(Z)-ylidene]-5-[2-(2-fluorophenoxy)phenylmethanesulfonyl]-1,3-dihydroindol-2-one **477574-53-1P**, 5-[5-[2-(2-Fluorophenoxy)phenylmethanesulfonyl]-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477574-54-2P**, 5-(2-Chlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl)meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477574-55-3P**, 5-[5-(4-Chlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477574-56-4P**, 5-(4-Chlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl)meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477574-58-6P**, 4-[[[3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl)meth-(Z)-ylidene]-2-oxo-2,3-dihydro-1H-indol-5-yl]sulfonyl)methyl]benzoic acid methyl ester **477574-59-7P**, 2,4-Dimethyl-5-(2-oxo-5-phenylmethanesulfonyl)-1,2-dihydroindol-3-(Z)-ylidenemethyl]-1H-pyrrole-3-carboxylic acid (3-diethylamino-2-hydroxypropyl)amide **477574-60-0P**, 2,4-Dimethyl-5-(2-oxo-5-phenylmethanesulfonyl)-1,2-dihydroindol-3-(Z)-ylidenemethyl]-1H-pyrrole-3-

carboxylic acid [2-(2H-tetrazol-5-yl)ethyl]amide **477574-61-1P**,  
5-Methyl-2-(2-oxo-5-phenylmethanesulfonyl-1,2-dihydroindol-3-(Z)-ylidenemethyl)-1H-pyrrole-3-carboxylic acid (3-(pyrrolidin-1-yl)propyl)amide **477574-62-2P**, 5-Methyl-2-(2-oxo-5-phenylmethanesulfonyl-1,2-dihydroindol-3-(Z)-ylidenemethyl)-1H-pyrrole-3-carboxylic acid (3-[1,2,3]triazol-1-ylpropyl)amide **477574-63-3P**,  
3-[1-[(R)-[(3-Dimethylaminopyrrolidin-1-yl)carbonyl]-5-methyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-phenylmethanesulfonyl-1,3-dihydroindol-2-one **477574-64-4P**, 4-Methyl-5-(2-oxo-5-phenylmethanesulfonyl-1,2-dihydroindol-3-(Z)-ylidenemethyl)-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477574-65-5P**, 2,4-Dimethyl-5-(2-oxo-5-phenylmethanesulfonyl-1,2-dihydroindol-3-(Z)-ylidenemethyl)-1H-pyrrole-3-carboxylic acid (2-(pyrrolidin-1-yl)ethyl)amide **477574-66-6P**,  
2,4-Dimethyl-5-(2-oxo-5-phenylmethanesulfonyl-1,2-dihydroindol-3-(Z)-ylidenemethyl)-1H-pyrrole-3-carboxylic acid (2-diisopropylaminoethyl)amide **477574-67-7P**, 5-[5-(2-Fluorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-(pyrrolidin-1-yl)ethyl)amide **477574-68-8P**,  
5-[5-(2-Fluorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-4-methyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477574-69-9P**, 2-[5-(2-Fluorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-5-methyl-1H-pyrrole-3-carboxylic acid (3-(pyrrolidin-1-yl)propyl)amide **477574-70-2P**,  
5-[5-(2-Fluorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diisopropylaminoethyl)amide **477574-71-3P**, 2-[5-(2-Fluorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-5-methyl-1H-pyrrole-3-carboxylic acid (3-[1,2,3]triazol-1-ylpropyl)amide **477574-72-4P**,  
3-[1-[4-[(3R\*,5S\*)-3,5-Dimethylpiperazin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2-fluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477574-73-5P**, 3-[1-[4-[(3R\*,5S\*)-3,5-Dimethylpiperazin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-phenylmethanesulfonyl-1,3-dihydroindol-2-one **477574-74-6P**,  
5-[5-(3-Chlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-4-methyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477574-75-7P**, 2-[5-(3-Chlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-5-methyl-1H-pyrrole-3-carboxylic acid (3-(pyrrolidin-1-yl)propyl)amide **477574-76-8P**,  
2-[5-(3-Chlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-5-methyl-1H-pyrrole-3-carboxylic acid (3-[1,2,3]triazol-1-ylpropyl)amide **477574-77-9P**, 5-[5-(3-Chlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-(pyrrolidin-1-yl)ethyl)amide **477574-78-0P**,  
5-[5-(3-Chlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diisopropylaminoethyl)amide **477574-79-1P**, 5-(3-Chlorophenylmethanesulfonyl)-3-[1-[4-[(3R,5S)-3,5-dimethylpiperazin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477574-80-4P**,  
5-(3-Chlorophenylmethanesulfonyl)-3-[1-[3-[(R)-3-dimethylaminopyrrolidin-1-yl)carbonyl]-5-methyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477574-81-5P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-(3,5-dimethyl-1H-pyrrol-2-yl)meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477574-83-7P**,  
5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[5-methyl-3-[(morpholin-4-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477574-84-8P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[5-methyl-3-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one

**477574-85-9P**, 2-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-5-methyl-1H-pyrrole-3-carboxylic acid methyl(1-methylpiperidin-4-yl)amide **477574-86-0P**,  
 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[5-methyl-3-(4-(pyrrolidin-1-yl)piperidin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477574-87-1P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(S)-2-pyrrolidin-1-ylmethylpyrrolidin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477574-88-2P**, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-hydroxy-3-(morpholin-4-yl)propyl)amide **477574-89-3P**, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-hydroxy-3-[1,2,3]triazol-1-ylpropyl)amide **477574-90-6P**, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid [2-(3-oxopiperazin-1-yl)ethyl]amide **477574-91-7P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(4-hydroxypiperidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477574-94-0P**, 2-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-5-methyl-1H-pyrrole-3-carboxylic acid [2-(3-oxopiperazin-1-yl)ethyl]amide **477574-95-1P**,  
 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3-[(4-hydroxypiperidin-1-yl)carbonyl]-5-methyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477574-96-2P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3-[(3-diethylaminopyrrolidin-1-yl)carbonyl]-5-methyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477574-97-3P**,  
 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-(4-(pyrrolidin-1-yl)piperidin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477574-98-4P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477574-99-5P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(morpholin-4-yl)methyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477575-00-1P**, 3-[1-[4-[(R)-2-[(Cyclopropylamino)methyl]pyrrolidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477575-04-5P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(S)-2-[(R)-3-fluoropyrrolidin-1-yl)methyl]pyrrolidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477575-09-0P**,  
 3-[1-[4-[(4-Cyclopropylaminopiperidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477575-11-4P**, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-hydroxyethyl)amide **477575-13-6P**, 2-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-5-methyl-1H-pyrrole-3-carboxylic acid (2-hydroxy-3-[1,2,3]triazol-1-ylpropyl)amide **477575-15-8P**,  
 2-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-5-methyl-1H-pyrrole-3-carboxylic acid (2-hydroxy-3-(morpholin-4-yl)propyl)amide **477575-16-9P**, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid methyl(1-methylpiperidin-4-yl)amide **477575-17-0P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(3-diethylaminopyrrolidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477575-18-1P**,  
 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3-[(3R,5S)-3,5-dimethylpiperazin-1-yl)carbonyl]-5-methyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-

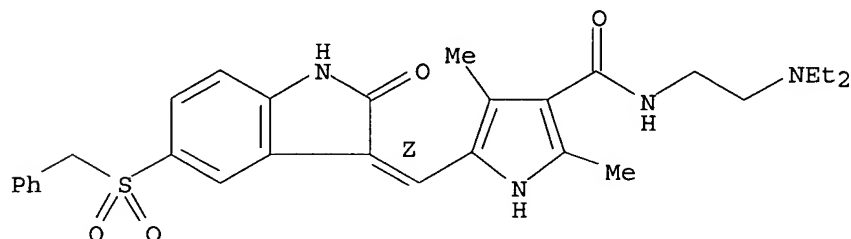
1,3-dihydroindol-2-one **477575-22-7P**, 2-[5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-yl]-N-[2-(3-oxopiperazin-1-yl)ethyl]acetamide **477575-23-8P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[2-(4-hydroxypiperidin-1-yl)-2-oxoethyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477575-24-9P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-(2-(morpholin-4-yl)-2-oxoethyl)-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477575-25-0P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(R)-3-hydroxypyrrolidin-1-yl]carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477575-26-1P**, 3-[1-[3,5-Dimethyl-4-[(morpholin-4-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-dimethylphenylmethanesulfonyl)-1,3-dihydroindol-2-one **477575-27-2P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[2-((3R,5S)-3,5-dimethylpiperazin-1-yl)-2-oxoethyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477575-29-4P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[2-(4-methylpiperazin-1-yl)-2-oxoethyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477575-30-7P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[2-(4-(ethylpropylamino)piperidin-1-yl)-2-oxoethyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477575-31-8P**, 2-[5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-yl]-N-(2-diethylaminoethyl)acetamide **477575-32-9P**, 2-[5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-yl]-N-methyl-N-(1-methylpiperidin-4-yl)acetamide **477575-33-0P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[2-(3-diethylaminopyrrolidin-1-yl)-2-oxoethyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477575-34-1P**, 2-[5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-yl]-N-(2-(pyrrolidin-1-yl)ethyl)acetamide  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of aralkylsulfonyl- and pyrrolylmethylidene-substituted indolinones as kinase inhibitors useful against cancers and other disorders)

RN 477573-60-7 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

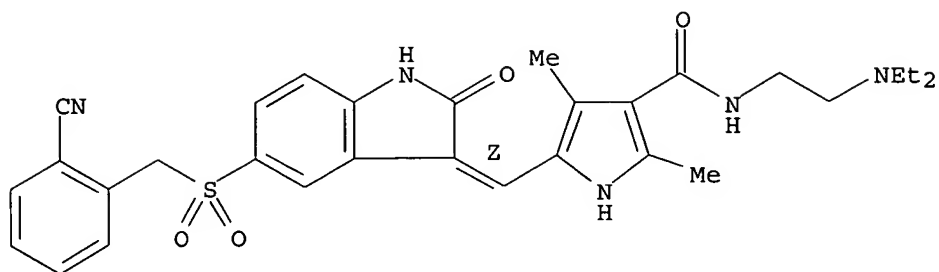
Double bond geometry as shown.



RN 477573-61-8 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[(2-cyanophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(diethylamino)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

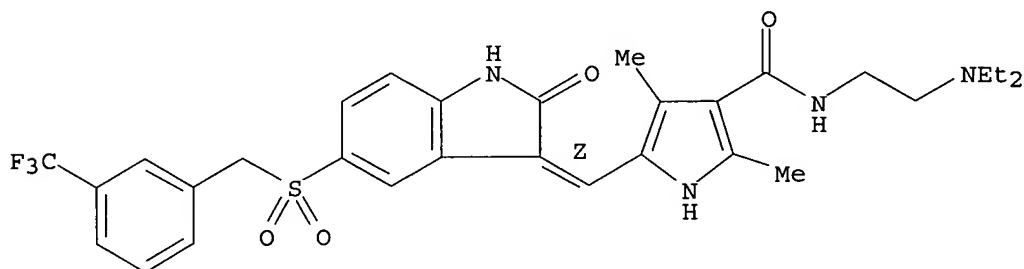
Double bond geometry as shown.



RN 477573-62-9 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[1,2-dihydro-2-oxo-5-[[[3-(trifluoromethyl)phenyl]methyl]sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

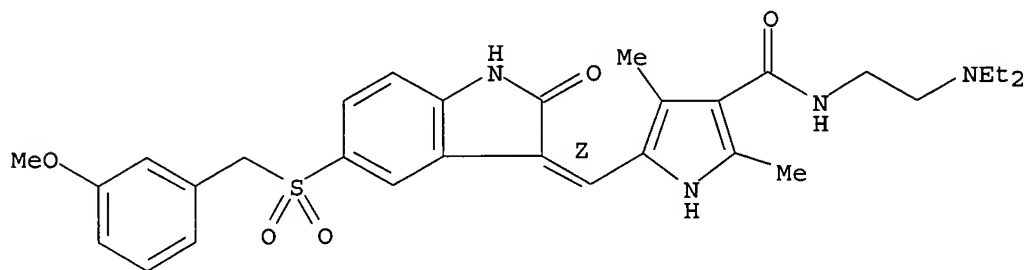
Double bond geometry as shown.



RN 477573-63-0 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[1,2-dihydro-5-[[[3-methoxyphenyl]methyl]sulfonyl]-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

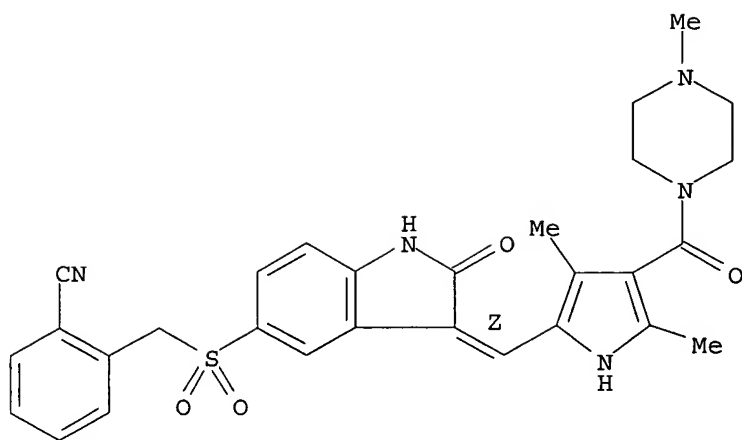
Double bond geometry as shown.



RN 477573-64-1 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[[2-cyanophenyl]methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

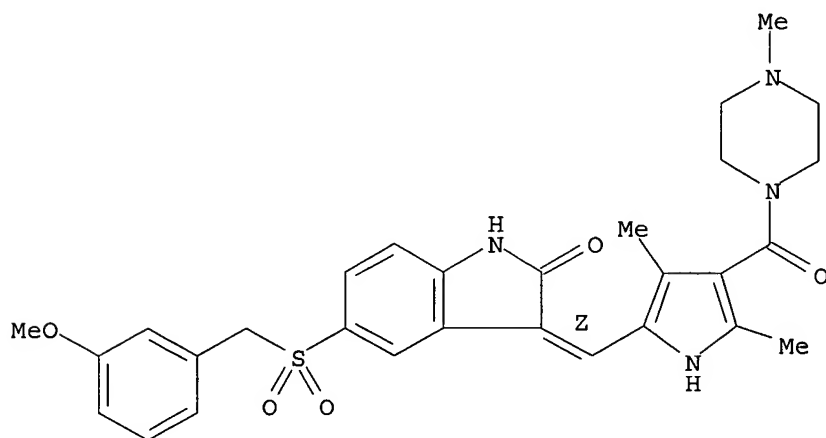
Double bond geometry as shown.



RN 477573-65-2 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-5-[[[(3-methoxyphenyl)methyl]sulfonyl]-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

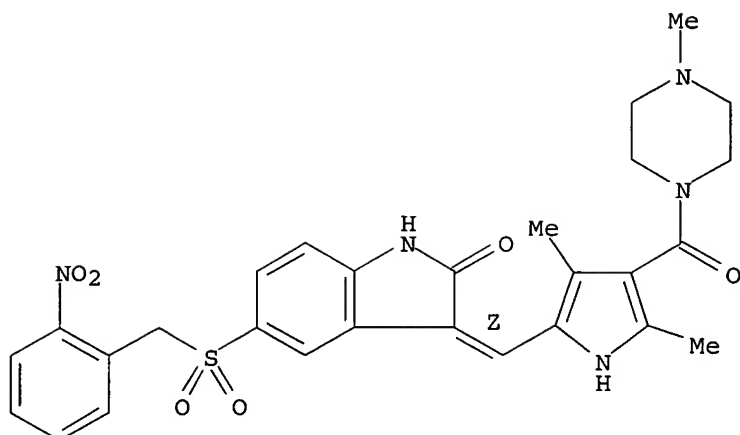
Double bond geometry as shown.



RN 477573-66-3 HCAPLUS

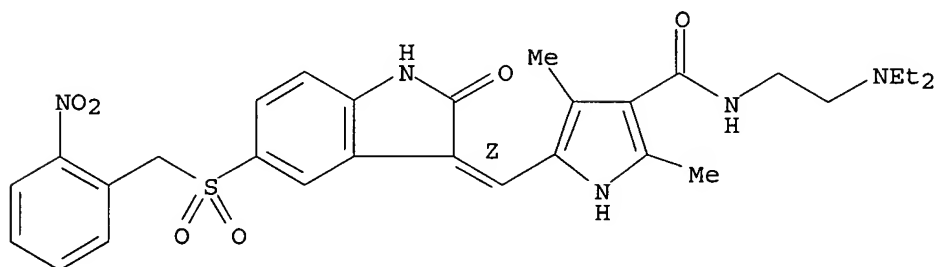
CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-5-[[[(2-nitrophenyl)methyl]sulfonyl]-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



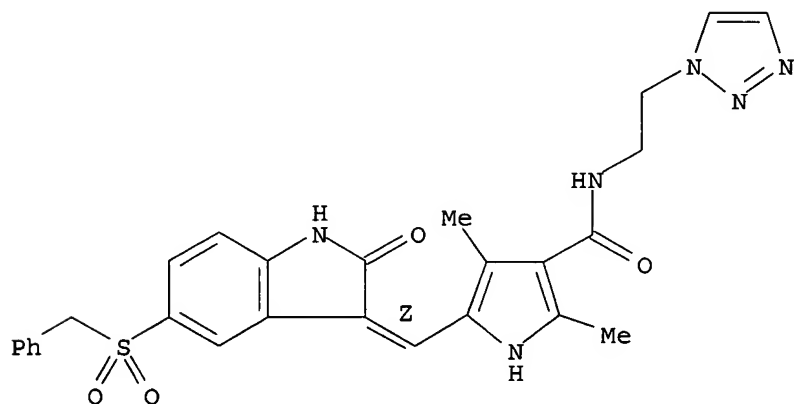
RN 477573-67-4 HCAPLUS  
 CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[1,2-dihydro-5-[[2-(2-nitrophenyl)methyl]sulfonyl]-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 477573-68-5 HCAPLUS  
 CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[2-(1H-1,2,3-triazol-1-yl)ethyl]- (9CI) (CA INDEX NAME)

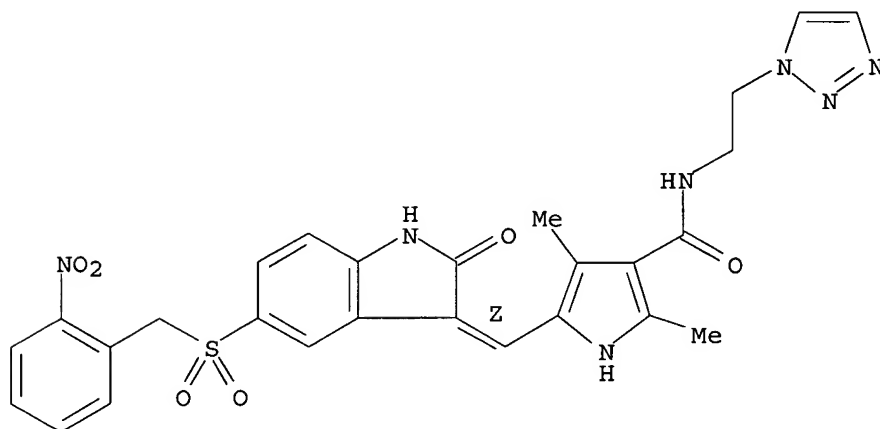
Double bond geometry as shown.



RN 477573-69-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[1,2-dihydro-5-[[2-nitrophenyl)methyl]sulfonyl]-2-oxo-3H-indol-3-ylidene)methyl]-2,4-dimethyl-N-[2-(1H-1,2,3-triazol-1-yl)ethyl]- (9CI) (CA INDEX NAME)

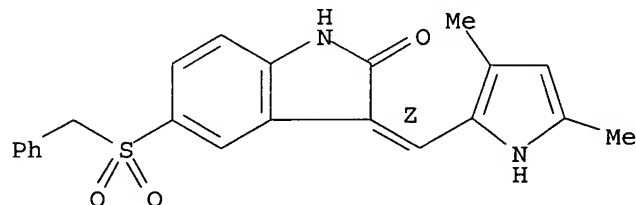
Double bond geometry as shown.



RN 477573-70-9 HCAPLUS

CN 2H-Indol-2-one, 3-[(3,5-dimethyl-1H-pyrrol-2-yl)methylene]-1,3-dihydro-5-[(phenylmethyl)sulfonyl]-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

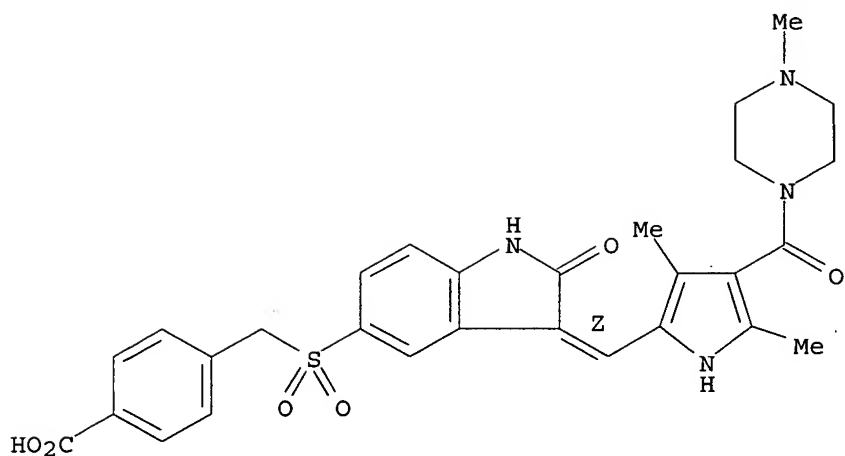


RN 477573-71-0 HCAPLUS

CN Benzoic acid, 4-[[[(3Z)-3-[[3,5-dimethyl-4-[(4-methyl-1-piperazinyl)carbonyl]-1H-pyrrol-2-yl)methylene]-2,3-dihydro-2-oxo-1H-indol-5-yl]sulfonyl)methyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

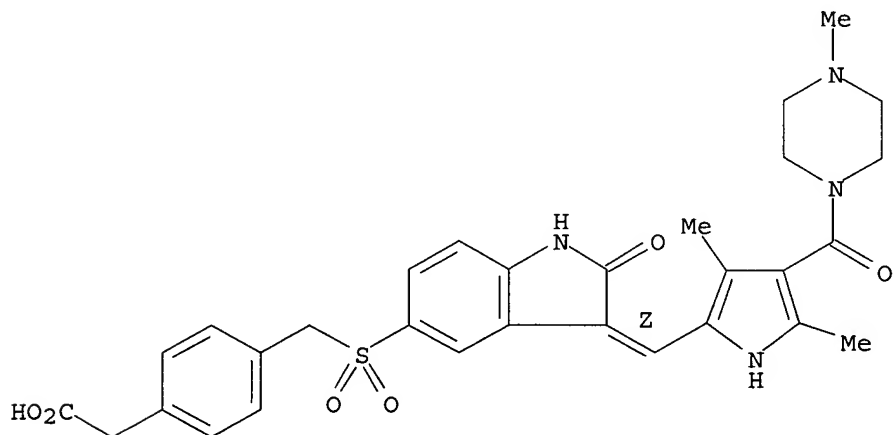




RN 477573-72-1 HCAPLUS

CN Benzeacetic acid, 4-[[[(3Z)-3-[[3,5-dimethyl-4-[(4-methyl-1-piperazinyl)carbonyl]-1H-pyrrol-2-yl]methylene]-2,3-dihydro-2-oxo-1H-indol-5-yl]sulfonyl]methyl]- (9CI) (CA INDEX NAME)

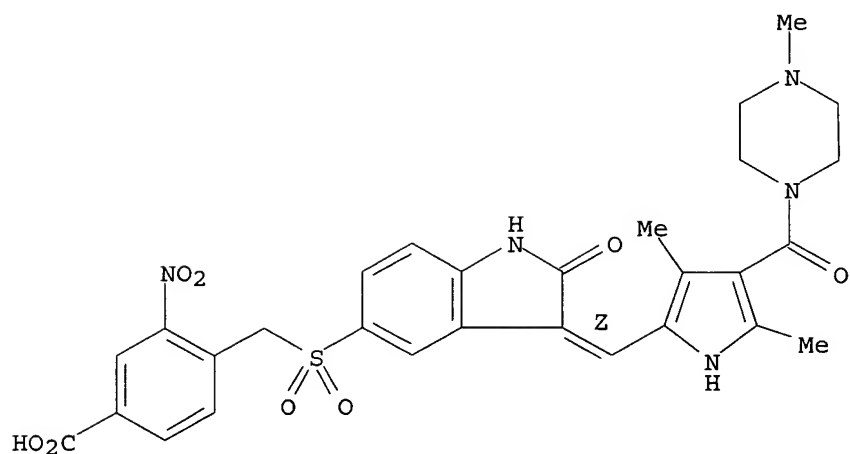
Double bond geometry as shown.



RN 477573-73-2 HCAPLUS

CN Benzoic acid, 4-[[[(3Z)-3-[[3,5-dimethyl-4-[(4-methyl-1-piperazinyl)carbonyl]-1H-pyrrol-2-yl]methylene]-2,3-dihydro-2-oxo-1H-indol-5-yl]sulfonyl]methyl]-3-nitro- (9CI) (CA INDEX NAME)

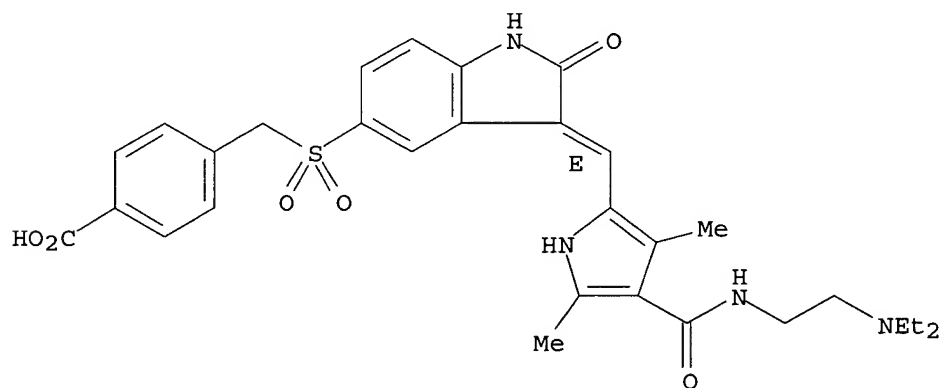
Double bond geometry as shown.



RN 477573-74-3 HCAPLUS

CN Benzoic acid, 4-[[[(3E)-3-[[4-[[[2-(diethylamino)ethyl]amino]carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]methylene]-2,3-dihydro-2-oxo-1H-indol-5-yl]sulfonyl]methyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

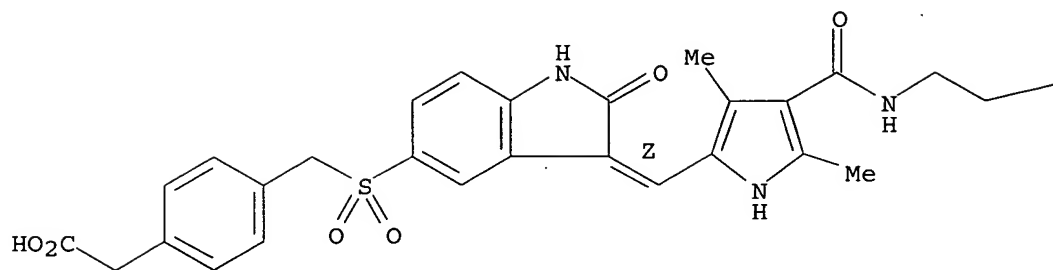


RN 477573-75-4 HCAPLUS

CN Benzeneacetic acid, 4-[[[(3Z)-3-[[4-[[[2-(diethylamino)ethyl]amino]carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]methylene]-2,3-dihydro-2-oxo-1H-indol-5-yl]sulfonyl]methyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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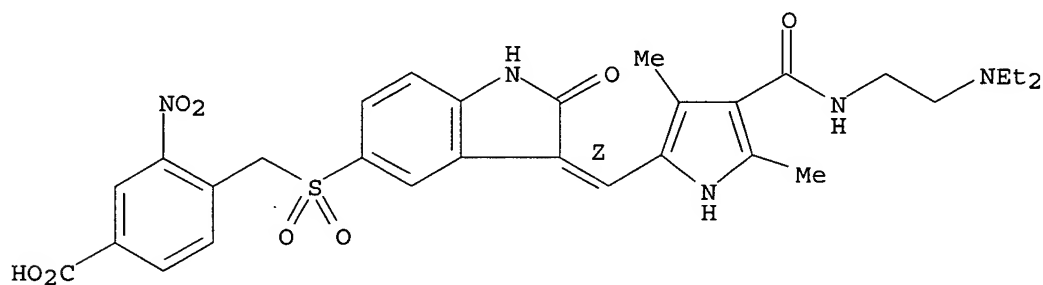
PAGE 1-B

—NEt<sub>2</sub>

RN 477573-76-5 HCAPLUS

CN Benzoic acid, 4-[[[(3Z)-3-[[4-[[[2-(diethylamino)ethyl]amino]carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]methylene]-2,3-dihydro-2-oxo-1H-indol-5-yl]sulfonyl]methyl]-3-nitro- (9CI) (CA INDEX NAME)

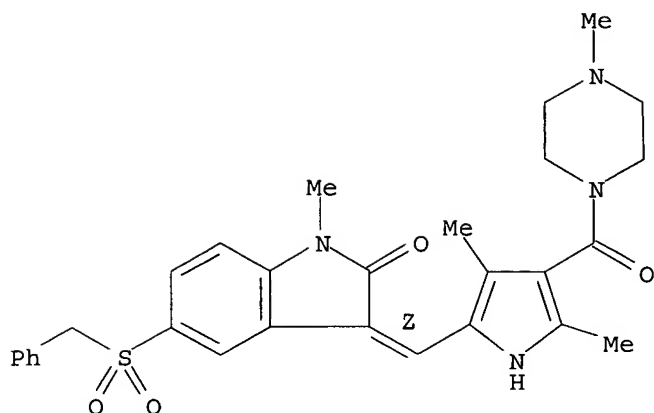
Double bond geometry as shown.



RN 477573-77-6 HCAPLUS

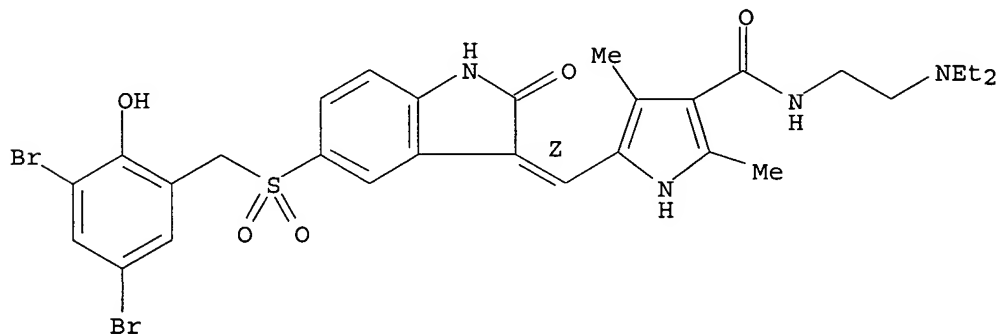
CN Piperazine, 1-[[[5-[(Z)-[1,2-dihydro-1-methyl-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



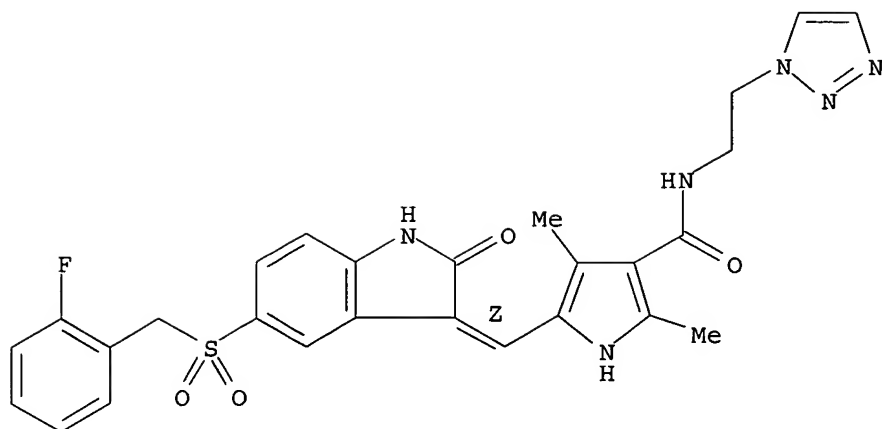
RN 477573-78-7 HCAPLUS  
 CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[3,5-dibromo-2-hydroxyphenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene)methyl]-N-[2-(diethylamino)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 477573-79-8 HCAPLUS  
 CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[2-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene)methyl]-2,4-dimethyl-N-[2-(1H-1,2,3-triazol-1-yl)ethyl]- (9CI) (CA INDEX NAME)

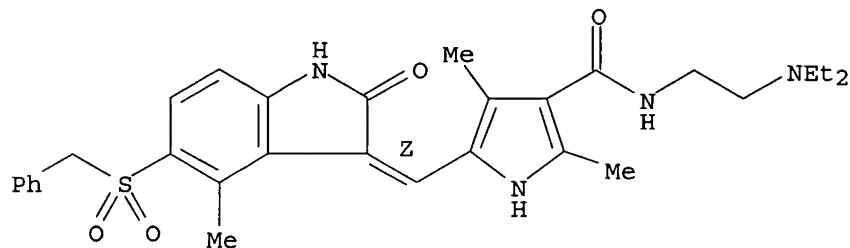
Double bond geometry as shown.



RN 477573-80-1 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[1,2-dihydro-4-methyl-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

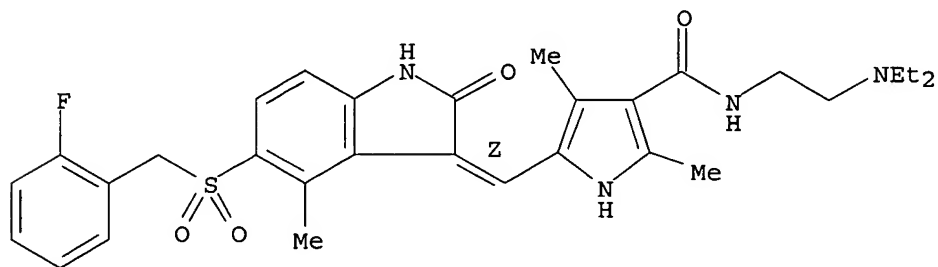
Double bond geometry as shown.



RN 477573-81-2 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[5-[(2-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-4-methyl-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

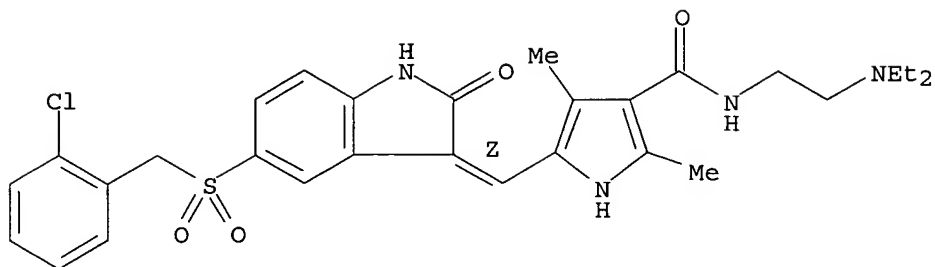
Double bond geometry as shown.



RN 477573-82-3 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[(2-chlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(diethylamino)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

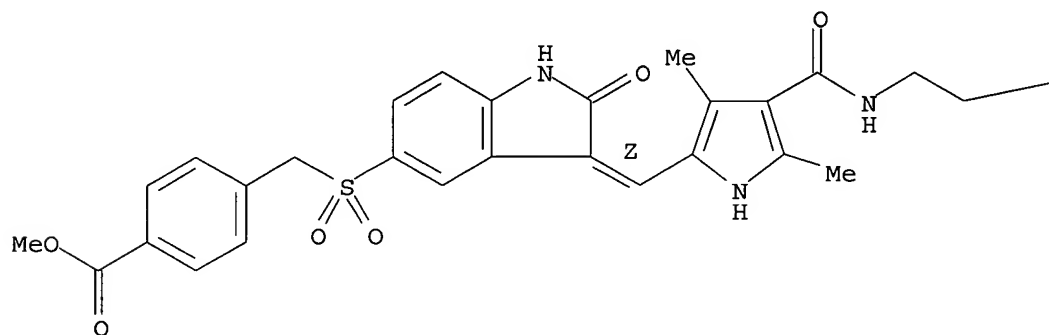


RN 477573-83-4 HCAPLUS

CN Benzoic acid, 4-[[[(3Z)-3-[[4-[[[2-(diethylamino)ethyl]amino]carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]methylene]-2,3-dihydro-2-oxo-1H-indol-5-yl]sulfonyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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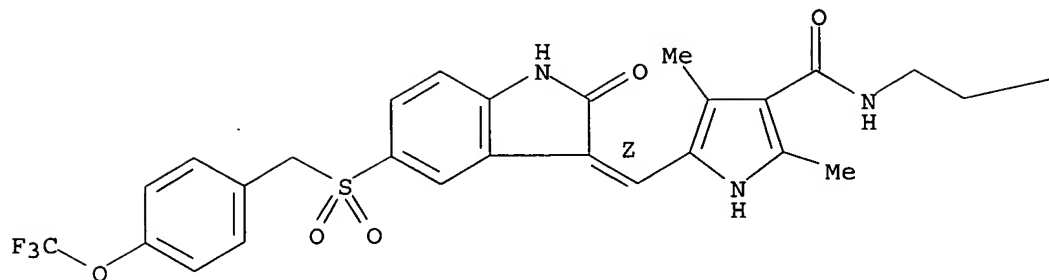
—NEt<sub>2</sub>

RN 477573-84-5 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[1,2-dihydro-2-oxo-5-[[[4-(trifluoromethoxy)phenyl]methyl]sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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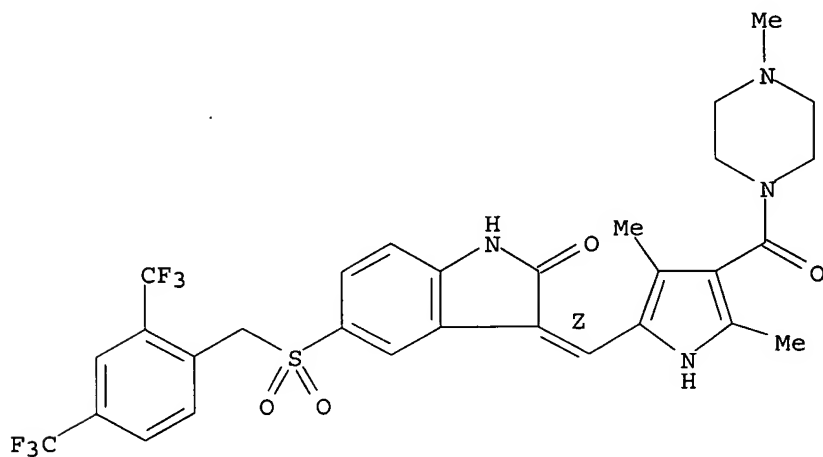


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—Net<sub>2</sub>

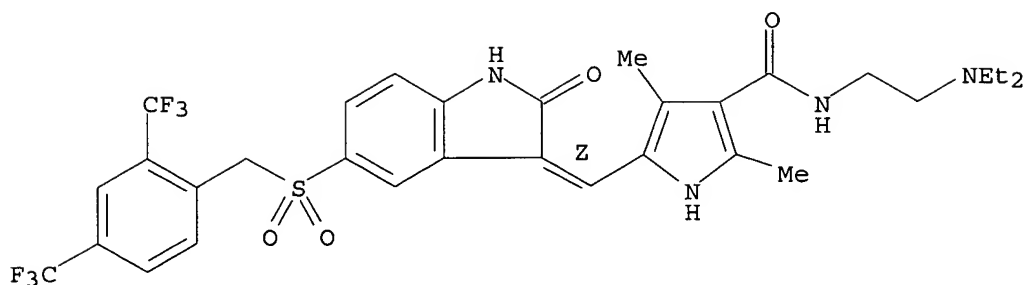
RN 477573-85-6 HCAPLUS  
 CN Piperazine, 1-[[[5-[(Z)-[5-[[[2,4-bis(trifluoromethyl)phenyl]methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 477573-86-7 HCAPLUS  
 CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[[2,4-bis(trifluoromethyl)phenyl]methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(diethylamino)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

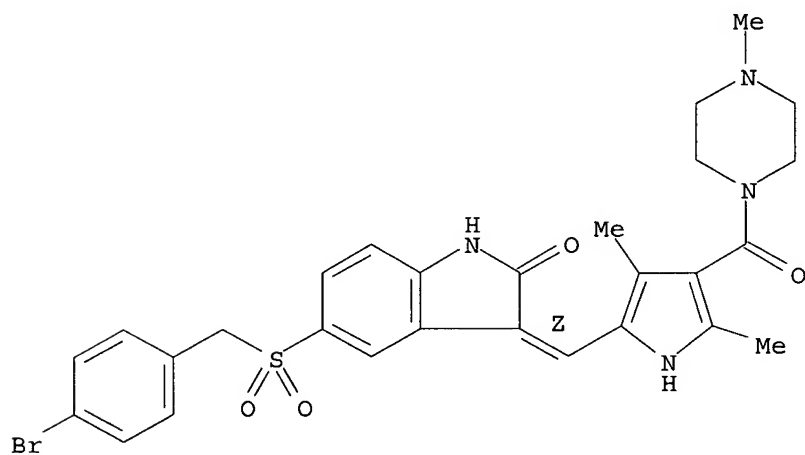
Double bond geometry as shown.



RN 477573-87-8 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[4-bromophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

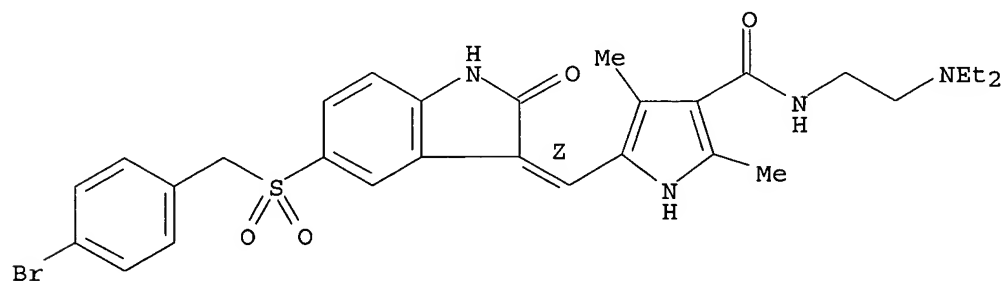
Double bond geometry as shown.



RN 477573-88-9 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[4-bromophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(diethylamino)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



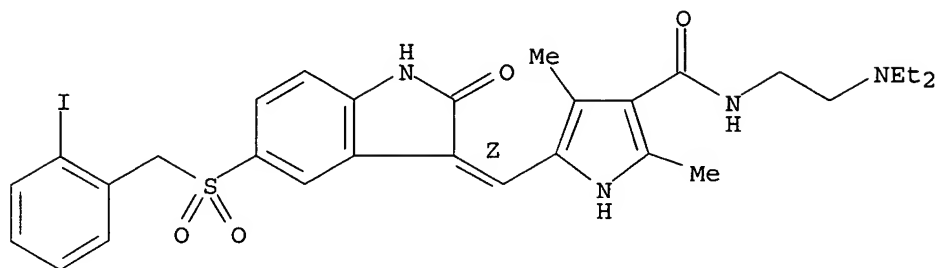
RN 477573-89-0 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[1,2-dihydro-5-[[2-iodophenyl)methyl]sulfonyl]-2-oxo-3H-indol-3-ylidene]methyl]-2,4-



dimethyl- (9CI) (CA INDEX NAME)

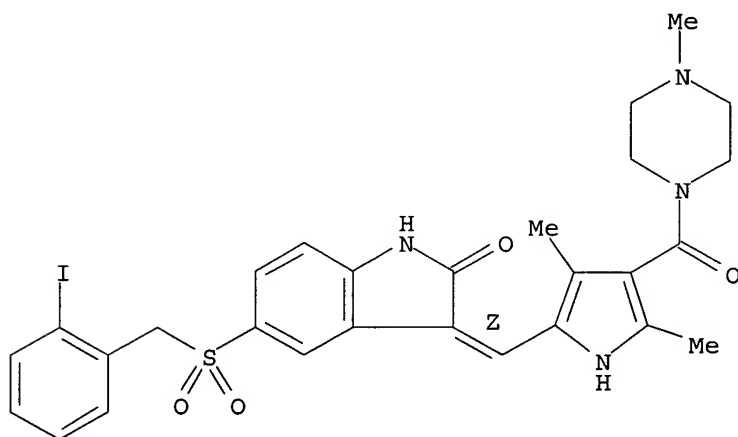
Double bond geometry as shown.



RN 477573-90-3 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-5-[[[(2-iodophenyl)methyl]sulfonyl]-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

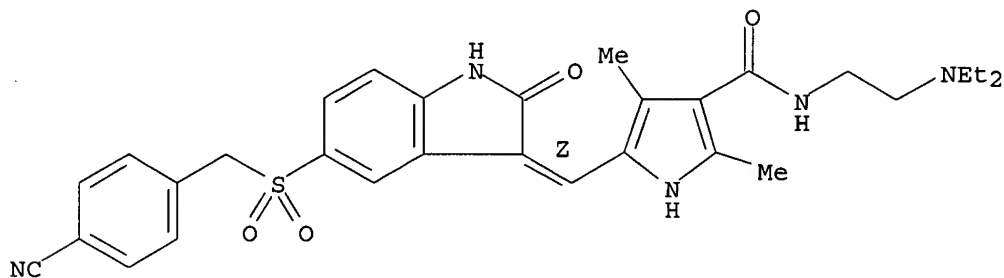
Double bond geometry as shown.



RN 477573-91-4 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[[(4-cyanophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(diethylamino)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

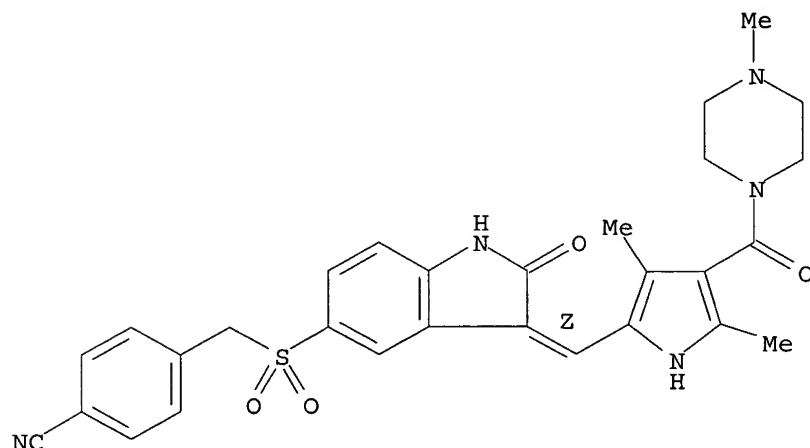
Double bond geometry as shown.



RN 477573-92-5 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[4-cyanophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene)methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

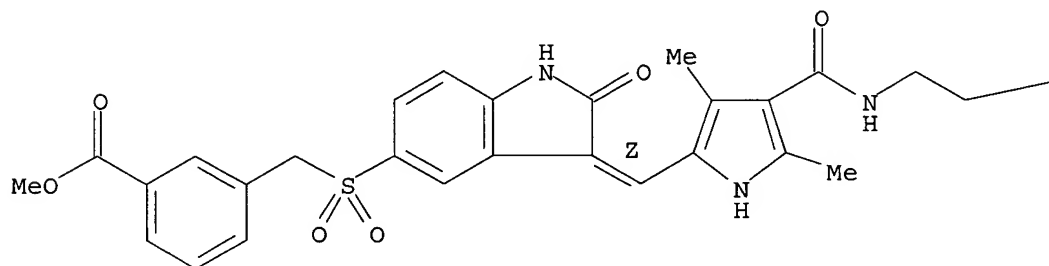


RN 477573-93-6 HCAPLUS

CN Benzoic acid, 3-[[[(3Z)-3-[[4-[[2-(diethylamino)ethyl]amino]carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]methylene]-2,3-dihydro-2-oxo-1H-indol-5-yl]sulfonyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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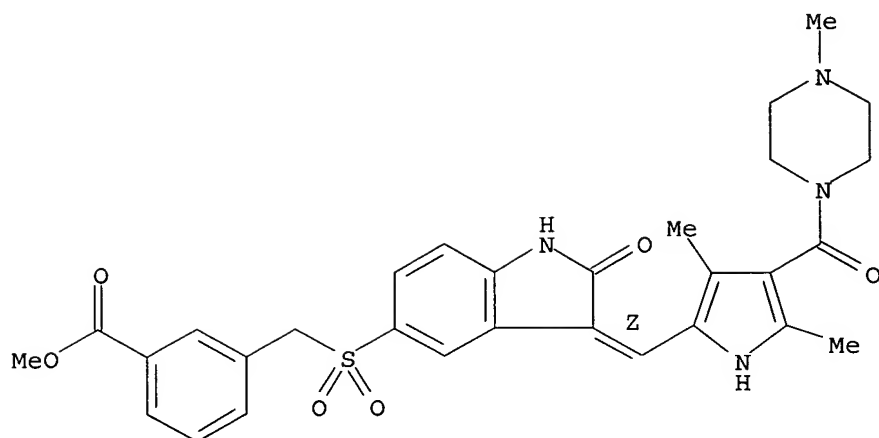
PAGE 1-B

—Net<sub>2</sub>

RN 477573-94-7 HCAPLUS

CN Benzoic acid, 3-[[[(3Z)-3-[[3,5-dimethyl-4-[(4-methyl-1-piperazinyl)carbonyl]-1H-pyrrol-2-yl]methylene]-2,3-dihydro-2-oxo-1H-indol-5-yl]sulfonyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

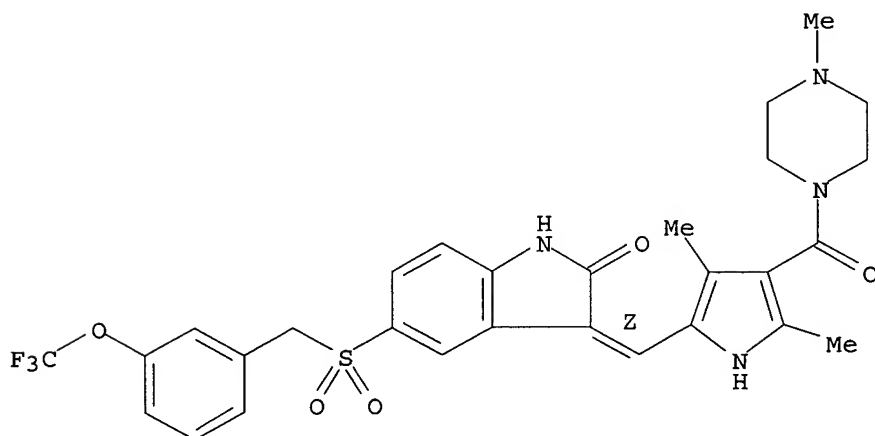
Double bond geometry as shown.



RN 477573-95-8 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-2-oxo-5-[[[3-(trifluoromethoxy)phenyl]methyl]sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

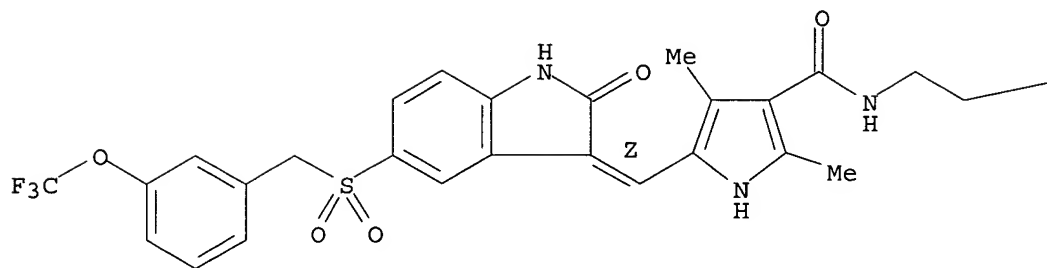


RN 477573-96-9 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[1,2-dihydro-2-oxo-5-[[[3-(trifluoromethoxy)phenyl]methyl]sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



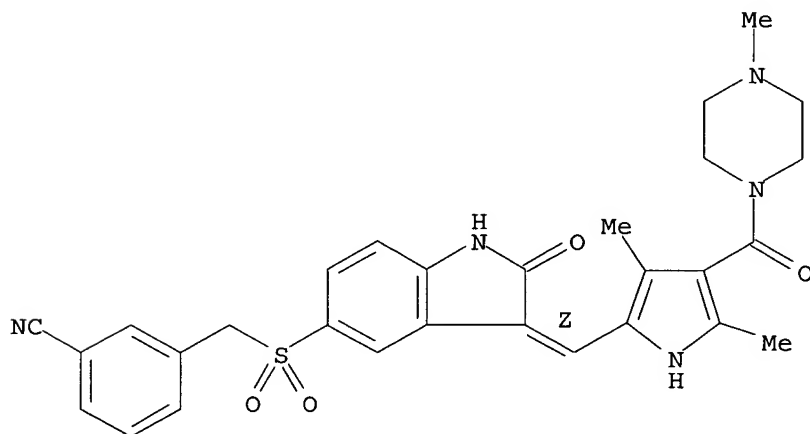
PAGE 1-B

—NEt<sub>2</sub>

RN 477573-97-0 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[3-cyanophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

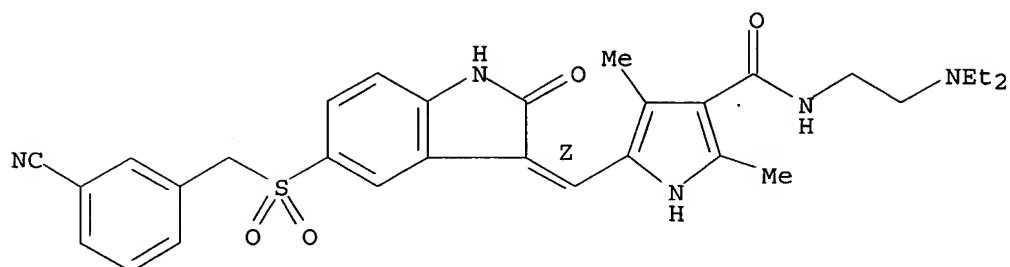
Double bond geometry as shown.



RN 477573-98-1 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[3-cyanophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(diethylamino)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

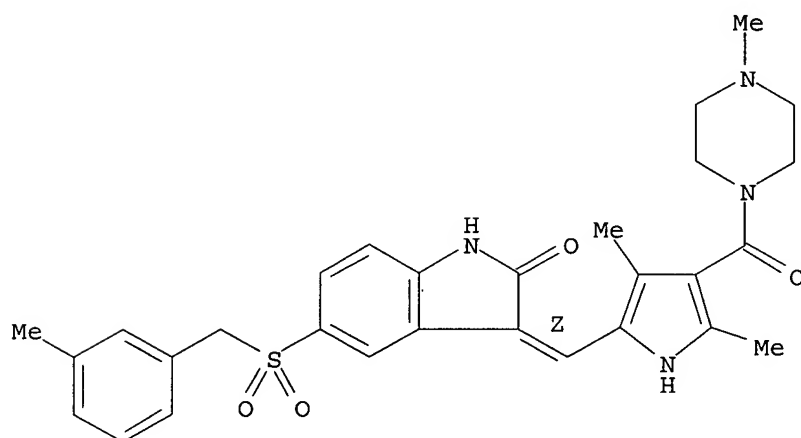
Double bond geometry as shown.



RN 477573-99-2 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-5-[[[(3-methylphenyl)methyl]sulfonyl]-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

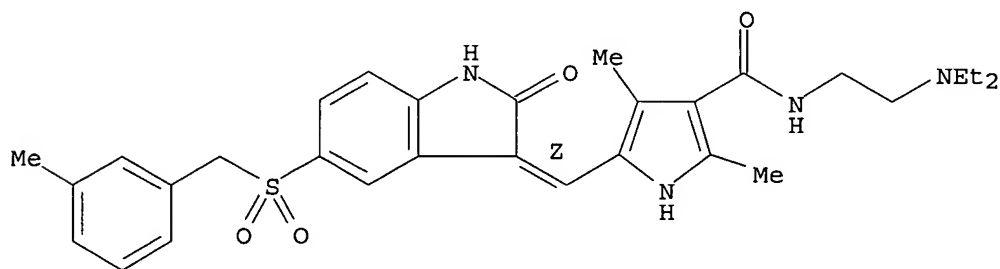
Double bond geometry as shown.



RN 477574-00-8 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[1,2-dihydro-5-[[[(3-methylphenyl)methyl]sulfonyl]-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

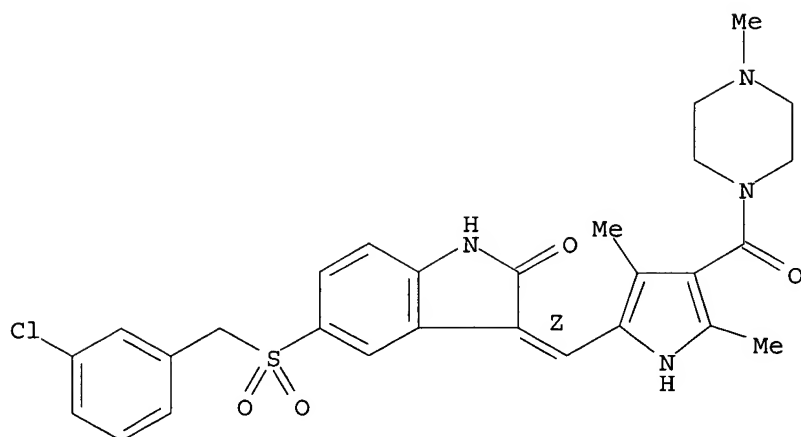


RN 477574-01-9 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[[(3-chlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-

methyl- (9CI) (CA INDEX NAME)

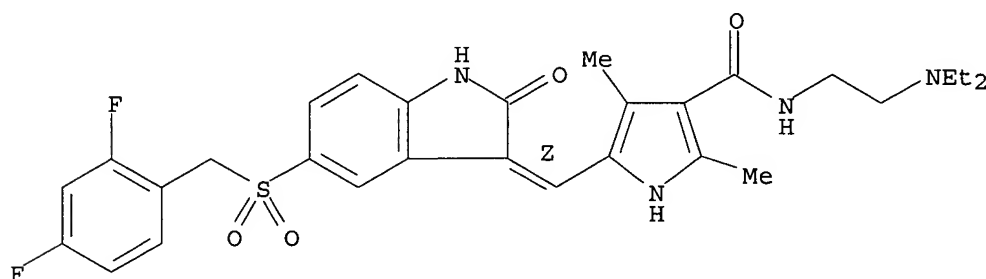
Double bond geometry as shown.



RN 477574-02-0 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[5-[[2,4-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

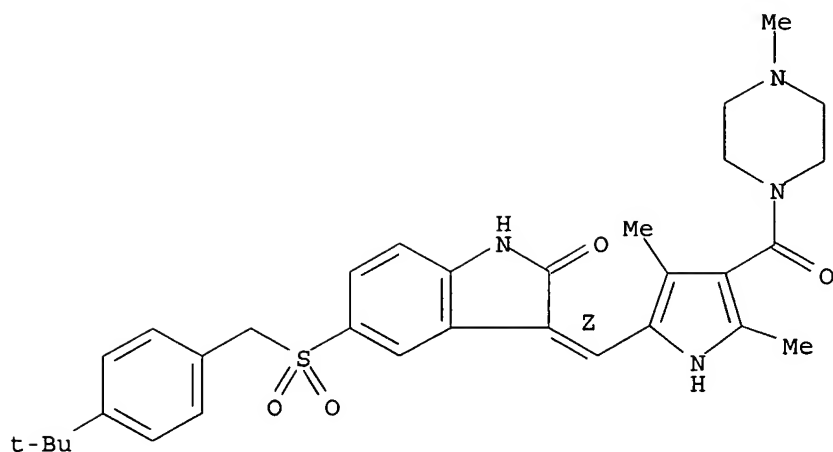
Double bond geometry as shown.



RN 477574-03-1 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[4-(1,1-dimethylethyl)phenyl]methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

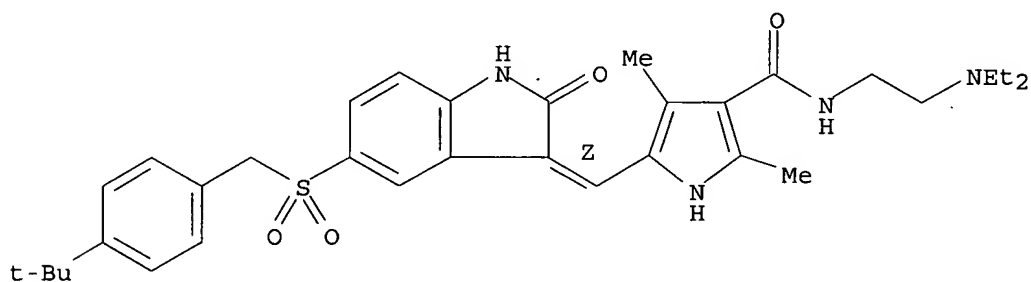
Double bond geometry as shown.



RN 477574-04-2 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[5-[[[4-(1,1-dimethylethyl)phenyl]methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

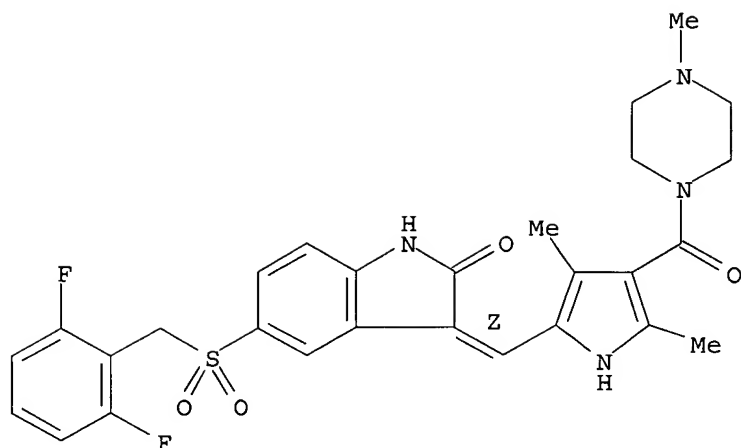
Double bond geometry as shown.



RN 477574-05-3 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[[2,6-difluorophenyl]methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

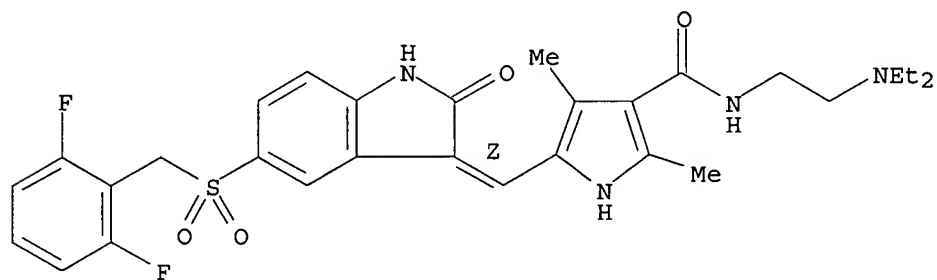
Double bond geometry as shown.



RN 477574-06-4 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[5-[(2,6-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

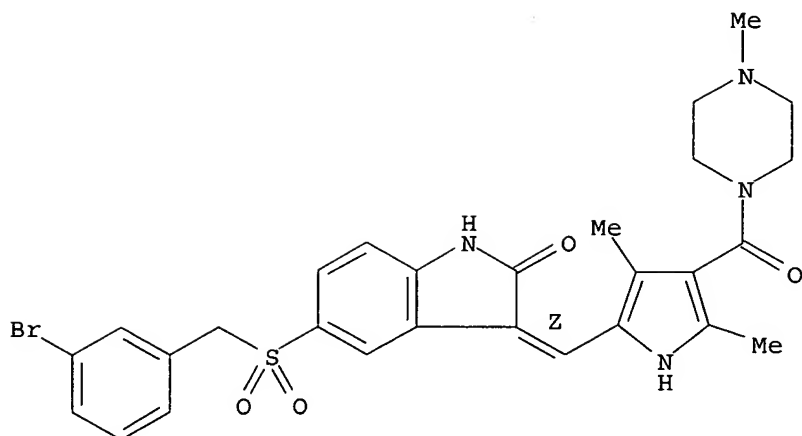


RN 477574-07-5 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[(3-bromophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

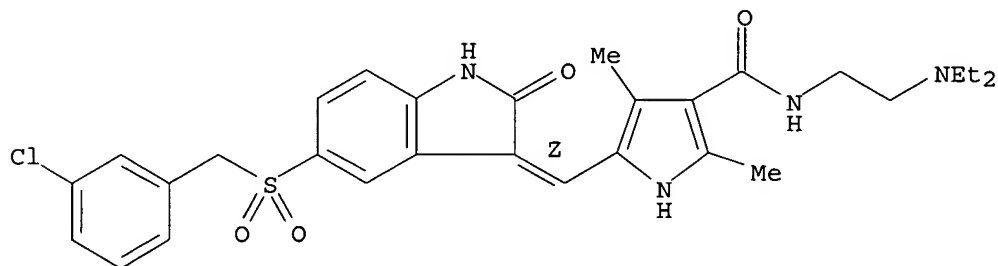




RN 477574-08-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[[(3-chlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(diethylamino)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

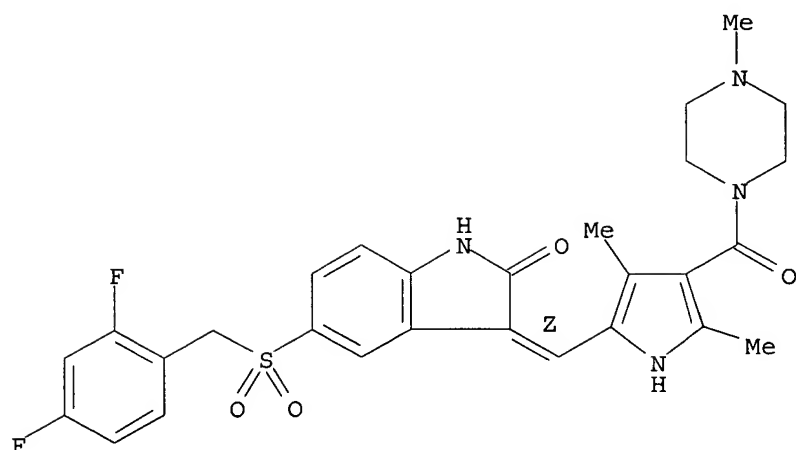
Double bond geometry as shown.



RN 477574-09-7 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[[(2,4-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

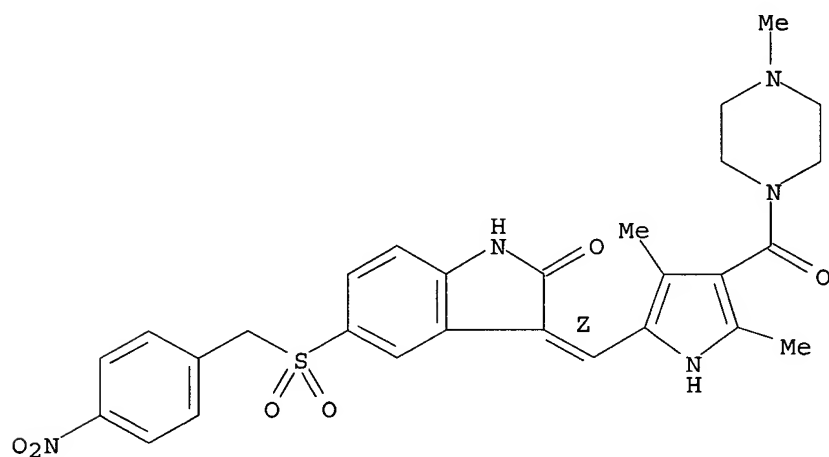
Double bond geometry as shown.



RN 477574-10-0 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-5-[[4-(2,4-difluorophenyl)methyl]sulfonyl]-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

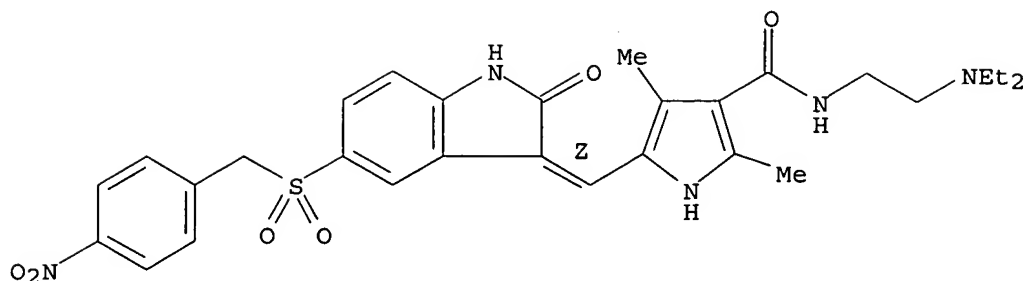
Double bond geometry as shown.



RN 477574-11-1 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[1,2-dihydro-5-[[4-(2,4-dinitrophenyl)methyl]sulfonyl]-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

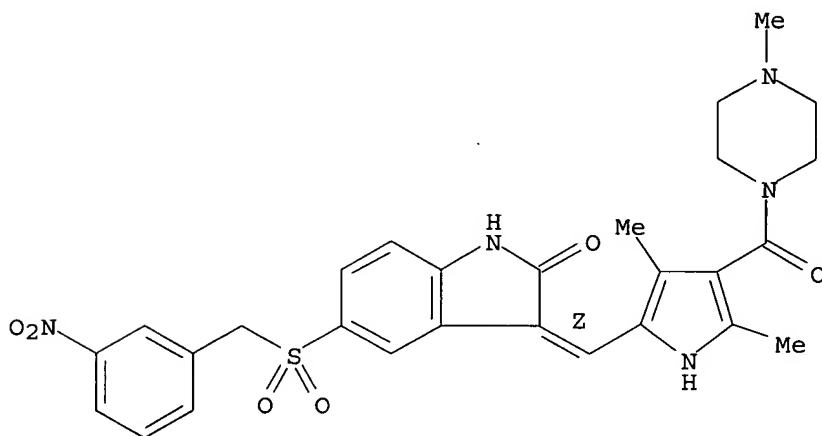
Double bond geometry as shown.



RN 477574-12-2 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-5-[[3-nitrophenyl)methyl]sulfonyl]-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

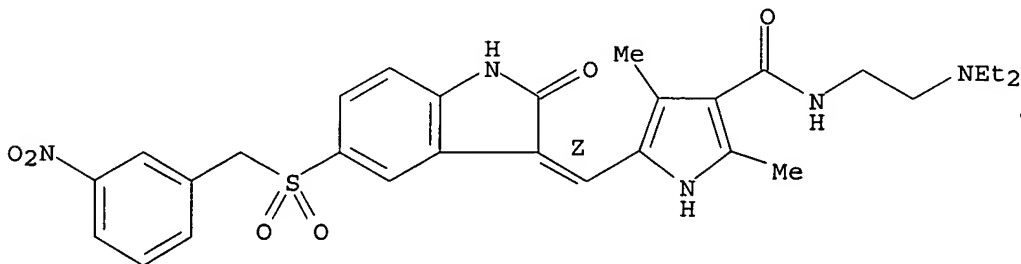
Double bond geometry as shown.



RN 477574-13-3 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[1,2-dihydro-5-[[3-nitrophenyl)methyl]sulfonyl]-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

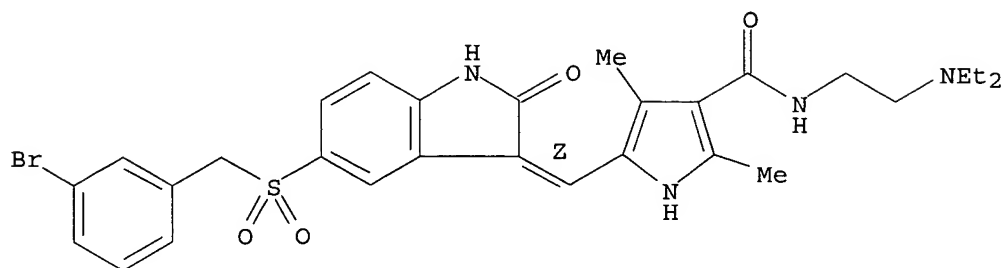


RN 477574-14-4 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[3-bromophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(diethylamino)ethyl]-2,4-

dimethyl- (9CI) (CA INDEX NAME)

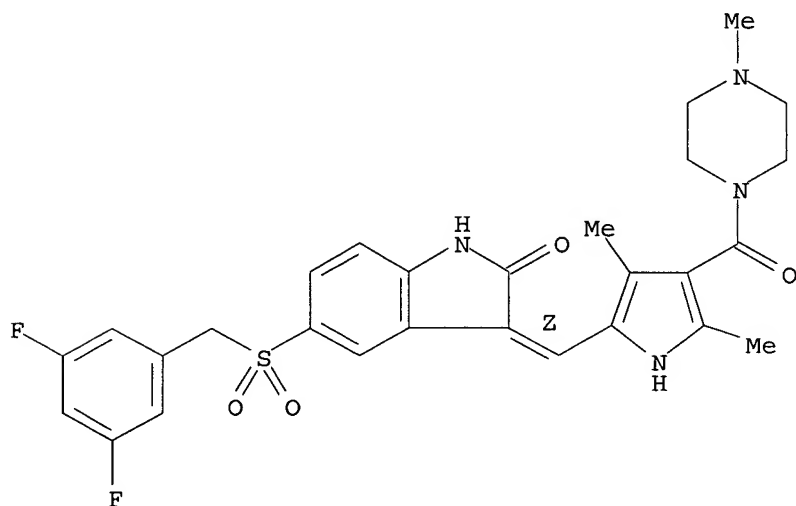
Double bond geometry as shown.



RN 477574-15-5 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[[(3,5-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

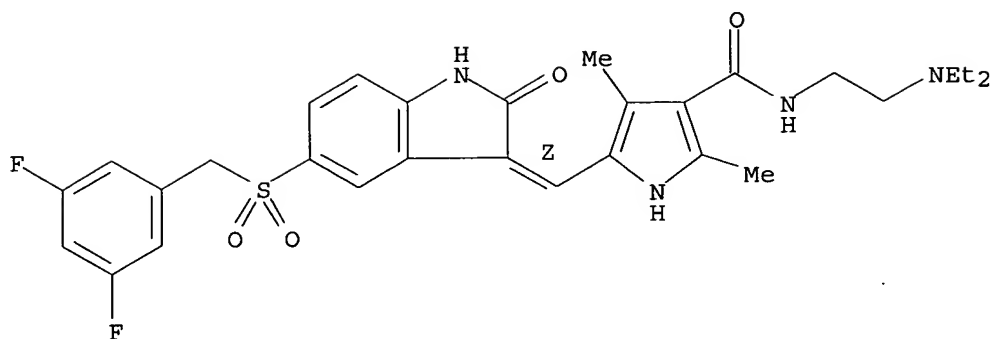
Double bond geometry as shown.



RN 477574-16-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[5-[[[(3,5-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

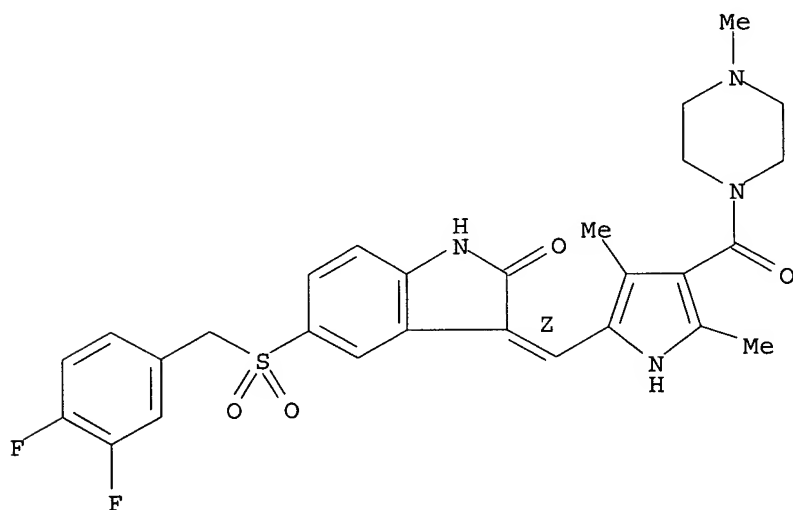
Double bond geometry as shown.



RN 477574-17-7 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[(3,4-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

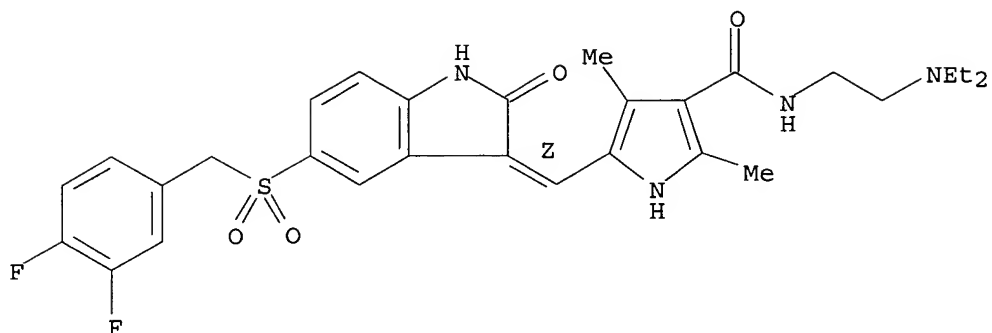
Double bond geometry as shown.



RN 477574-18-8 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[5-[(3,4-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

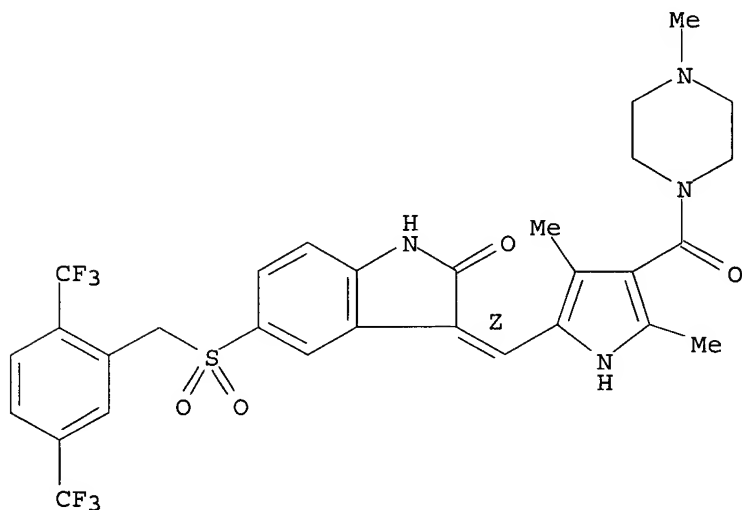
Double bond geometry as shown.



RN 477574-19-9 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[[2,5-bis(trifluoromethyl)phenyl]methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

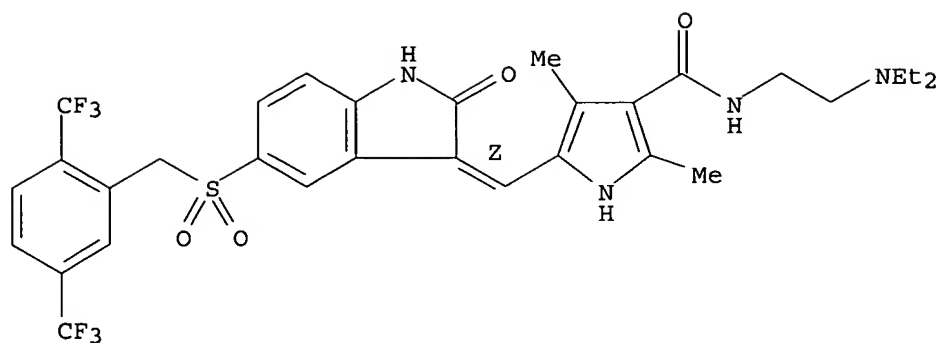
Double bond geometry as shown.



RN 477574-20-2 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[[2,5-bis(trifluoromethyl)phenyl]methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(diethylamino)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

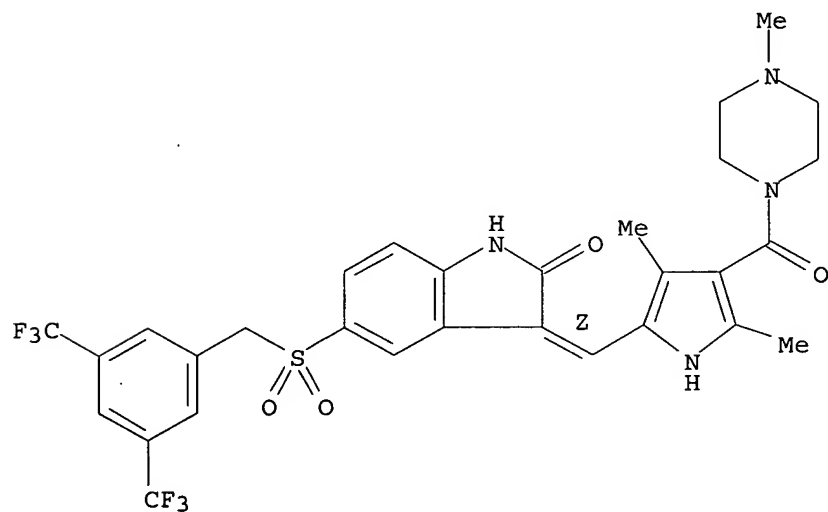
Double bond geometry as shown.



RN 477574-21-3 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[[3,5-bis(trifluoromethyl)phenyl]methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

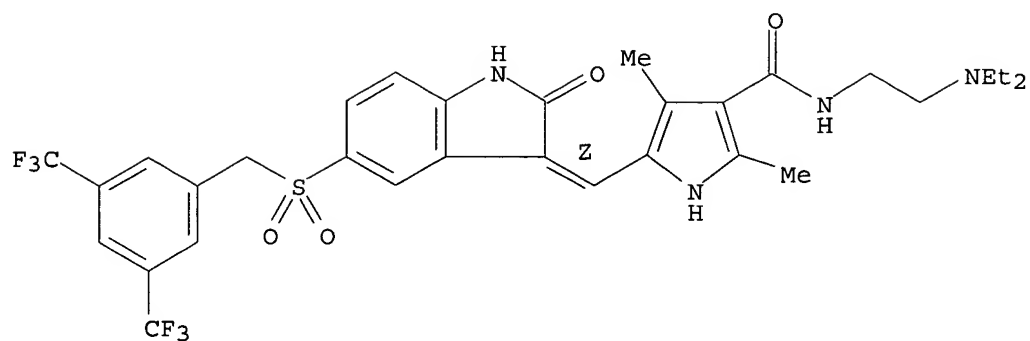
Double bond geometry as shown.



RN 477574-22-4 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[[3,5-bis(trifluoromethyl)phenyl]methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(diethylamino)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

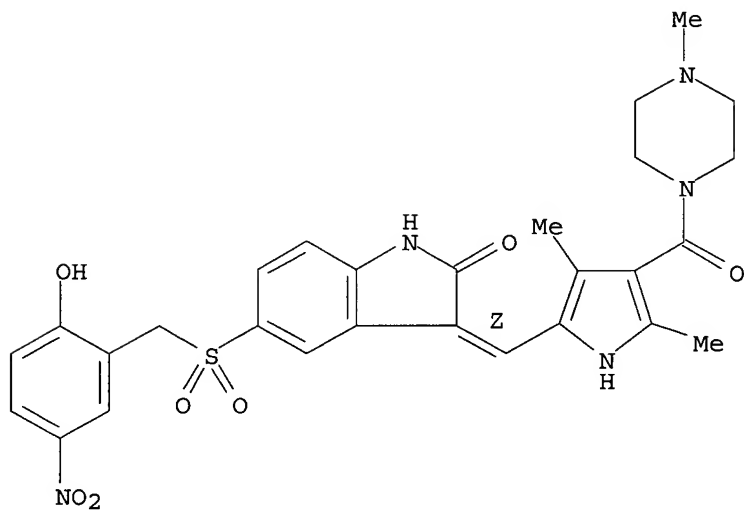
Double bond geometry as shown.



RN 477574-23-5 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-5-[(2-hydroxy-5-nitrophenyl)methyl]sulfonyl]-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

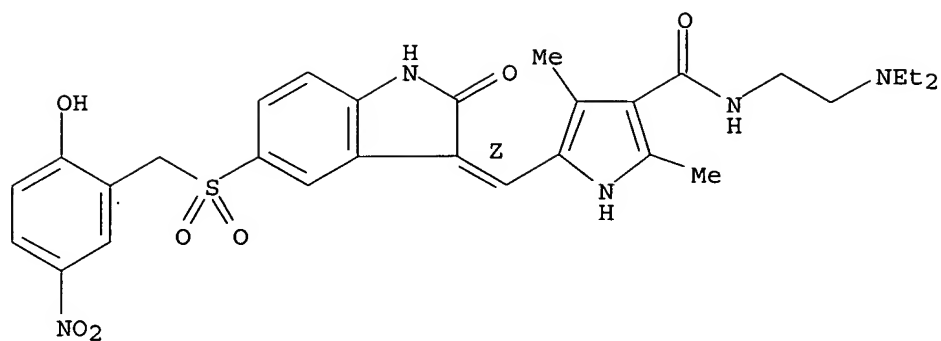


RN 477574-24-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[1,2-dihydro-5-  
[[2-hydroxy-5-nitrophenyl)methyl]sulfonyl]-2-oxo-3H-indol-3-  
ylidene)methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

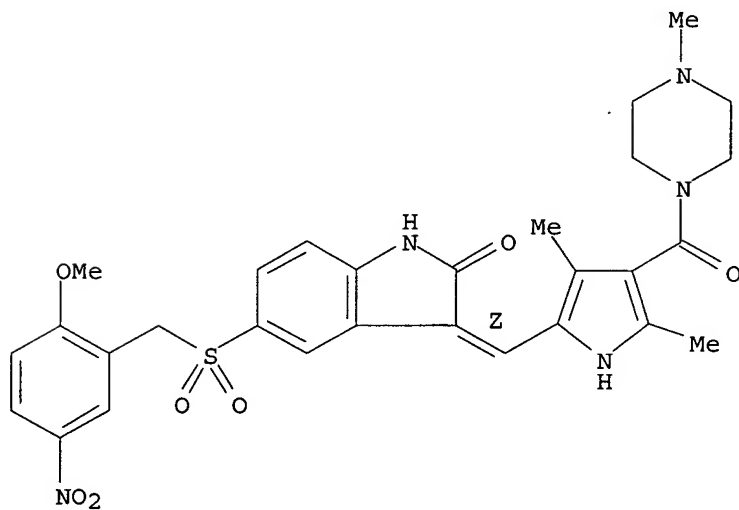




RN 477574-25-7 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-5-[[2-methoxy-5-nitrophenyl)methyl]sulfonyl]-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

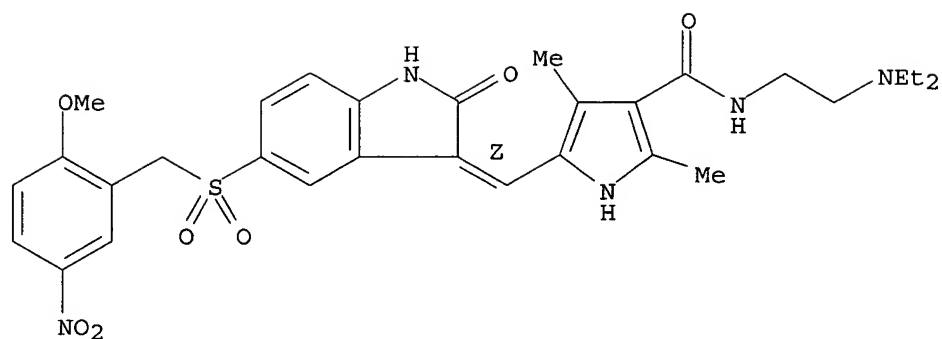
Double bond geometry as shown.



RN 477574-26-8 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[1,2-dihydro-5-[[2-methoxy-5-nitrophenyl)methyl]sulfonyl]-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

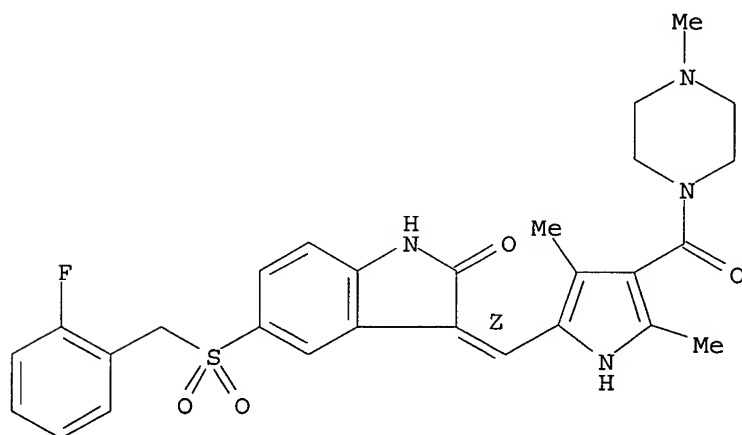
Double bond geometry as shown.



RN 477574-27-9 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[2-(2-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

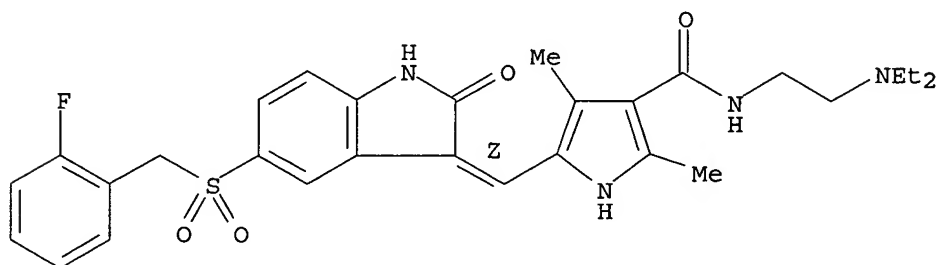
Double bond geometry as shown.



RN 477574-28-0 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[5-[[2-(2-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

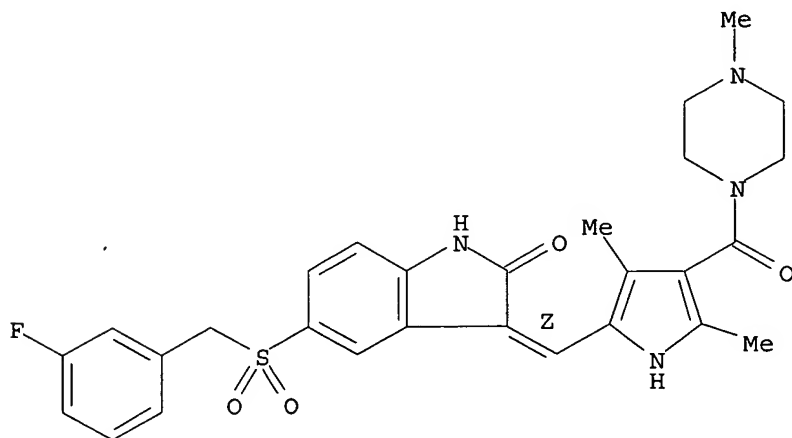
Double bond geometry as shown.



RN 477574-29-1 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[3-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

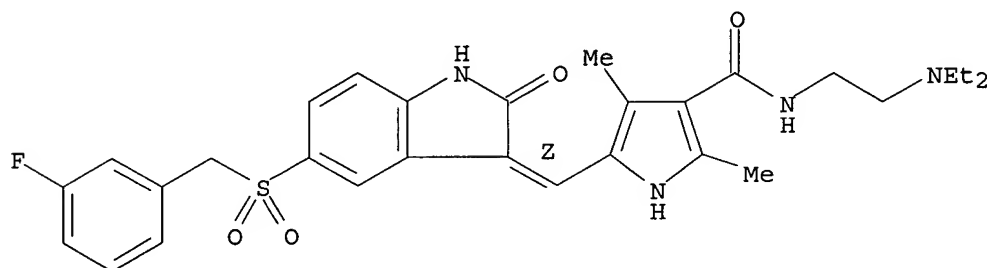
Double bond geometry as shown.



RN 477574-30-4 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[5-[[3-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

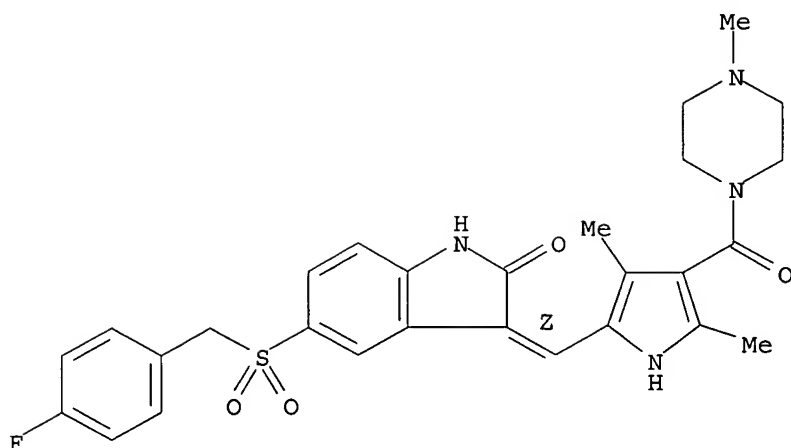
Double bond geometry as shown.



RN 477574-31-5 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[4-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

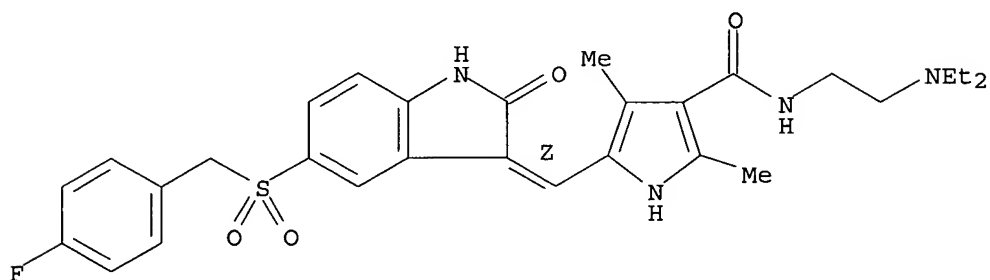
Double bond geometry as shown.



RN 477574-32-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[5-[(4-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

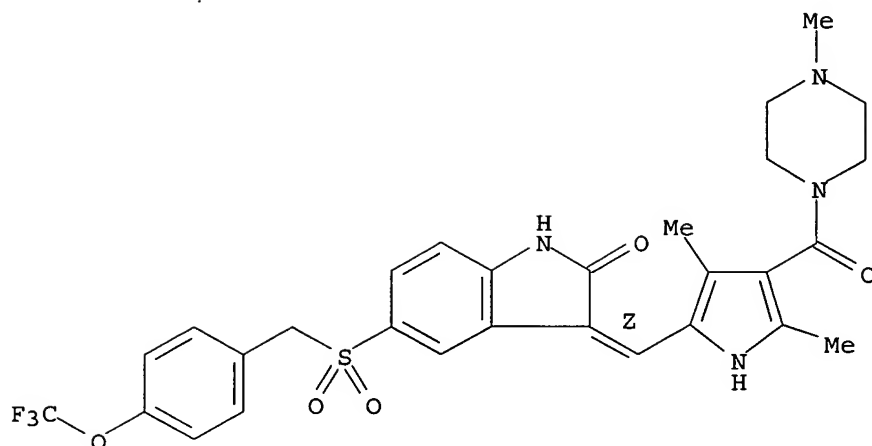
Double bond geometry as shown.



RN 477574-33-7 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-2-oxo-5-[[[4-(trifluoromethoxy)phenyl]methyl]sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

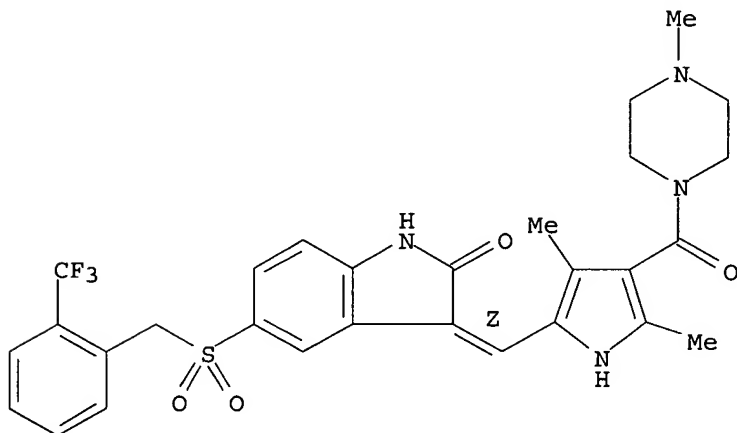
Double bond geometry as shown.



RN 477574-34-8 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-2-oxo-5-[[[2-(trifluoromethyl)phenyl]methyl]sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

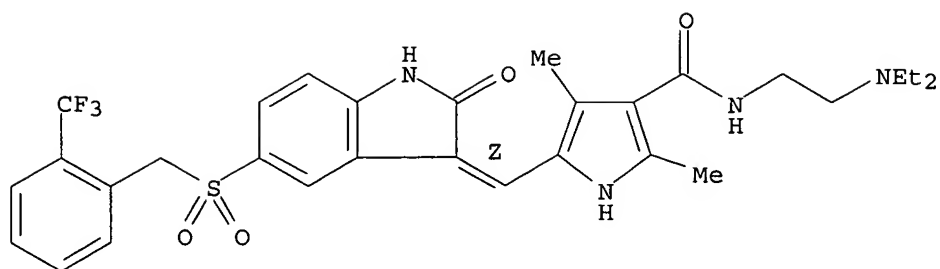
Double bond geometry as shown.



RN 477574-35-9 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[1,2-dihydro-2-oxo-5-[[[2-(trifluoromethyl)phenyl]methyl]sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

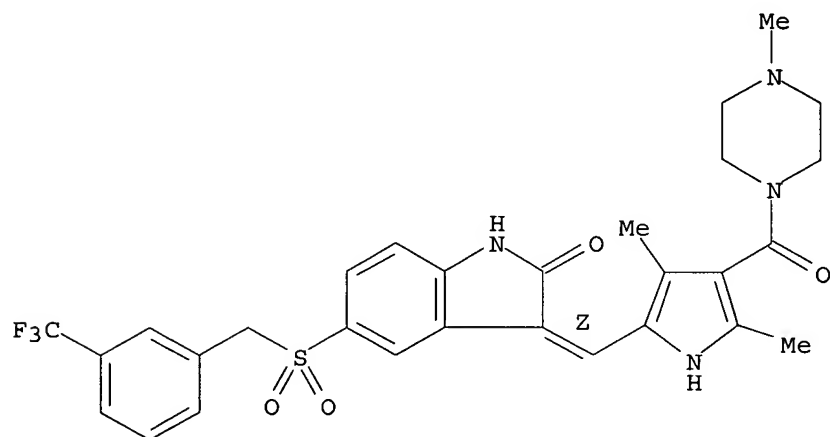
Double bond geometry as shown.



RN 477574-36-0 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-2-oxo-5-[[[3-(trifluoromethyl)phenyl]methyl]sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

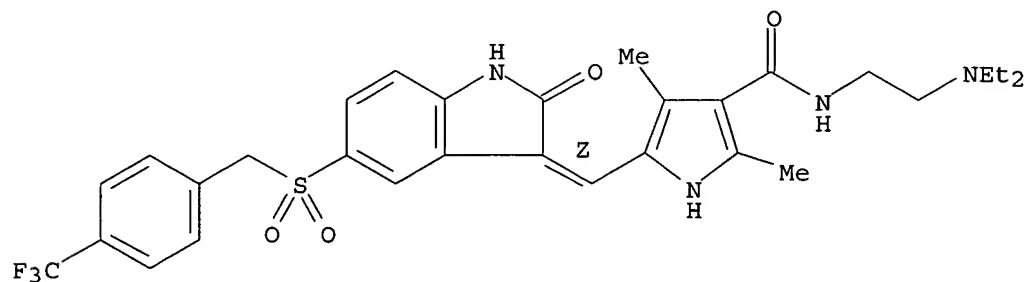
Double bond geometry as shown.



RN 477574-37-1 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[1,2-dihydro-2-oxo-5-[[[4-(trifluoromethyl)phenyl]methyl]sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



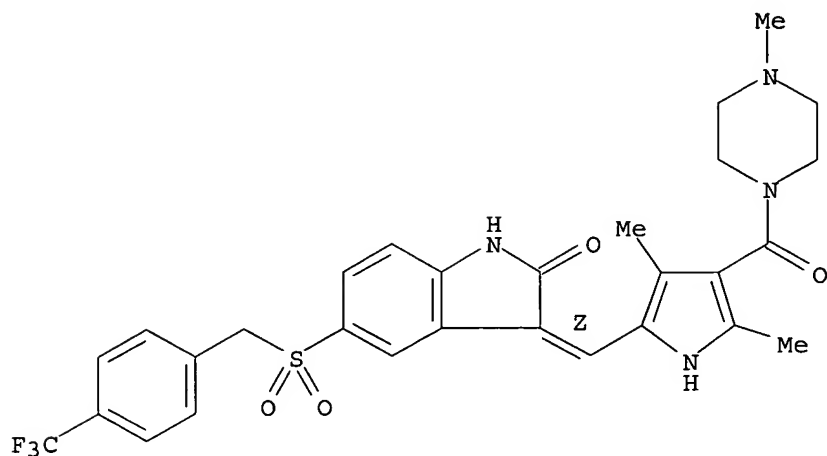
RN 477574-38-2 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-2-oxo-5-[[[4-(trifluoromethyl)phenyl]methyl]sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-

Grazier 10\_509633

dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

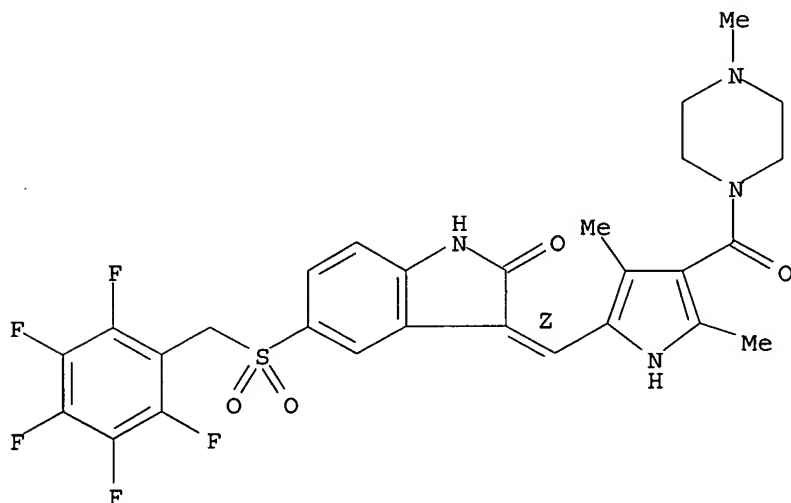
Double bond geometry as shown.



RN 477574-39-3 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-2-oxo-5-[[[(pentafluorophenyl)methyl]sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

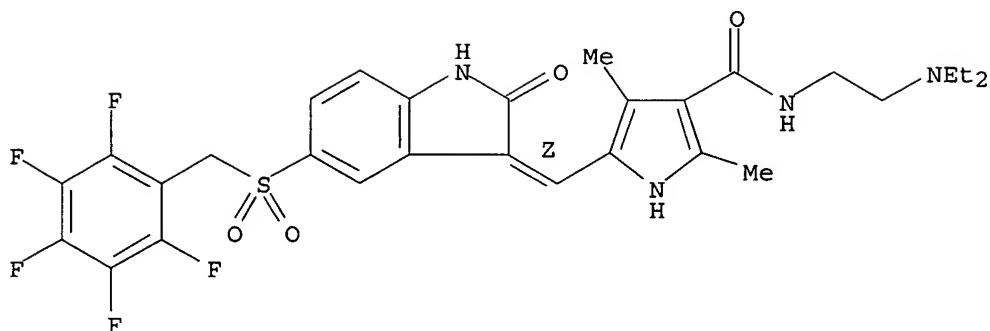
Double bond geometry as shown.



RN 477574-40-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[1,2-dihydro-2-oxo-5-[[[(pentafluorophenyl)methyl]sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

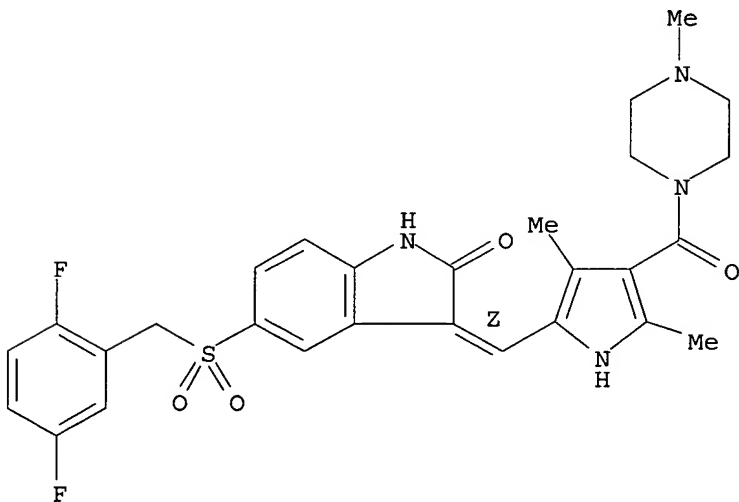
Double bond geometry as shown.



RN 477574-41-7 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[[(2,5-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

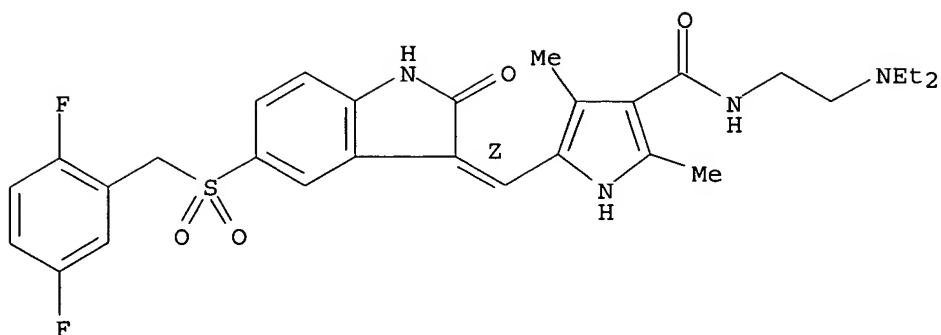


RN 477574-42-8 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[5-[[[(2,5-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

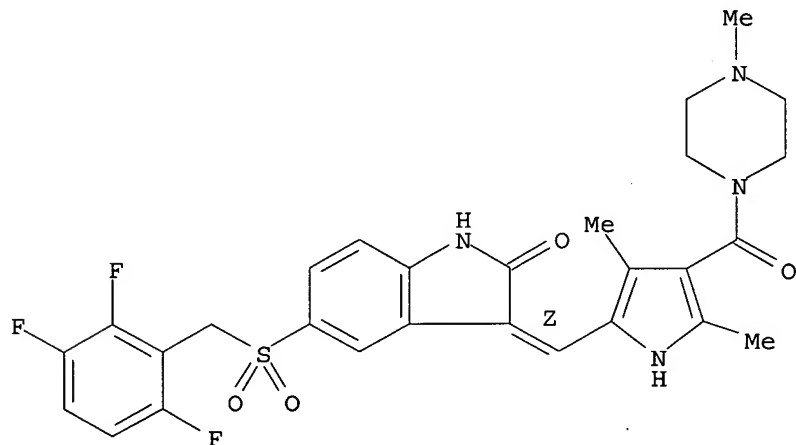




RN 477574-43-9 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-2-oxo-5-[[2,3,6-trifluorophenyl)methyl]sulfonyl]-3H-indol-3-ylidene)methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

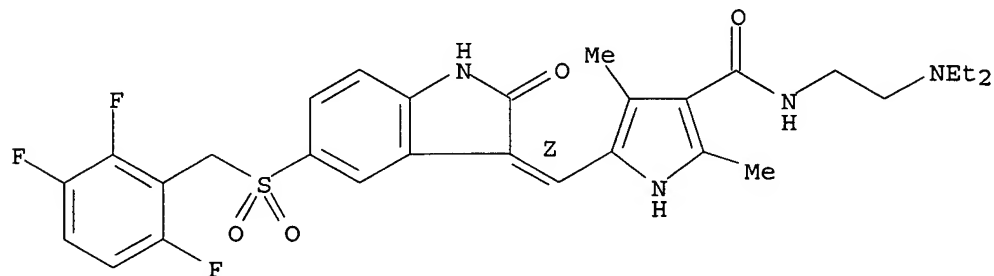
Double bond geometry as shown.



RN 477574-44-0 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[1,2-dihydro-2-oxo-5-[[2,3,6-trifluorophenyl)methyl]sulfonyl]-3H-indol-3-ylidene)methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

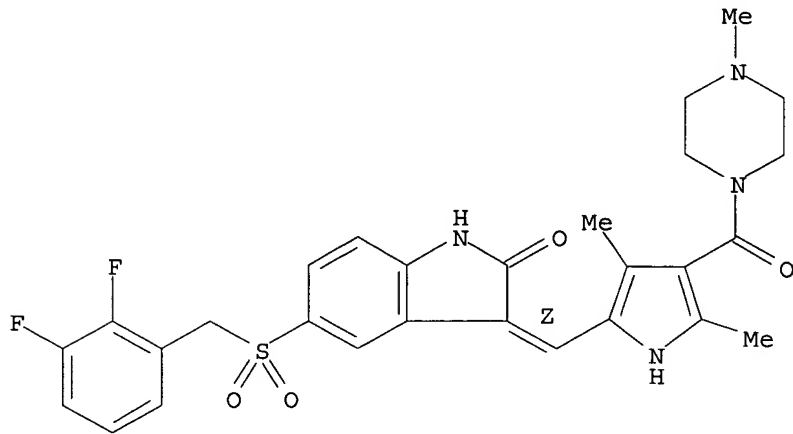
Double bond geometry as shown.



RN 477574-45-1 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[2,3-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

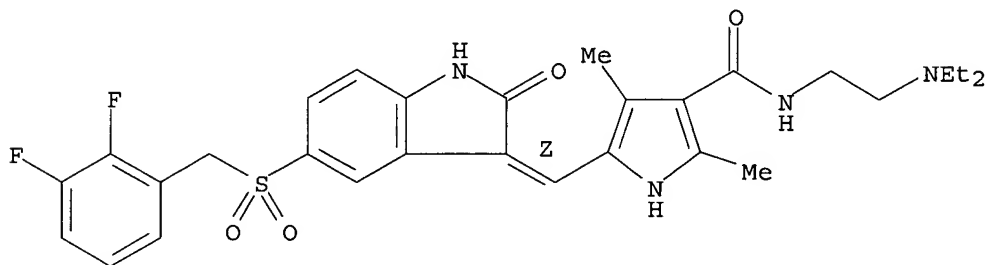
Double bond geometry as shown.



RN 477574-46-2 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[5-[[2,3-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

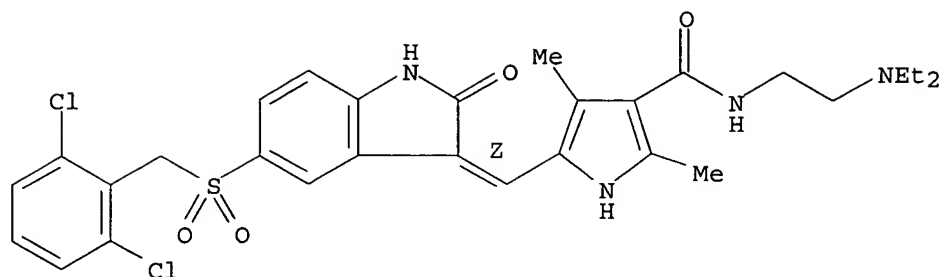
Double bond geometry as shown.



RN 477574-47-3 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(diethylamino)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

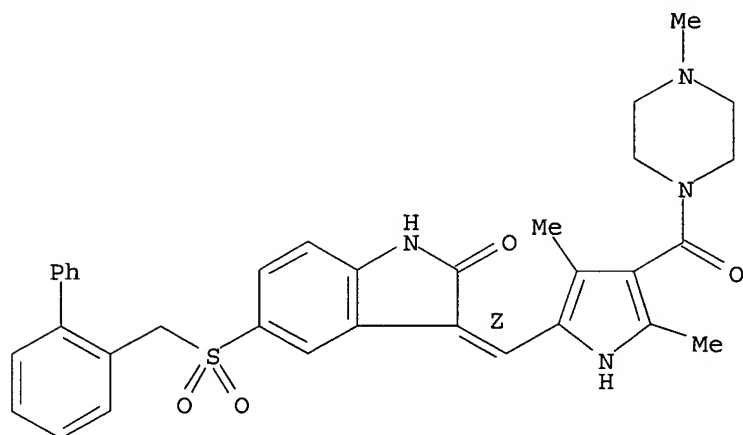
Double bond geometry as shown.



RN 477574-48-4 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[[1,1'-biphenyl]-2-ylmethyl)sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

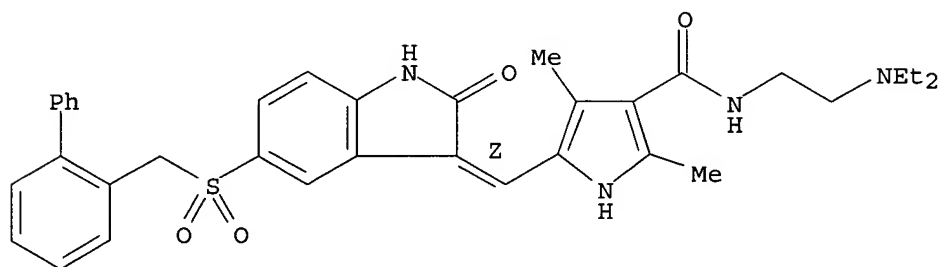
Double bond geometry as shown.



RN 477574-49-5 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[[1,1'-biphenyl]-2-ylmethyl)sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(diethylamino)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

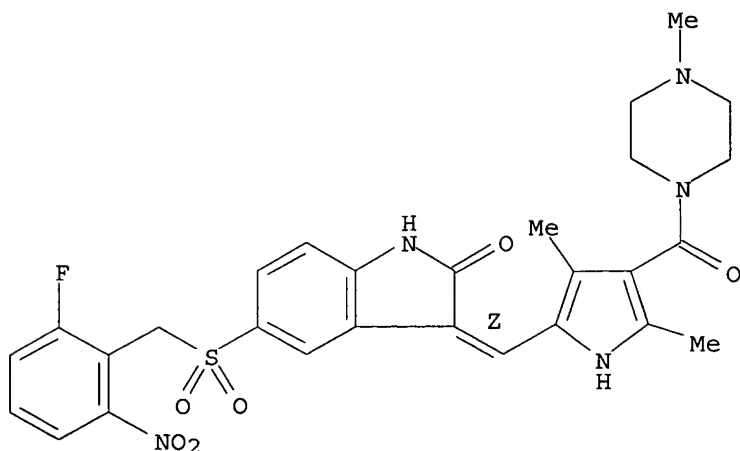


RN 477574-50-8 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[[2-fluoro-6-nitrophenyl)methyl)sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-

yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

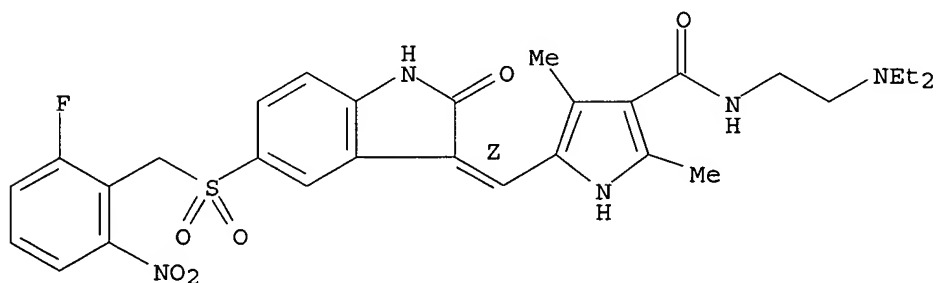
Double bond geometry as shown.



RN 477574-51-9 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[5-[[2-(2-fluoro-6-nitrophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

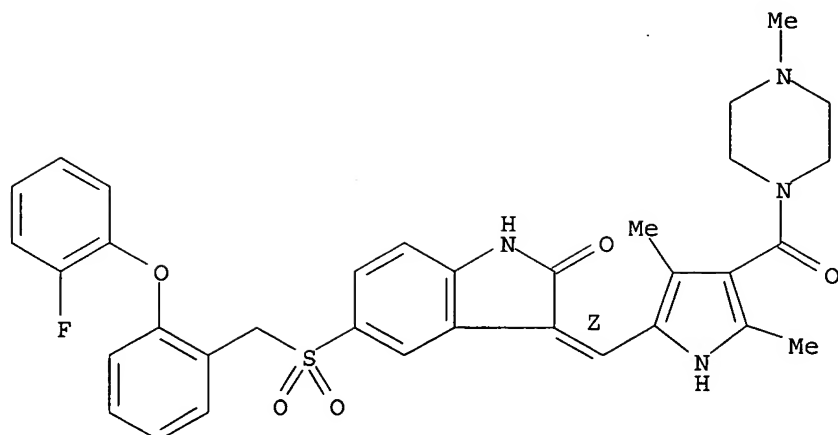
Double bond geometry as shown.



RN 477574-52-0 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[2-(2-fluorophenoxy)phenyl]methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

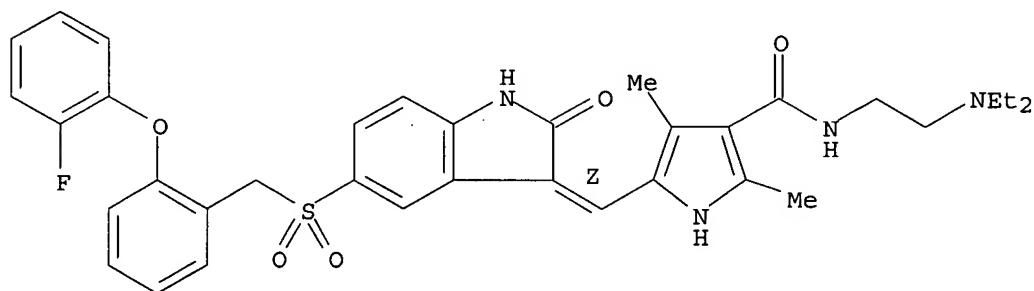
Double bond geometry as shown.



RN 477574-53-1 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[5-[[[2-(2-fluorophenoxy)phenyl]methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

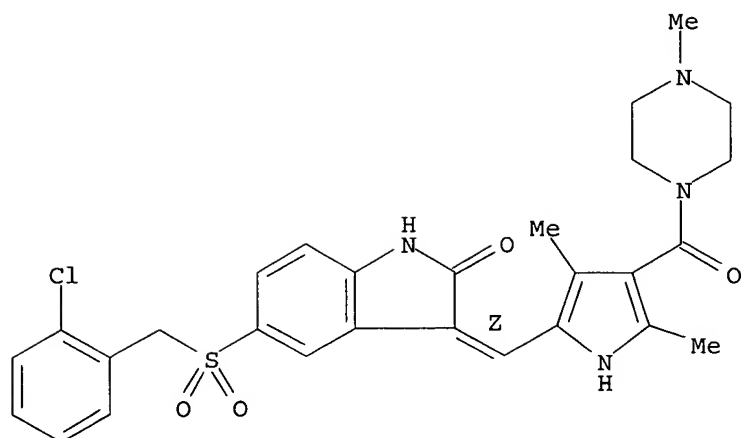
Double bond geometry as shown.



RN 477574-54-2 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[[2-(2-chlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

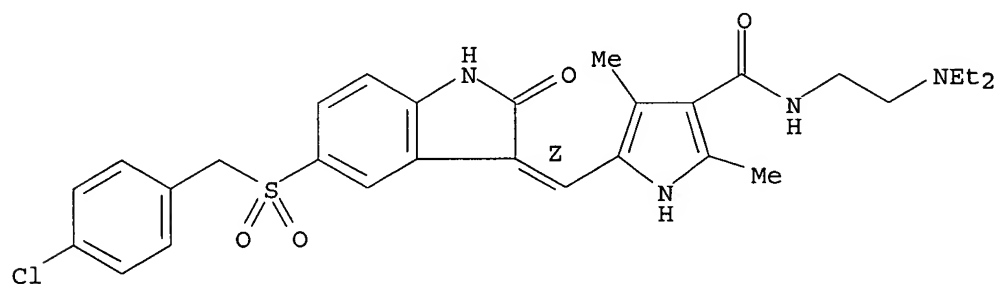
Double bond geometry as shown.



RN 477574-55-3 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[4-chlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene)methyl]-N-[2-(diethylamino)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

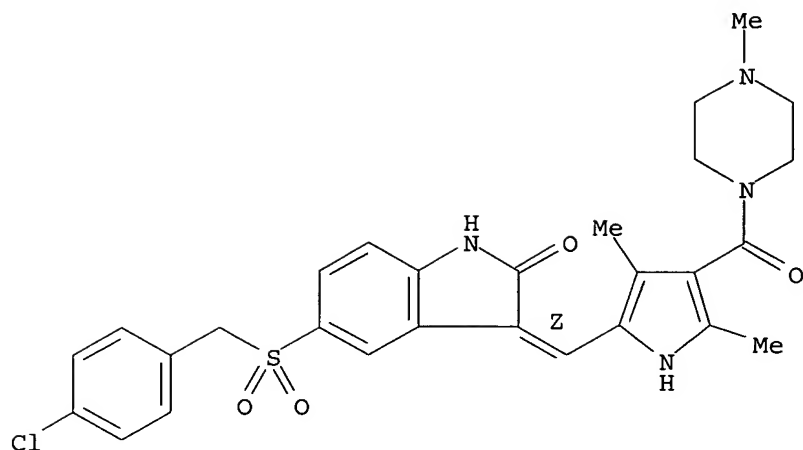
Double bond geometry as shown.



RN 477574-56-4 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[4-chlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene)methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

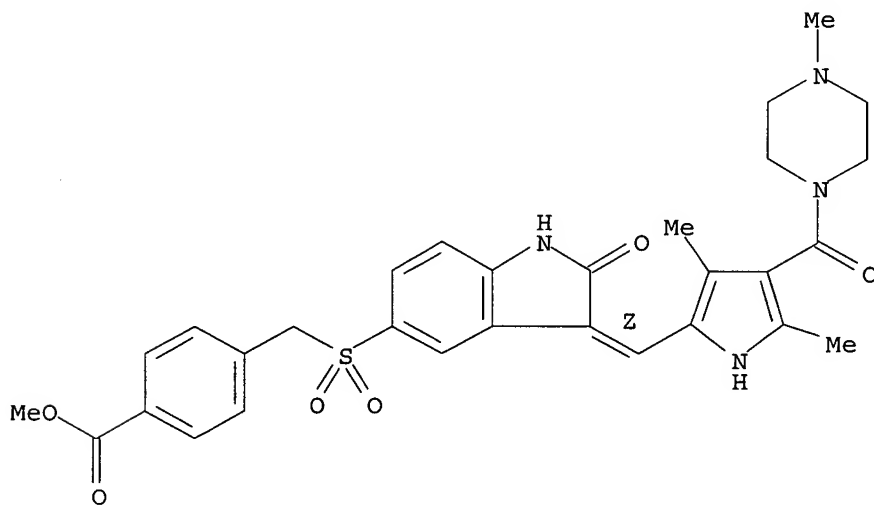
Double bond geometry as shown.



RN 477574-58-6 HCAPLUS

CN Benzoic acid, 4-[[[(3Z)-3-[[3,5-dimethyl-4-[(4-methyl-1-piperazinyl)carbonyl]-1H-pyrrol-2-yl]methylene]-2,3-dihydro-2-oxo-1H-indol-5-yl]sulfonyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

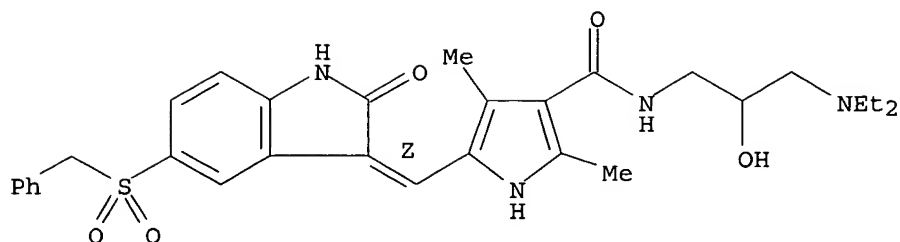
Double bond geometry as shown.



RN 477574-59-7 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[3-(diethylamino)-2-hydroxypropyl]-5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

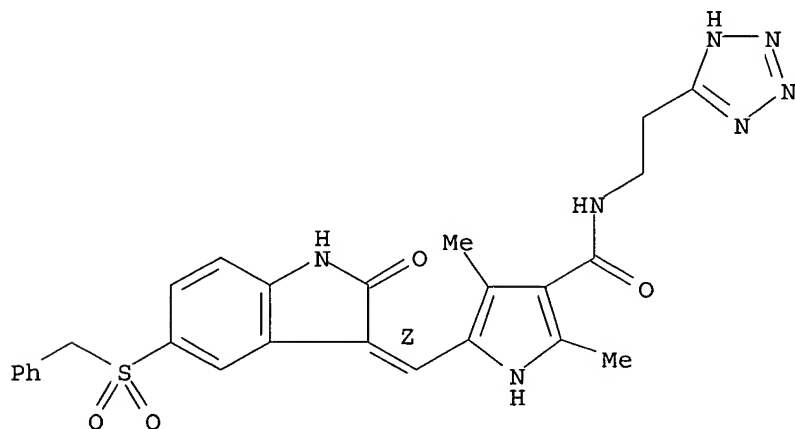
Double bond geometry as shown.



RN 477574-60-0 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[2-(1H-tetrazol-5-yl)ethyl]- (9CI) (CA INDEX NAME)

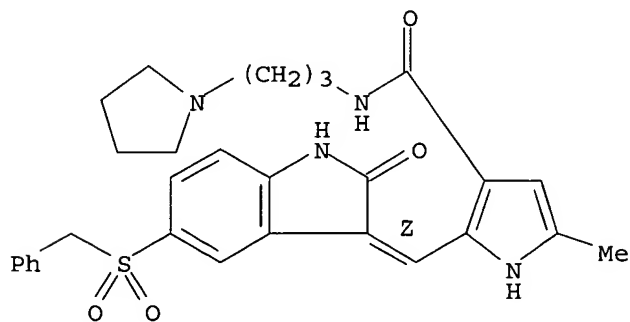
Double bond geometry as shown.



RN 477574-61-1 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 2-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-5-methyl-N-[3-(1H-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



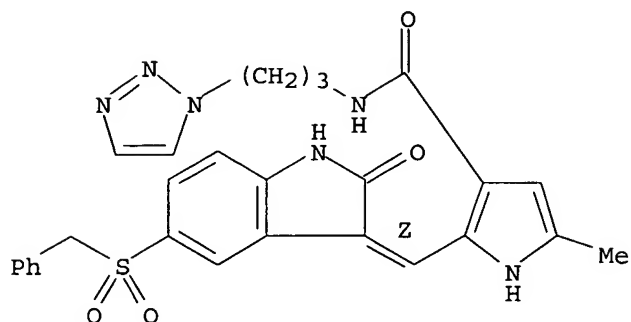
RN 477574-62-2 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 2-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-5-methyl-N-[3-(1H-



1,2,3-triazol-1-yl)propyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

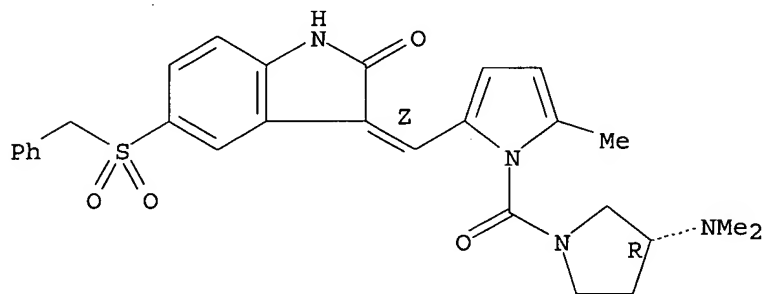


RN 477574-63-3 HCAPLUS

CN 1H-Pyrrole, 2-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-1-[[3-(dimethylamino)-1-pyrrolidinyl]carbonyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

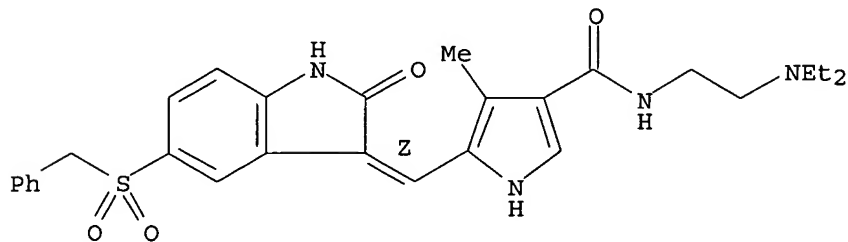
Double bond geometry as shown.



RN 477574-64-4 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

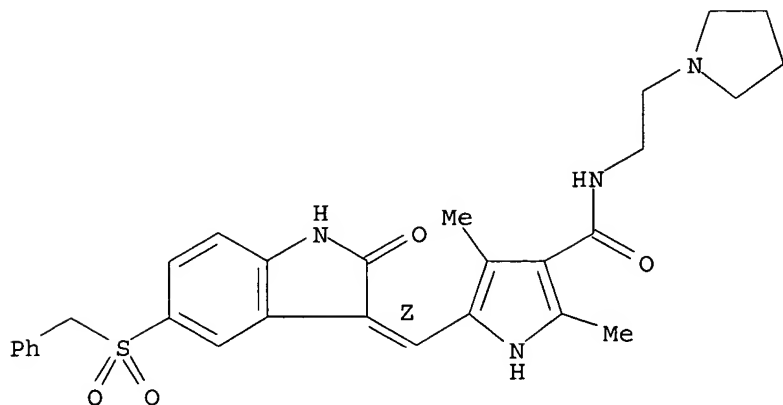


RN 477574-65-5 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[2-(1-

pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

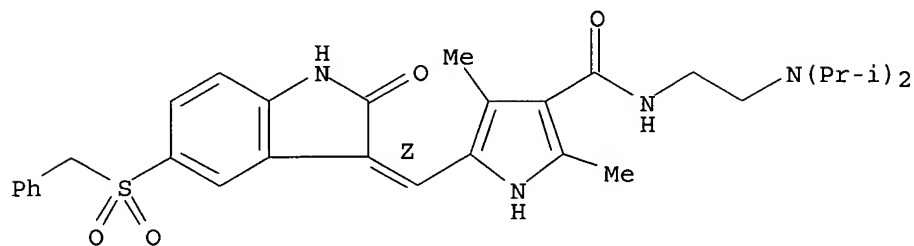
Double bond geometry as shown.



RN 477574-66-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-[[bis(1-methylethyl)amino]ethyl]-5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

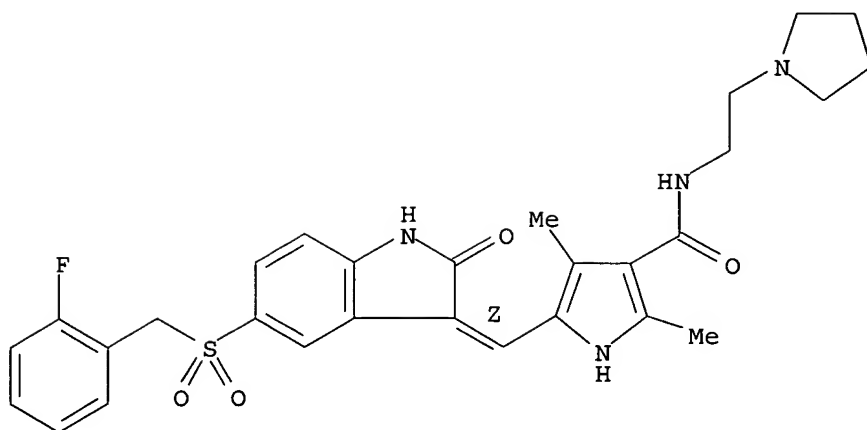
Double bond geometry as shown.



RN 477574-67-7 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[[(2-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

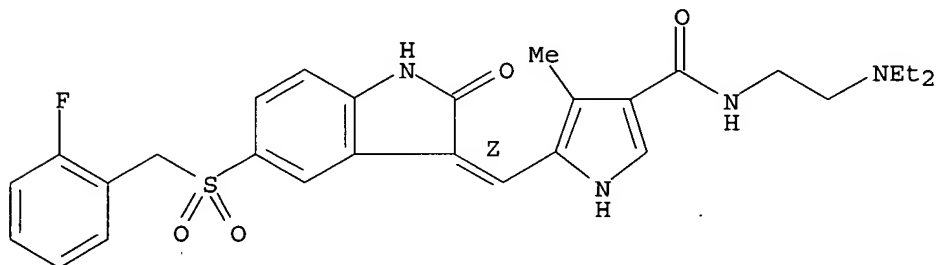
Double bond geometry as shown.



RN 477574-68-8 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[5-[(2-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-4-methyl- (9CI) (CA INDEX NAME)

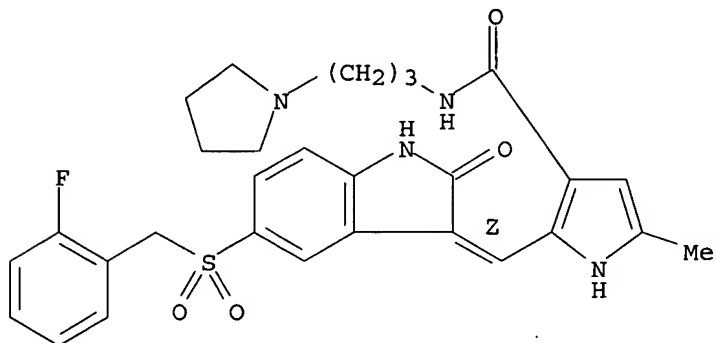
Double bond geometry as shown.



RN 477574-69-9 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 2-[(Z)-[5-[(2-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-5-methyl-N-[3-(1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

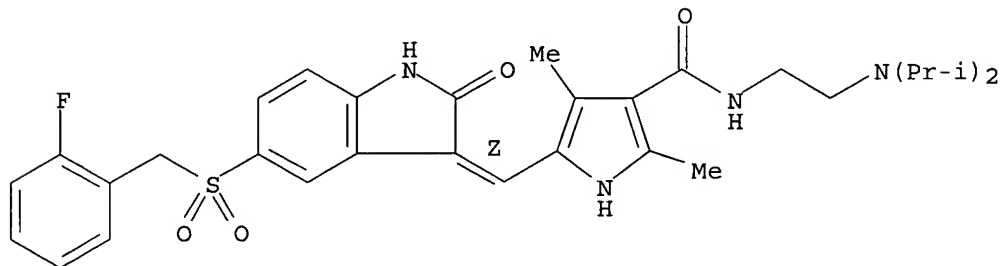


RN 477574-70-2 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-[bis(1-methylethyl)amino]ethyl]-5-[(Z)-[5-

[[[(2-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

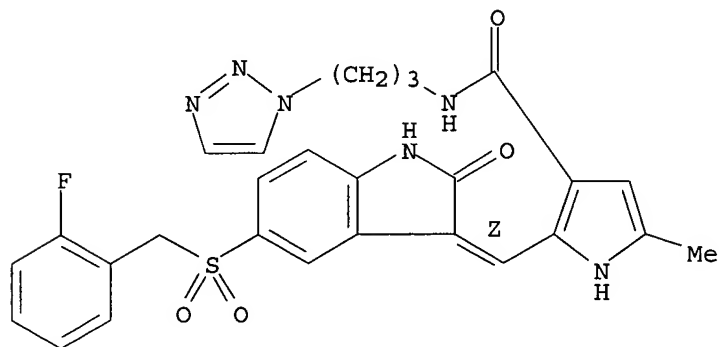
Double bond geometry as shown.



RN 477574-71-3 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 2-[(Z)-[5-[[[(2-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-5-methyl-N-[3-(1H-1,2,3-triazol-1-yl)propyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

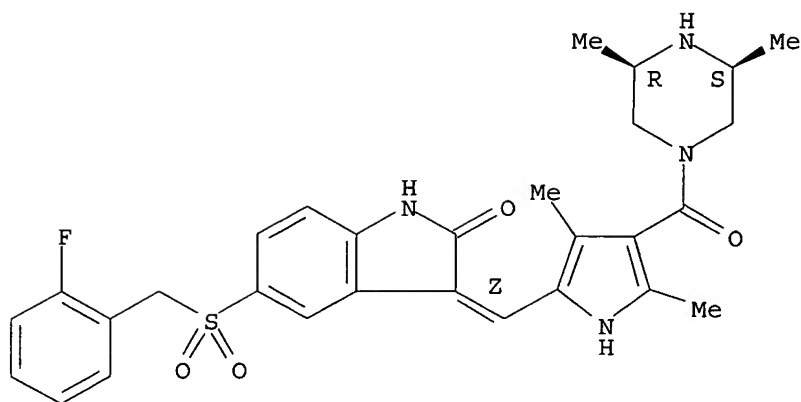


RN 477574-72-4 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[[(2-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-3,5-dimethyl-, (3R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

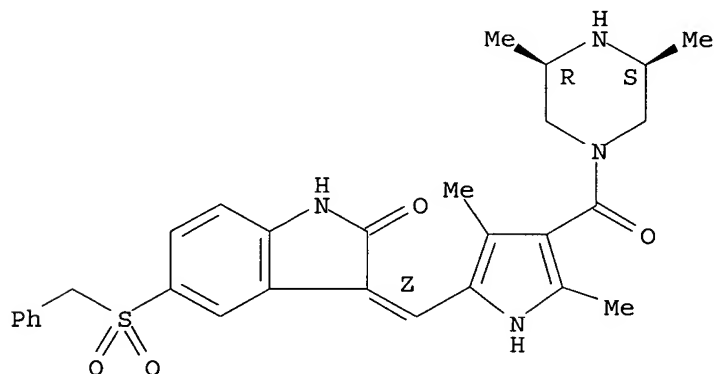
Double bond geometry as shown.



RN 477574-73-5 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-3,5-dimethyl-, (3R,5S)-rel- (9CI) (CA INDEX NAME)

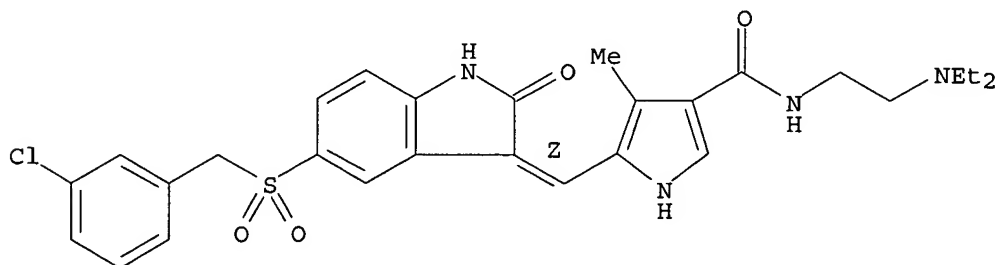
Relative stereochemistry.  
Double bond geometry as shown.



RN 477574-74-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[[(3-chlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(diethylamino)ethyl]-4-methyl- (9CI) (CA INDEX NAME)

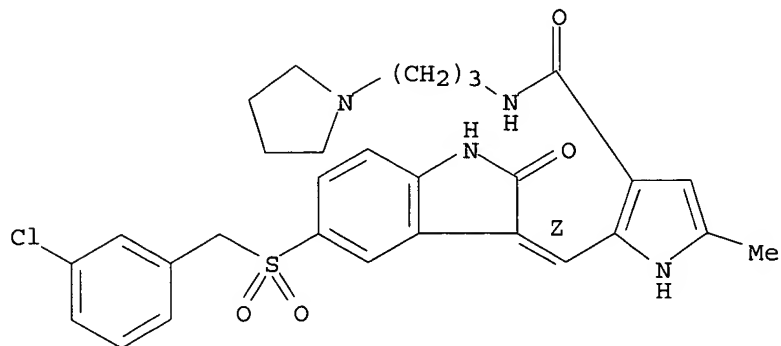
Double bond geometry as shown.



RN 477574-75-7 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 2-[(Z)-[5-[[[(3-chlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-5-methyl-N-[3-(1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)

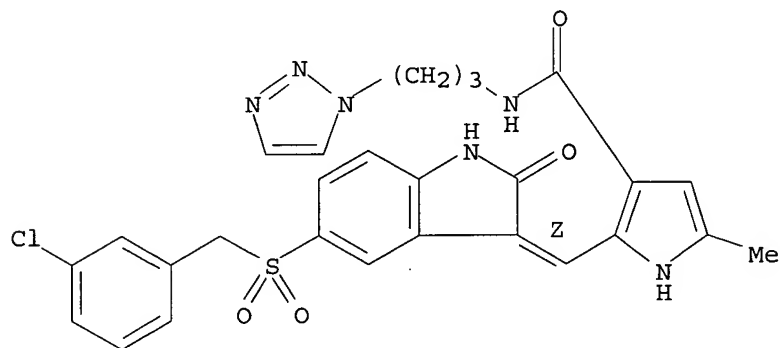
Double bond geometry as shown.



RN 477574-76-8 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 2-[(Z)-[5-[[[(3-chlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-5-methyl-N-[3-(1H-1,2,3-triazol-1-yl)propyl]- (9CI) (CA INDEX NAME)

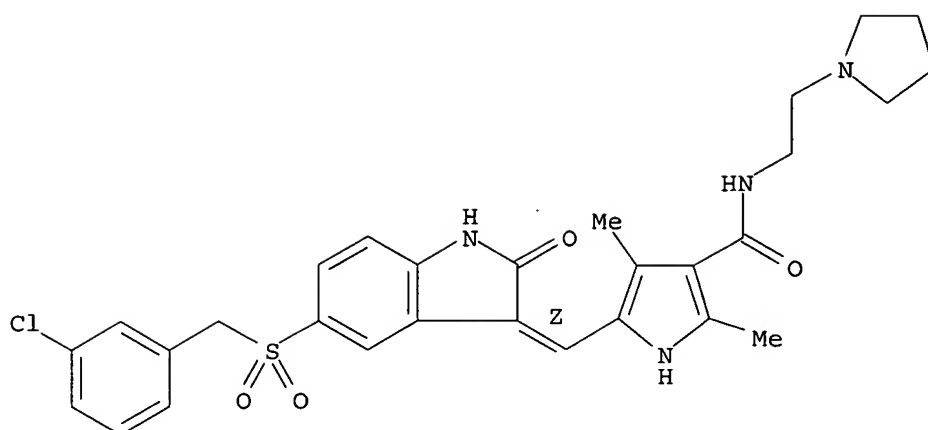
Double bond geometry as shown.



RN 477574-77-9 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[[(3-chlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

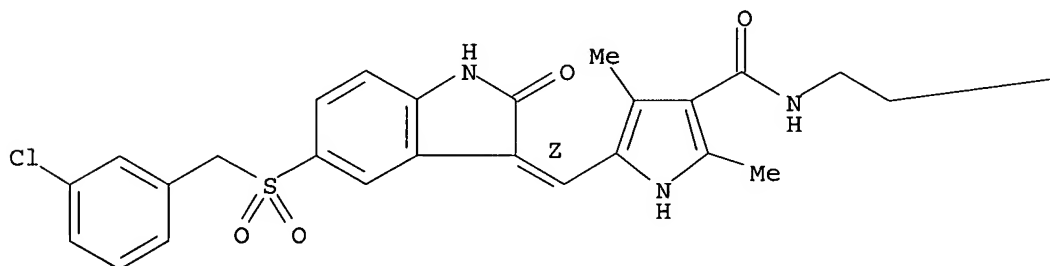
Double bond geometry as shown.



RN 477574-78-0 HCAPLUS  
 CN 1H-Pyrrole-3-carboxamide, N-[2-[(bis(1-methylethyl)amino)ethyl]-5-[(Z)-[5-[[3-chlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A

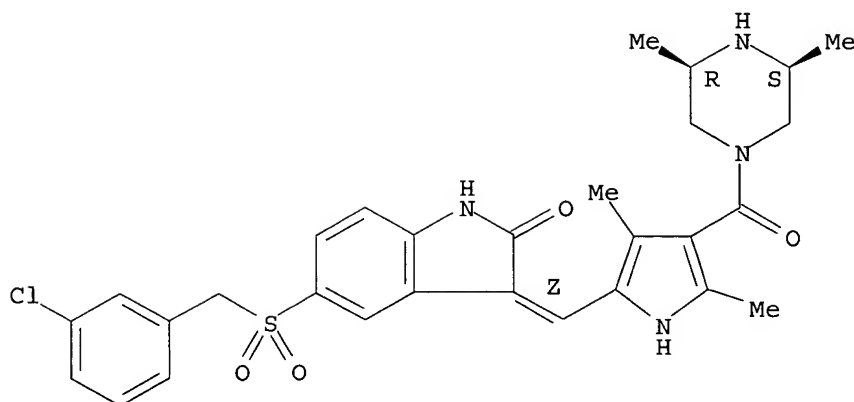


PAGE 1-B

—N(Pr-i)<sub>2</sub>

RN 477574-79-1 HCAPLUS  
 CN Piperazine, 1-[[5-[(Z)-[5-[[3-chlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-3,5-dimethyl-, (3R,5S)-rel- (9CI) (CA INDEX NAME)

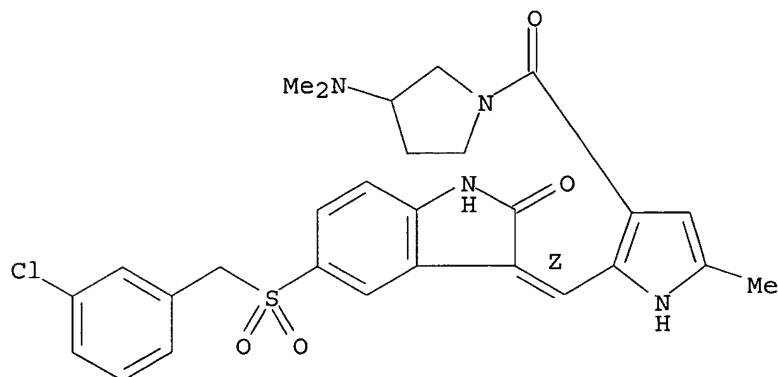
Relative stereochemistry.  
 Double bond geometry as shown.



RN 477574-80-4 HCAPLUS

CN 3-Pyrrolidinamine, 1-[[2-[(Z)-[5-[[3-chlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-5-methyl-1H-pyrrol-3-yl]carbonyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

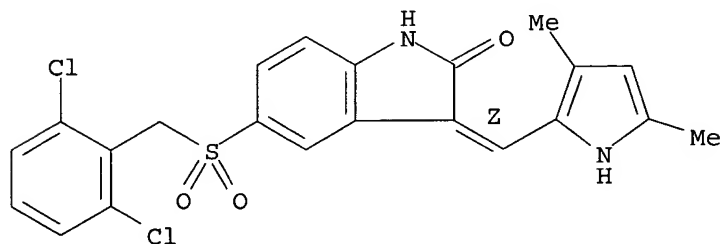
Double bond geometry as shown.



RN 477574-81-5 HCAPLUS

CN 2H-Indol-2-one, 5-[[2-[(Z)-[5-[[2,6-dichlorophenyl)methyl]sulfonyl]-3-[(3,5-dimethyl-1H-pyrrol-2-yl)methylene]-1,3-dihydro-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



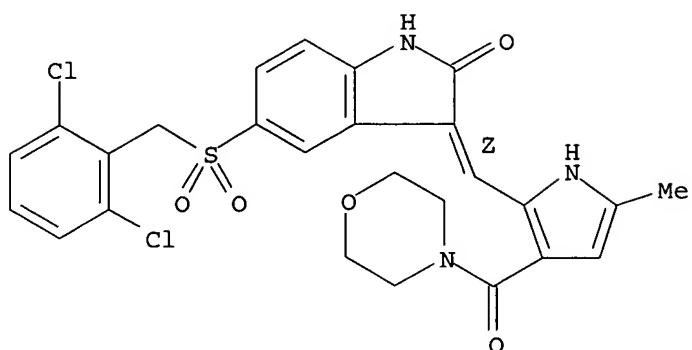
RN 477574-83-7 HCAPLUS

CN Morpholine, 4-[[2-[(Z)-[5-[[2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-5-methyl-1H-pyrrol-3-yl]carbonyl]- (9CI)



(9CI) (CA INDEX NAME)

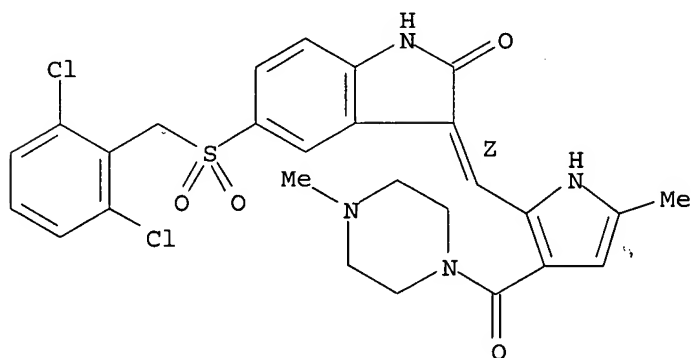
Double bond geometry as shown.



RN 477574-84-8 HCAPLUS

CN Piperazine, 1-[[2-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-5-methyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

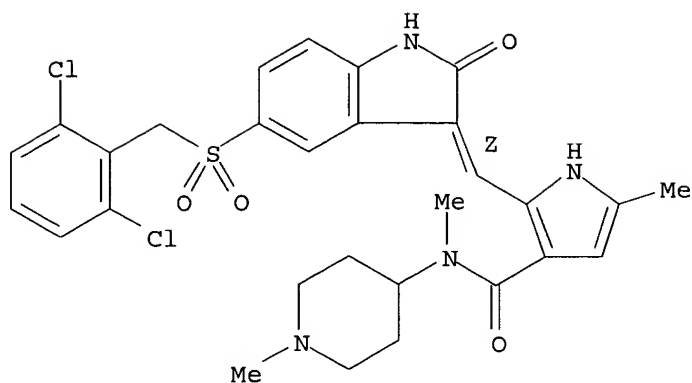
Double bond geometry as shown.



RN 477574-85-9 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 2-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N,5-dimethyl-N-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

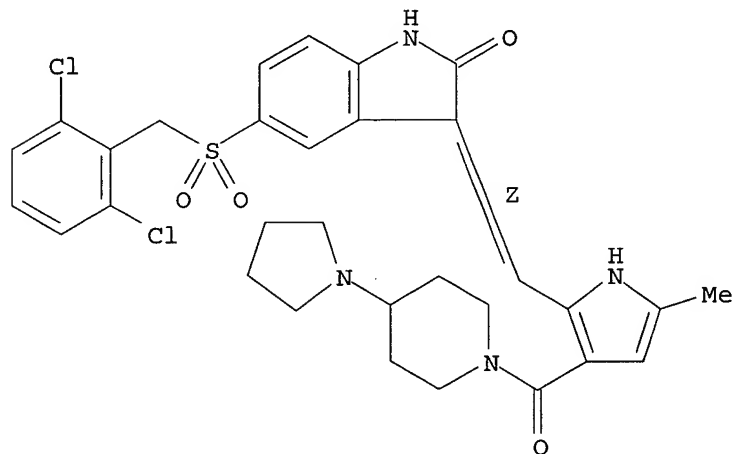
Double bond geometry as shown.



RN 477574-86-0 HCAPLUS

CN Piperidine, 1-[[2-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-5-methyl-1H-pyrrol-3-yl]carbonyl]-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

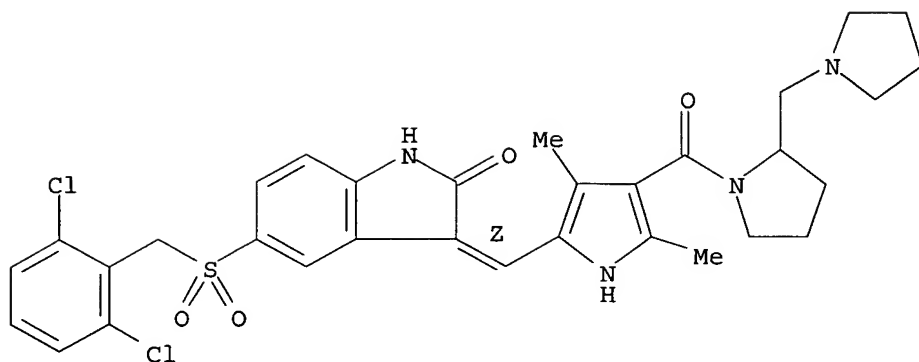
Double bond geometry as shown.



RN 477574-87-1 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-(1-pyrrolidinylmethyl)- (9CI) (CA INDEX NAME)

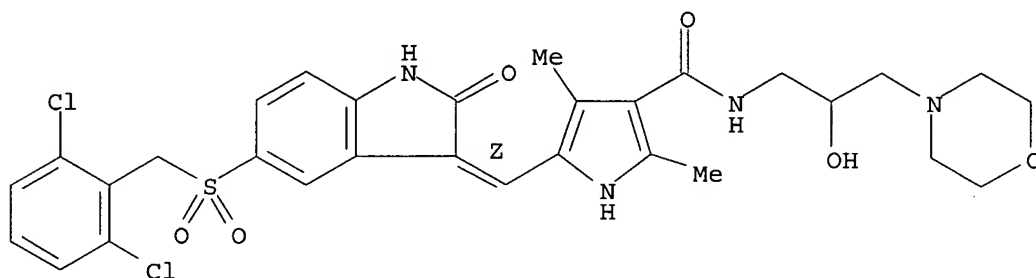
Double bond geometry as shown.



RN 477574-88-2 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-hydroxy-3-(4-morpholinyl)propyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

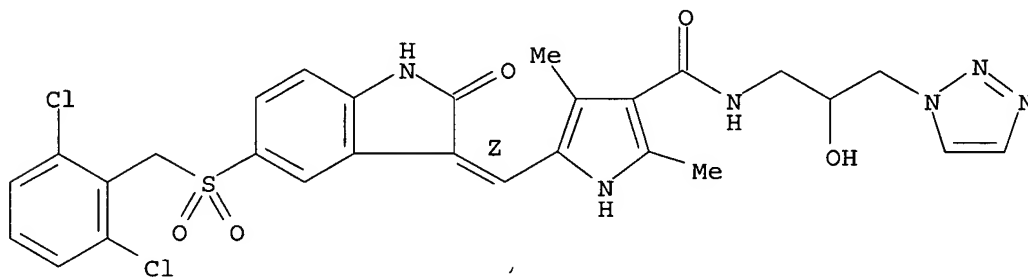
Double bond geometry as shown.



RN 477574-89-3 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-hydroxy-3-(1H-1,2,3-triazol-1-yl)propyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

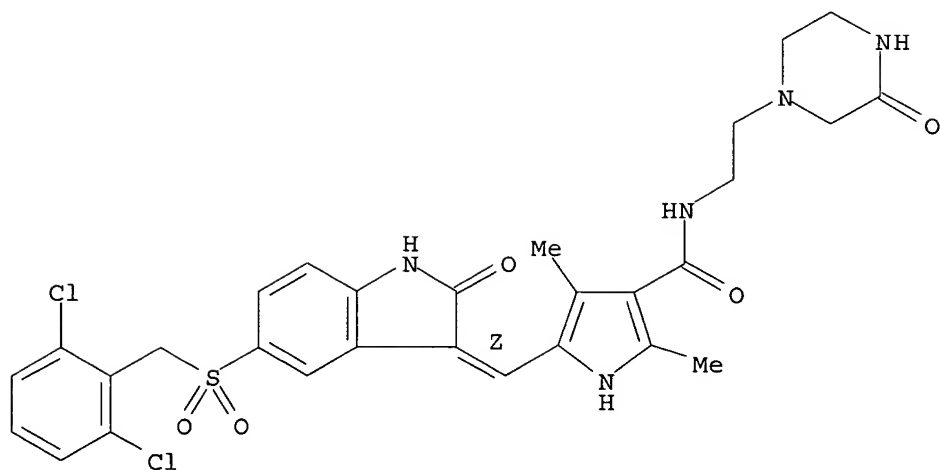
Double bond geometry as shown.



RN 477574-90-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[2-(3-oxo-1-piperazinyl)ethyl]- (9CI) (CA INDEX NAME)

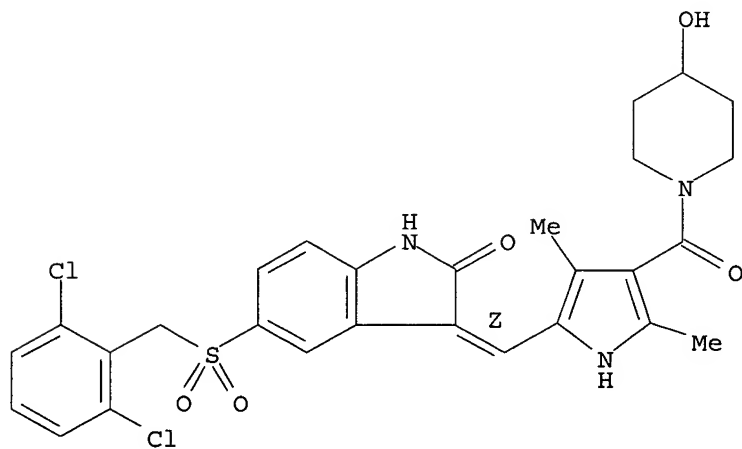
Double bond geometry as shown.



RN 477574-91-7 HCAPLUS

CN 4-Piperidinol, 1-[[5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

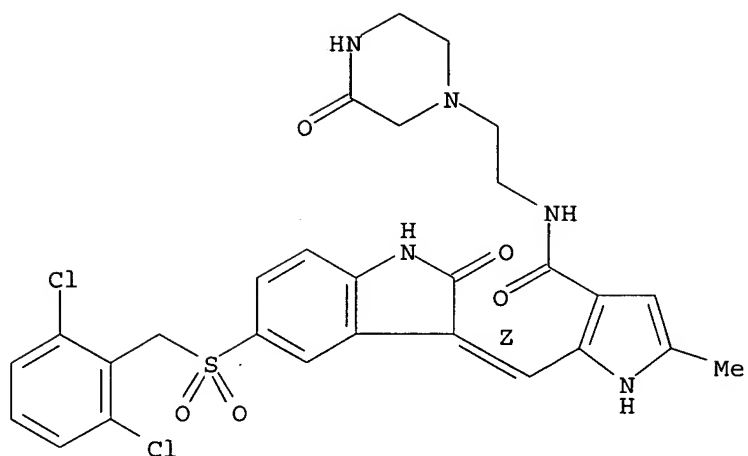
Double bond geometry as shown.



RN 477574-94-0 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 2-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-5-methyl-N-[2-(3-oxo-1-piperazinyl)ethyl]- (9CI) (CA INDEX NAME)

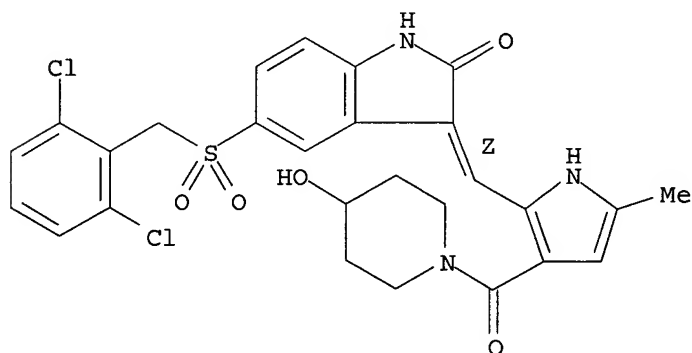
Double bond geometry as shown.



RN 477574-95-1 HCAPLUS

CN 4-Piperidinol, 1-[[2-[(Z)-[5-[[2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-5-methyl-1H-pyrrol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

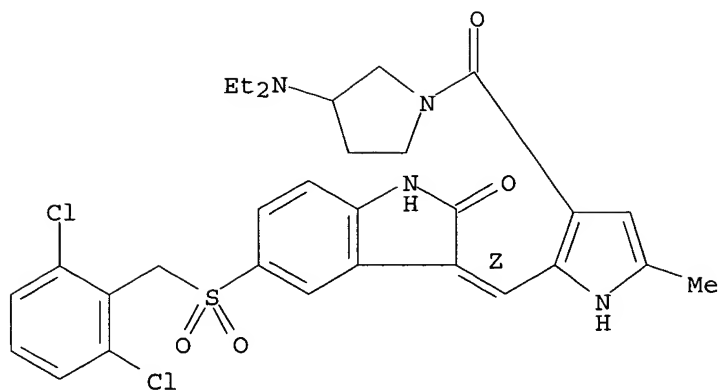
Double bond geometry as shown.



RN 477574-96-2 HCAPLUS

CN 3-Pyrrolidinamine, 1-[[2-[(Z)-[5-[[2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-5-methyl-1H-pyrrol-3-yl]carbonyl]-N,N-diethyl- (9CI) (CA INDEX NAME)

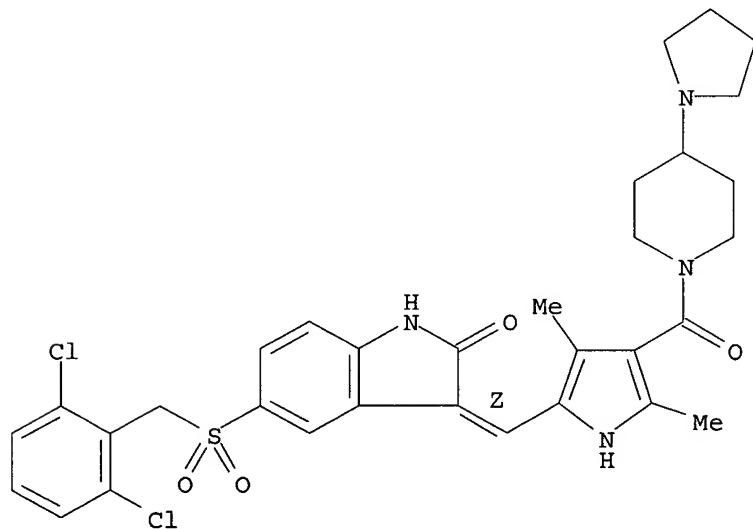
Double bond geometry as shown.



RN 477574-97-3 HCAPLUS

CN Piperidine, 1-[[5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

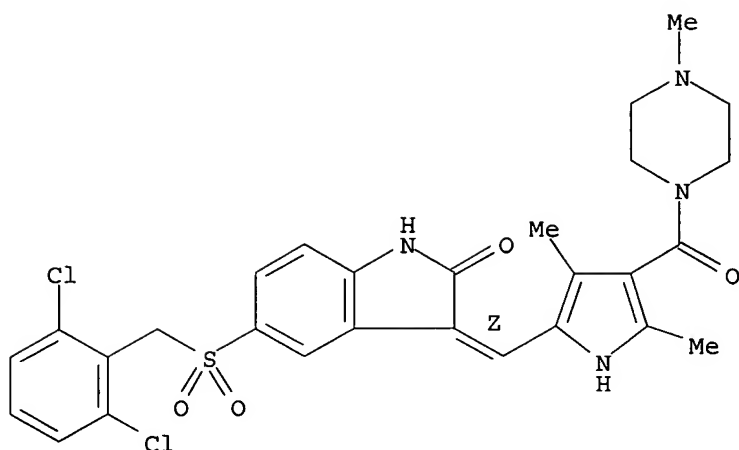
Double bond geometry as shown.



RN 477574-98-4 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

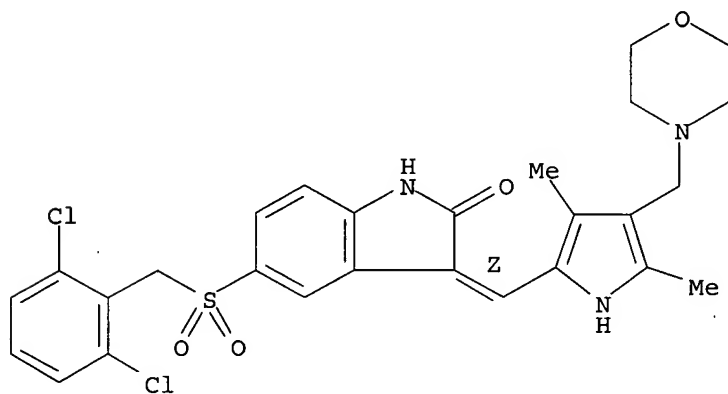
Double bond geometry as shown.



RN 477574-99-5 HCAPLUS

CN 2H-Indol-2-one, 5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-3-[[[3,5-dimethyl-4-(4-morpholinylmethyl)-1H-pyrrol-2-yl]methylene]-1,3-dihydro-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

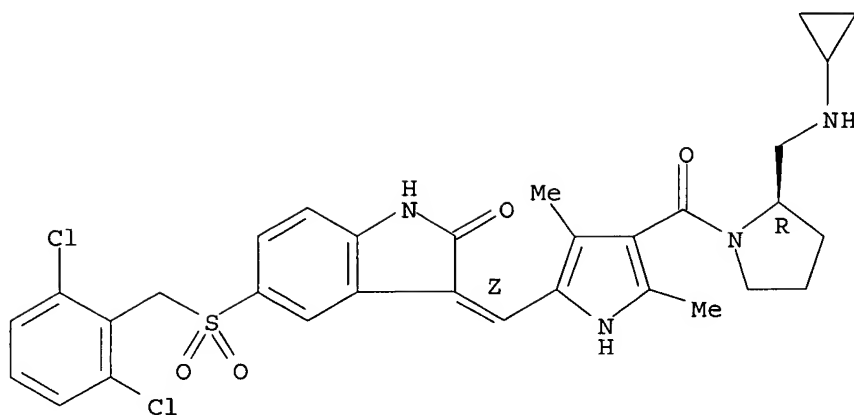


RN 477575-00-1 HCAPLUS

CN 2-Pyrrolidinemethanamine, N-cyclopropyl-1-[[[5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (2R)-(9CI) (CA INDEX NAME)

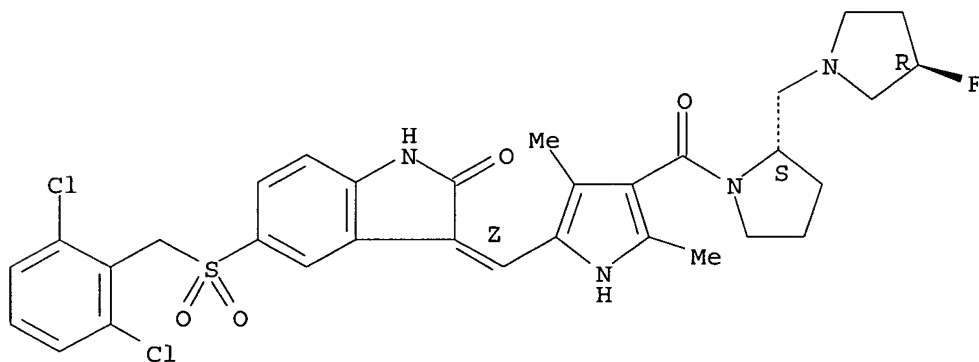
Absolute stereochemistry.

Double bond geometry as shown.



RN 477575-04-5 HCAPLUS  
 CN Pyrrolidine, 1-[[5-[(Z)-[5-[[2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-[[3R)-3-fluoro-1-pyrrolidinyl)methyl]-, (2S)- (9CI) (CA INDEX NAME)

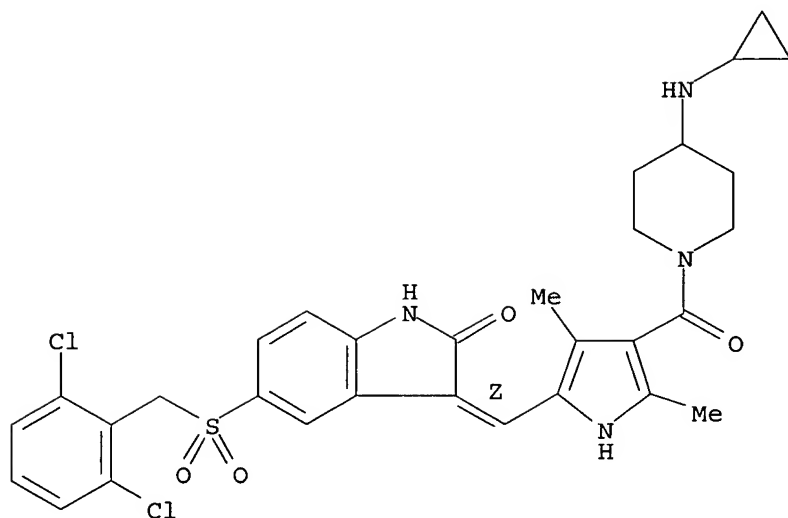
Absolute stereochemistry.  
 Double bond geometry as shown.



RN 477575-09-0 HCAPLUS  
 CN 4-Piperidinamine, N-cyclopropyl-1-[[5-[(Z)-[5-[[2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

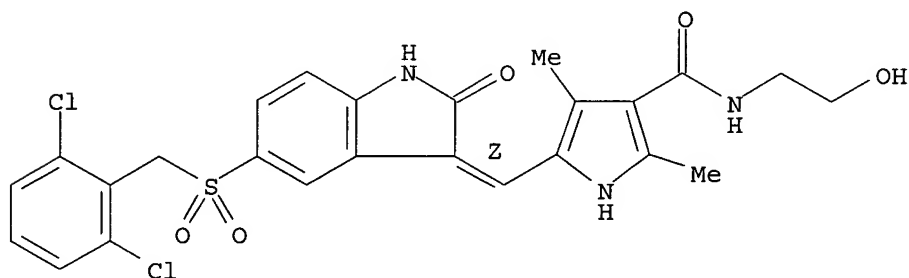




RN 477575-11-4 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-(2-hydroxyethyl)-2,4-dimethyl- (9CI) (CA INDEX NAME)

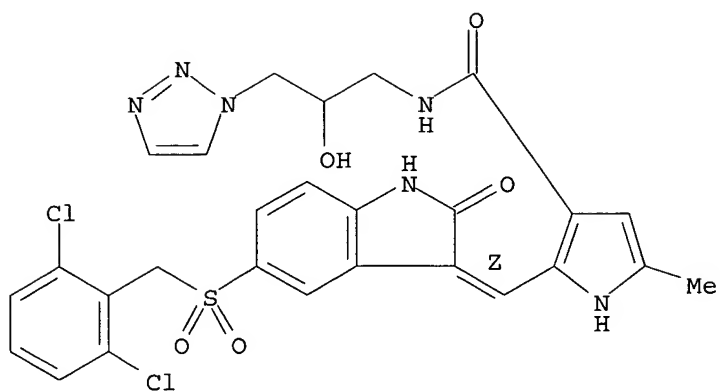
Double bond geometry as shown.



RN 477575-13-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 2-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-hydroxy-3-(1H-1,2,3-triazol-1-yl)propyl]-5-methyl- (9CI) (CA INDEX NAME)

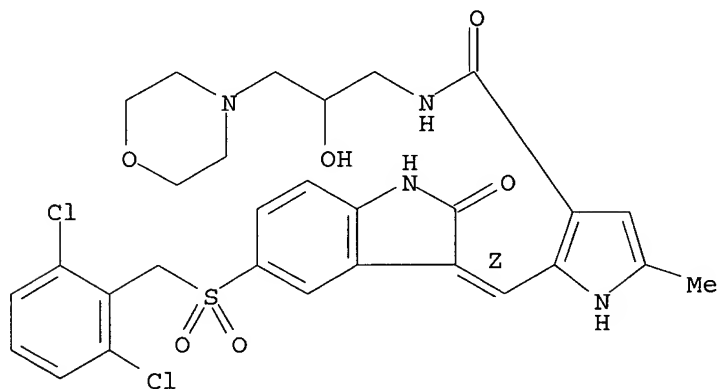
Double bond geometry as shown.



RN 477575-15-8 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 2-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-hydroxy-3-(4-morpholinyl)propyl]-5-methyl- (9CI) (CA INDEX NAME)

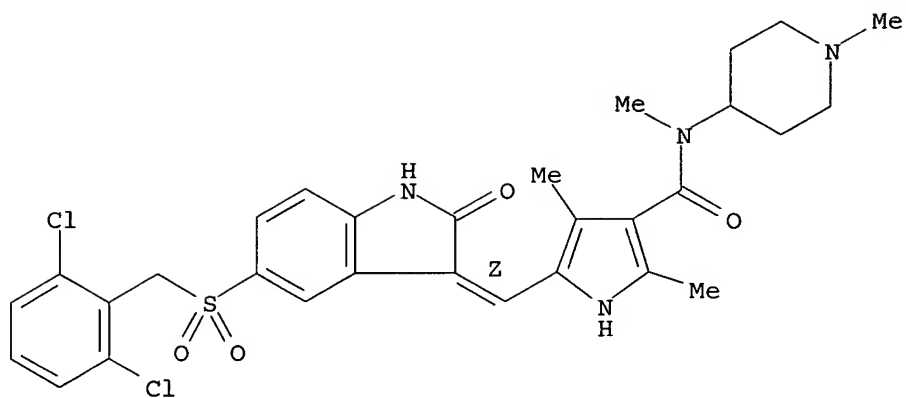
Double bond geometry as shown.



RN 477575-16-9 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N,2,4-trimethyl-N-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

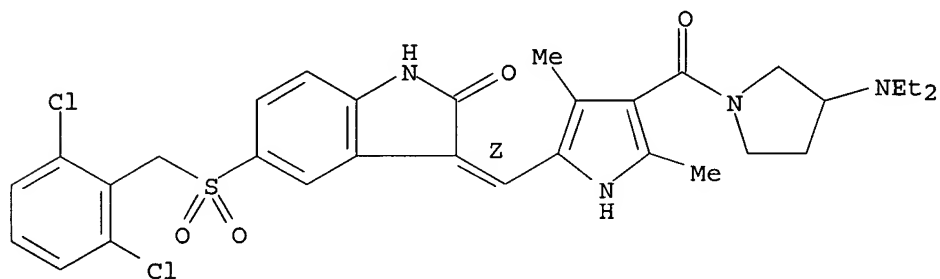
Double bond geometry as shown.



RN 477575-17-0 HCAPLUS

CN 3-Pyrrolidinamine, 1-[[5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-N,N-diethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

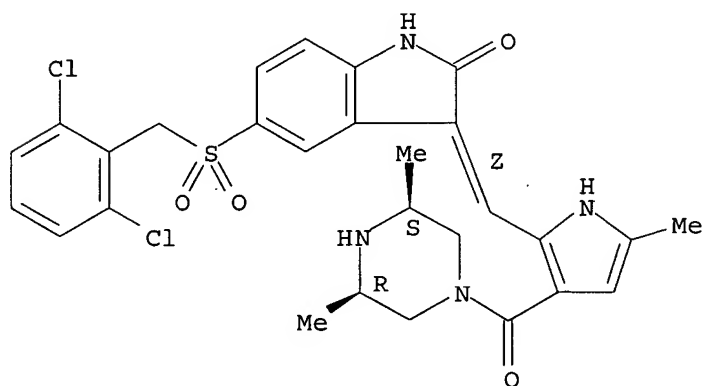


RN 477575-18-1 HCAPLUS

CN Piperazine, 1-[[2-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-5-methyl-1H-pyrrol-3-yl]carbonyl]-3,5-dimethyl-, (3R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

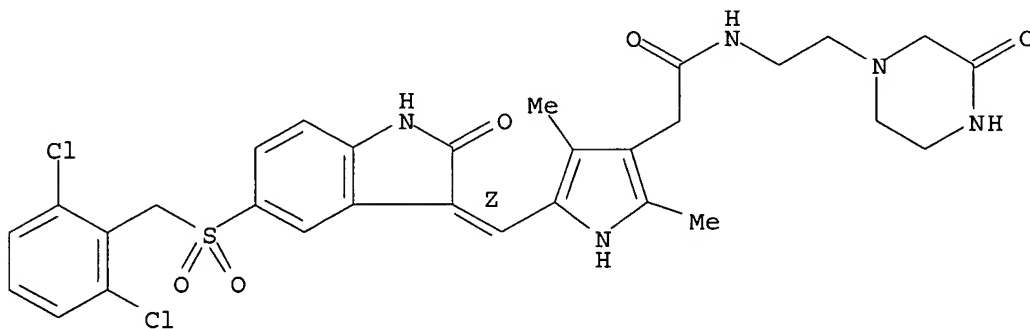
Double bond geometry as shown.



RN 477575-22-7 HCAPLUS

CN 1H-Pyrrole-3-acetamide, 5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[2-(3-oxo-1-piperazinyl)ethyl]- (9CI) (CA INDEX NAME)

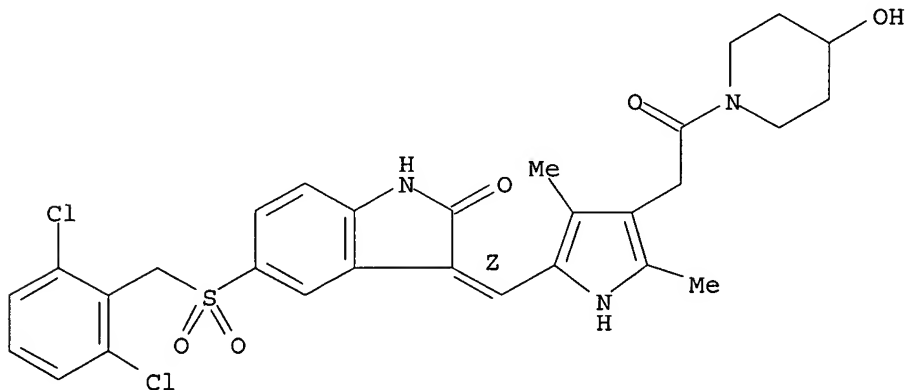
Double bond geometry as shown.



RN 477575-23-8 HCAPLUS

CN 4-Piperidinol, 1-[[[5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]- (9CI) (CA INDEX NAME)

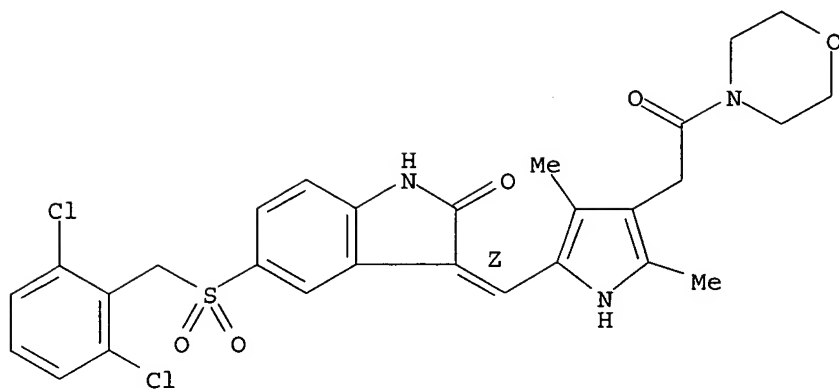
Double bond geometry as shown.



RN 477575-24-9 HCAPLUS

CN Morpholine, 4-[[[5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]- (9CI) (CA INDEX NAME)

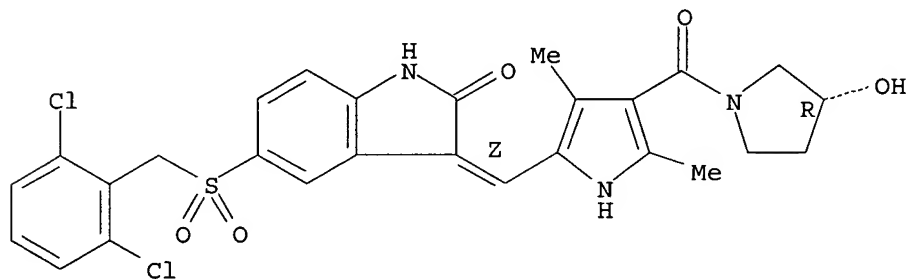
Double bond geometry as shown.



RN 477575-25-0 HCAPLUS

CN 3-Pyrrolidinol, 1-[[5-[(Z)-[5-[[2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene)methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (3R)- (9CI) (CA INDEX NAME)

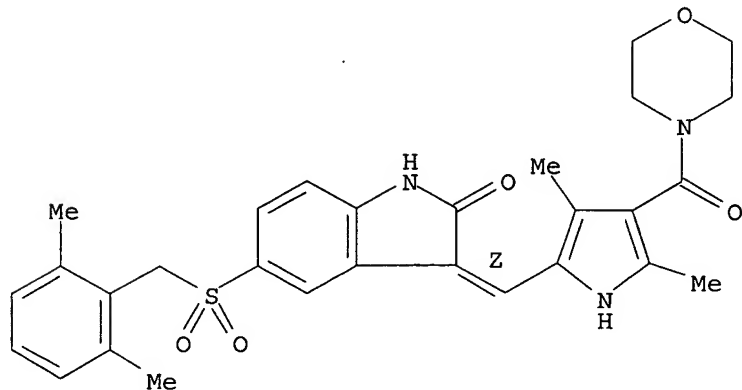
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477575-26-1 HCAPLUS

CN Morpholine, 4-[[5-[(Z)-[5-[[2,6-dimethylphenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene)methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

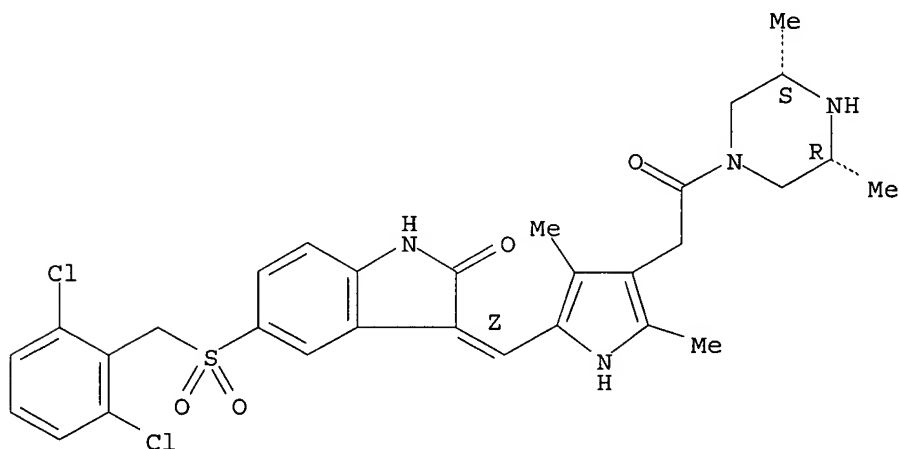
Double bond geometry as shown.



RN 477575-27-2 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-3,5-dimethyl-, (3R,5S)-rel- (9CI) (CA INDEX NAME)

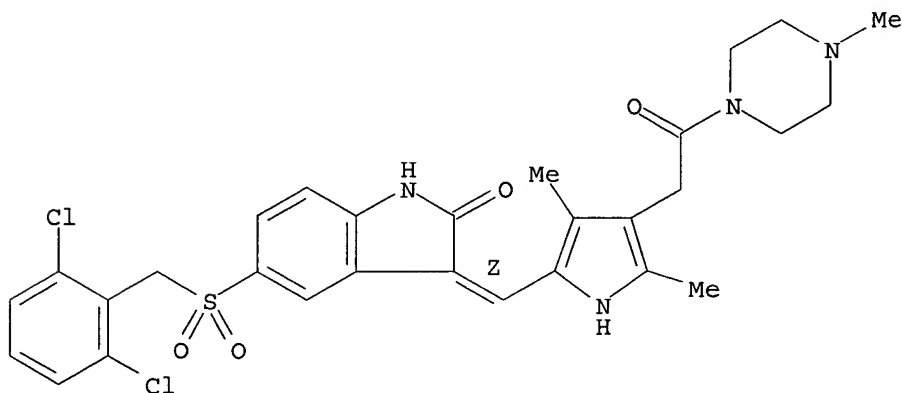
Relative stereochemistry.  
Double bond geometry as shown.



RN 477575-29-4 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-4-methyl- (9CI) (CA INDEX NAME)

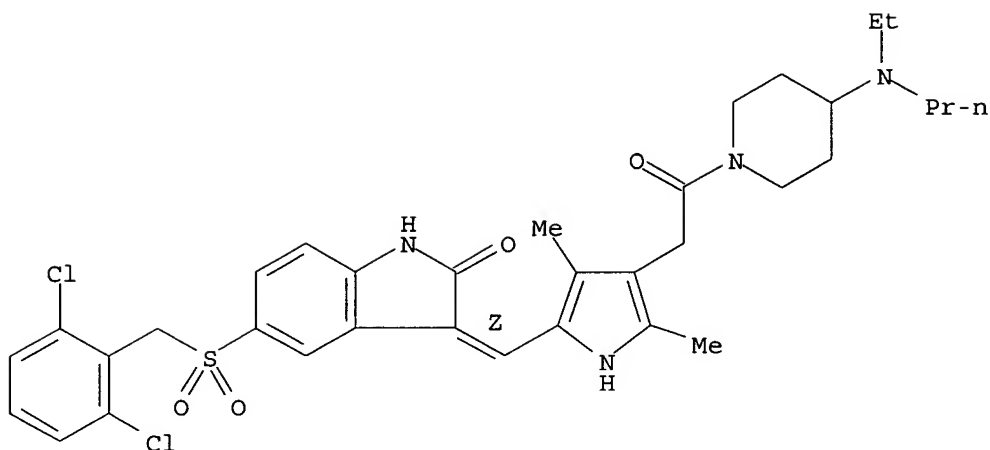
Double bond geometry as shown.



RN 477575-30-7 HCAPLUS

CN 4-Piperidinamine, 1-[[5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-N-ethyl-N-propyl- (9CI) (CA INDEX NAME)

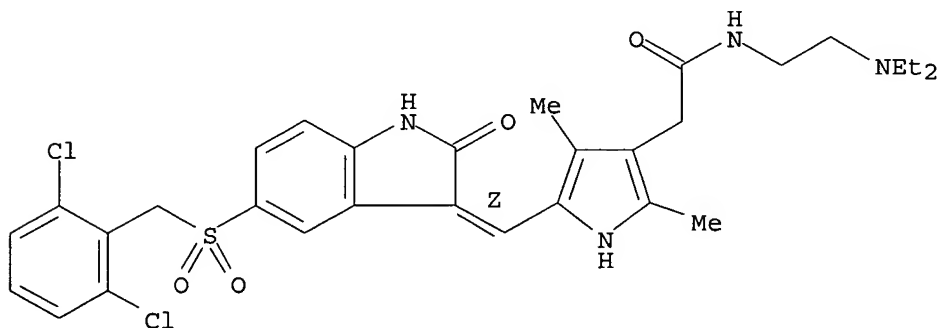
Double bond geometry as shown.



RN 477575-31-8 HCAPLUS

CN 1H-Pyrrole-3-acetamide, 5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(diethylamino)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

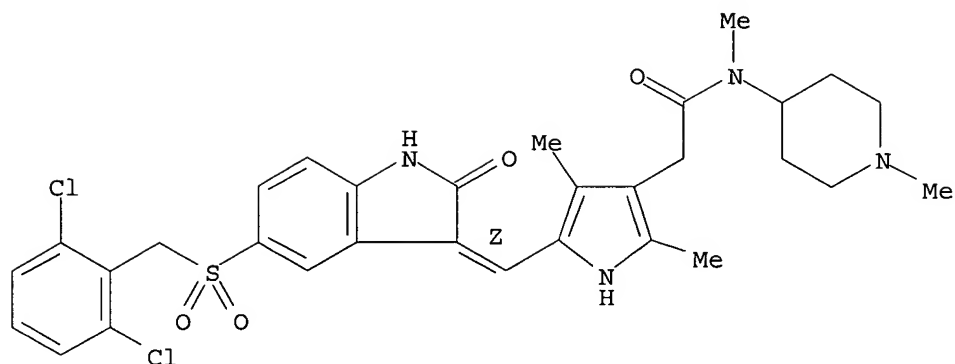
Double bond geometry as shown.



RN 477575-32-9 HCAPLUS

CN 1H-Pyrrole-3-acetamide, 5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N,2,4-trimethyl-N-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

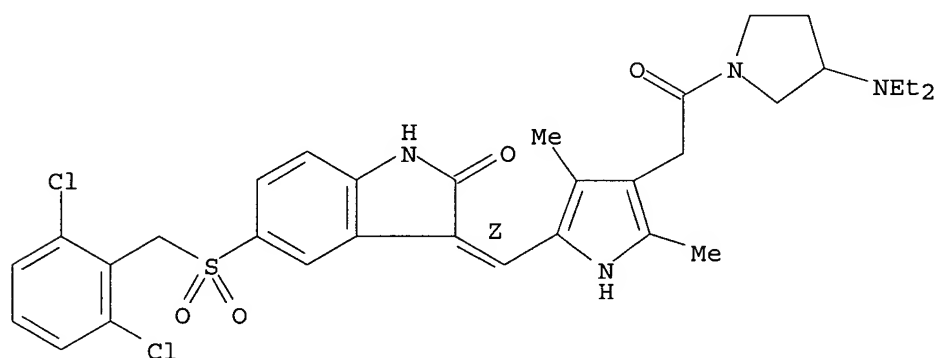
Double bond geometry as shown.



RN 477575-33-0 HCAPLUS

CN 3-Pyrrolidinamine, 1-[[5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-N,N-diethyl- (9CI) (CA INDEX NAME)

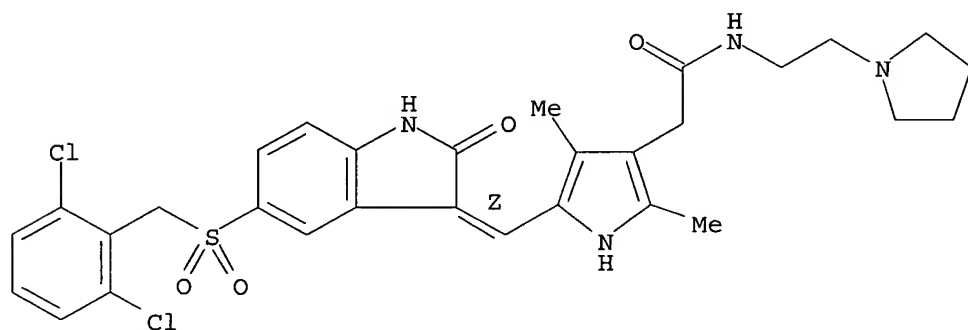
Double bond geometry as shown.



RN 477575-34-1 HCAPLUS

CN 1H-Pyrrole-3-acetamide, 5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT 477575-35-2P, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-



dimethyl-4-((S)-2-[(morpholin-4-yl)methyl]pyrrolidin-1-ylcarbonyl)-1H-pyrrol-2-yl)meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477575-36-3P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[2-[(S)-2-[(ethylpropylamino)methyl]pyrrolidin-1-yl]-2-oxoethyl]-3,5-dimethyl-1H-pyrrol-2-yl)meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477575-37-4P**, 2-[5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-yl]-N-(2-hydroxy-3-(morpholin-4-yl)propyl)acetamide **477575-38-5P**, 2-[5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-yl]-N-(2-hydroxy-3-[1,2,3]triazol-1-ylpropyl)acetamide **477575-39-6P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(R)-2-methoxymethylpyrrolidin-1-yl]carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl)meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477575-40-9P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(S)-2-methoxymethylpyrrolidin-1-yl]carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl)meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477575-41-0P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(R)-2-hydroxymethylpyrrolidin-1-yl]carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl)meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477575-42-1P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(S)-2-hydroxymethylpyrrolidin-1-yl]carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl)meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477575-43-2P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(S)-2-[(4-hydroxypiperidin-1-yl)methyl]pyrrolidin-1-ylcarbonyl]-3,5-dimethyl-1H-pyrrol-2-yl)meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477575-45-4P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(4-hydroxypiperidin-1-yl)methyl]-3,5-dimethyl-1H-pyrrol-2-yl)meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477575-46-5P**, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-methoxyethyl)amide **477575-47-6P**, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (3-methoxypropyl)amide **477575-48-7P**, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid [2-(2-hydroxyethoxy)ethyl]amide **477575-49-8P**, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-hydroxy-1-hydroxymethyl-1-methylethyl)amide **477575-50-1P**, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid [2-hydroxy-1,1-bis(hydroxymethyl)ethyl]amide **477575-51-2P**, 5-(2,6-Dimethylphenylmethanesulfonyl)-3-[1-[4-[(3R,5S)-3,5-dimethylpiperazin-1-yl]carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl)meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477575-52-3P**, 5-(2,6-Dimethylphenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(S)-2-pyrrolidin-1-ylmethylpyrrolidin-1-yl]carbonyl]-1H-pyrrol-2-yl)meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477575-53-4P**, 5-(2,6-Dimethylphenylmethanesulfonyl)-3-[1-[4-[(4-hydroxypiperidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl)meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477575-54-5P**, 5-(2,6-Dimethylphenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-(4-(pyrrolidin-1-yl)piperidin-1-ylcarbonyl)-1H-pyrrol-2-yl)meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477575-55-6P**, 3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl)meth-(Z)-ylidene]-5-(2,6-dimethylphenylmethanesulfonyl)-1,3-dihydroindol-2-one **477575-56-7P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(R)-2-pyrrolidin-1-ylmethylpyrrolidin-1-yl]carbonyl]-1H-pyrrol-2-yl)meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477575-57-8P**, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-

ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid  
 (2-(morpholin-4-yl)ethyl)amide **477575-58-9P**,  
 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid  
 (3-(morpholin-4-yl)propyl)amide **477575-59-0P**,  
 3-[1-[4-[(S)-2-((Cyclopropylamino)methyl)pyrrolidin-1-yl]carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477575-62-5P**,  
 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-(4-(morpholin-4-yl)piperidin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477575-63-6P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[2-(4-(morpholin-4-yl)piperidin-1-yl)-2-oxoethyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477575-64-7P**, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-ethylsulfanylethyl)amide **477575-65-8P**, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2,2,2-trifluoroethyl)amide **477575-67-0P**,  
 3-[1-[4-[(S)-2-[(Cyclopropylmethyl)amino]methyl]pyrrolidin-1-yl]carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477575-69-2P**,  
 5-(2,3-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(3R,5S)-3,5-dimethylpiperazin-1-yl]carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477575-70-5P**,  
 5-(2,3-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(S)-2-pyrrolidin-1-ylmethylpyrrolidin-1-yl]carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477575-71-6P**,  
 5-(2,3-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(4-hydroxypiperidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477575-72-7P**, 5-(2,3-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-(4-(pyrrolidin-1-yl)piperidin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477575-73-8P**, 5-(2,3-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477575-74-9P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(R)-3-hydroxypyrrolidin-1-yl]methyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477575-75-0P**,  
 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(3-hydroxypiperidin-1-yl)methyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477575-77-2P**, 3-[1-[4-[(S)-2-[(Cyclopropylamino)methyl]pyrrolidin-1-yl]carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-phenylmethanesulfonyl-1,3-dihydroindol-2-one **477575-79-4P**, 3-[1-[4-[(S)-2-[(Cyclopropylamino)methyl]pyrrolidin-1-yl]carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-difluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477575-80-7P**,  
 5-(3,5-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(4-hydroxypiperidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477575-82-9P**, 5-(2,5-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(3R,5S)-3,5-dimethylpiperazin-1-yl]carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477575-85-2P**, 5-(2,5-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-(4-(pyrrolidin-1-yl)piperidin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477575-86-3P**, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-(pyridin-2-yl)ethyl)amide **477575-88-5P**, 3-[1-[3,5-Dimethyl-4-(2-(piperidin-1-yl)acetyl)-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-phenylmethanesulfonyl-1,3-dihydroindol-2-

one **477575-89-6P**, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-(pyridin-3-yl)ethyl)amide **477575-90-9P**, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-(pyridin-4-yl)ethyl)amide **477575-91-0P**, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid [(tetrahydrofuran-2-yl)methyl]amide **477575-92-1P**, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (cyclopropylmethyl)amide **477575-93-2P**, 3-[1-[3,5-Dimethyl-4-[2-oxo-2-((S)-2-pyrrolidin-1-ylmethylpyrrolidin-1-yl)ethyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-phenylmethanesulfonyl-1,3-dihydroindol-2-one **477575-95-4P**, 3-[1-[3,5-Dimethyl-4-[2-(4-methylpiperazin-1-yl)-2-oxoethyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-phenylmethanesulfonyl-1,3-dihydroindol-2-one **477575-97-6P**, 3-[1-[4-[2-((3R,5S)-3,5-Dimethylpiperazin-1-yl)-2-oxoethyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-phenylmethanesulfonyl-1,3-dihydroindol-2-one **477575-99-8P**, 3-[1-[3,5-Dimethyl-4-(2-(morpholin-4-yl)-2-oxoethyl)-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-phenylmethanesulfonyl-1,3-dihydroindol-2-one **477576-01-5P**, 3-[1-[4-[2-(4-Hydroxypiperidin-1-yl)-2-oxoethyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-phenylmethanesulfonyl-1,3-dihydroindol-2-one **477576-03-7P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(thiomorpholin-4-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477576-04-8P**, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-fluoroethyl)amide **477576-05-9P**, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (3-(imidazol-1-yl)propyl)amide **477576-06-0P**, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid methylamide **477576-07-1P**, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid amide **477576-08-2P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(1,1-dioxo- $\lambda$ 6-thiomorpholin-4-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477576-09-3P**, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid [2-(4-acetylpiperazin-1-yl)ethyl]amide **477576-10-6P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(3R,5S)-3,5-dimethylpiperazin-1-yl)methyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477576-12-8P**, 5-(2,5-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(4-hydroxypiperidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477576-14-0P**, 5-(2,5-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(S)-2-pyrrolidin-1-ylmethylpyrrolidin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477576-15-1P**, 5-(2,5-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477576-16-2P**, 5-(3,5-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(3R,5S)-3,5-dimethylpiperazin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477576-17-3P**, 5-(3,5-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-(4-(pyrrolidin-1-yl)piperidin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477576-18-4P**, 5-(3,5-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(S)-2-pyrrolidin-1-

ylmethylpyrrolidin-1-yl) carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477576-19-5P**, 5-(3,5-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(4-methylpiperazin-1-yl) carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477576-20-8P**, 3-[1-[4-[(4-Cyclopropylmethylpiperazin-1-yl) methyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477576-22-0P**, 3-[1-[4-[2-((S)-2-[(Cyclopropylamino)methyl]pyrrolidin-1-yl)-2-oxoethyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477576-23-1P**, 3-[1-[4-[(4-Acetyl piperazin-1-yl) methyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477576-24-2P**, 4-[5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-ylmethyl]piperazine-1-carboxaldehyde **477576-25-3P**, 3-[1-[4-[(Cyclopropyl)methylamino]methyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477576-26-4P**, 3-[1-[4-[(4-Cyclopropylpiperazin-1-yl) methyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477576-28-6P**, 3-[1-[4-[2-((2R,4R)-2-[(Cyclopropylamino)methyl]-4-hydroxypyrrolidin-1-yl)-2-oxoethyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477576-29-7P**, 3-[1-[4-[2-((2R,3S)-2-[(Cyclopropylamino)methyl]-3-hydroxypyrrolidin-1-yl)-2-oxoethyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477576-34-4P**, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid [2-(3-acetylaminopyrrolidin-1-yl)ethyl]amide **477576-38-8P**, 2-[5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-yl]-N-[2-[4-(2-hydroxyacetyl)piperazin-1-yl]ethyl]acetamide **477576-40-2P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[2-((S)-2-[(R)-3-hydroxypyrrolidin-1-yl)methyl]pyrrolidin-1-yl)-2-oxoethyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477576-42-4P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[2-oxo-2-((S)-3-pyrrolidin-1-ylmethylpiperidin-1-yl)ethyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477576-44-6P**, 2-[5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-yl]-N-[2-(2,2,2-trifluoroethylamino)ethyl]acetamide **477576-45-7P**, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid [2-(2,2,2-trifluoroethylamino)ethyl]amide **477576-47-9P**, 3-[1-[4-[(R)-2-[(Cyclopropylmethyl)amino]methyl]pyrrolidin-1-ylcarbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477576-48-0P**, (2S,4R)-1-[5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-ylcarbonyl]-4-hydroxypyrrolidine-2-carboxylic acid cyclopropylamide **477576-50-4P**, (2S,4R)-1-[2-[5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-4-hydroxypyrrolidine-2-carboxylic acid cyclopropylamide **477576-51-5P**, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-hydroxy-3-(pyrrolidin-1-yl)propyl)amide **477576-52-6P**, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (3-cyclopropylamino-2-hydroxypropyl)amide **477576-54-8P**,

3-[1-[4-[(4-Cyclopropylpiperazin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477576-55-9P**, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid cyclopropylamide **477576-56-0P**, N-[2-(3-Acetylaminopyrrolidin-1-yl)ethyl]-2-[5-(2,6-dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetamide **477576-57-1P**, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid [2-[4-(2-hydroxyacetyl)piperazin-1-yl]ethyl]amide **477576-61-7P**, 2-[5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-yl]-N-(2-hydroxy-3-(pyrrolidin-1-yl)propyl)acetamide **477576-62-8P**, N-(3-Cyclopropylamino-2-hydroxypropyl)-2-[5-[5-(2,6-dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetamide **477576-63-9P**, 3-[1-[4-[2-(4-Cyclopropylpiperazin-1-yl)-2-oxoethyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477576-64-0P**, 3-[1-[4-[(4-Cyclopropylmethylpiperazin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477576-65-1P**, 3-[1-[4-[2-(4-Cyclopropylmethylpiperazin-1-yl)-2-oxoethyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477576-66-2P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(S)-3-pyrrolidin-1-ylmethylpiperidin-1-yl]carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477576-67-3P**, 3-[1-[4-[(S)-2-[[[(Cyclopropyl)methylamino]methyl]pyrrolidin-1-yl]carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477576-71-9P**, 3-[1-[4-[2-((2S,4R)-2-Cyclopropylaminomethyl-4-hydroxypyrrolidin-1-yl)-2-oxoethyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477576-73-1P**, 3-[1-[4-[(2R,4R)-2-Cyclopropylaminomethyl-4-hydroxypyrrolidin-1-yl]carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477576-74-2P**, 3-[1-[4-[(2R,3S)-2-Cyclopropylaminomethyl-3-hydroxypyrrolidin-1-yl]carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477576-75-3P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(S)-2-[(R)-3-hydroxypyrrolidin-1-yl]methyl]pyrrolidin-1-yl]carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477576-76-4P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(R)-2-[(R)-3-hydroxypyrrolidin-1-yl]methyl]pyrrolidin-1-yl]carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477576-78-6P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[2-((R)-3-hydroxypyrrolidin-1-yl)-2-oxoethyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477576-79-7P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[2-[(R)-2-[(R)-3-hydroxypyrrolidin-1-yl]methyl]pyrrolidin-1-yl]-2-oxoethyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477576-81-1P**, (R)-1-[5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]piperidine-3-carboxylic acid cyclopropylamide **477576-83-3P**, (R)-1-[2-[5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]piperidine-3-carboxylic acid cyclopropylamide **477576-84-4P**, 3-[1-[4-[(S)-2-[[[(Cyclopropyl)methylamino]methyl]pyrrolidin-1-yl]carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-phenylmethanesulfonyl]-1,3-dihydroindol-2-

one **477576-85-5P**, 3-[1-[4-[2-((S)-3-[(Cyclopropylamino)methyl]piperidin-1-yl)-2-oxoethyl]-3,5-dimethyl-1H-pyrrol-2-yl)meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477576-87-7P**, 3-[1-[4-[(S)-3-[(Cyclopropylamino)methyl]piperidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl)meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477576-88-8P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[2-[(S)-2-[(R)-3-fluoropyrrolidin-1-yl)methyl]pyrrolidin-1-yl]-2-oxoethyl]-3,5-dimethyl-1H-pyrrol-2-yl)meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477576-89-9P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(S)-2-[(4-fluoropiperidin-1-yl)methyl]pyrrolidin-1-ylcarbonyl]-3,5-dimethyl-1H-pyrrol-2-yl)meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477576-91-3P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[2-[(S)-2-[(4-fluoropiperidin-1-yl)methyl]pyrrolidin-1-yl]-2-oxoethyl]-3,5-dimethyl-1H-pyrrol-2-yl)meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477576-92-4P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(R)-2-[(R)-3-fluoropyrrolidin-1-yl)methyl]pyrrolidin-1-ylcarbonyl]-3,5-dimethyl-1H-pyrrol-2-yl)meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477576-94-6P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[2-[(R)-2-[(R)-3-fluoropyrrolidin-1-yl)methyl]pyrrolidin-1-yl]-2-oxoethyl]-3,5-dimethyl-1H-pyrrol-2-yl)meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477576-95-7P**, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid [2-(4-fluoropiperidin-1-yl)ethyl]amide **477576-98-0P**, 2-[5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-yl]-N-[2-(4-fluoropiperidin-1-yl)ethyl]acetamide **477576-99-1P**, 3-[1-[4-[(2S,4R)-2-Cyclopropylaminomethyl-4-hydroxypyrrolidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl)meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477577-01-8P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(R)-2-[(4-fluoropiperidin-1-yl)methyl]pyrrolidin-1-ylcarbonyl]-3,5-dimethyl-1H-pyrrol-2-yl)meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477577-06-3P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[2-[(R)-2-[(4-fluoropiperidin-1-yl)methyl]pyrrolidin-1-yl]-2-oxoethyl]-3,5-dimethyl-1H-pyrrol-2-yl)meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477577-07-4P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(S)-2-[(3-fluoropiperidin-1-yl)methyl]pyrrolidin-1-ylcarbonyl]-3,5-dimethyl-1H-pyrrol-2-yl)meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477577-09-6P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[2-[(S)-2-[(3-fluoropiperidin-1-yl)methyl]pyrrolidin-1-yl]-2-oxoethyl]-3,5-dimethyl-1H-pyrrol-2-yl)meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477577-10-9P**, 3-[1-[4-[2-[(S)-2-[(Cyclopropyl)methylamino)methyl]pyrrolidin-1-yl]-2-oxoethyl]-3,5-dimethyl-1H-pyrrol-2-yl)meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477577-11-0P**, 3-[1-[4-[(R)-2-[(Cyclopropyl)methylamino)methyl]pyrrolidin-1-ylcarbonyl]-3,5-dimethyl-1H-pyrrol-2-yl)meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477577-15-4P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(4-fluoropiperidin-1-yl)methyl]-3,5-dimethyl-1H-pyrrol-2-yl)meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477577-16-5P**, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid [2-(3-fluoropyrrolidin-1-yl)ethyl]amide **477577-17-6P**, 2-[5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-yl]-N-[2-(3-fluoropyrrolidin-1-yl)ethyl]acetamide **477577-20-1P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[3-[(R)-2-[(R)-3-fluoropyrrolidin-1-yl)methyl]pyrrolidin-1-yl]-3-oxopropyl]-3,5-dimethyl-1H-pyrrol-2-yl)meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477577-21-2P**

, 5-(2,6-Difluorophenylmethanesulfonyl)-3-[1-[4-[(R)-2-[(R)-3-fluoropyrrolidin-1-yl)methyl]pyrrolidin-1-ylcarbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one 477577-24-5P\*\*\*, 5-(2,6-Difluorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(R)-2-pyrrolidin-1-ylmethylpyrrolidin-1-yl]carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one \*\*\*477577-25-6P, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[3-oxo-3-((R)-2-pyrrolidin-1-ylmethylpyrrolidin-1-yl)propyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one 477577-26-7P, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid [2-[4-(2-amino-2-methylpropionyl)piperazin-1-yl]ethyl]amide 477577-28-9P, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[3-oxo-3-((S)-3-pyrrolidin-1-ylmethylpiperidin-1-yl)propyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one 477577-29-0P, 5-(2,6-Difluorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(S)-3-pyrrolidin-1-ylmethylpiperidin-1-yl]carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one 477577-30-3P, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-(3-(morpholin-4-yl)-3-oxopropyl)-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one 477577-31-4P, N-[2-(4-Acetylpiperazin-1-yl)ethyl]-2-[5-[5-(2,6-dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetamide 477577-33-6P, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid [2-(4-hydroxypiperidin-1-yl)ethyl]amide 477577-35-8P, 2-[5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-yl]-N-[2-(4-hydroxypiperidin-1-yl)ethyl]acetamide 477577-36-9P, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[3-(4-methylpiperazin-1-yl)-3-oxopropyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one 477577-37-0P, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[3-((3R,5S)-3,5-dimethylpiperazin-1-yl)-3-oxopropyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one 477577-38-1P, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[3-oxo-3-((S)-2-pyrrolidin-1-ylmethylpyrrolidin-1-yl)propyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one 477577-40-5P, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid [(1-methylpiperidin-4-yl)methyl]amide 477577-42-7P, 2-[5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-yl]-N-[(1-methylpiperidin-4-yl)methyl]acetamide 477577-44-9P, 3-[1-[4-[3-((S)-2-[(Cyclopropylamino)methyl]pyrrolidin-1-yl)-3-oxopropyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one 477577-45-0P, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[3-(4-hydroxypiperidin-1-yl)-3-oxopropyl]-3,5-dimethylpyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one 477577-46-1P 477577-47-2P, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[3-[(R)-2-[(R)-3-hydroxypyrrolidin-1-yl)methyl]pyrrolidin-1-yl]-3-oxopropyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one 477577-48-3P, 5-(2,6-Difluorophenylmethanesulfonyl)-3-[1-[4-[(R)-3-hydroxypyrrolidin-1-yl]carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one 477577-49-4P, 3-[1-[4-[(4-Cyclopropylaminopiperidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-difluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

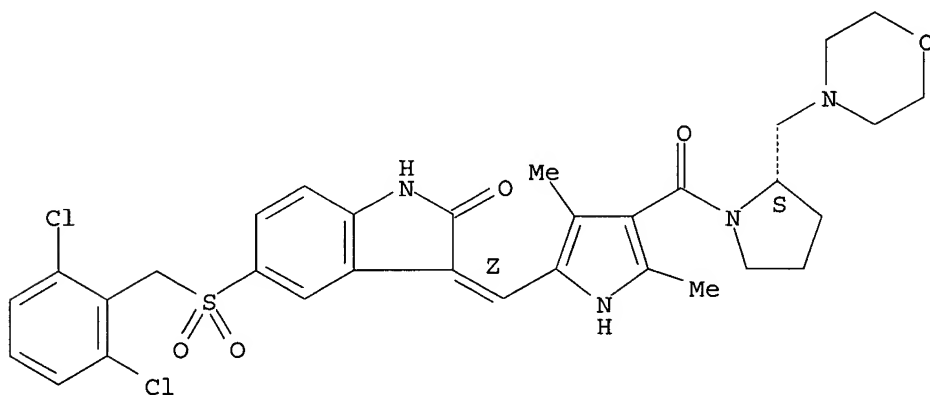
(drug candidate; preparation of aralkylsulfonyl- and pyrrolylmethylidene-substituted indolinones as kinase inhibitors useful against cancers and other disorders)

RN 477575-35-2 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-(4-morpholinylmethyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

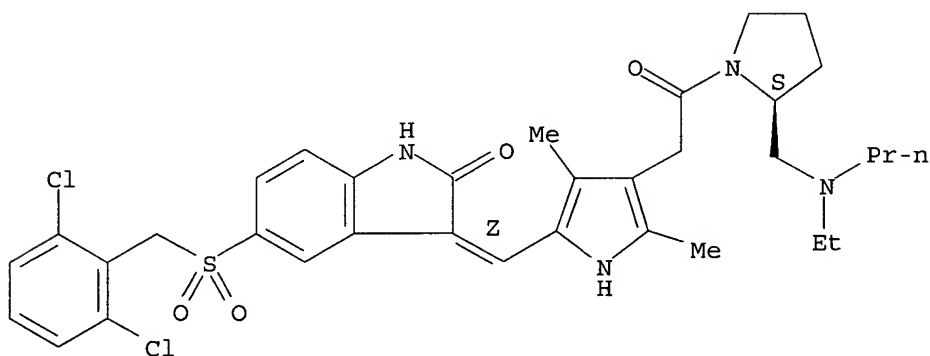


RN 477575-36-3 HCAPLUS

CN 2-Pyrrolidinemethanamine, 1-[[5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-N-ethyl-N-propyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

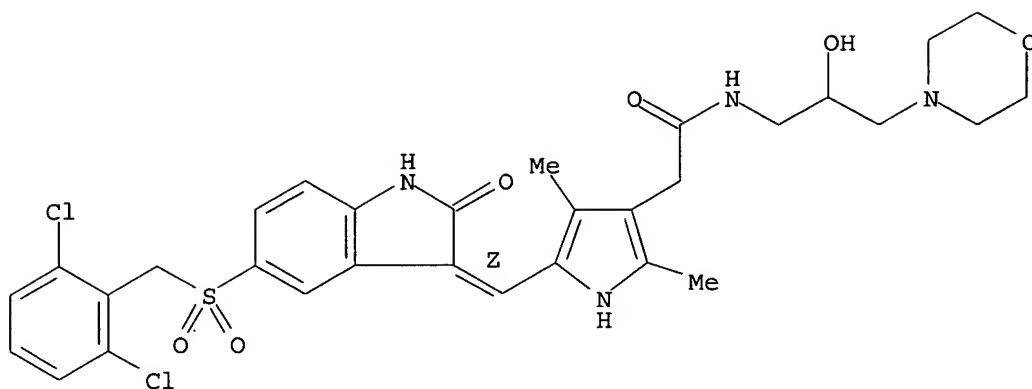


RN 477575-37-4 HCAPLUS

CN 1H-Pyrrole-3-acetamide, 5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-hydroxy-3-(4-morpholinyl)propyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

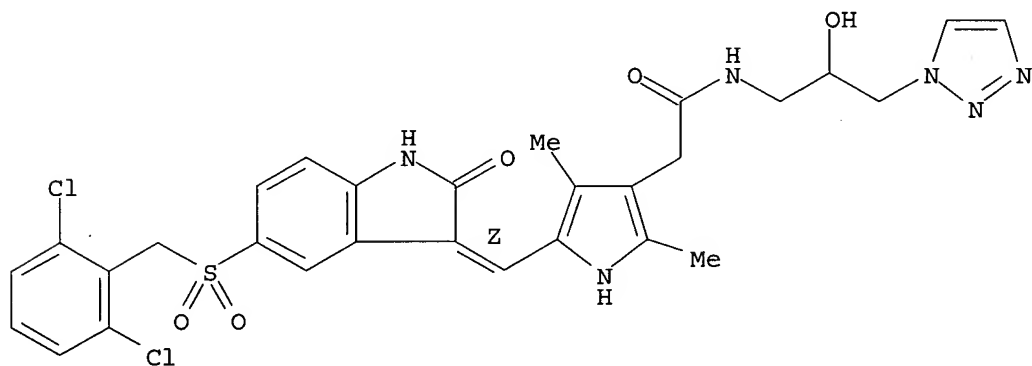




RN 477575-38-5 HCAPLUS

CN 1H-Pyrrole-3-acetamide, 5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-hydroxy-3-(1H-1,2,3-triazol-1-yl)propyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

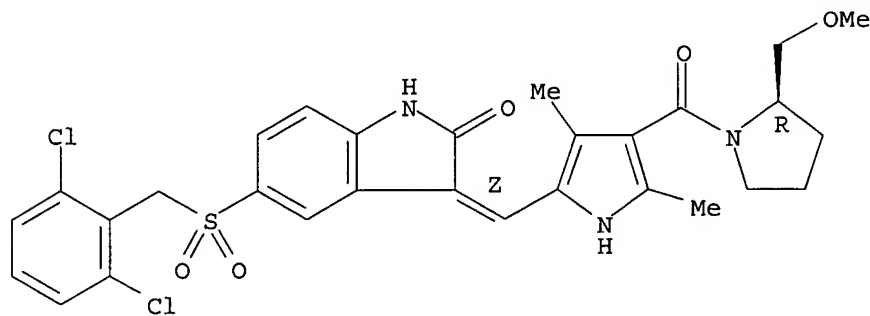


RN 477575-39-6 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-(methoxymethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

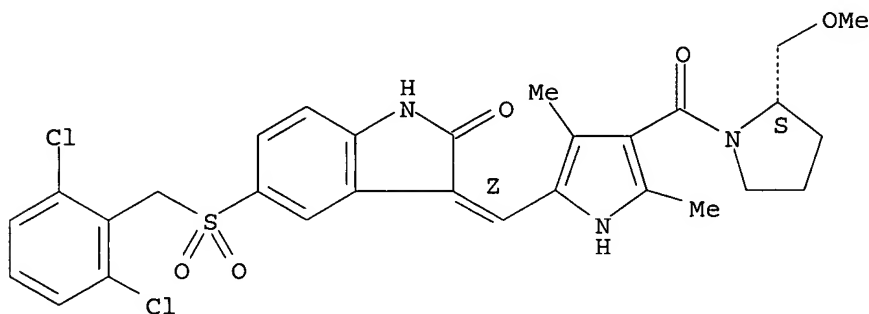
Double bond geometry as shown.



RN 477575-40-9 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-(methoxymethyl)-, (2S)- (9CI) (CA INDEX NAME)

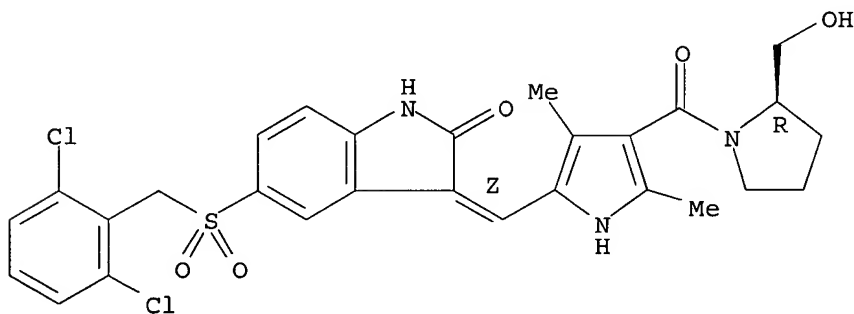
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477575-41-0 HCAPLUS

CN 2-Pyrrolidinemethanol, 1-[[5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (2R)- (9CI) (CA INDEX NAME)

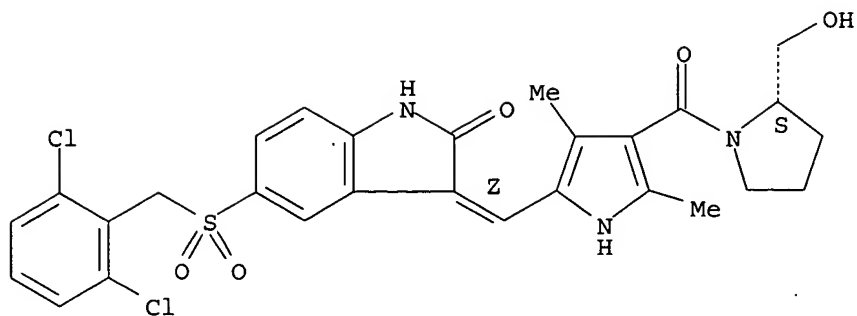
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477575-42-1 HCAPLUS

CN 2-Pyrrolidinemethanol, 1-[[5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (2S)- (9CI) (CA INDEX NAME)

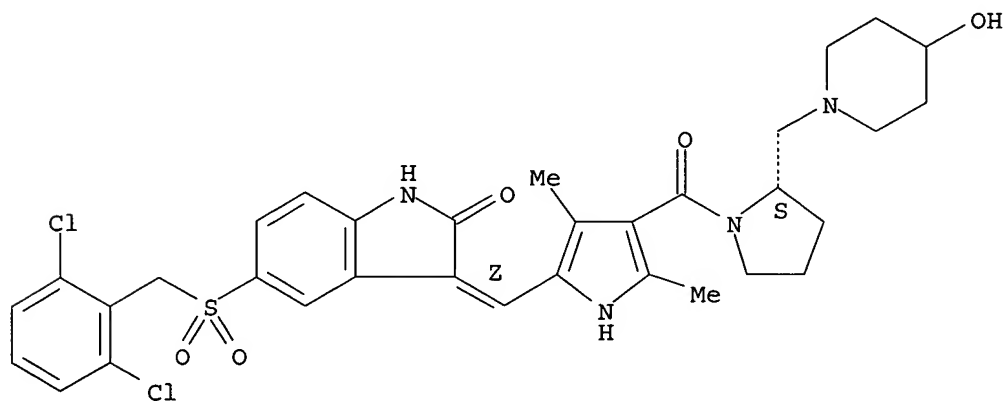
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477575-43-2 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-[(4-hydroxy-1-piperidinyl)methyl]-, (2S)- (9CI) (CA INDEX NAME)

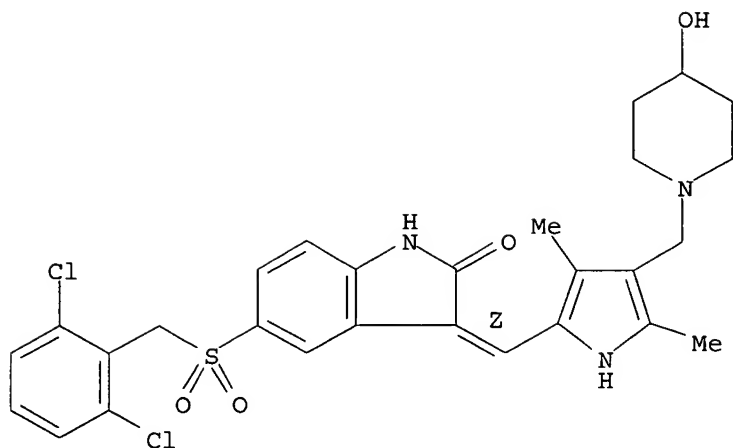
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477575-45-4 HCAPLUS

CN 2H-Indol-2-one, 5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,3-dihydro-3-[[4-[(4-hydroxy-1-piperidinyl)methyl]-3,5-dimethyl-1H-pyrrol-2-yl]methylene]-, (3Z)- (9CI) (CA INDEX NAME)

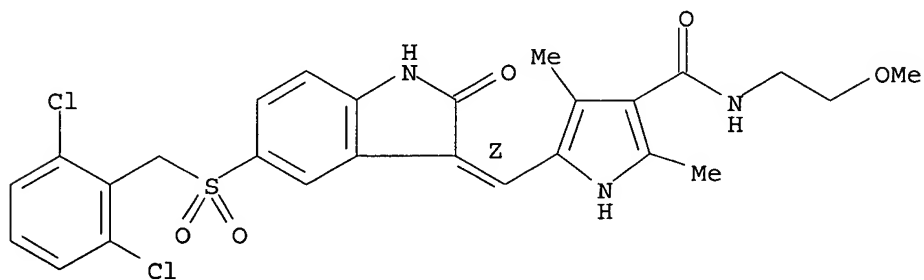
Double bond geometry as shown.



RN 477575-46-5 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-(2-methoxyethyl)-2,4-dimethyl- (9CI) (CA INDEX NAME)

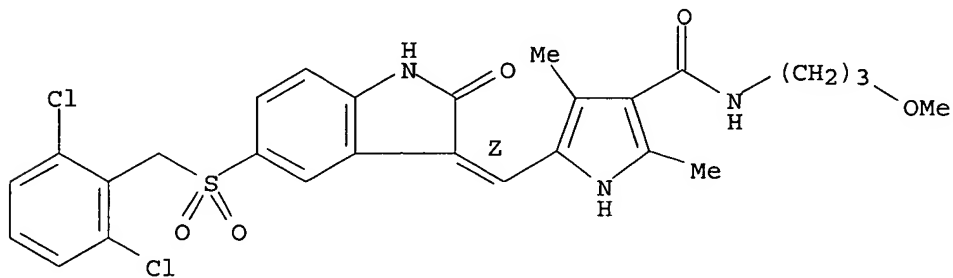
Double bond geometry as shown.



RN 477575-47-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-(3-methoxypropyl)-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



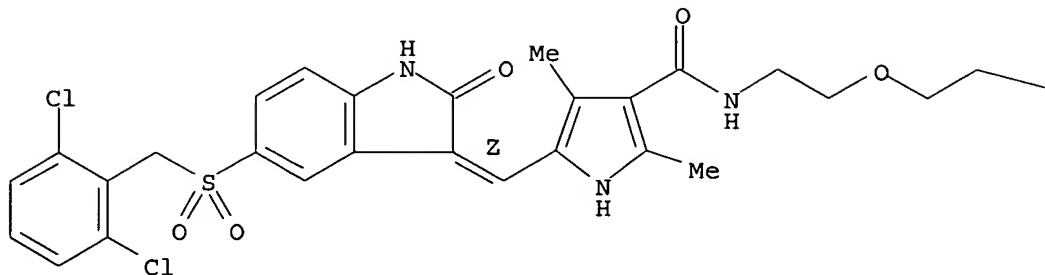
RN 477575-48-7 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(2-hydroxyethoxy)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



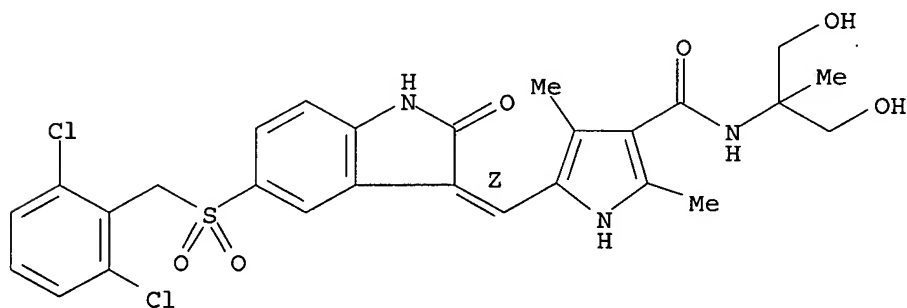
PAGE 1-B

—OH

RN 477575-49-8 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-hydroxy-1-(hydroxymethyl)-1-methylethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

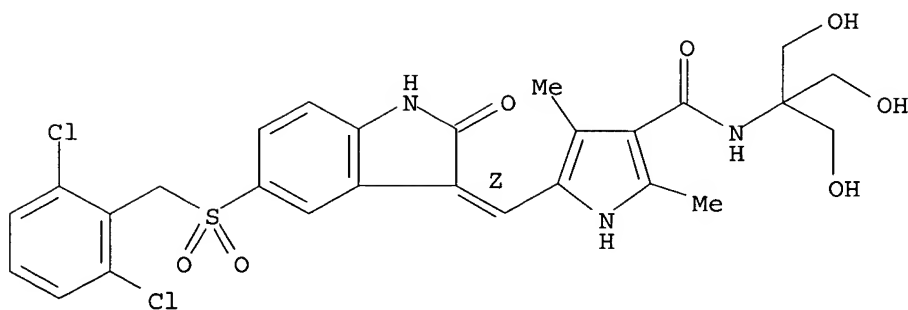
Double bond geometry as shown.



RN 477575-50-1 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-hydroxy-1,1-bis(hydroxymethyl)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

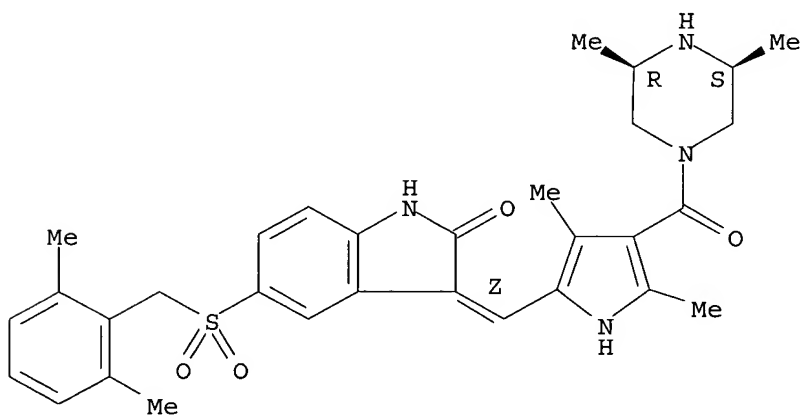
Double bond geometry as shown.



RN 477575-51-2 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[[(2,6-dimethylphenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-3,5-dimethyl-, (3R,5S)- (9CI) (CA INDEX NAME)

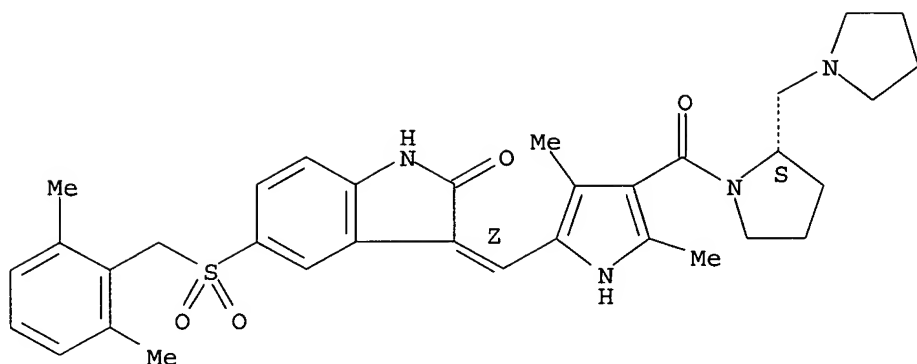
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477575-52-3 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[[(2,6-dimethylphenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-(1-pyrrolidinylmethyl)-, (2S)- (9CI) (CA INDEX NAME)

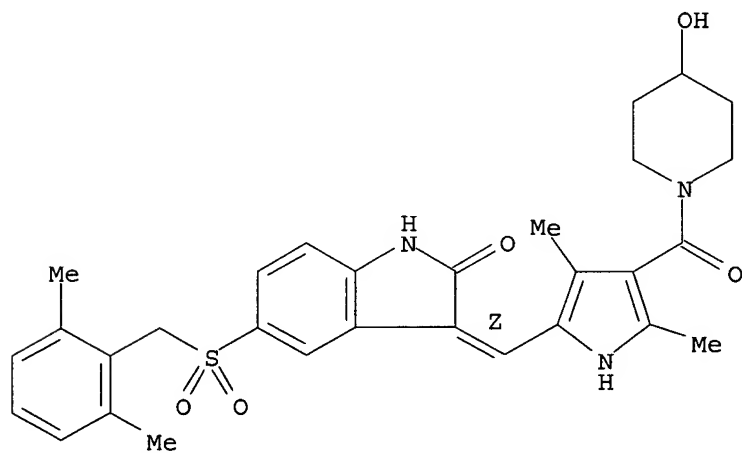
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477575-53-4 HCAPLUS

CN 4-Piperidinol, 1-[[5-[(Z)-[5-[(2,6-dimethylphenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

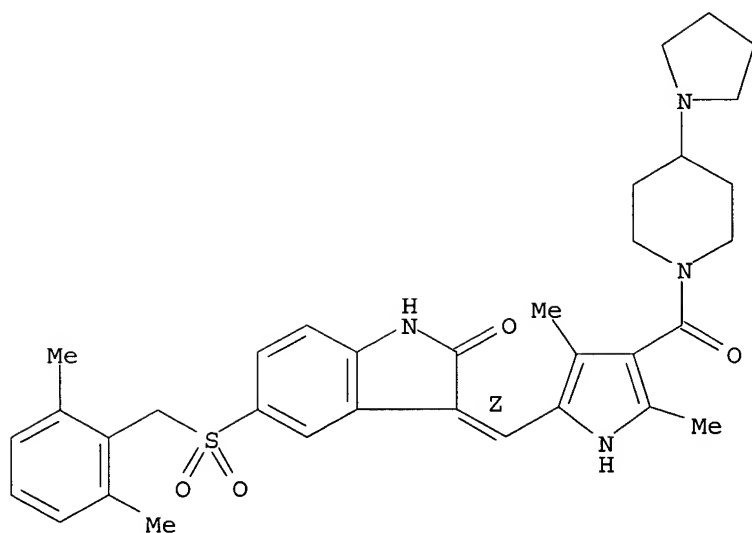
Double bond geometry as shown.



RN 477575-54-5 HCAPLUS

CN Piperidine, 1-[[5-[(Z)-[5-[(2,6-dimethylphenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

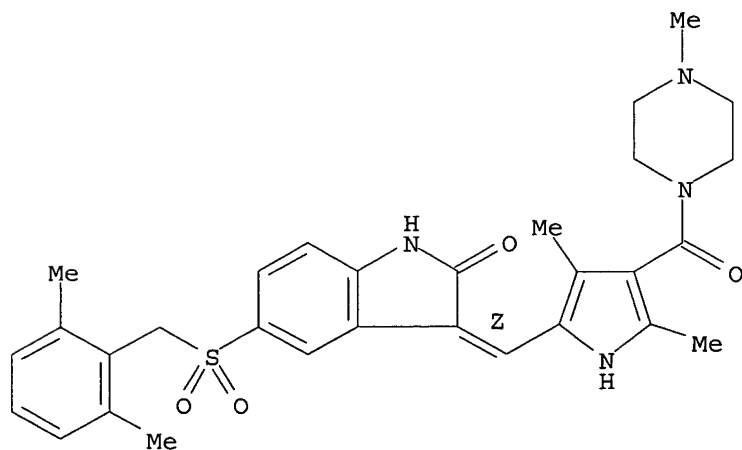
Double bond geometry as shown.



RN 477575-55-6 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[[(2,6-dimethylphenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



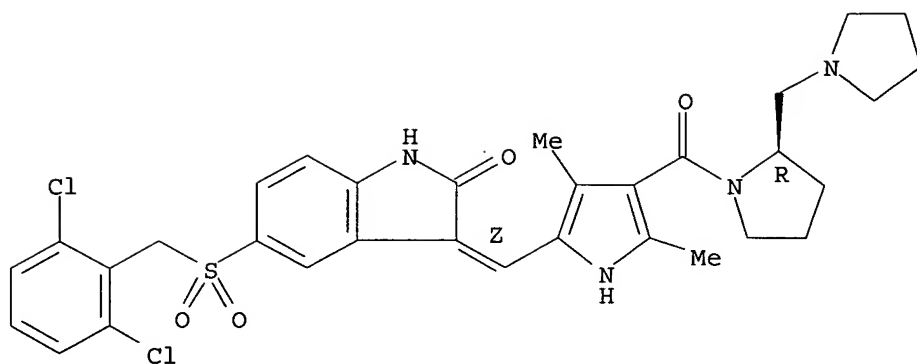
RN 477575-56-7 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-(1-pyrrolidinylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

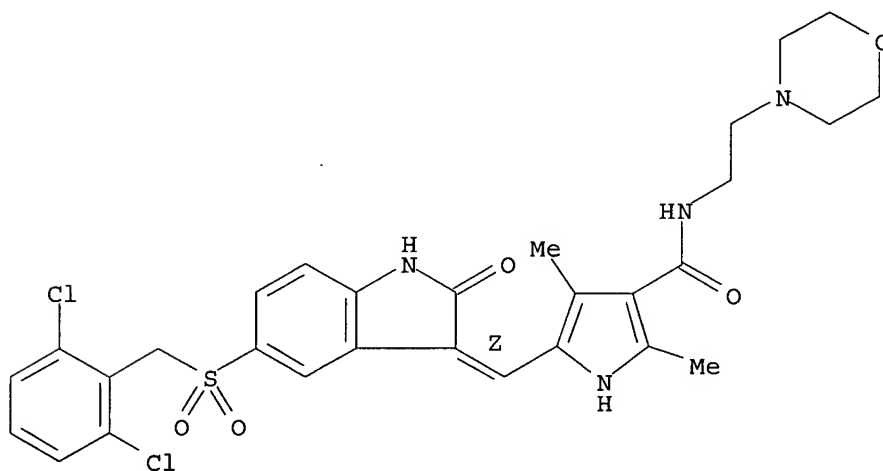




RN 477575-57-8 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

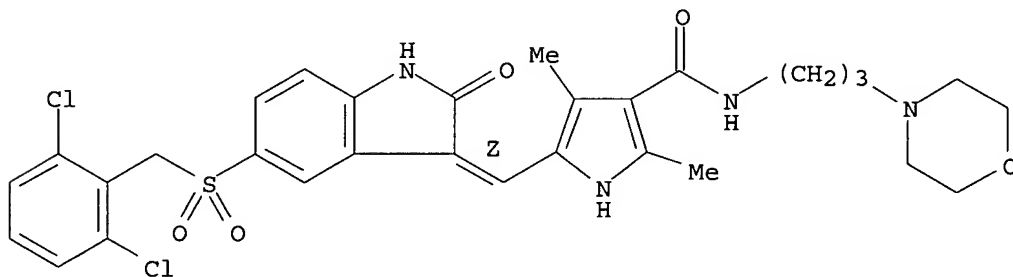
Double bond geometry as shown.



RN 477575-58-9 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[3-(4-morpholinyl)propyl]- (9CI) (CA INDEX NAME)

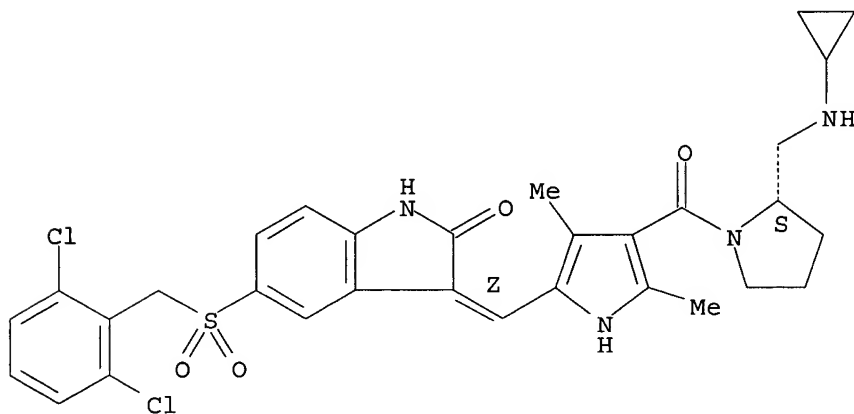
Double bond geometry as shown.



RN 477575-59-0 HCAPLUS

CN 2-Pyrrolidinemethanamine, N-cyclopropyl-1-[[5-[(Z)-[5-[[2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene)methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (2S)- (9CI) (CA INDEX NAME)

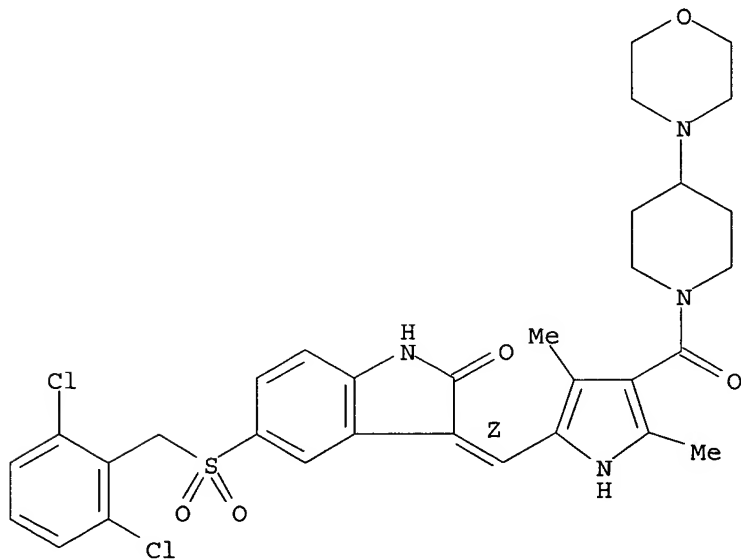
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477575-62-5 HCAPLUS

CN Piperidine, 1-[[5-[(Z)-[5-[[2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene)methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)

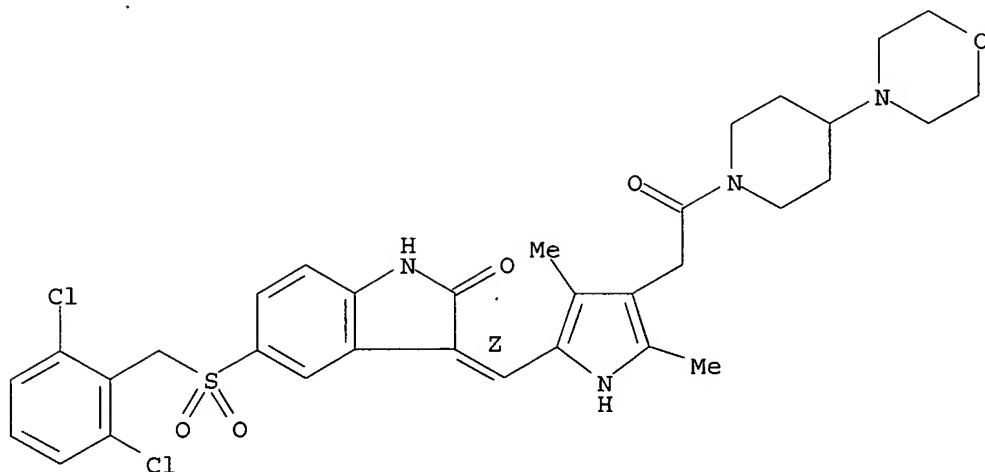
Double bond geometry as shown.



RN 477575-63-6 HCAPLUS

CN Piperidine, 1-[[5-[(Z)-[5-[[2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene)methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)

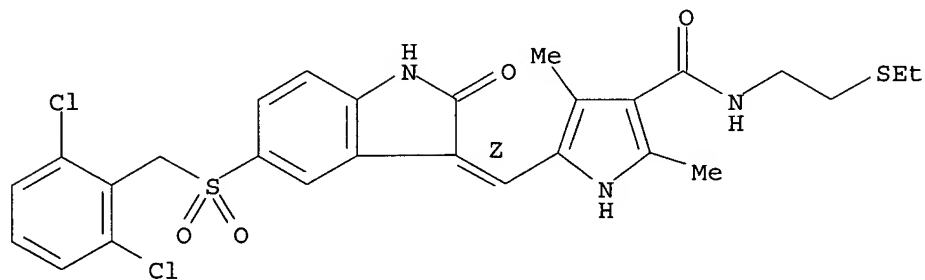
Double bond geometry as shown.



RN 477575-64-7 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(ethylthio)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

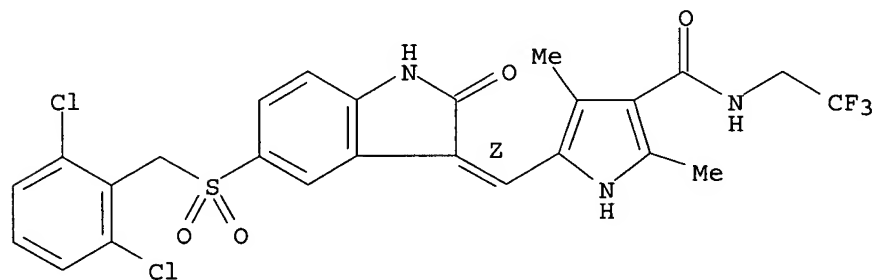
Double bond geometry as shown.



RN 477575-65-8 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-(2,2,2-trifluoroethyl)-2,4-dimethyl- (9CI) (CA INDEX NAME)

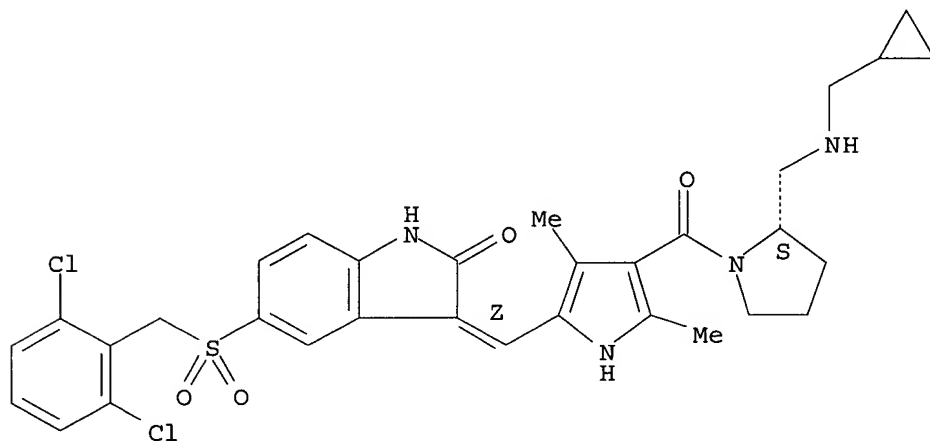
Double bond geometry as shown.



RN 477575-67-0 HCAPLUS

CN 2-Pyrrolidinemethanamine, N-(cyclopropylmethyl)-1-[[5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (2S)- (9CI) (CA INDEX NAME)

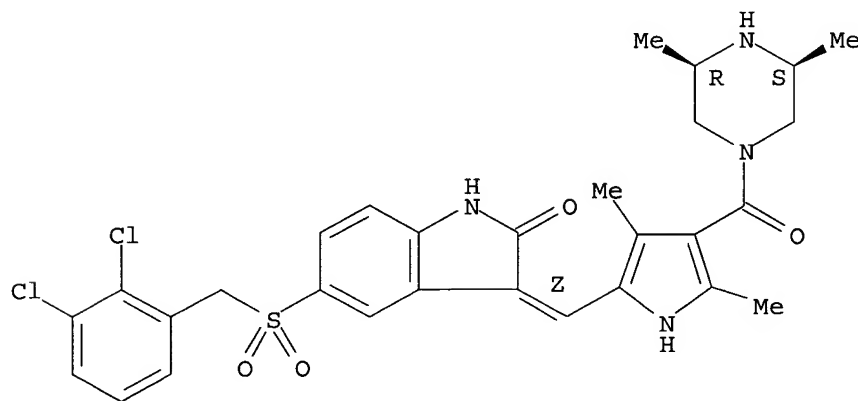
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477575-69-2 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[[(2,3-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-3,5-dimethyl-, (3R,5S)- (9CI) (CA INDEX NAME)

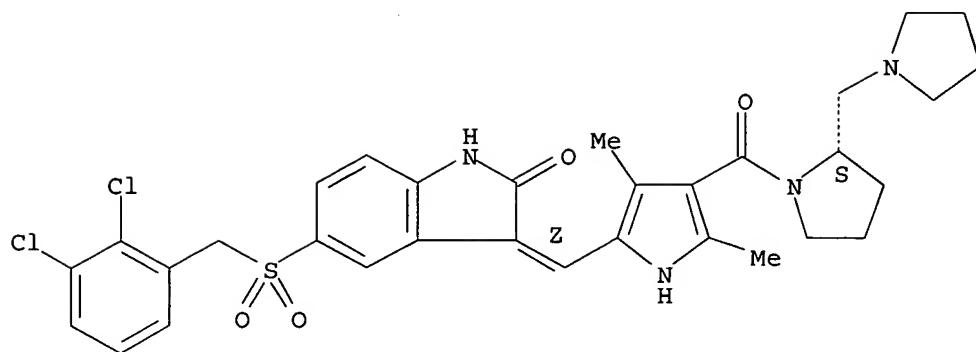
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477575-70-5 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[[(2,3-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-(1-pyrrolidinylmethyl)-, (2S)- (9CI) (CA INDEX NAME)

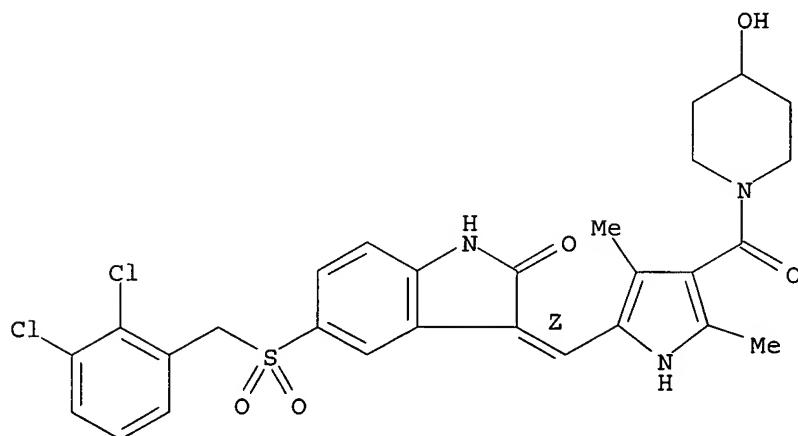
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477575-71-6 HCAPLUS

CN 4-Piperidinol, 1-[[5-[(Z)-[5-[(2,3-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

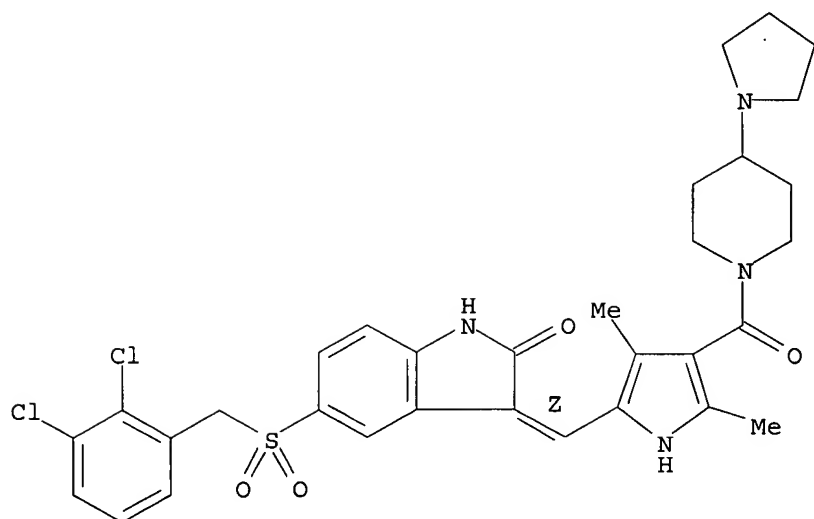
Double bond geometry as shown.



RN 477575-72-7 HCAPLUS

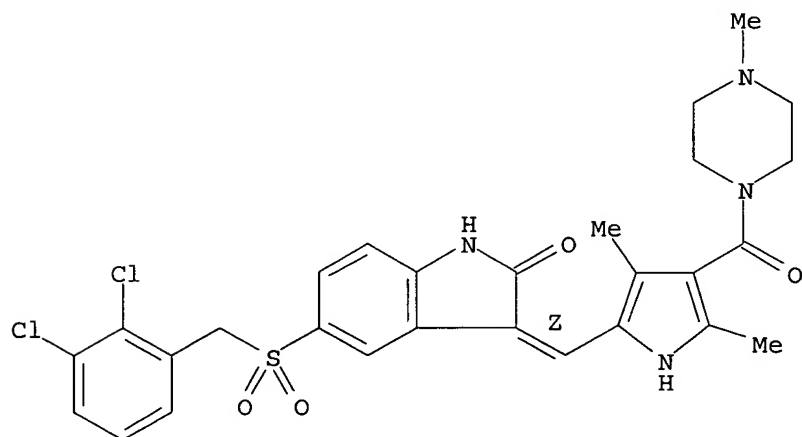
CN Piperidine, 1-[[5-[(Z)-[5-[(2,3-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



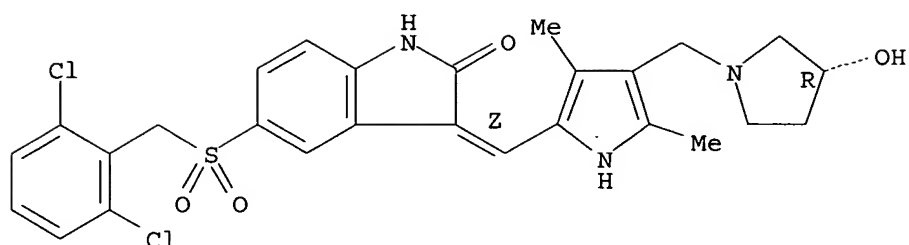
RN 477575-73-8 HCAPLUS  
 CN Piperazine, 1-[[5-[(Z)-[5-[[[(2,3-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 477575-74-9 HCAPLUS  
 CN 2H-Indol-2-one, 5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,3-dihydro-3-[[4-[[[(3R)-3-hydroxy-1-pyrrolidinyl]methyl]-3,5-dimethyl-1H-pyrrol-2-yl]methylene]-, (3Z)- (9CI) (CA INDEX NAME)

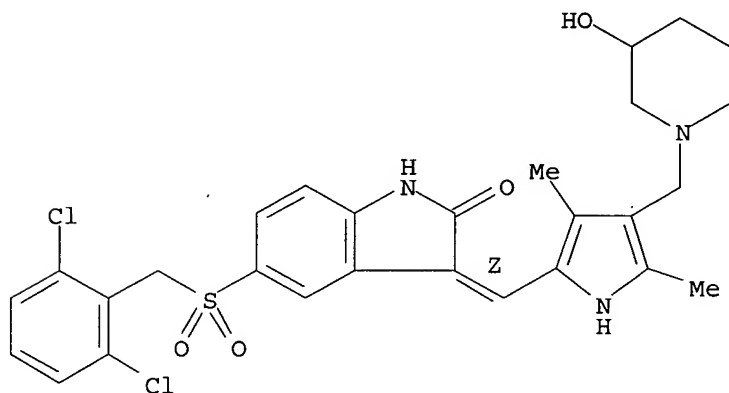
Absolute stereochemistry.  
 Double bond geometry as shown.



RN 477575-75-0 HCAPLUS

CN 2H-Indol-2-one, 5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,3-dihydro-3-[[4-[(3-hydroxy-1-piperidinyl)methyl]-3,5-dimethyl-1H-pyrrol-2-yl]methylene]-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

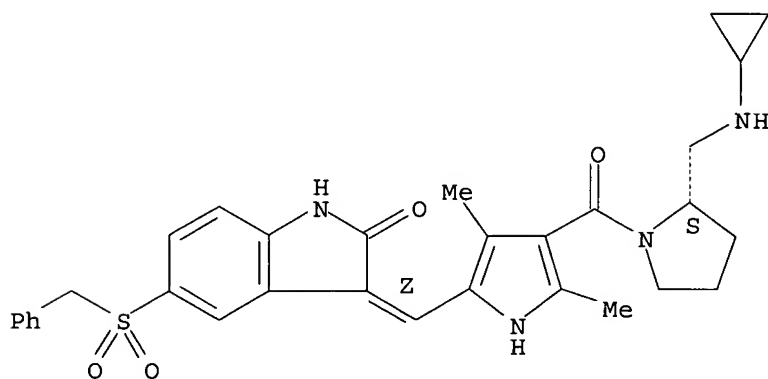


RN 477575-77-2 HCAPLUS

CN 2-Pyrrolidininemethanamine, N-cyclopropyl-1-[[5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

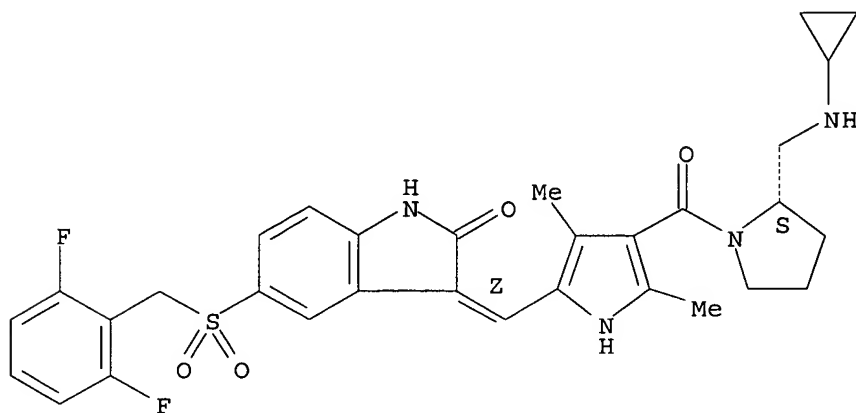


RN 477575-79-4 HCAPLUS

CN 2-Pyrrolidininemethanamine, N-cyclopropyl-1-[[5-[(Z)-[5-[[[(2,6-

difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene)methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (2S)- (9CI) (CA INDEX NAME)

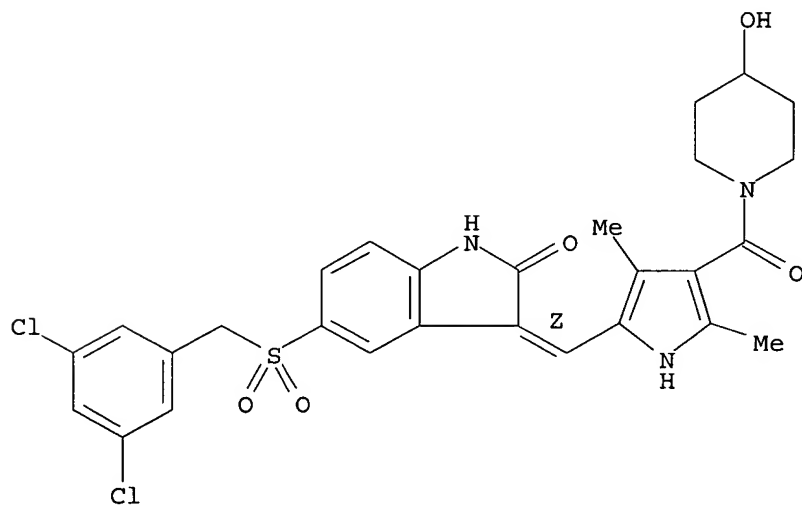
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477575-80-7 HCAPLUS

CN 4-Piperidinol, 1-[[5-[(Z)-[5-[(3,5-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene)methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

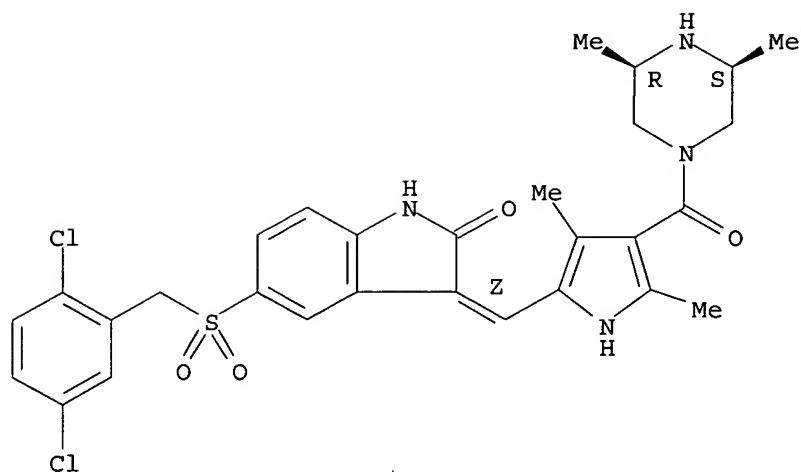


RN 477575-82-9 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[(2,5-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene)methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-3,5-dimethyl-, (3R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

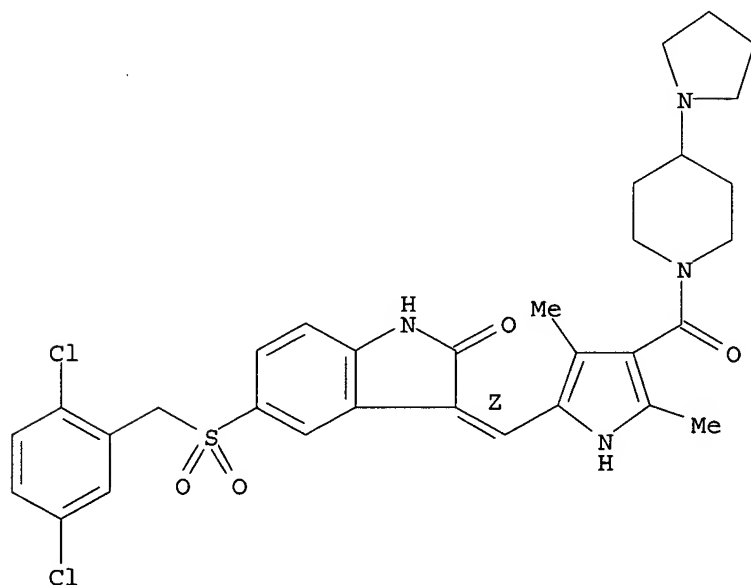




RN 477575-85-2 HCAPLUS

CN Piperidine, 1-[[5-[(Z)-[5-[[[(2,5-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

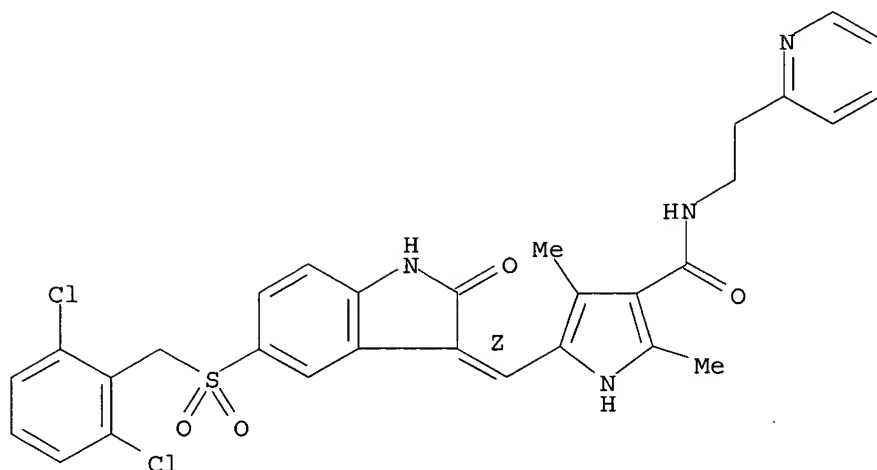
Double bond geometry as shown.



RN 477575-86-3 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

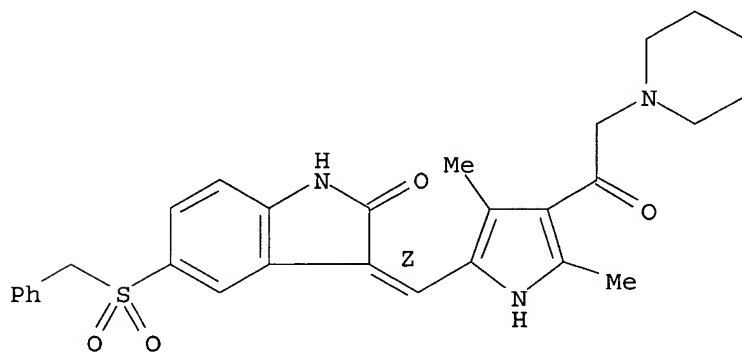
Double bond geometry as shown.



RN 477575-88-5 HCAPLUS

CN 2H-Indol-2-one, 3-[[3,5-dimethyl-4-(1-piperidinylacetyl)-1H-pyrrol-2-yl]methylene]-1,3-dihydro-5-[(phenylmethyl)sulfonyl]-, (3Z)- (9CI) (CA INDEX NAME)

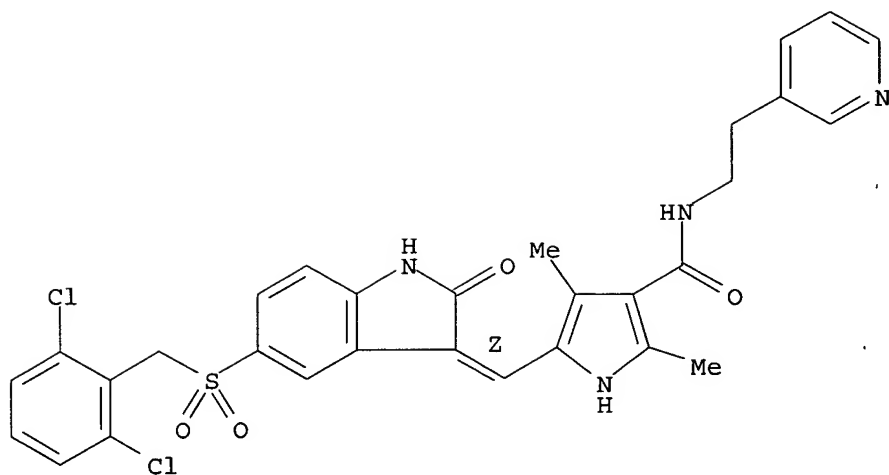
Double bond geometry as shown.



RN 477575-89-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene)methyl]-2,4-dimethyl-N-[2-(3-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

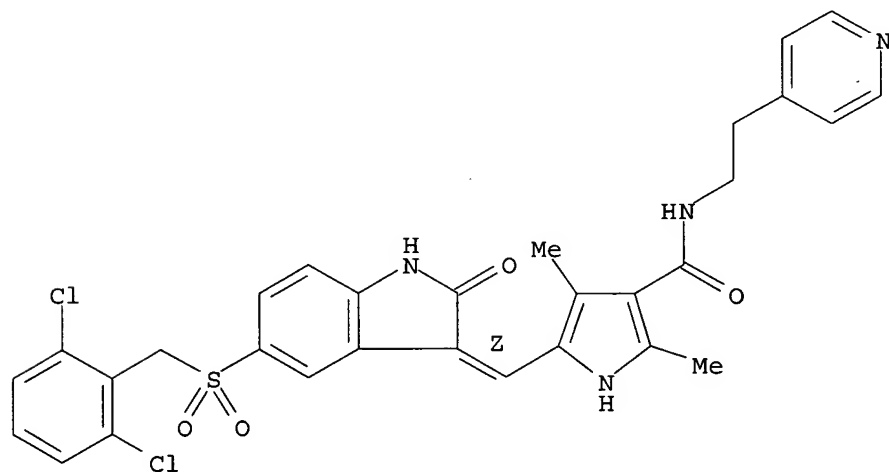
Double bond geometry as shown.



RN 477575-90-9 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[2-(4-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

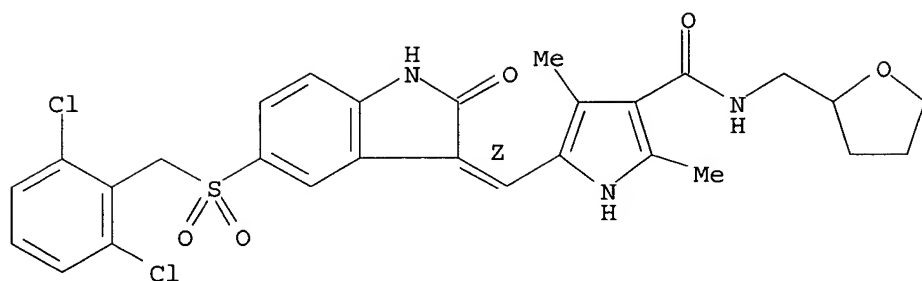
Double bond geometry as shown.



RN 477575-91-0 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[(tetrahydro-2-furanyl)methyl]- (9CI) (CA INDEX NAME)

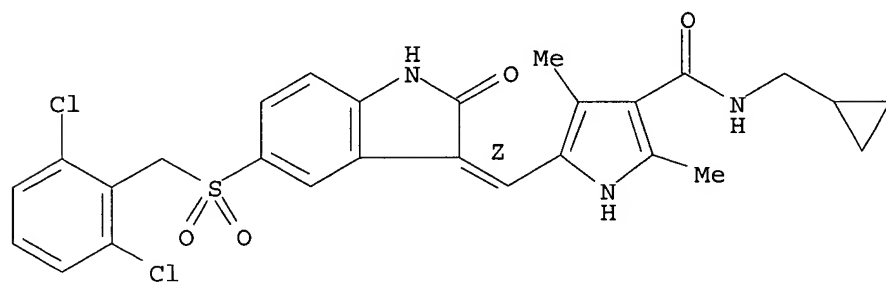
Double bond geometry as shown.



RN 477575-92-1 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-(cyclopropylmethyl)-5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

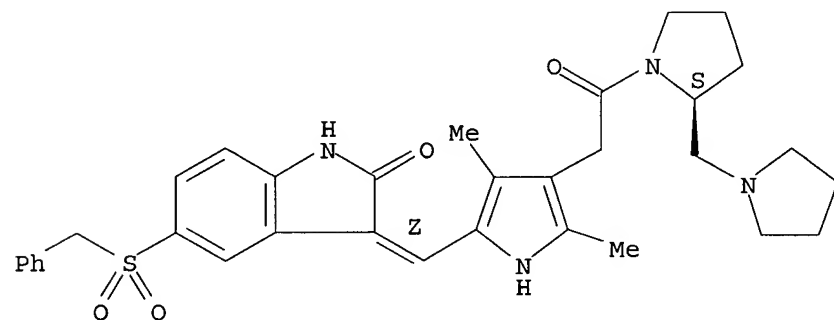
Double bond geometry as shown.



RN 477575-93-2 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-2-(1-pyrrolidinylmethyl)-, (2S)- (9CI) (CA INDEX NAME)

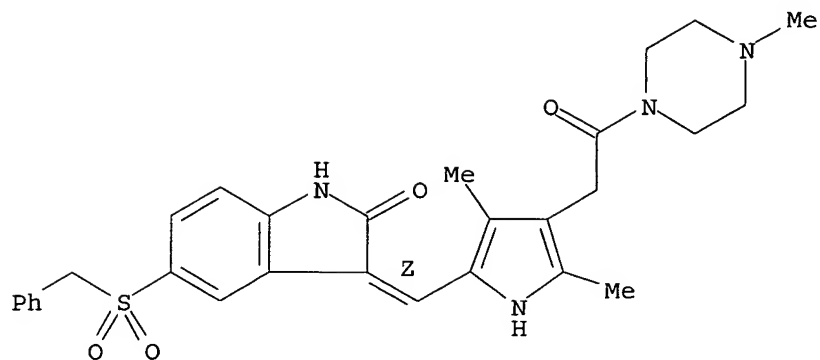
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477575-95-4 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-4-methyl- (9CI) (CA INDEX NAME)

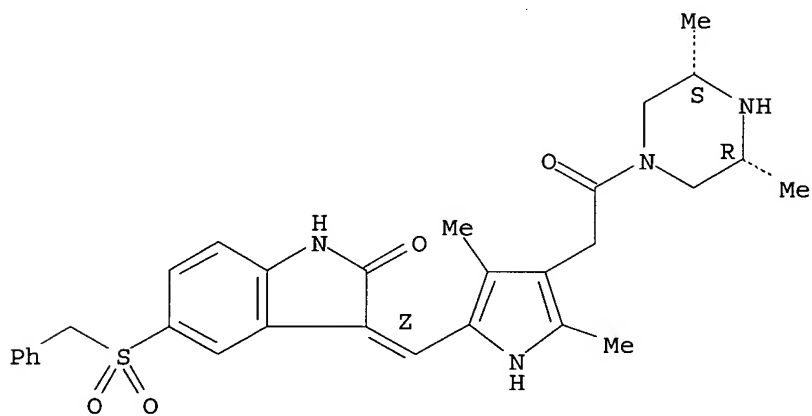
Double bond geometry as shown.



RN 477575-97-6 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-3,5-dimethyl-, (3R,5S)- (9CI) (CA INDEX NAME)

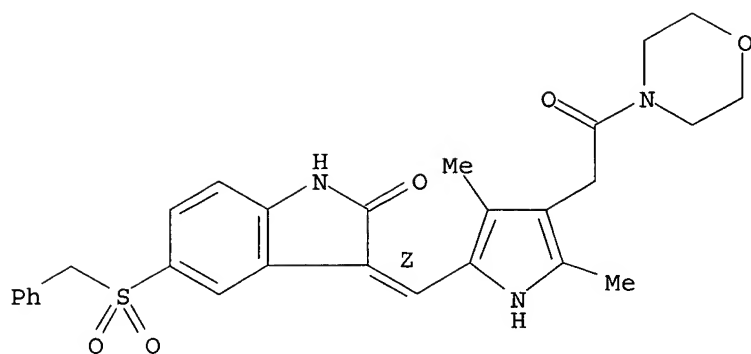
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477575-99-8 HCAPLUS

CN Morpholine, 4-[[5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]- (9CI) (CA INDEX NAME)

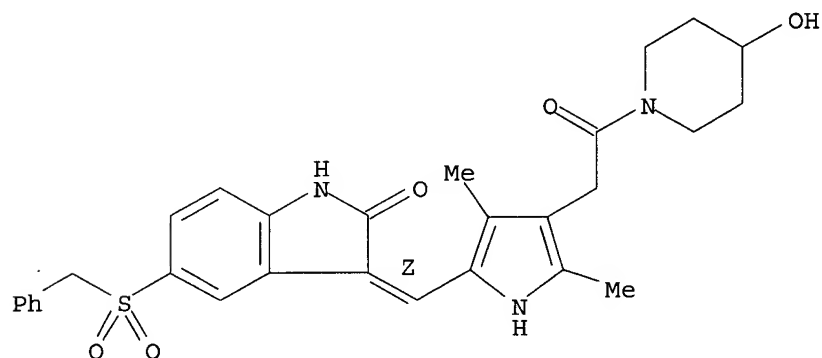
Double bond geometry as shown.



RN 477576-01-5 HCAPLUS

4-Piperidinol, 1-[[[5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]- (9CI) (CA INDEX NAME)

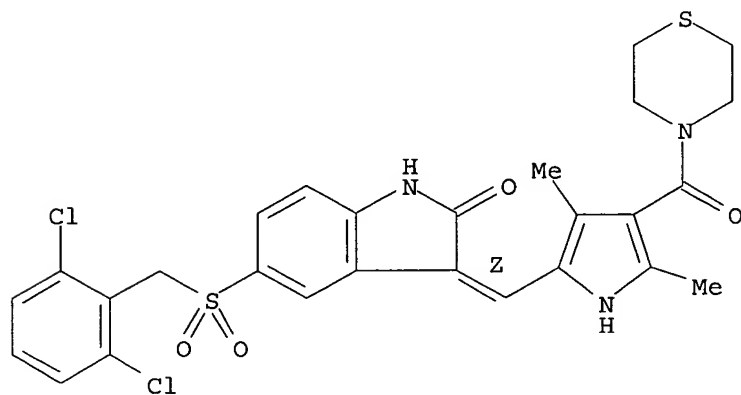
Double bond geometry as shown.



RN 477576-03-7 HCAPLUS

Thiomorpholine, 4-[[5-[(Z)-[5-[[2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

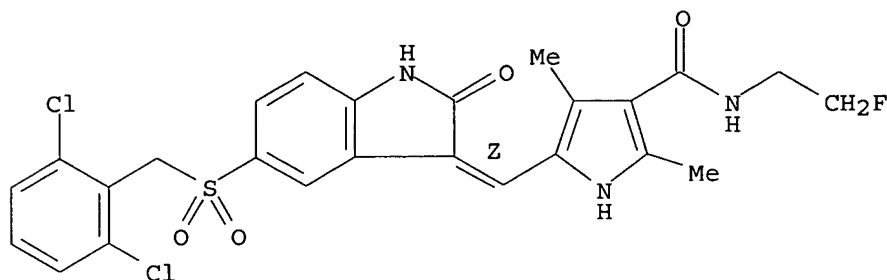
Double bond geometry as shown.



RN 477576-04-8 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-(2-fluoroethyl)-2,4-dimethyl- (9CI) (CA INDEX NAME)

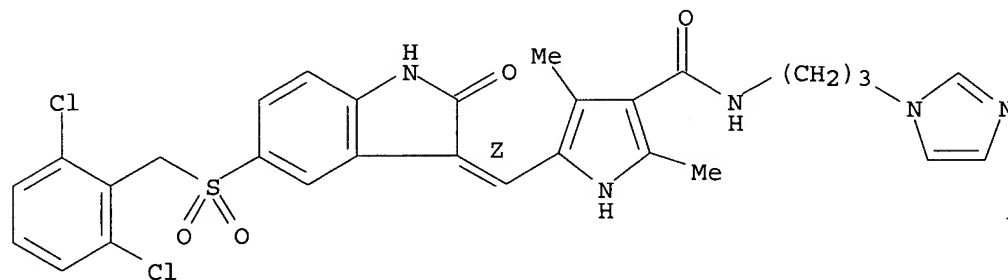
Double bond geometry as shown.



RN 477576-05-9 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[3-(1H-imidazol-1-yl)propyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

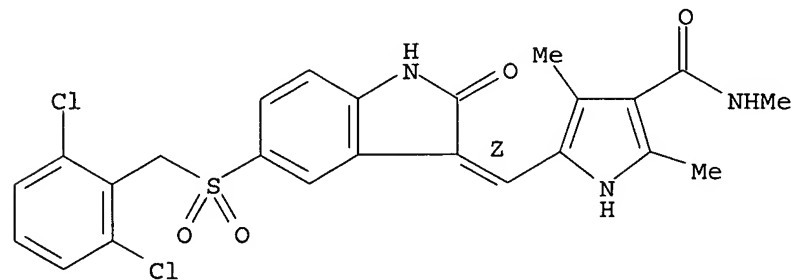
Double bond geometry as shown.



RN 477576-06-0 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N,2,4-trimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

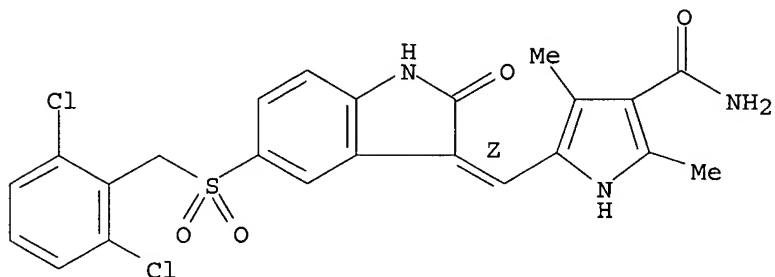


RN 477576-07-1 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N,2,4-trimethyl- (9CI) (CA INDEX NAME)

1,2-dihydro-2-oxo-3H-indol-3-ylidene)methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

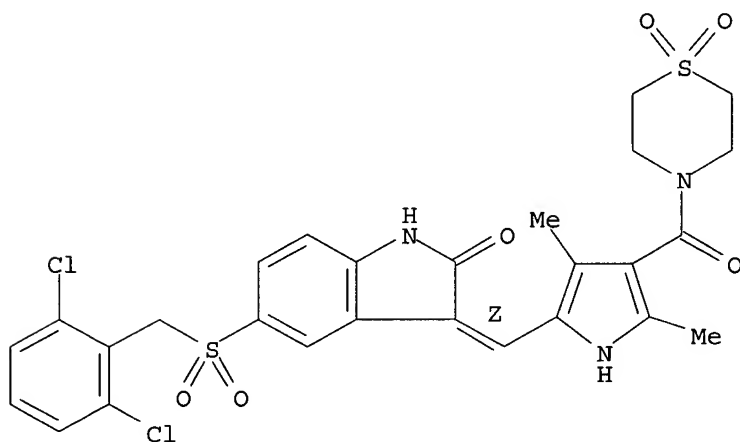
Double bond geometry as shown.



RN 477576-08-2 HCAPLUS

CN Thiomorpholine, 4-[[5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene)methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)

Double bond geometry as shown.

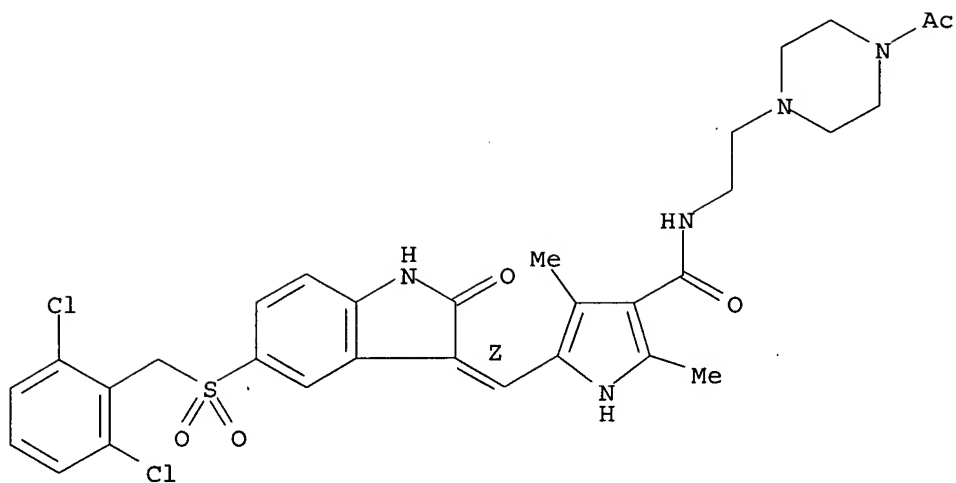


RN 477576-09-3 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(4-acetyl-1-piperazinyl)ethyl]-5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene)methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

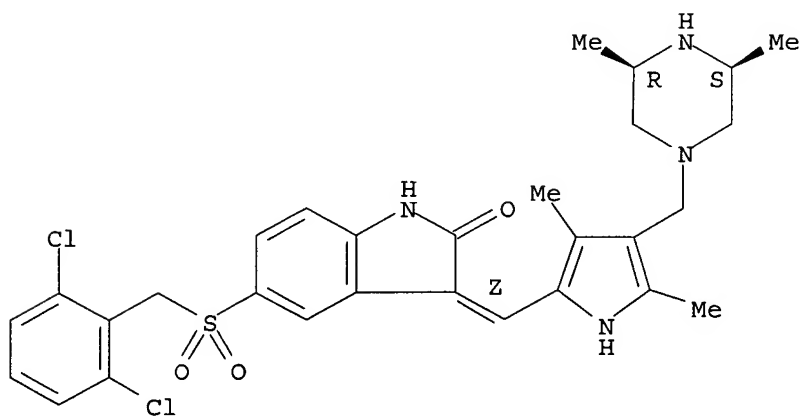




RN 477576-10-6 HCAPLUS

CN 2H-Indol-2-one, 5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-3-[[4-[[[(3S,5R)-3,5-dimethyl-1-piperazinyl]methyl]-3,5-dimethyl-1H-pyrrol-2-yl]methylene]-1,3-dihydro-, (3Z)- (9CI) (CA INDEX NAME)

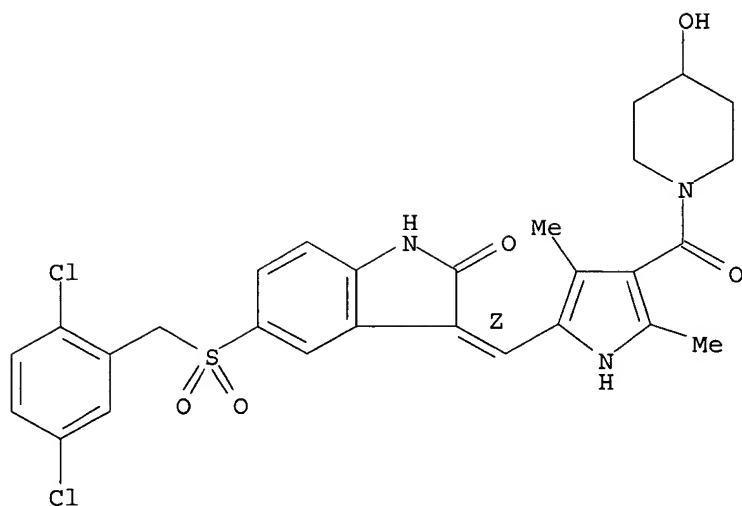
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477576-12-8 HCAPLUS

CN 4-Piperidinol, 1-[[[5-[(Z)-[5-[[[(2,5-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

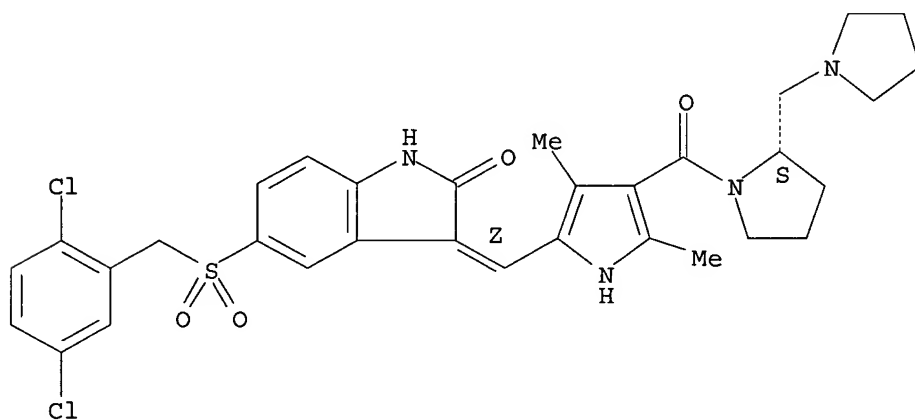
Double bond geometry as shown.



RN 477576-14-0 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[2,5-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene)methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-(1-pyrrolidinylmethyl)-, (2S)- (9CI) (CA INDEX NAME)

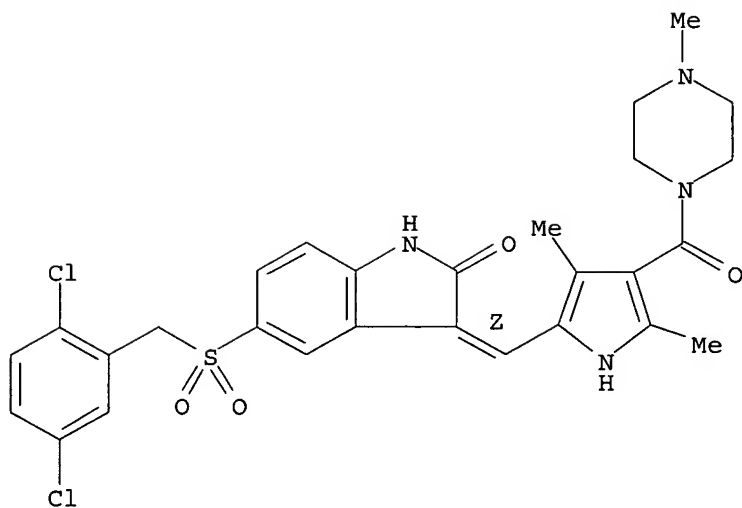
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477576-15-1 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[2,5-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene)methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

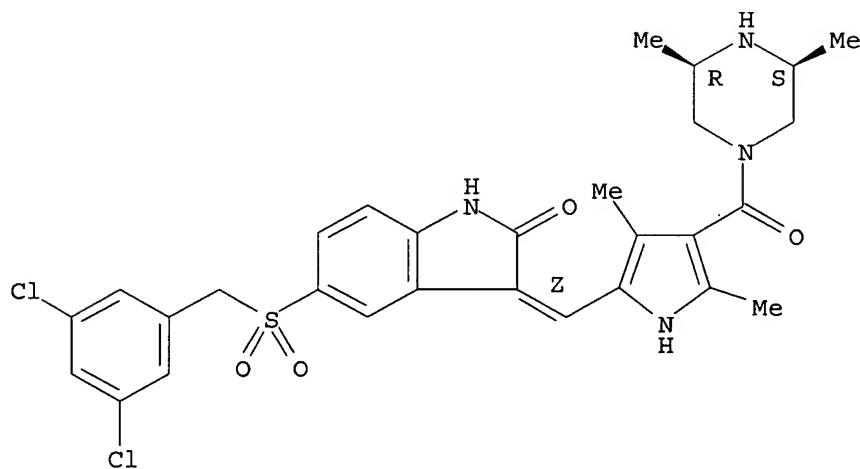
Double bond geometry as shown.



RN 477576-16-2 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[[(3,5-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-3,5-dimethyl-, (3R,5S)- (9CI) (CA INDEX NAME)

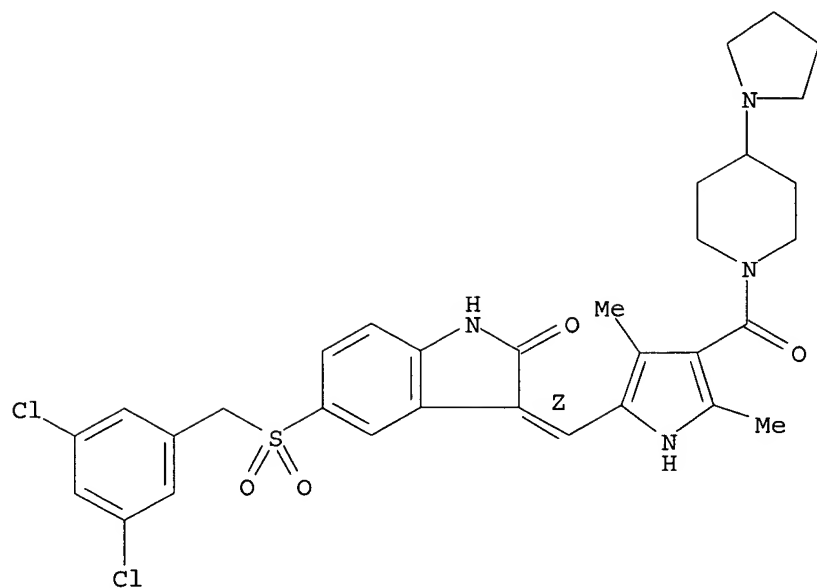
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477576-17-3 HCAPLUS

CN Piperidine, 1-[[5-[(Z)-[5-[[[(3,5-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

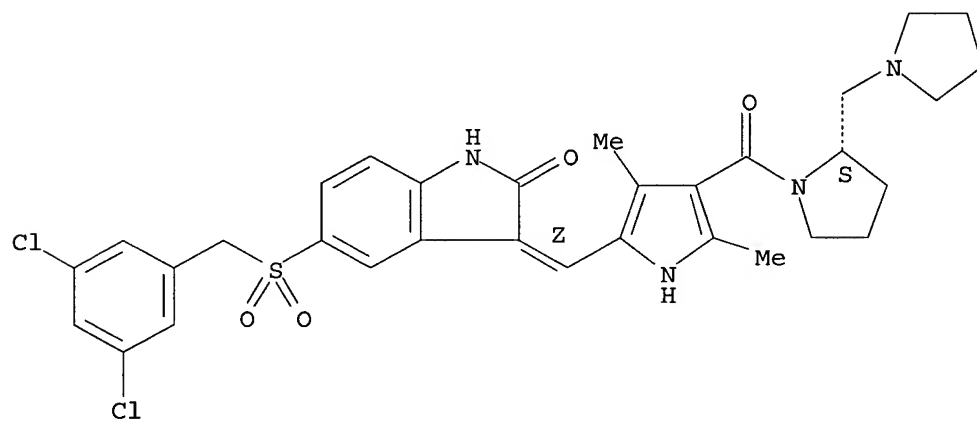
Double bond geometry as shown.



RN 477576-18-4 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[3,5-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-(1-pyrrolidinylmethyl)-, (2S)- (9CI) (CA INDEX NAME)

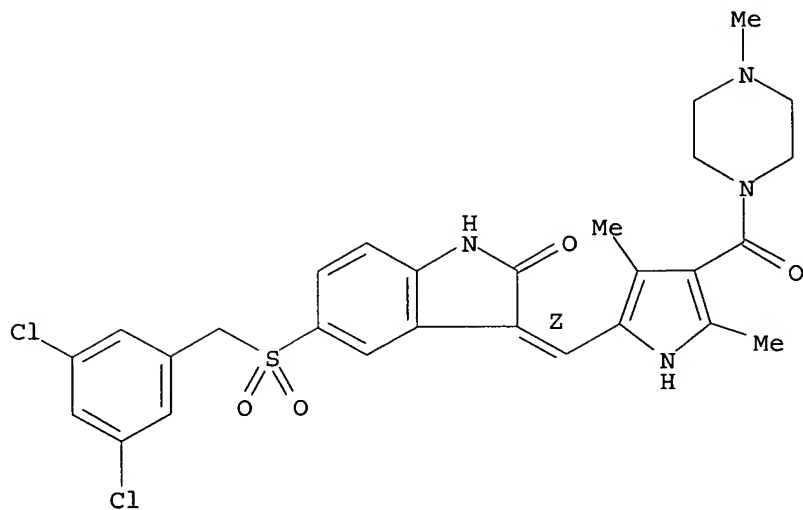
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477576-19-5 HCAPLUS

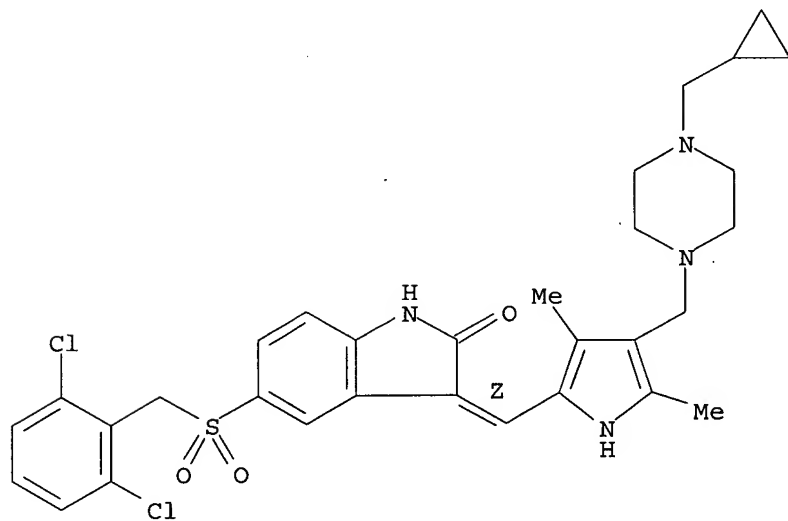
CN Piperazine, 1-[[5-[(Z)-[5-[[3,5-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



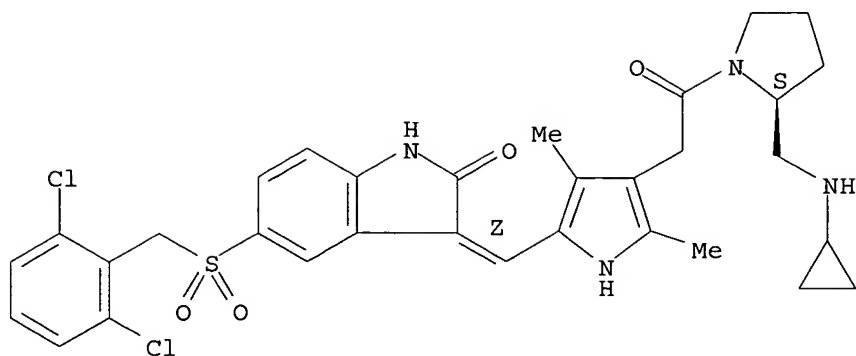
RN 477576-20-8 HCAPLUS  
 CN 2H-Indol-2-one, 3-[[4-[[4-(cyclopropylmethyl)-1-piperazinyl]methyl]-3,5-dimethyl-1H-pyrrol-2-yl]methylene]-5-[[2,6-dichlorophenyl]methyl]sulfonyl]-1,3-dihydro-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 477576-22-0 HCAPLUS  
 CN 2-Pyrrolidinemethanamine, N-cyclopropyl-1-[[5-[(Z)-[5-[[2,6-dichlorophenyl]methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-, (2S)- (9CI) (CA INDEX NAME)

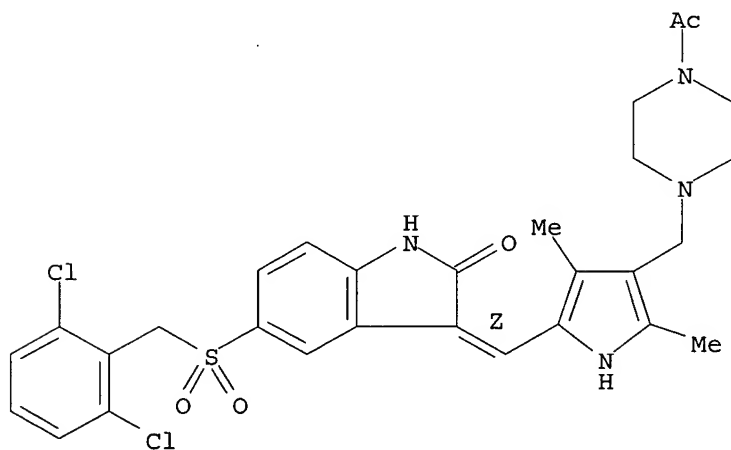
Absolute stereochemistry.  
 Double bond geometry as shown.



RN 477576-23-1 HCAPLUS

CN Piperazine, 1-acetyl-4-[[5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]methyl]- (9CI) (CA INDEX NAME)

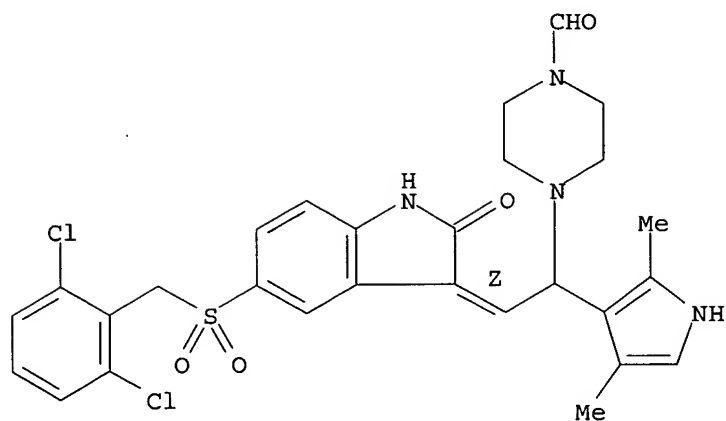
Double bond geometry as shown.



RN 477576-24-2 HCAPLUS

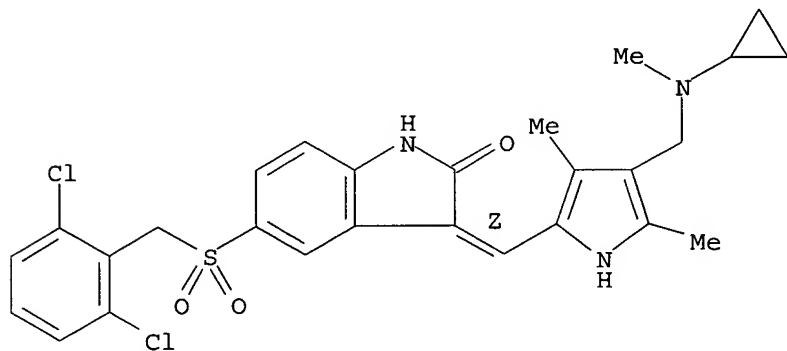
CN 1-Piperazinecarboxaldehyde, 4-[(2Z)-2-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]-1-(2,4-dimethyl-1H-pyrrol-3-yl)ethyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



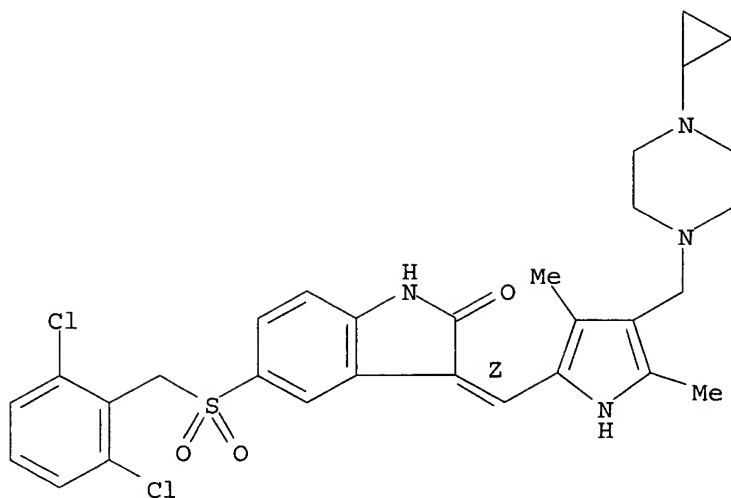
RN 477576-25-3 HCAPLUS  
 CN 2H-Indol-2-one, 3-[[4-[(cyclopropylmethylamino)methyl]-3,5-dimethyl-1H-pyrrol-2-yl]methylene]-5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,3-dihydro-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 477576-26-4 HCAPLUS  
 CN 2H-Indol-2-one, 3-[[4-[(4-cyclopropyl-1-piperazinyl)methyl]-3,5-dimethyl-1H-pyrrol-2-yl]methylene]-5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,3-dihydro-, (3Z)- (9CI) (CA INDEX NAME)

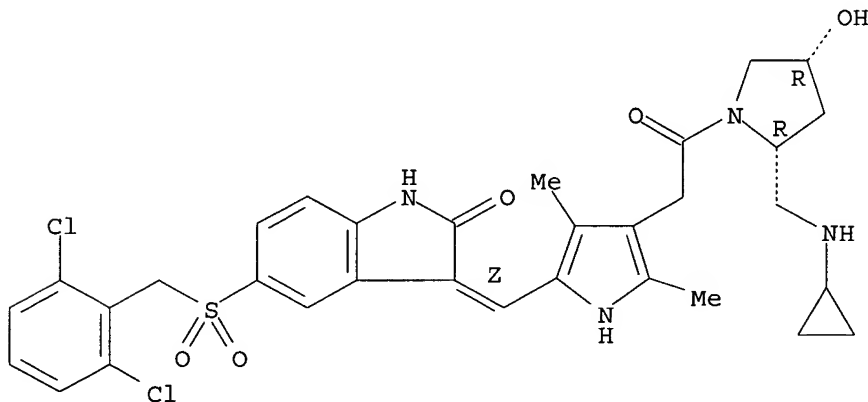
Double bond geometry as shown.



RN 477576-28-6 HCAPLUS

CN 3-Pyrrolidinol, 5-[(cyclopropylamino)methyl]-1-[[5-[(Z)-[5-[[2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-, (3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

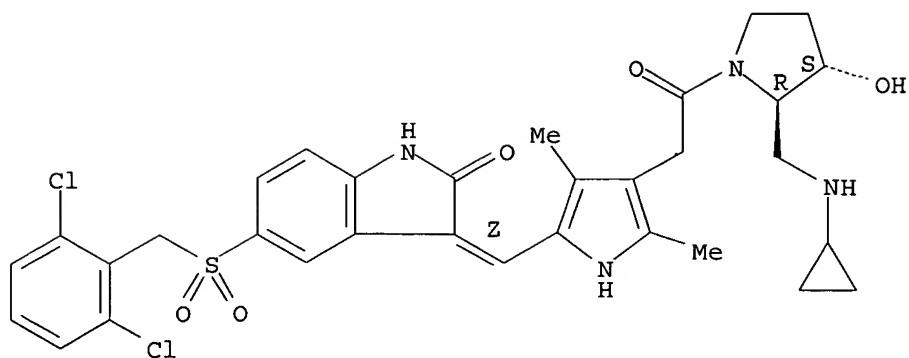


RN 477576-29-7 HCAPLUS

CN 3-Pyrrolidinol, 2-[(cyclopropylamino)methyl]-1-[[5-[(Z)-[5-[[2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-, (2R,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

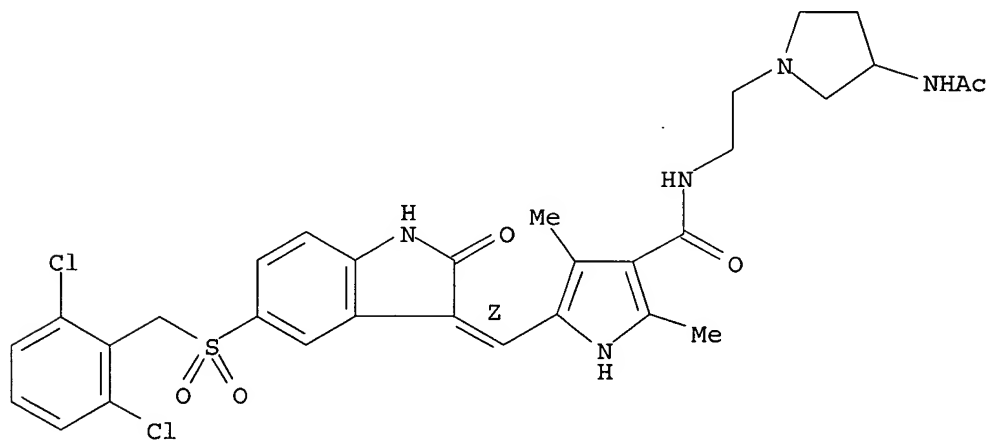




RN 477576-34-4 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-[3-(2,6-dichlorophenyl)methylsulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

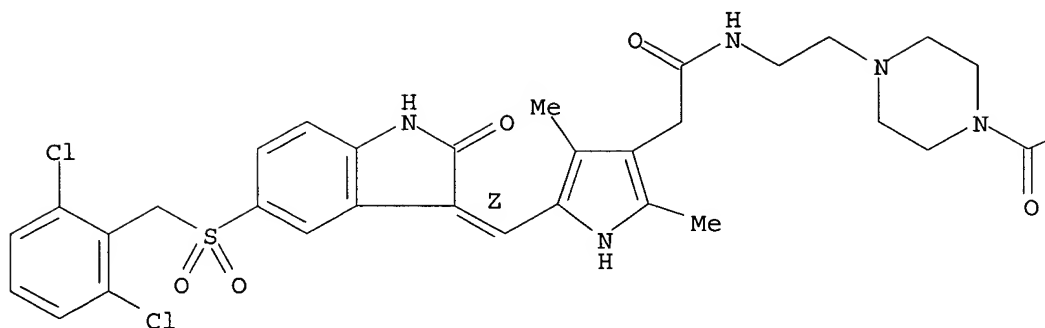


RN 477576-38-8 HCAPLUS

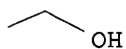
CN 1H-Pyrrole-3-acetamide, 5-[(Z)-[5-[(2,6-dichlorophenyl)methylsulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-[4-(hydroxyacetyl)-1-piperazinyl]ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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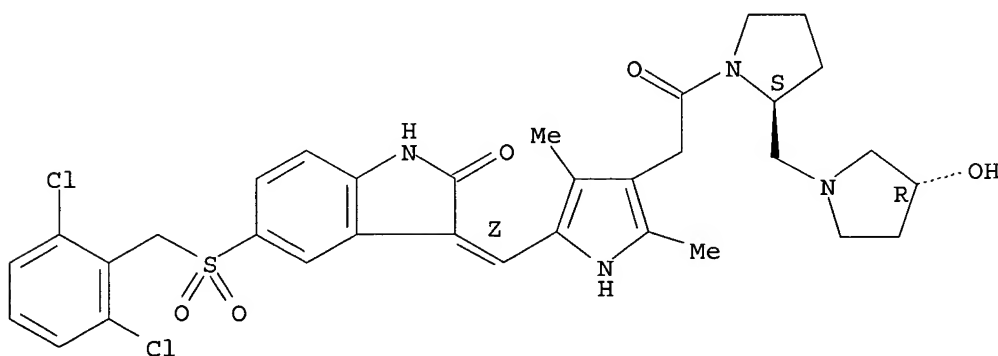


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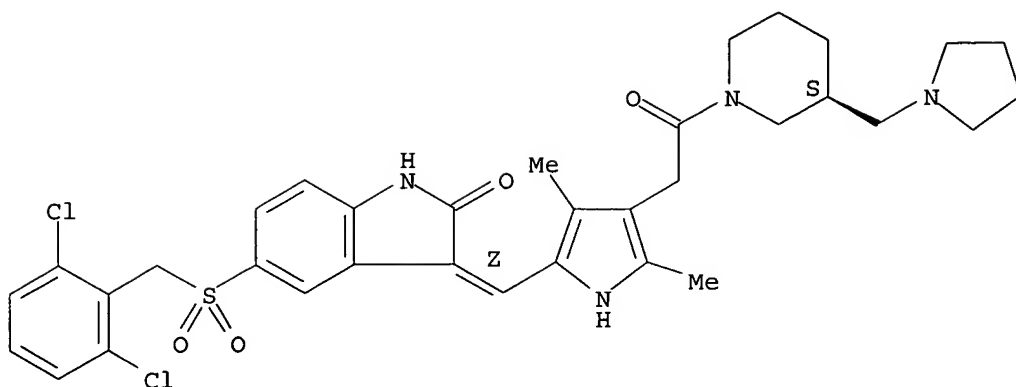
RN 477576-40-2 HCAPLUS  
 CN Pyrrolidine, 1-[[5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-2-[[[(3R)-3-hydroxy-1-pyrrolidinyl]methyl]-, (2S)- (9CI) (CA INDEX NAME).

Absolute stereochemistry.  
 Double bond geometry as shown.



RN 477576-42-4 HCAPLUS  
 CN Piperidine, 1-[[5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-3-(1-pyrrolidinylmethyl)-, (3S)- (9CI) (CA INDEX NAME)

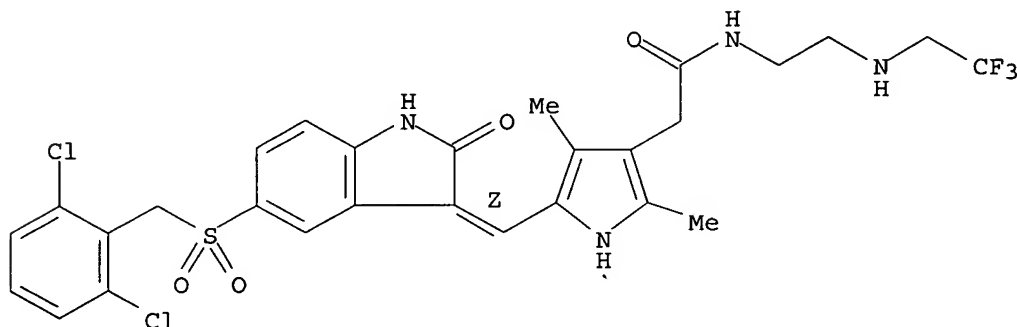
Absolute stereochemistry.  
 Double bond geometry as shown.



RN 477576-44-6 HCAPLUS

1H-Pyrrole-3-acetamide, 5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[2-[(2,2,2-trifluoroethyl)amino]ethyl]- (9CI) (CA INDEX NAME)

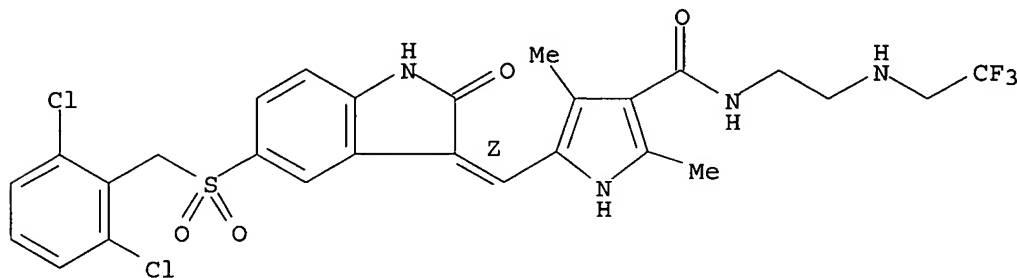
Double bond geometry as shown.



RN 477576-45-7 HCAPLUS

1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[2-[(2,2,2-trifluoroethyl)amino]ethyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

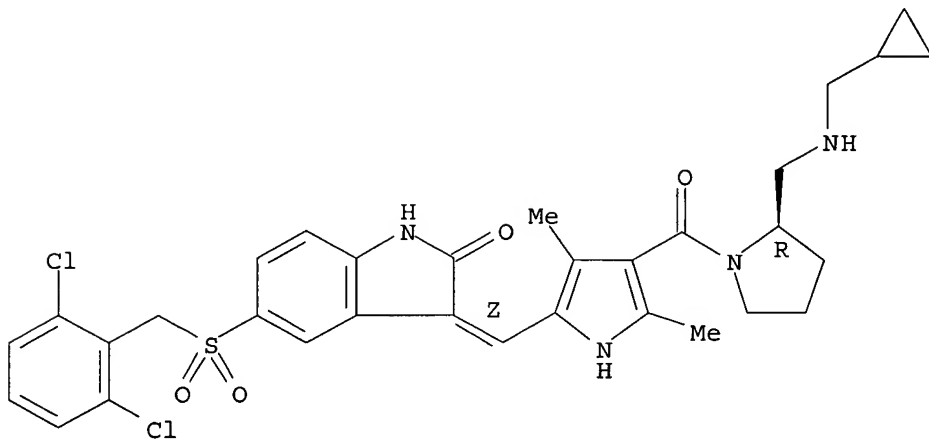


RN 477576-47-9 HCAPLUS

CN 2-Pyrrolidinemethanamine, N-(cyclopropylmethyl)-1-[[5-[(Z)-5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-

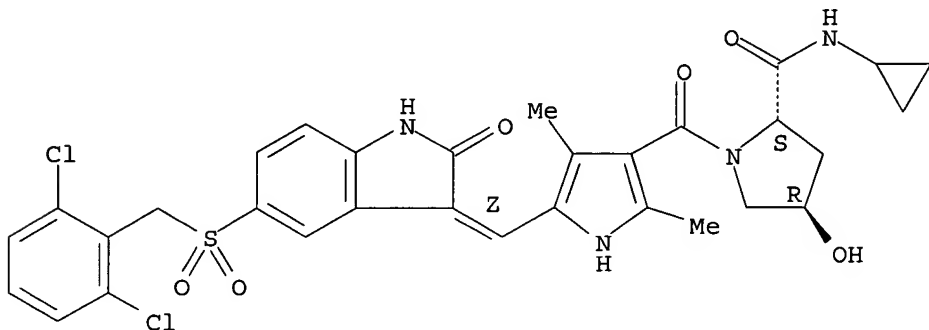
ylidene)methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



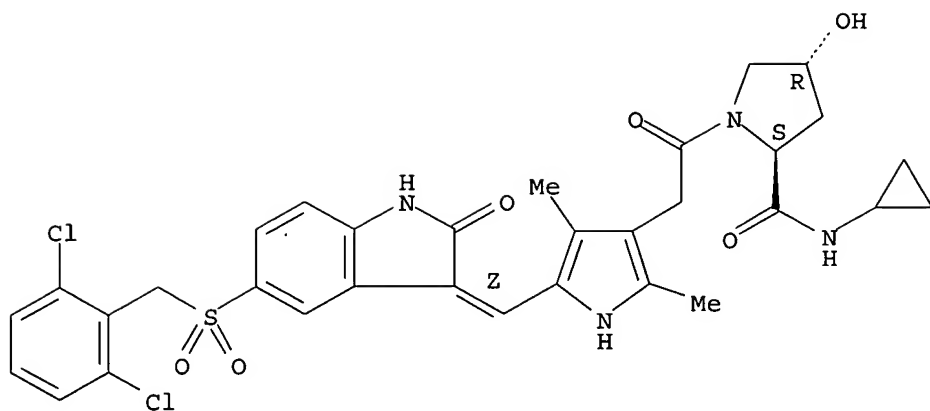
RN 477576-48-0 HCAPLUS  
CN 2-Pyrrolidinecarboxamide, N-cyclopropyl-1-[[5-[(Z)-[5-[[2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene)methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-hydroxy-, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



RN 477576-50-4 HCAPLUS  
CN 2-Pyrrolidinecarboxamide, N-cyclopropyl-1-[[5-[(Z)-[5-[[2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene)methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-4-hydroxy-, (2S,4R)- (9CI) (CA INDEX NAME)

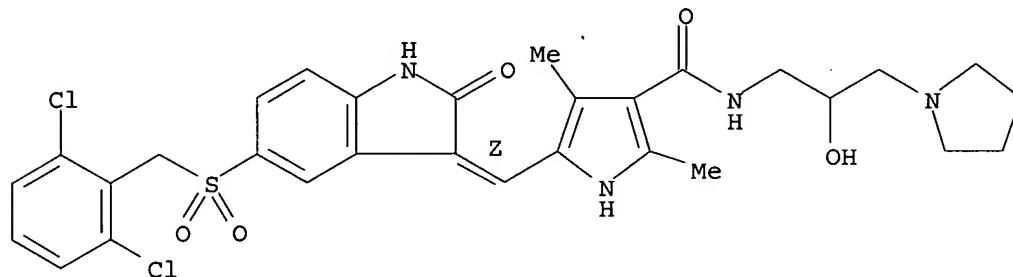
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477576-51-5 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-hydroxy-3-(1-pyrrolidinyl)propyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

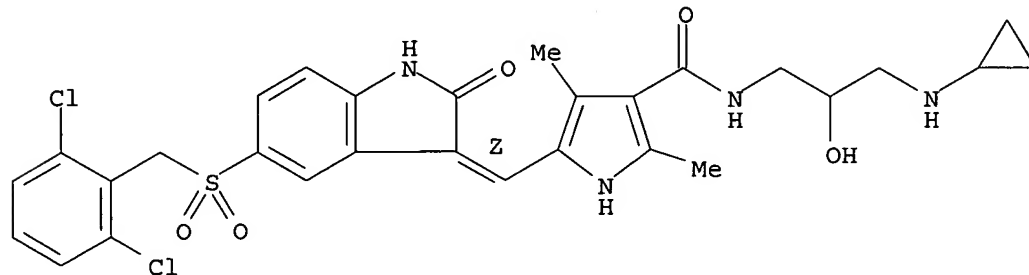
Double bond geometry as shown.



RN 477576-52-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[3-(cyclopropylamino)-2-hydroxypropyl]-5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

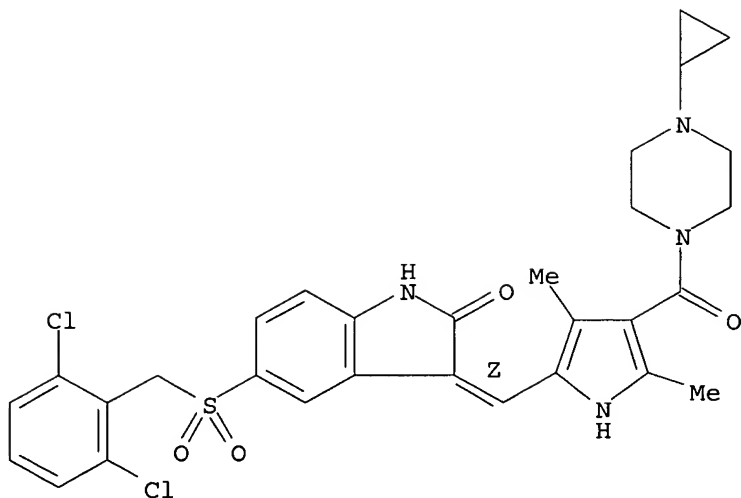


RN 477576-54-8 HCAPLUS

CN Piperazine, 1-cyclopropyl-4-[[5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

NAME)

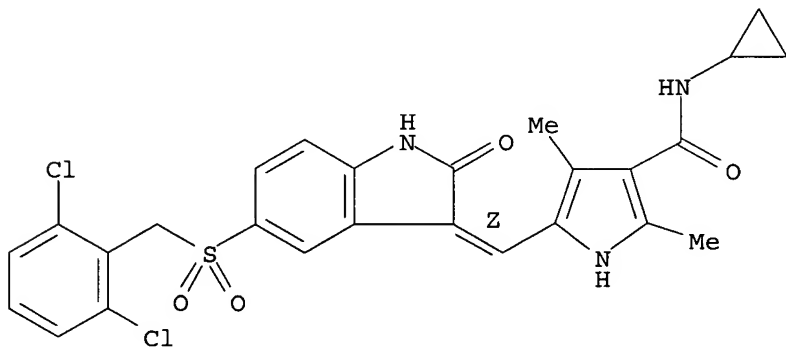
Double bond geometry as shown.



RN 477576-55-9 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-cyclopropyl-5-[(Z)-[5-[[2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

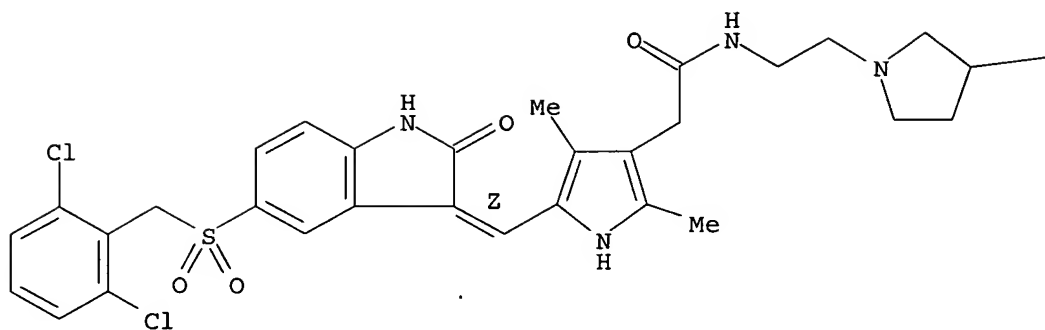


RN 477576-56-0 HCAPLUS

CN 1H-Pyrrole-3-acetamide, N-[2-[3-(acetamino)-1-pyrrolidinyl]ethyl]-5-[(Z)-[5-[[2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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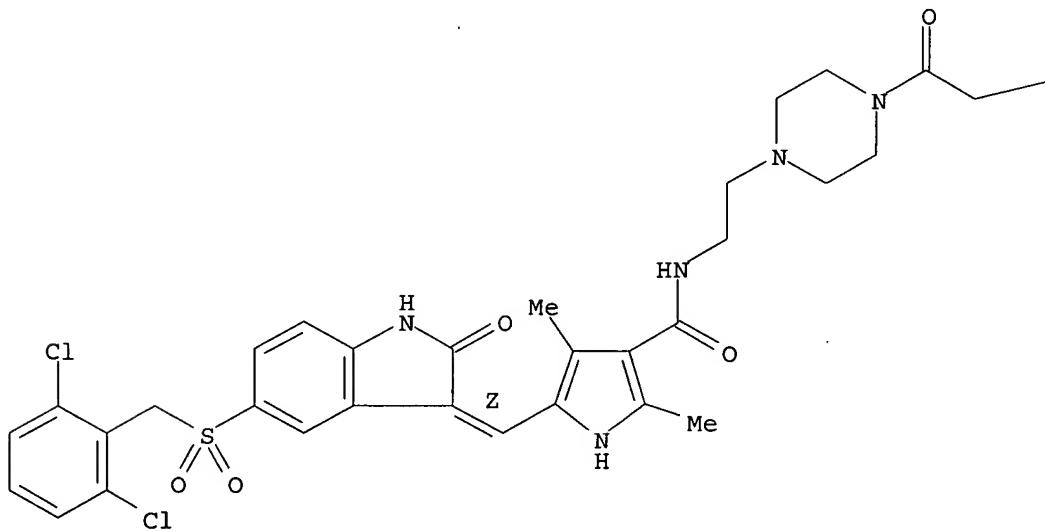
—NHAc

RN 477576-57-1 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-[4-(hydroxyacetyl)-1-piperazinyl]ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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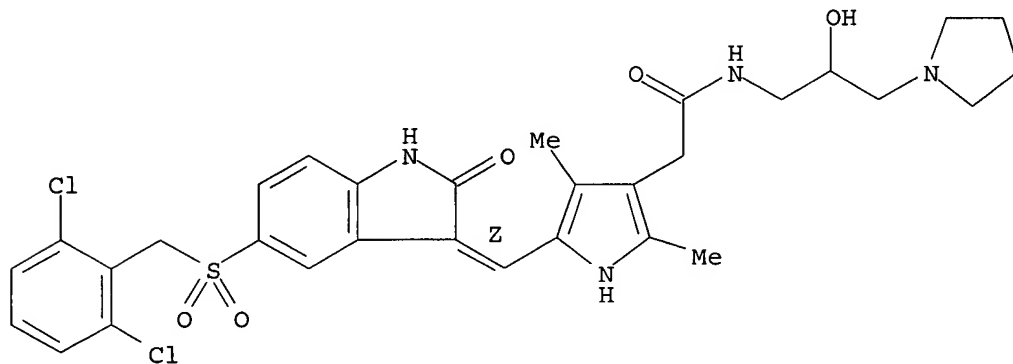
PAGE 1-B

—OH

RN 477576-61-7 HCAPLUS

CN 1H-Pyrrole-3-acetamide, 5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-hydroxy-3-(1-pyrrolidinyl)propyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

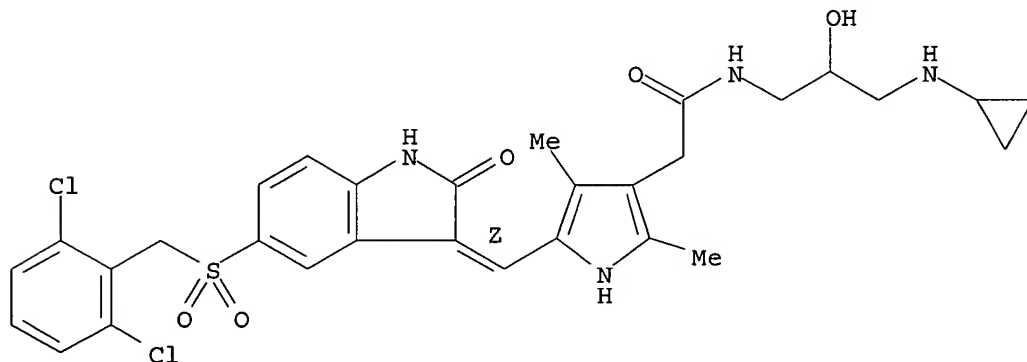
Double bond geometry as shown.



RN 477576-62-8 HCAPLUS

CN 1H-Pyrrole-3-acetamide, N-[3-(cyclopropylamino)-2-hydroxypropyl]-5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

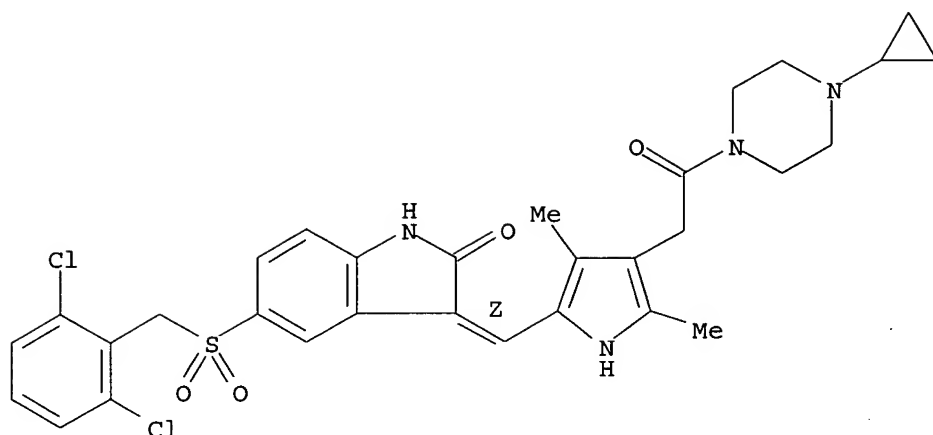


RN 477576-63-9 HCAPLUS

CN Piperazine, 1-cyclopropyl-4-[[[5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

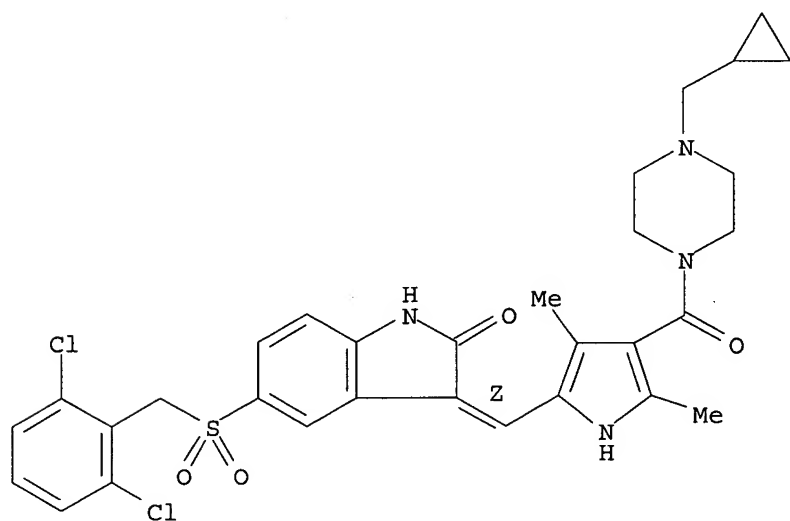




RN 477576-64-0 HCAPLUS

CN Piperazine, 1-(cyclopropylmethyl)-4-[[5-[(Z)-[5-[[2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

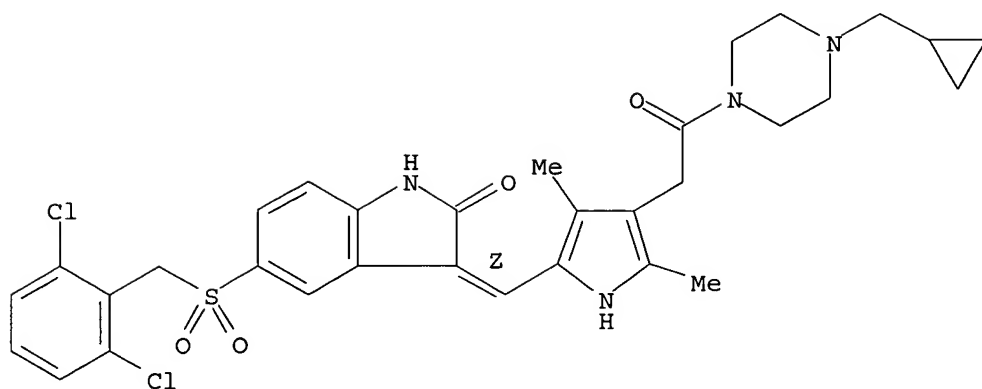
Double bond geometry as shown.



RN 477576-65-1 HCAPLUS

CN Piperazine, 1-(cyclopropylmethyl)-4-[[5-[(Z)-[5-[[2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]- (9CI) (CA INDEX NAME)

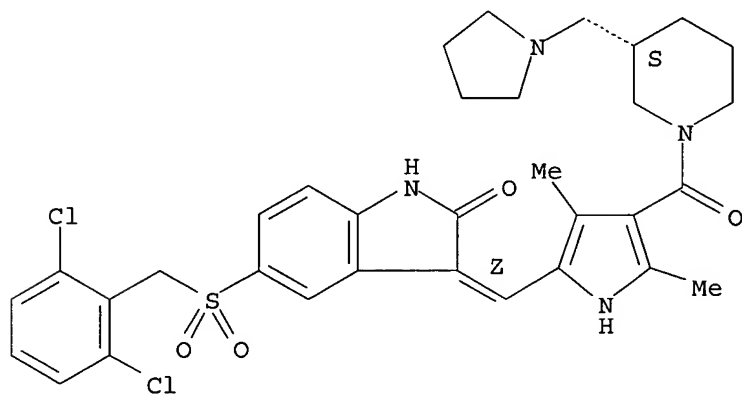
Double bond geometry as shown.



RN 477576-66-2 HCAPLUS

CN Piperidine, 1-[[5-[(Z)-[5-[[2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-3-(1-pyrrolidinylmethyl)-, (3S)- (9CI) (CA INDEX NAME)

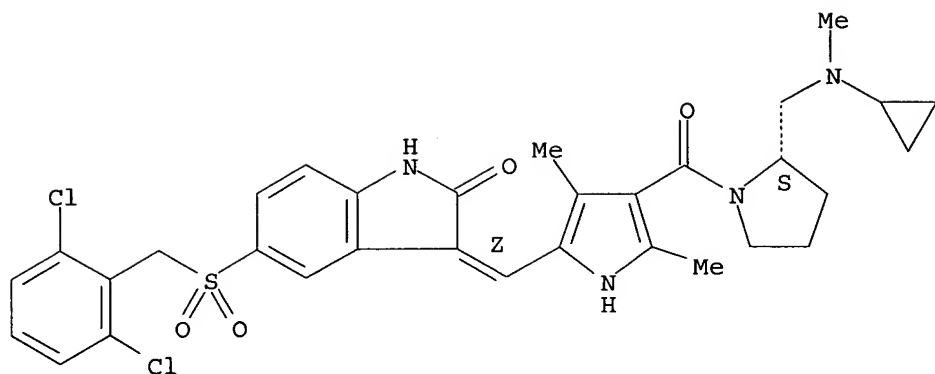
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477576-67-3 HCAPLUS

CN 2-Pyrrolidinemethanamine, N-cyclopropyl-1-[[5-[(Z)-[5-[[2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-N-methyl-, (2S)- (9CI) (CA INDEX NAME)

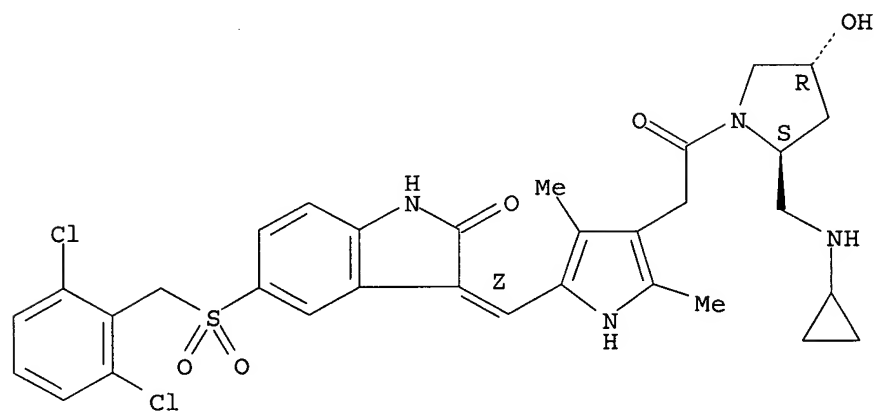
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477576-71-9 HCAPLUS

CN 3-Pyrrolidinol, 5-[(cyclopropylamino)methyl]-1-[[5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-, (3R,5S)- (9CI) (CA INDEX NAME)

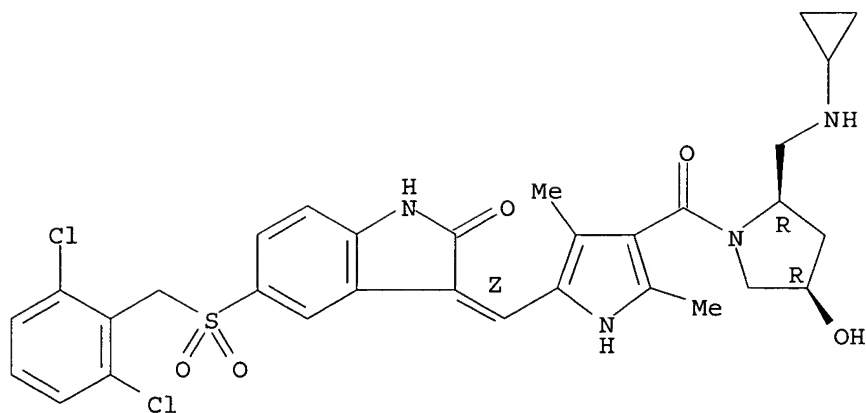
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477576-73-1 HCAPLUS

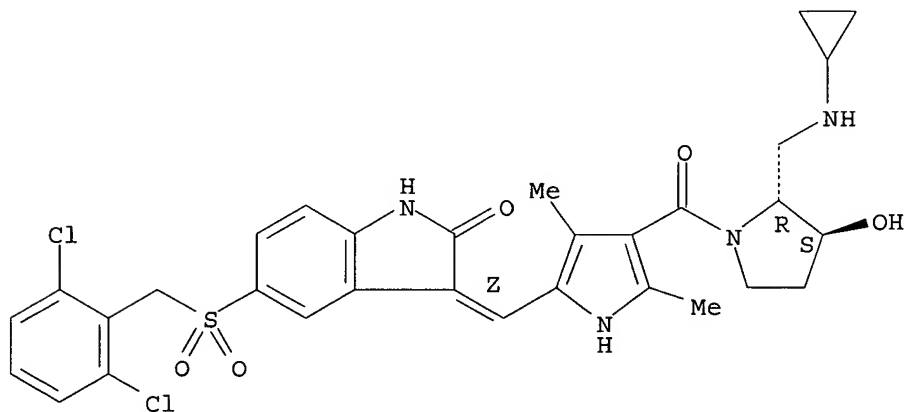
CN 3-Pyrrolidinol, 5-[(cyclopropylamino)methyl]-1-[[5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



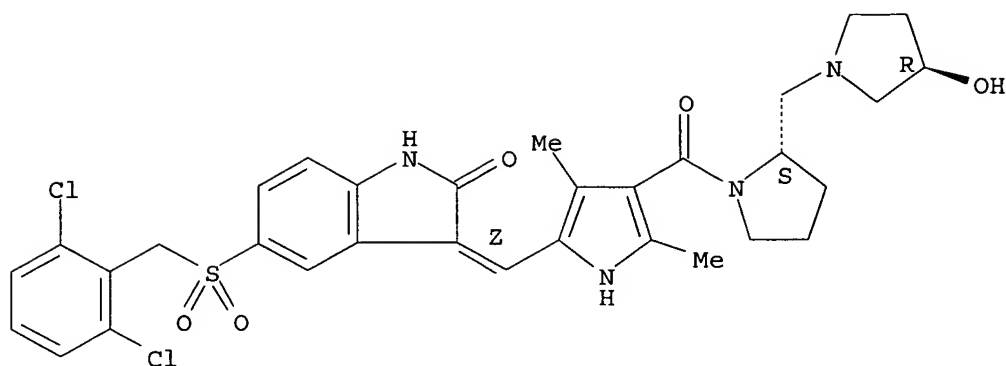
RN 477576-74-2 HCAPLUS  
 CN 3-Pyrrolidinol, 2-[(cyclopropylamino)methyl]-1-[[5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (2R,3S)-rel- (9CI)  
 (CA INDEX NAME)

Relative stereochemistry.  
 Double bond geometry as shown.



RN 477576-75-3 HCAPLUS  
 CN Pyrrolidine, 1-[[5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-[[[(3R)-3-hydroxy-1-pyrrolidinyl]methyl]-, (2S)- (9CI) (CA INDEX NAME)

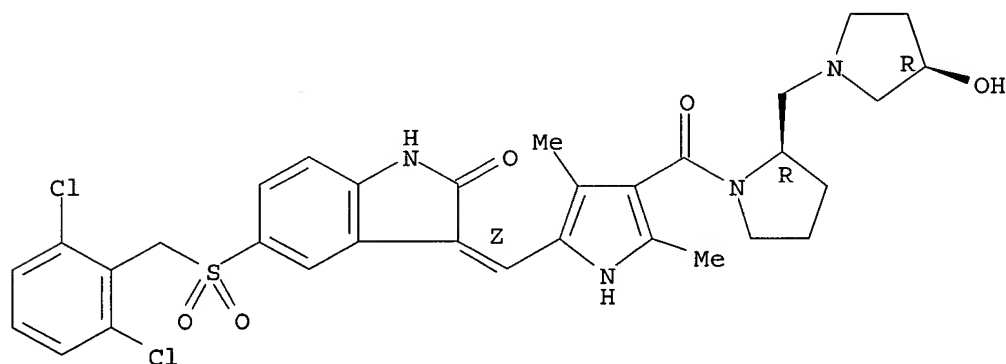
Absolute stereochemistry.  
 Double bond geometry as shown.



RN 477576-76-4 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-[[[(3R)-3-hydroxy-1-pyrrolidinyl]methyl]-, (2R)- (9CI) (CA INDEX NAME)

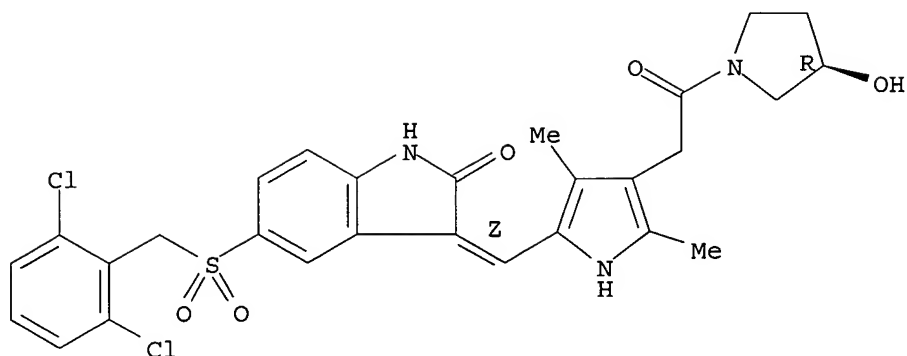
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477576-78-6 HCAPLUS

CN 3-Pyrrolidinol, 1-[[5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-, (3R)- (9CI) (CA INDEX NAME)

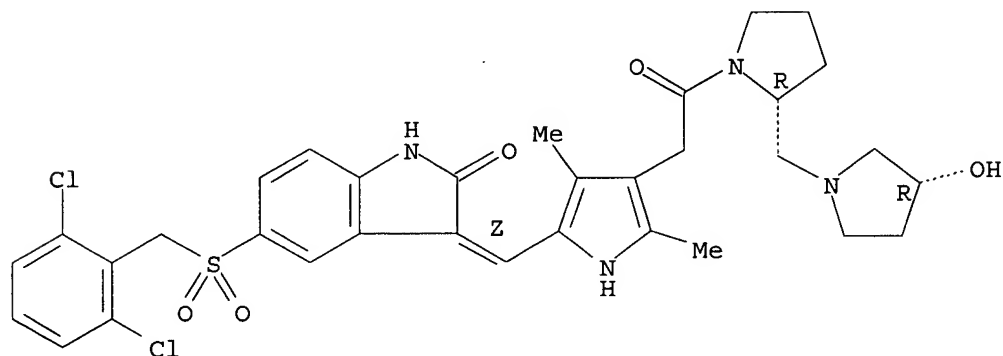
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477576-79-7 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-2-[[[(3R)-3-hydroxy-1-pyrrolidinyl]methyl]-, (2R)- (9CI) (CA INDEX NAME)

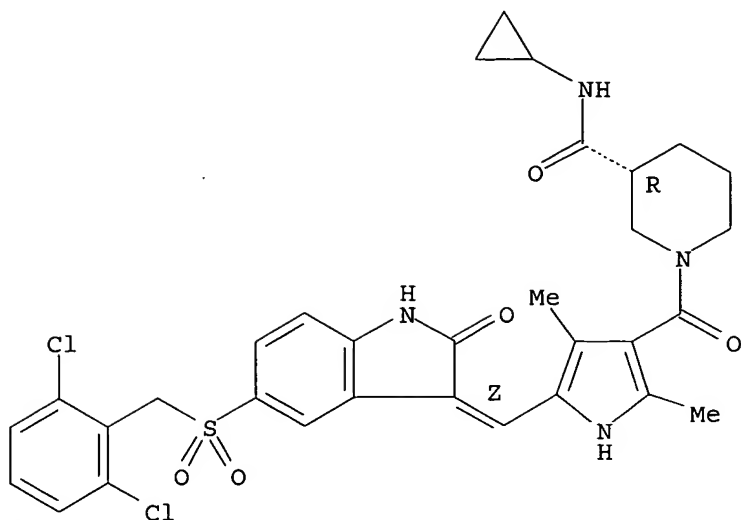
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477576-81-1 HCAPLUS

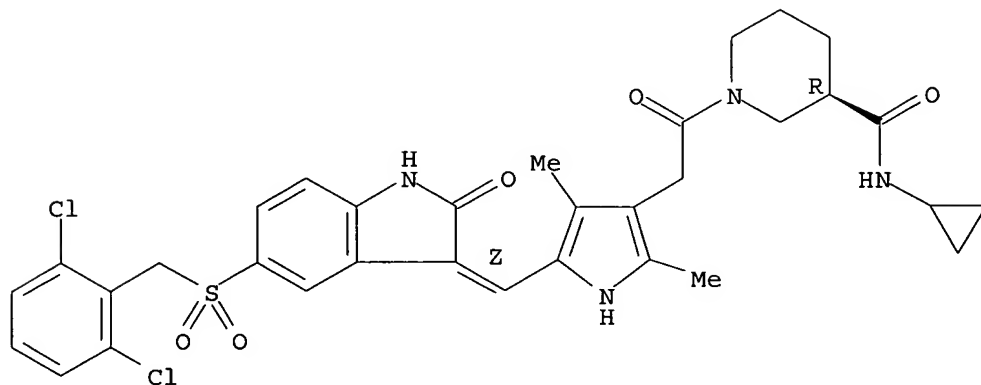
CN 3-Piperidinecarboxamide, N-cyclopropyl-1-[[5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



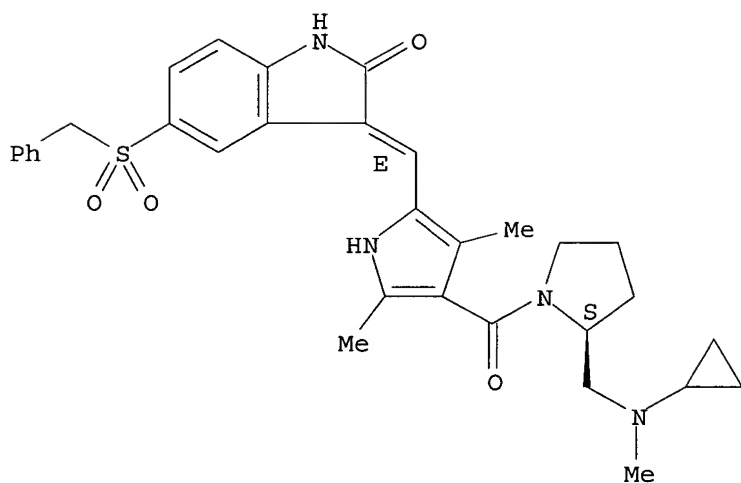
RN 477576-83-3 HCAPLUS  
 CN 3-Piperidinecarboxamide, N-cyclopropyl-1-[[5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



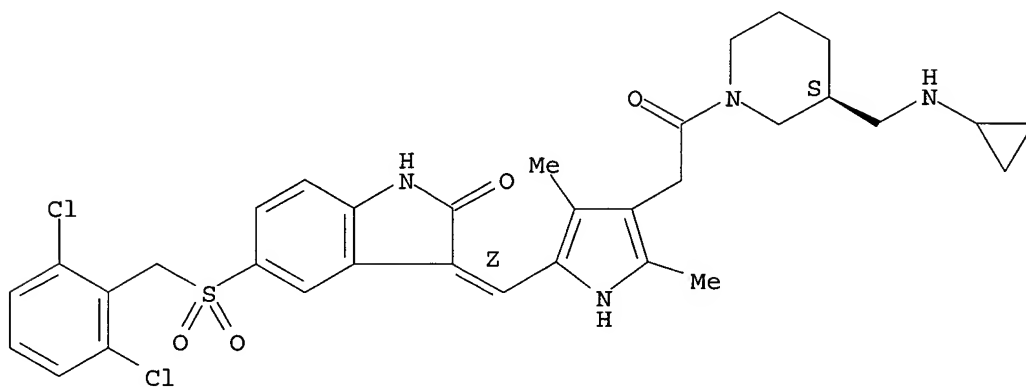
RN 477576-84-4 HCAPLUS  
 CN 2-Pyrrolidinemethanamine, N-cyclopropyl-1-[[5-[(E)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-N-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



RN 477576-85-5 HCAPLUS  
 CN 3-Piperidinemethanamine, N-cyclopropyl-1-[[5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-, (3S)- (9CI) (CA INDEX NAME)

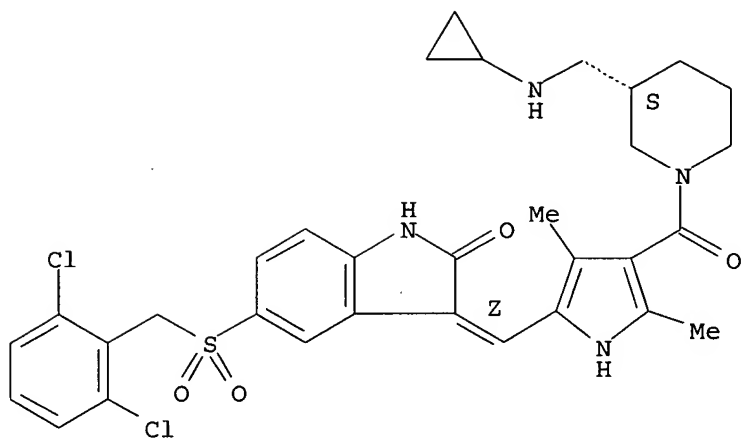
Absolute stereochemistry.  
 Double bond geometry as shown.



RN 477576-87-7 HCAPLUS  
 CN 3-Piperidinemethanamine, N-cyclopropyl-1-[[5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.

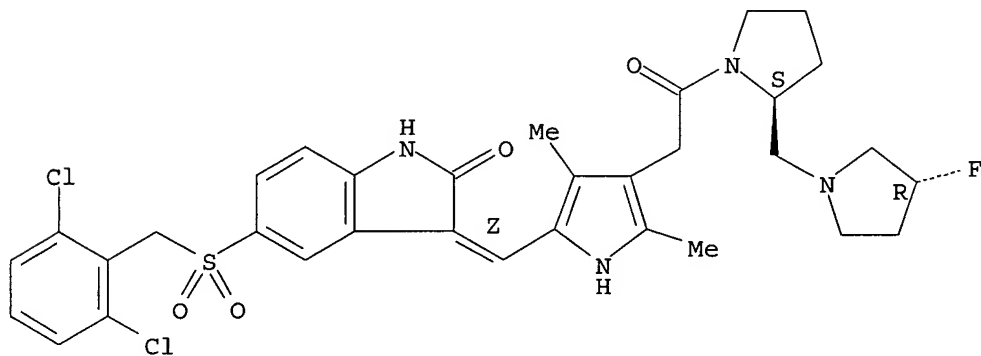




RN 477576-88-8 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-2-[[3R]-3-fluoro-1-pyrrolidinyl)methyl]-, (2S)- (9CI) (CA INDEX NAME)

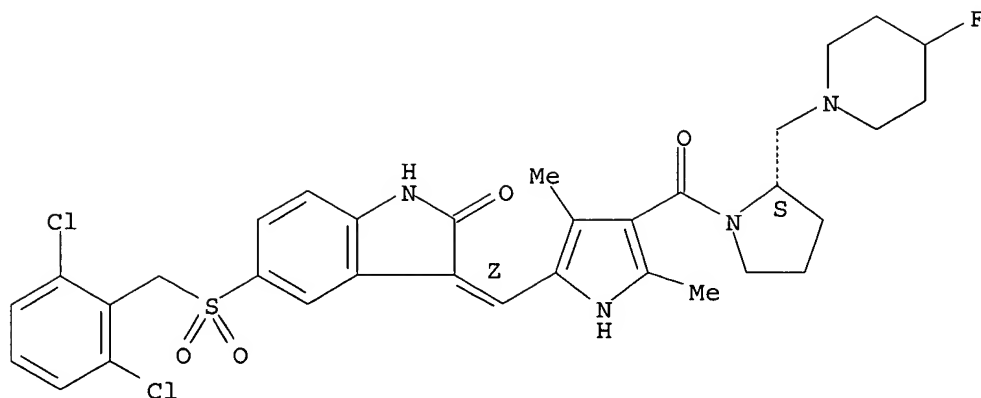
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477576-89-9 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-[(4R)-4-fluoro-1-piperidinyl)methyl]-, (2S)- (9CI) (CA INDEX NAME)

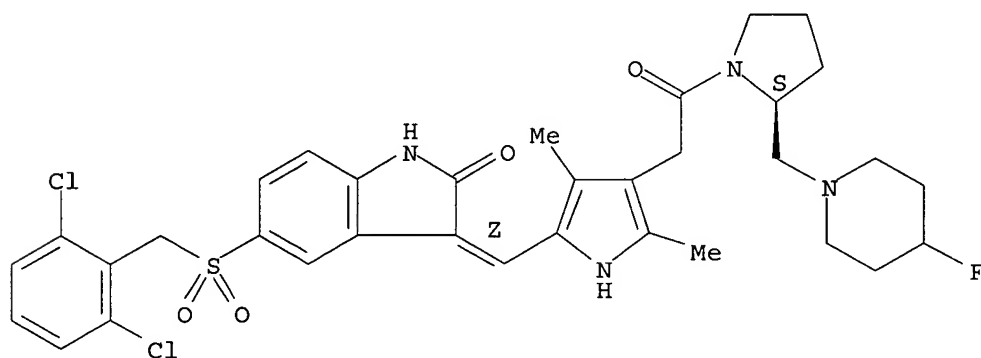
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477576-91-3 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-[(4-fluoro-1-piperidinyl)methyl]-, (2S)- (9CI) (CA INDEX NAME)

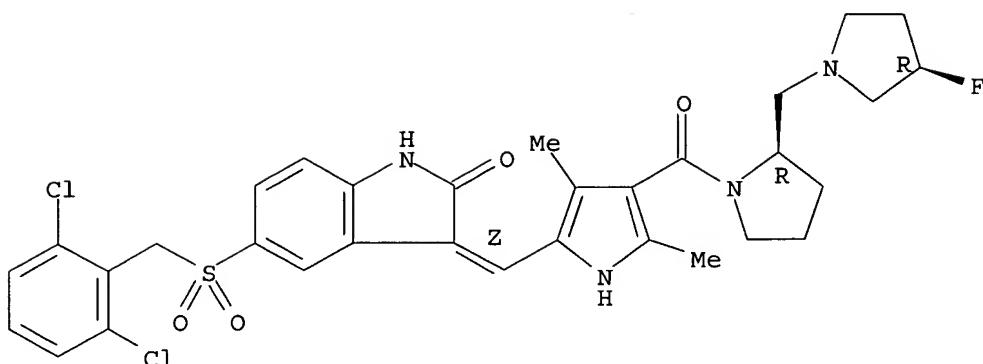
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477576-92-4 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-[(3R)-3-fluoro-1-pyrrolidinyl)methyl]-, (2R)- (9CI) (CA INDEX NAME)

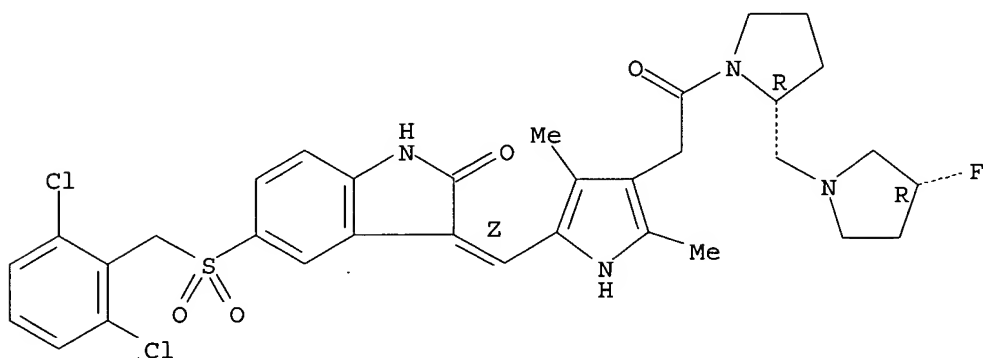
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477576-94-6 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-2-[[[(3R)-3-fluoro-1-pyrrolidinyl]methyl]-, (2R)- (9CI) (CA INDEX NAME)

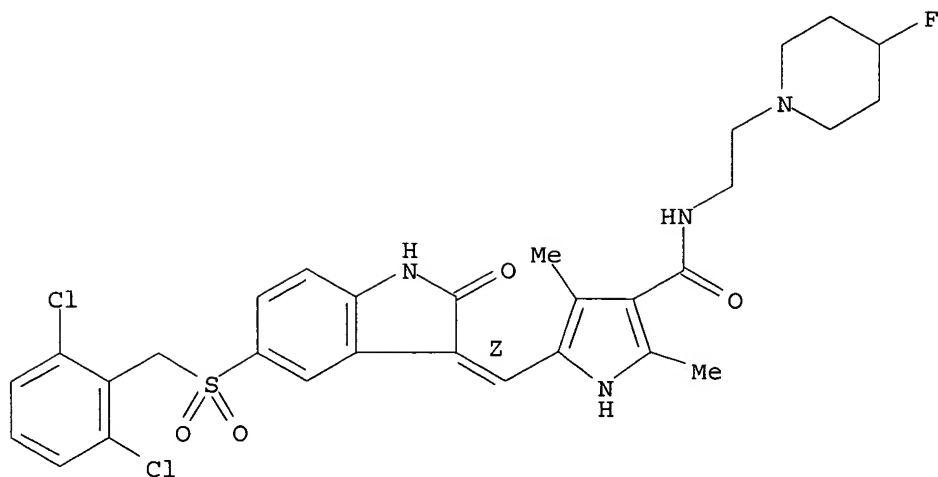
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477576-95-7 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(4-fluoro-1-piperidinyl)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

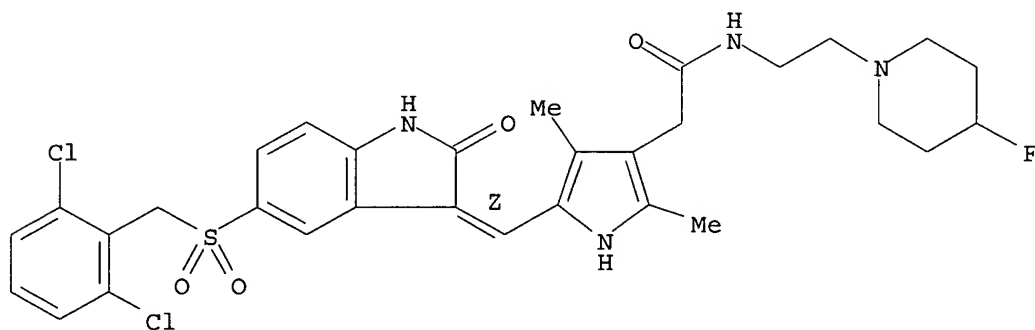
Double bond geometry as shown.



RN 477576-98-0 HCAPLUS

CN 1H-Pyrrole-3-acetamide, 5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(4-fluoro-1-piperidinyl)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

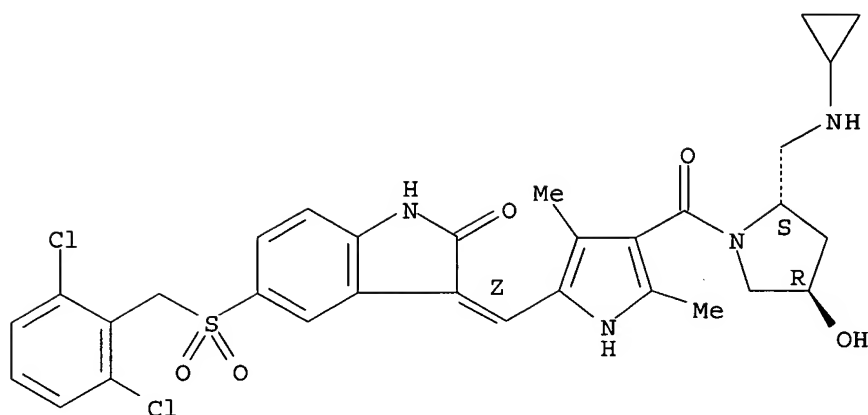


RN 477576-99-1 HCAPLUS

CN 3-Pyrrolidinol, 5-[(cyclopropylamino)methyl]-1-[[5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (3R,5S) - (9CI) (CA INDEX NAME)

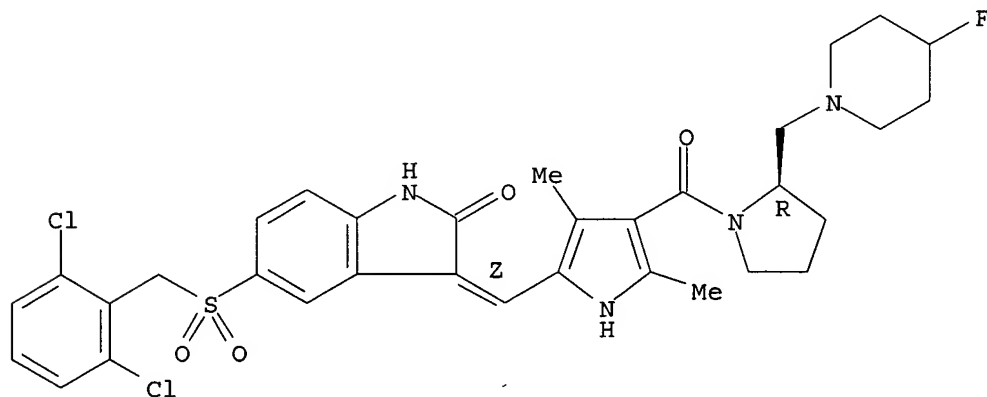
Absolute stereochemistry.

Double bond geometry as shown.



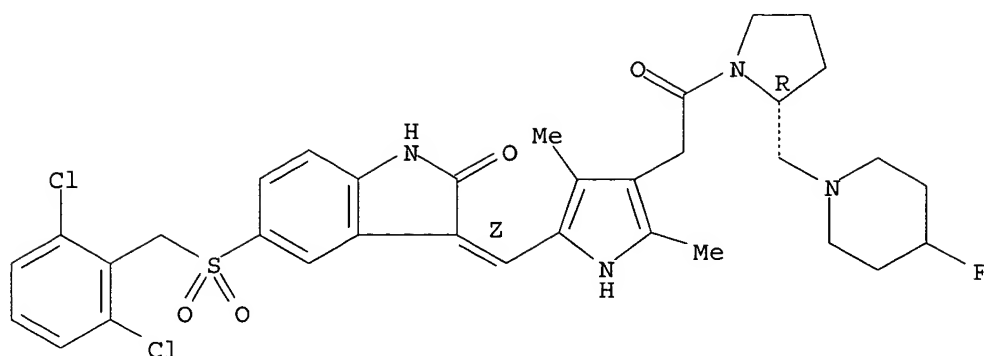
RN 477577-01-8 HCAPLUS  
 CN Pyrrolidine, 1-[[5-[(Z)-[5-[[2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene)methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-[(4-fluoro-1-piperidiny)methyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



RN 477577-06-3 HCAPLUS  
 CN Pyrrolidine, 1-[[5-[(Z)-[5-[[2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene)methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-2-[(4-fluoro-1-piperidiny)methyl]-, (2R)- (9CI) (CA INDEX NAME)

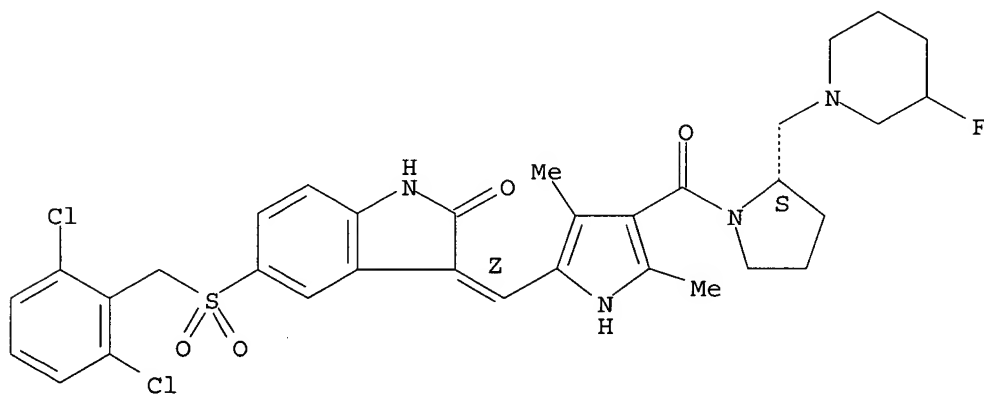
Absolute stereochemistry.  
 Double bond geometry as shown.



RN 477577-07-4 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-2-[(3-fluoro-1-piperidinyl)methyl]-, (2S)- (9CI) (CA INDEX NAME)

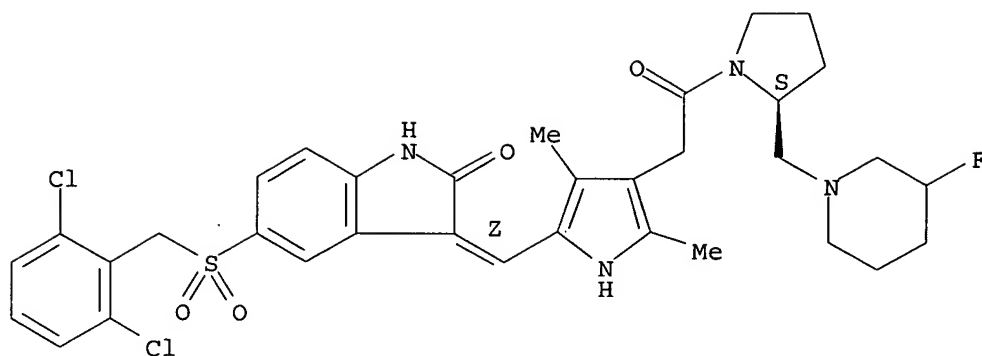
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477577-09-6 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-2-[(3-fluoro-1-piperidinyl)methyl]-, (2S)- (9CI) (CA INDEX NAME)

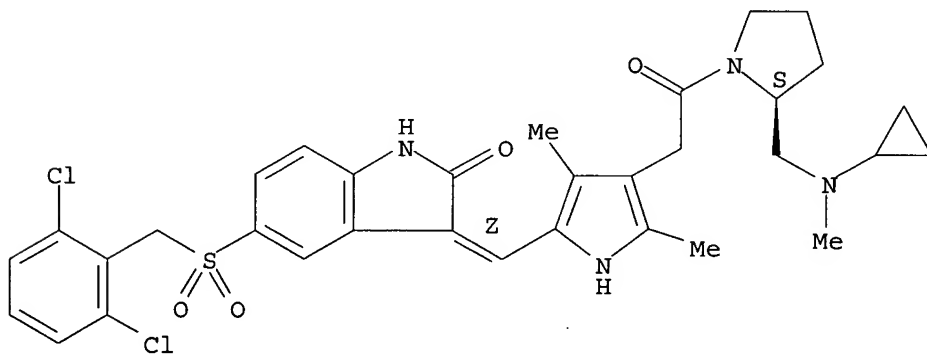
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477577-10-9 HCAPLUS

CN 2-Pyrrolidinemethanamine, N-cyclopropyl-1-[[5-[(Z)-[5-[[2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene)methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-N-methyl-, (2S)-(9CI)  
(CA INDEX NAME)

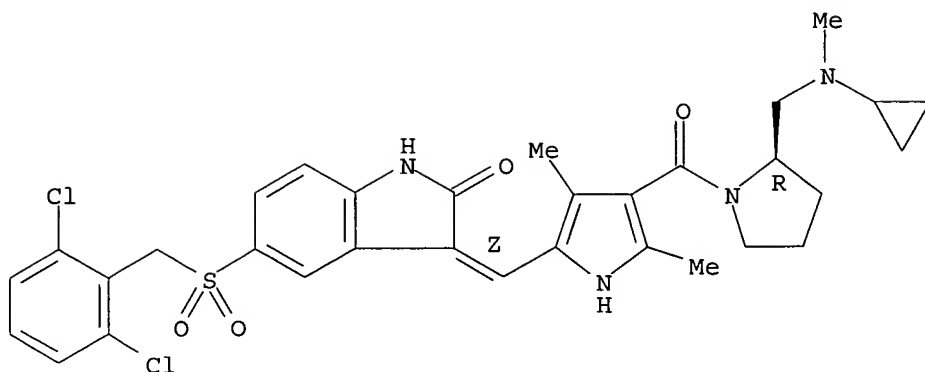
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477577-11-0 HCAPLUS

CN 2-Pyrrolidinemethanamine, N-cyclopropyl-1-[[5-[(Z)-[5-[[2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene)methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-N-methyl-, (2R)-(9CI) (CA INDEX NAME)

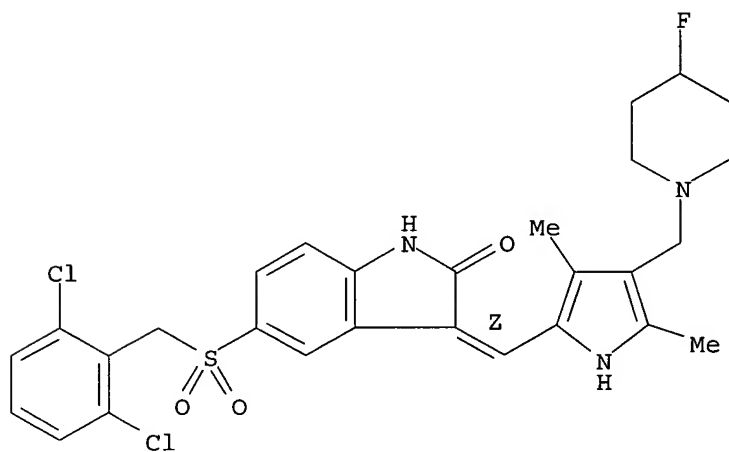
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477577-15-4 HCAPLUS

CN 2H-Indol-2-one, 5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-3-[[4-[(4-fluoro-1-piperidinyl)methyl]-3,5-dimethyl-1H-pyrrol-2-yl]methylene]-1,3-dihydro-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

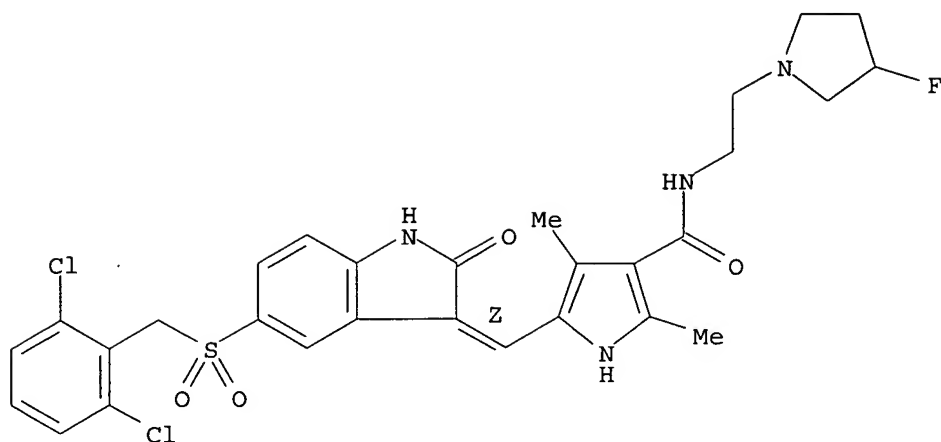


RN 477577-16-5 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(3-fluoro-1-pyrrolidinyl)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

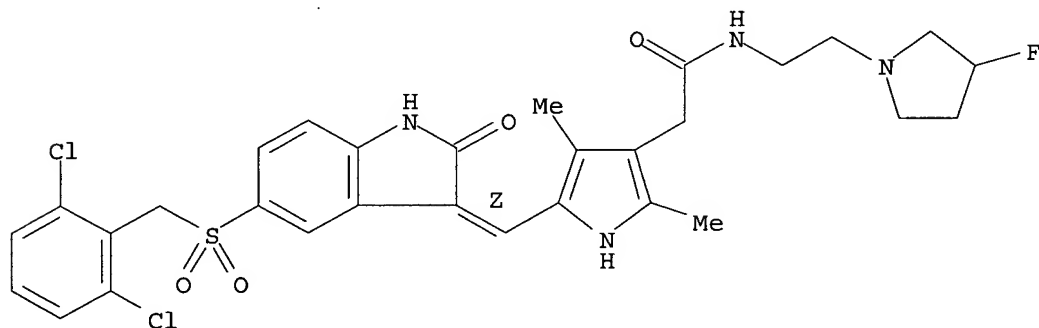




RN 477577-17-6 HCAPLUS

CN 1H-Pyrrole-3-acetamide, 5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(3-fluoro-1-pyrrolidiny)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



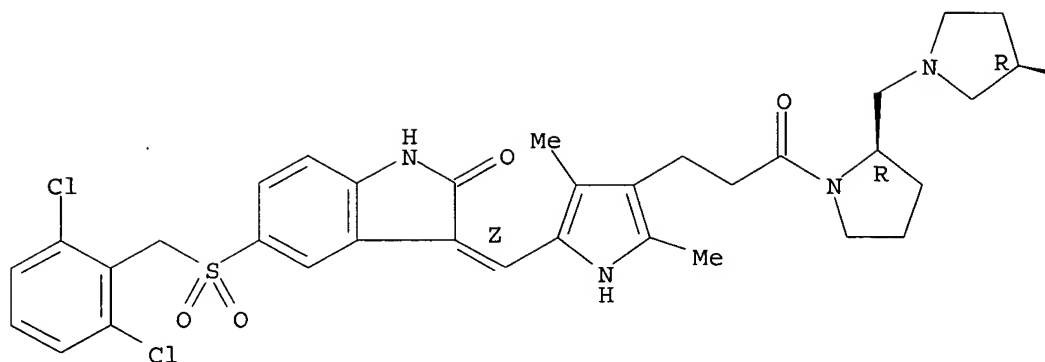
RN 477577-20-1 HCAPLUS

CN Pyrrolidine, 1-[3-[5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]-1-oxopropyl]-2-[[[(3R)-3-fluoro-1-pyrrolidiny)methyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

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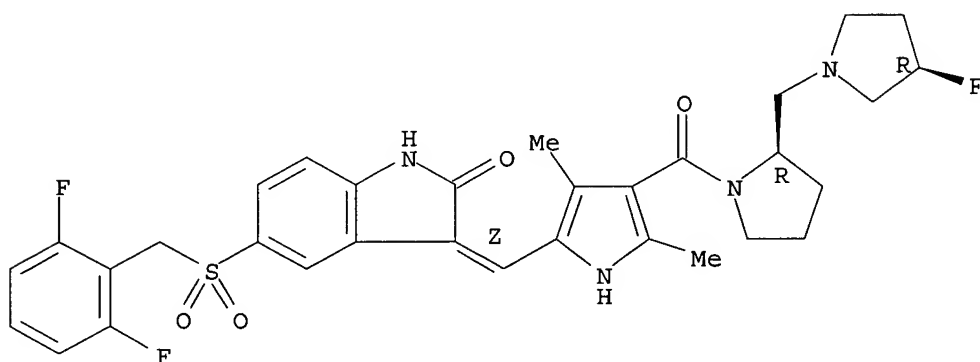


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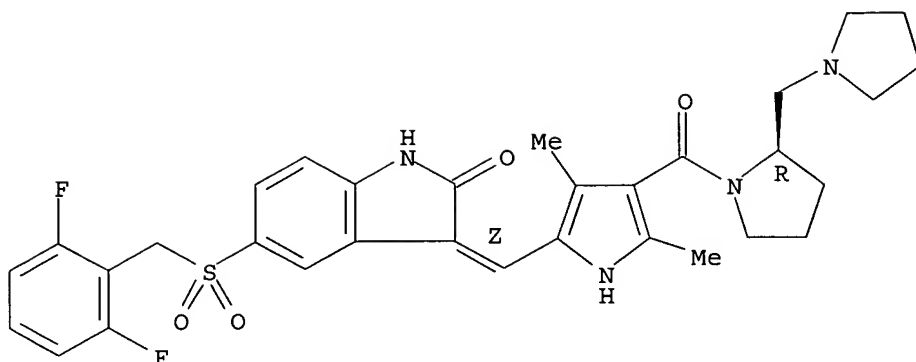
RN 477577-21-2 HCAPLUS  
 CN Pyrrolidine, 1-[[5-[(Z)-[5-[(2,6-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-[(3R)-3-fluoro-1-pyrrolidinylmethyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



RN 477577-24-5 HCAPLUS  
 CN Pyrrolidine, 1-[[5-[(Z)-[5-[(2,6-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-(1-pyrrolidinylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

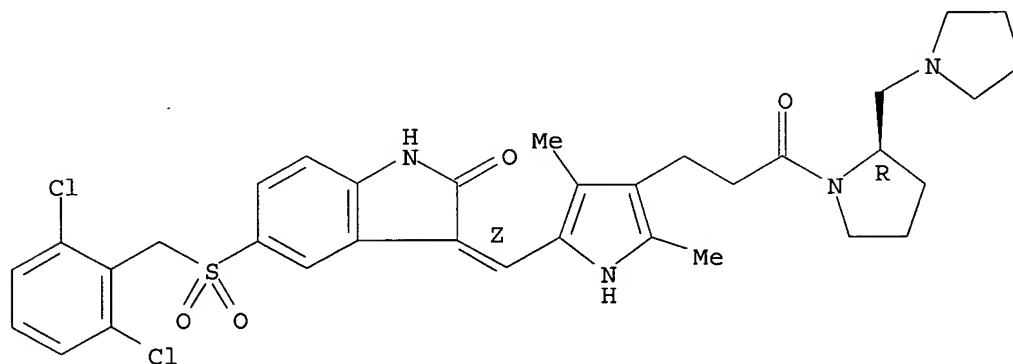
Absolute stereochemistry.  
 Double bond geometry as shown.



RN 477577-25-6 HCAPLUS

CN Pyrrolidine, 1-[3-[5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]-1-oxopropyl]-2-(1-pyrrolidinylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

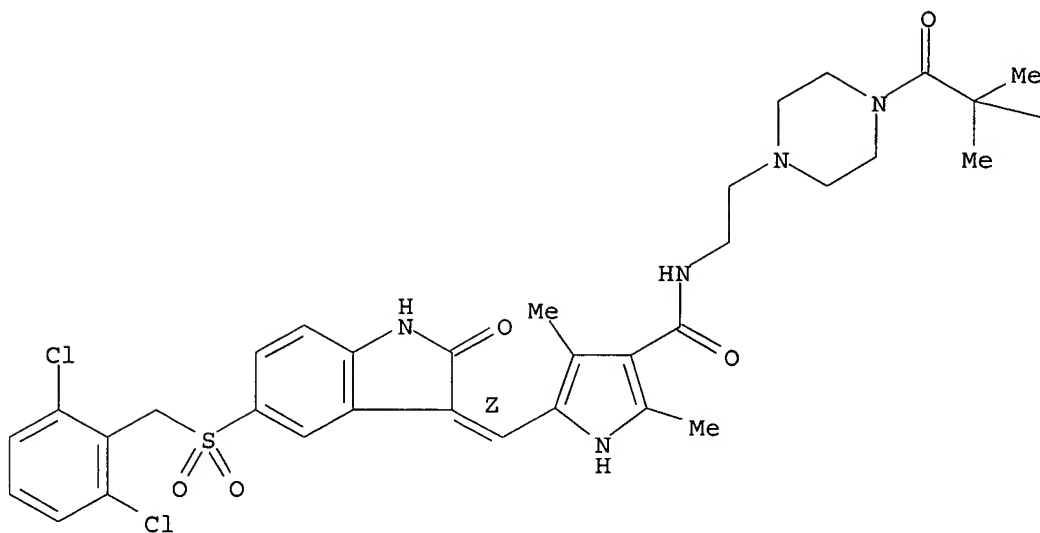


RN 477577-26-7 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-[4-(2-amino-2-methyl-1-oxopropyl)-1-piperazinyl]ethyl]-5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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PAGE 1-B

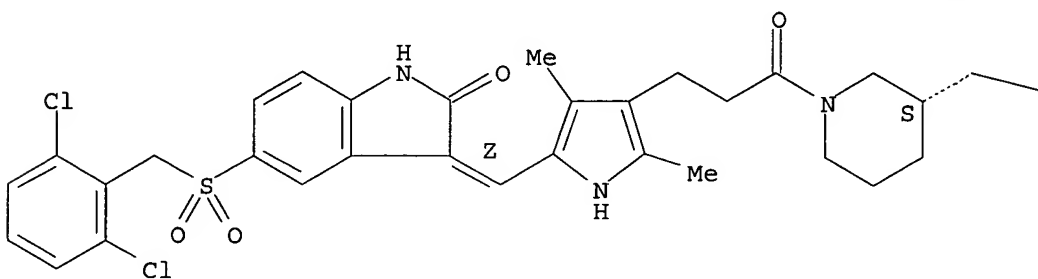
—NH<sub>2</sub>

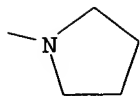
RN 477577-28-9 HCAPLUS

CN Piperidine, 1-[3-[5-[(Z)-[5-[[2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]-1-oxopropyl]-3-(1-pyrrolidinylmethyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

PAGE 1-A

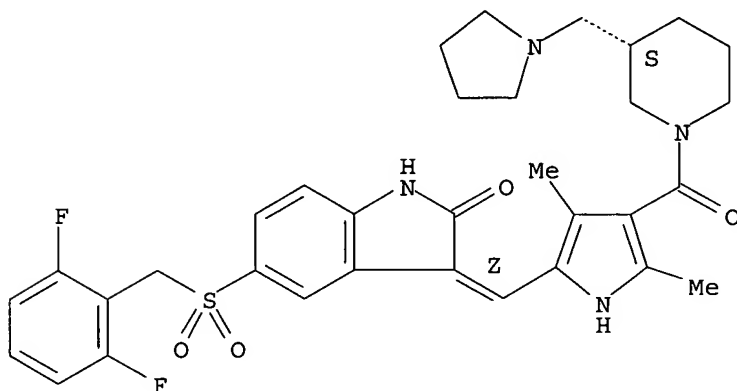




RN 477577-29-0 HCAPLUS

CN Piperidine, 1-[[5-[(Z)-[5-[[[(2,6-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-3-(1-pyrrolidinylmethyl)-, (3S)- (9CI) (CA INDEX NAME)

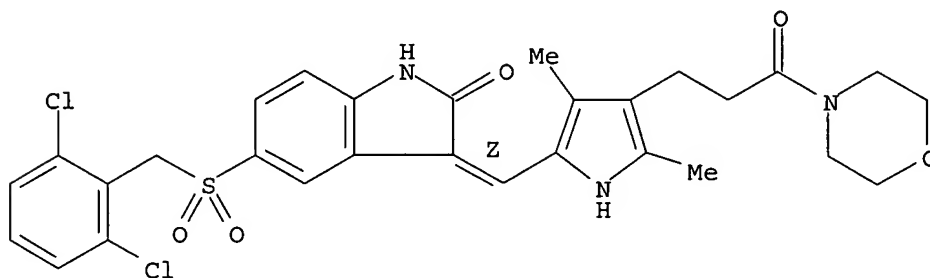
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477577-30-3 HCAPLUS

CN Morpholine, 4-[3-[5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]-1-oxopropyl]- (9CI) (CA INDEX NAME)

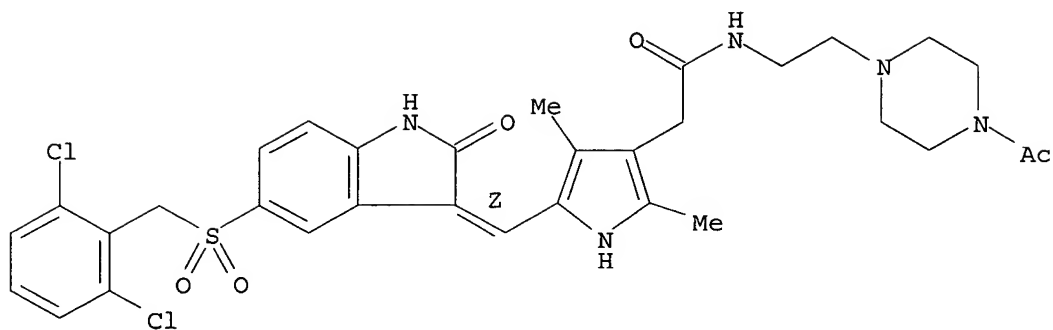
Double bond geometry as shown.



RN 477577-31-4 HCAPLUS

CN 1H-Pyrrole-3-acetamide, N-[2-(4-acetyl-1-piperazinyl)ethyl]-5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

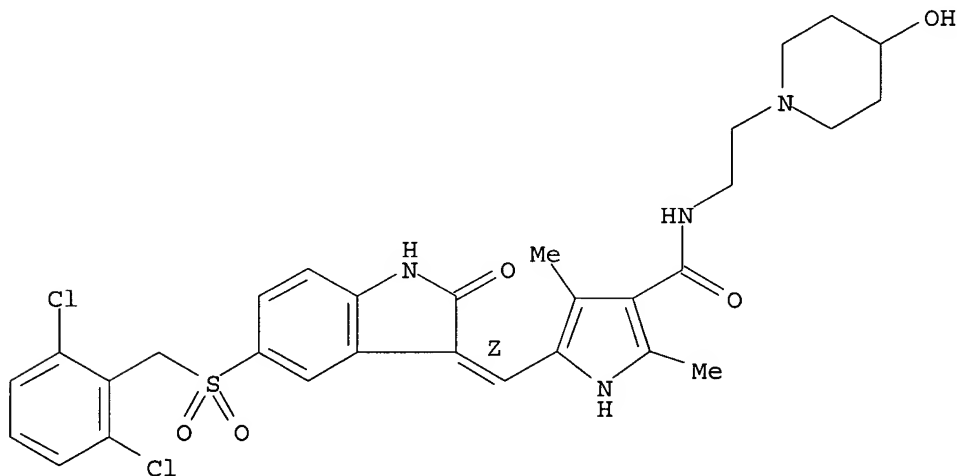
Double bond geometry as shown.



RN 477577-33-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(4-hydroxy-1-piperidinyl)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

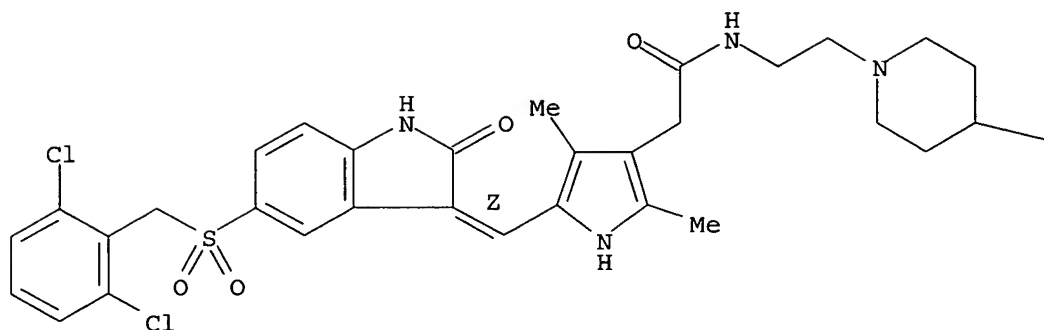


RN 477577-35-8 HCAPLUS

CN 1H-Pyrrole-3-acetamide, 5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(4-hydroxy-1-piperidinyl)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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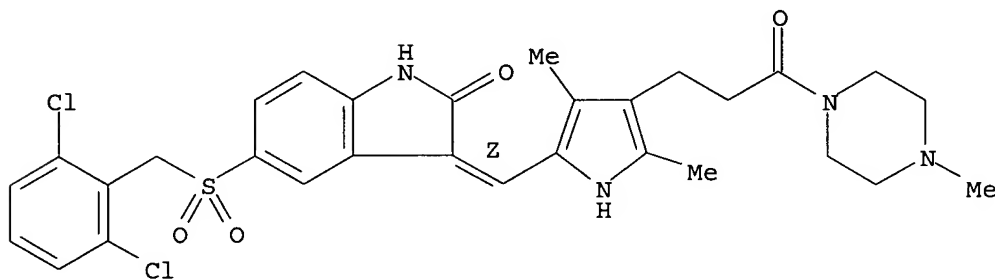


PAGE 1-B

—OH

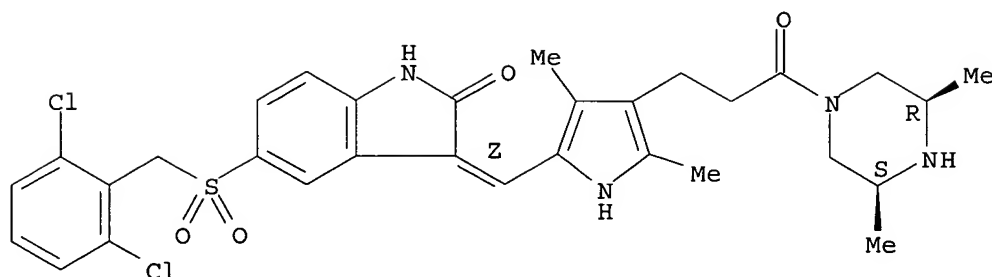
RN 477577-36-9 HCAPLUS  
 CN Piperazine, 1-[3-[5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]-1-oxopropyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 477577-37-0 HCAPLUS  
 CN Piperazine, 1-[3-[5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]-1-oxopropyl]-3,5-dimethyl-, (3R,5S)- (9CI) (CA INDEX NAME)

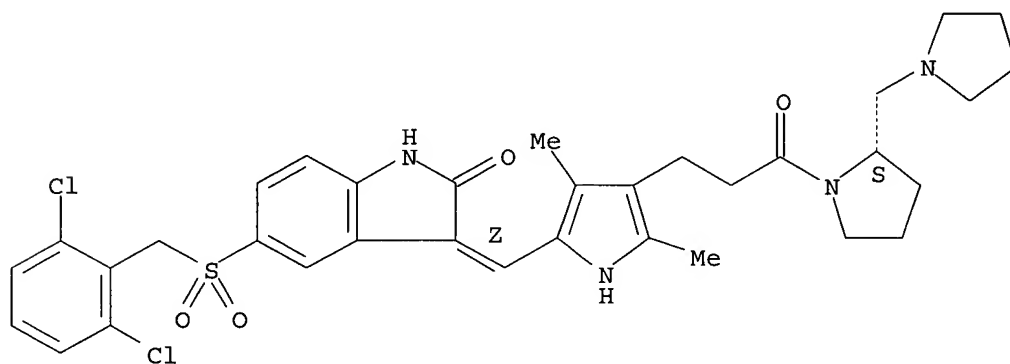
Absolute stereochemistry.  
 Double bond geometry as shown.



RN 477577-38-1 HCAPLUS

CN Pyrrolidine, 1-[3-[5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]-1-oxopropyl]-2-(1-pyrrolidinylmethyl)-, (2S)- (9CI) (CA INDEX NAME)

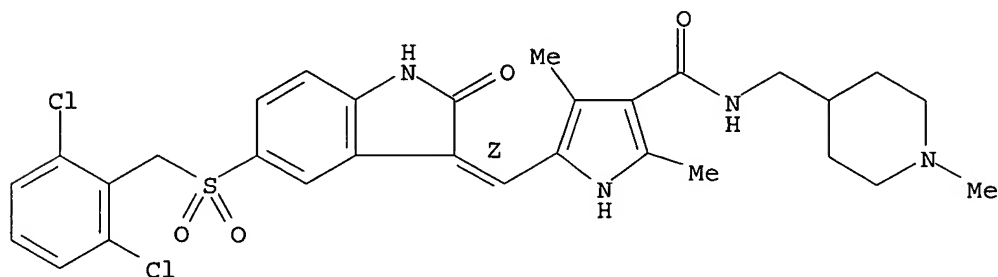
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477577-40-5 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[(1-methyl-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

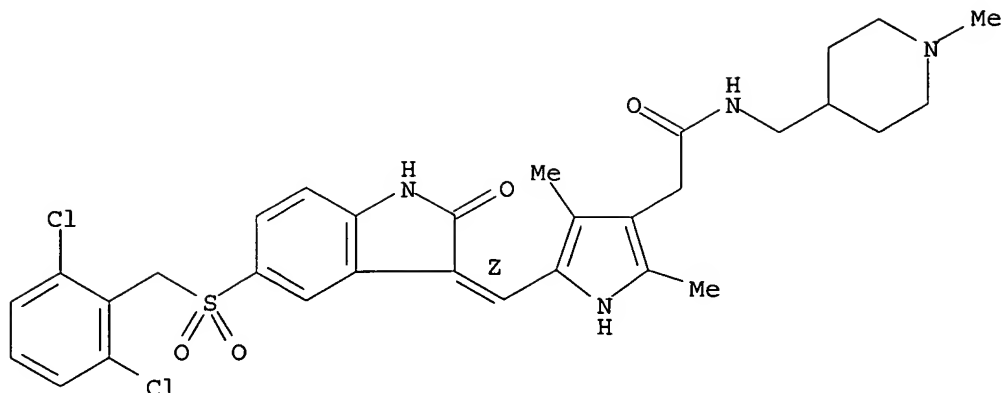


RN 477577-42-7 HCAPLUS

CN 1H-Pyrrole-3-acetamide, 5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[(1-methyl-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)



Double bond geometry as shown.

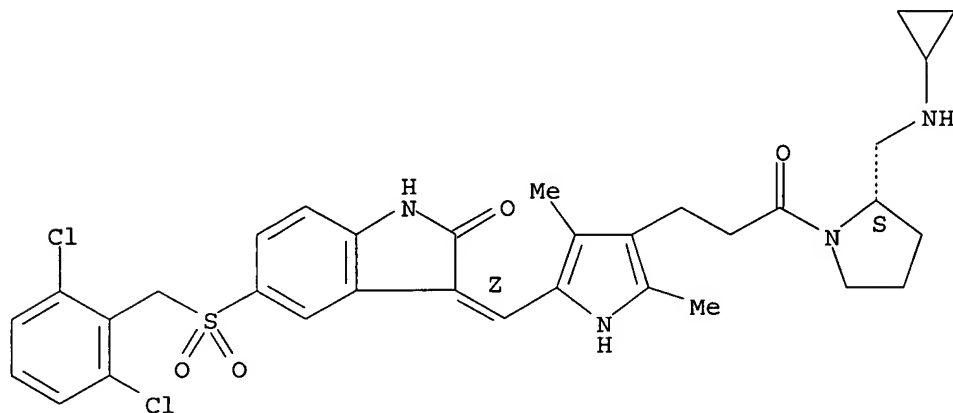


RN 477577-44-9 HCAPLUS

CN 2-Pyrrolidinemethanamine, N-cyclopropyl-1-[3-[5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]-1-oxopropyl]-, (2S)-(9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

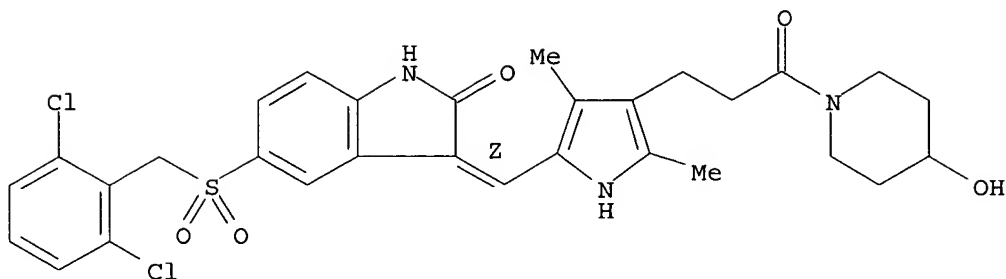
Double bond geometry as shown.



RN 477577-45-0 HCAPLUS

CN 4-Piperidinol, 1-[3-[5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]-1-oxopropyl]- (9CI) (CA INDEX NAME)

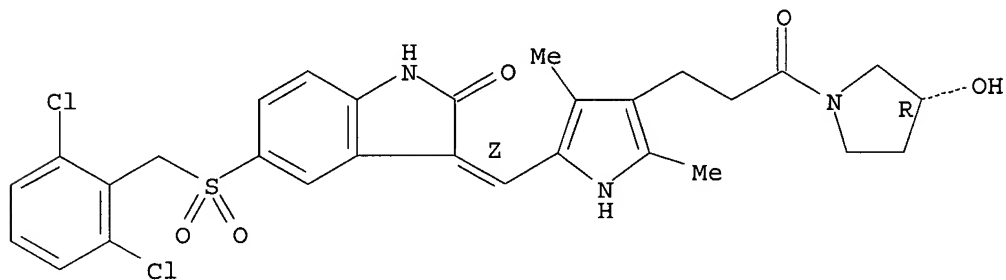
Double bond geometry as shown.



RN 477577-46-1 HCAPLUS

CN 3-Pyrrolidinol, 1-[3-[5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]-1-oxopropyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

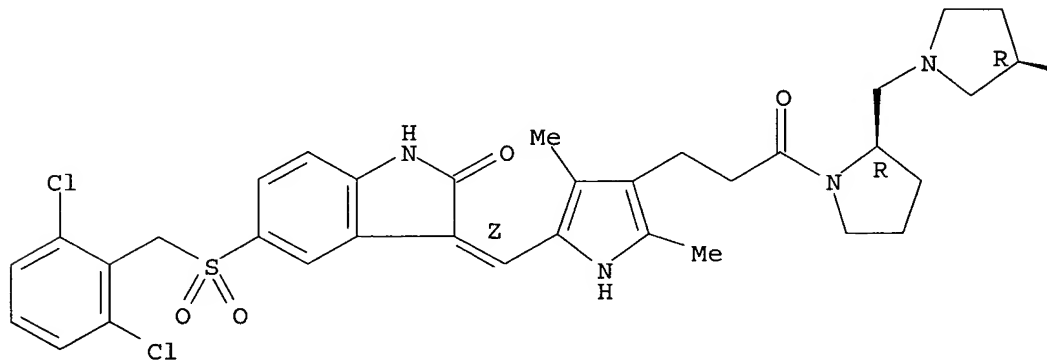


RN 477577-47-2 HCAPLUS

CN Pyrrolidine, 1-[3-[5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]-1-oxopropyl]-2-[[3-(3-hydroxy-1-pyrrolidinyl)methyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

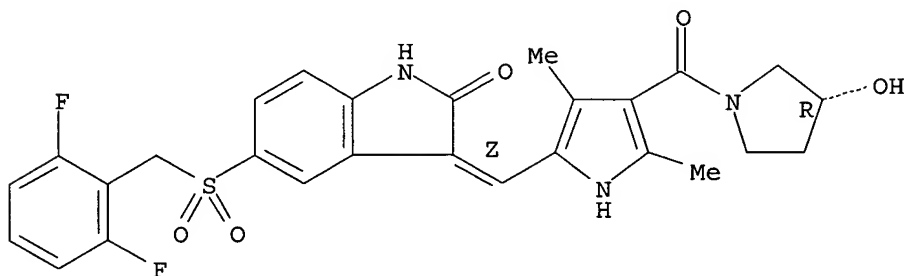
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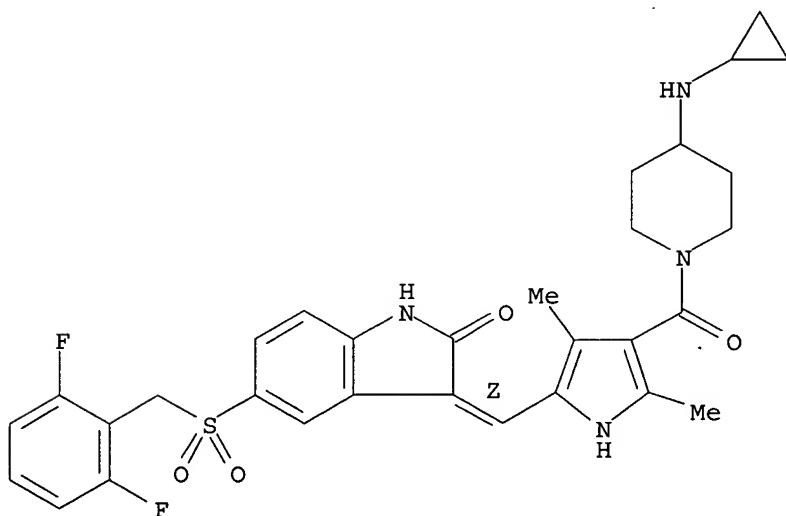
RN 477577-48-3 HCAPLUS  
 CN 3-Pyrrolidinol, 1-[[5-[(Z)-[5-[[[(2,6-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



RN 477577-49-4 HCAPLUS  
 CN 4-Piperidinamine, N-cyclopropyl-1-[[5-[(Z)-[5-[[[(2,6-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT 477577-50-7P, 3-[1-[4-[3-(4-Cyclopropylaminopiperidin-1-yl)-3-oxopropyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one 477577-51-8P, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[5-methyl-3-[(S)-2-pyrrolidin-1-ylmethylpyrrolidin-1-yl]carbonyl]-1H-pyrrol-2-yl]meth-(Z)-

ylidene]-1,3-dihydroindol-2-one **477577-52-9P**,  
 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(S)-2-[(S)-3-fluoropyrrolidin-1-yl)methyl]pyrrolidin-1-ylcarbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477577-54-1P**,  
 3-[1-[4-[(Cyclopropyl)methylamino]methyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-[2-(2-(morpholin-4-yl)ethoxy)phenylmethanesulfonyl]-1,3-dihydroindol-2-one **477577-55-2P**, 3-[1-[4-[(R)-3-Hydroxypyrrolidin-1-yl]carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-[2-(2-(morpholin-4-yl)ethoxy)phenylmethanesulfonyl]-1,3-dihydroindol-2-one **477577-57-4P**, 3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-[2-(2-(morpholin-4-yl)ethoxy)phenylmethanesulfonyl]-1,3-dihydroindol-2-one **477577-58-5P**, 3-[1-[3,5-Dimethyl-4-[(R)-2-pyrrolidin-1-ylmethylpyrrolidin-1-yl]carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-[2-(2-(morpholin-4-yl)ethoxy)phenylmethanesulfonyl]-1,3-dihydroindol-2-one **477577-60-9P**, 3-[1-[4-[(4-Cyclopropylaminopiperidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(3,5-dimethoxyphenylmethanesulfonyl)-1,3-dihydroindol-2-one **477577-61-0P**, 3-[1-[4-[(R)-2-[(Cyclopropylamino)methyl]pyrrolidin-1-yl]carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(3,5-dimethoxyphenylmethanesulfonyl)-1,3-dihydroindol-2-one **477577-62-1P**, 3-[1-[4-[(R)-2-[(Cyclopropylmethyl)amino]methyl]pyrrolidin-1-ylcarbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(3,5-dimethoxyphenylmethanesulfonyl)-1,3-dihydroindol-2-one **477577-63-2P**, 5-(3,5-Dimethoxyphenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(R)-2-pyrrolidin-1-ylmethylpyrrolidin-1-yl]carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477577-64-3P**, 3-[1-[3,5-Dimethyl-4-[(R)-2-pyrrolidin-1-ylmethylpyrrolidin-1-yl]carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-phenylmethanesulfonyl-1,3-dihydroindol-2-one **477577-65-4P**, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid cyclopropyl((R)-1-pyrrolidin-2-ylmethyl)amide **477577-66-5P**, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (cyclopropylmethyl)((R)-1-pyrrolidin-2-ylmethyl)amide **477577-67-6P**, 5-(2,6-Dimethoxyphenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(R)-2-pyrrolidin-1-ylmethylpyrrolidin-1-yl]carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477577-68-7P**, 3-[1-[4-[(R)-2-[(Cyclopropylmethyl)amino]methyl]pyrrolidin-1-ylcarbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-difluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477577-69-8P**, 3-[1-[4-[(R)-2-[(Cyclopropylamino)methyl]pyrrolidin-1-yl]carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-difluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477577-70-1P**, 3-[1-[4-[(R)-2-[(Cyclopropylamino)methyl]pyrrolidin-1-yl]carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2-fluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477577-71-2P**, 3-[1-[4-[(R)-2-[(Cyclopropylmethyl)amino]methyl]pyrrolidin-1-ylcarbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2-fluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477577-72-3P**, 3-[1-[3,5-Dimethyl-4-[(R)-2-pyrrolidin-1-ylmethylpyrrolidin-1-yl]carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2-fluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477577-73-4P**, 5-(2-Chlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(R)-2-pyrrolidin-1-ylmethylpyrrolidin-1-yl]carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477577-74-5P**, 5-(2-Chlorophenylmethanesulfonyl)-3-[1-[4-[(R)-2-[(cyclopropylamino)methyl]pyrrolidin-1-yl]carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477577-75-6P**, 5-(2-Chlorophenylmethanesulfonyl)-3-[1-[4-[(R)-2-

[(cyclopropylmethyl)amino]methylpyrrolidin-1-ylcarbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477577-76-7P**  
 , 5-(2-Chlorophenylmethanesulfonyl)-3-[1-[4-[(4-cyclopropylaminopiperidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477577-77-8P**, 3-[1-[4-[(4-Cyclopropylaminopiperidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2-fluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477577-78-9P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(R)-2-[(S)-2-hydroxymethylpyrrolidin-1-yl)methyl]pyrrolidin-1-ylcarbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477577-79-0P**, 3-[1-[4-[(4-Aminopiperidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2-fluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477577-80-3P**  
 , 3-[1-[4-[(4-Aminopiperidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477577-81-4P**, 3-[1-[4-[(4-Aminopiperidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-difluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477577-82-5P**  
 , 3-[1-[4-[(4-Aminopiperidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2-chlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477577-83-6P**, 3-[1-[4-[(S)-3-Aminopyrrolidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2-fluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477577-84-7P**  
 , 3-[1-[4-[(S)-3-Aminopyrrolidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2-chlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477577-85-8P**, 3-[1-[4-[(S)-3-Aminopyrrolidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477577-86-9P**  
 , 3-[1-[4-[(S)-3-Aminopyrrolidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-difluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477577-87-0P**, 3-[1-[4-[(R)-3-Aminopyrrolidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-difluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477577-88-1P**  
 , 3-[1-[4-[(R)-3-Aminopyrrolidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477577-89-2P**, 3-[1-[4-[(R)-3-Aminopyrrolidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2-chlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477577-90-5P**  
 , 3-[1-[4-[(R)-3-Aminopyrrolidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2-fluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477577-91-6P**, 3-[1-(5-Methyl-3H-imidazol-4-yl)meth-(Z)-ylidene]-5-phenylmethanesulfonyl-1,3-dihydroindol-2-one **477577-92-7P**, 3-[1-[3-[(3-Dimethylaminopyrrolidin-1-yl)carbonyl]-5-methyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-phenylmethanesulfonyl-1,3-dihydroindol-2-one **477577-93-8P**, 3-[5-Ethyl-2-(2-oxo-5-phenylmethanesulfonyl-1,2-dihydroindol-3-(Z)-ylidenemethyl)-1H-pyrrol-3-yl]propionic acid **477577-94-9P**, 3-[4-Methyl-5-(2-oxo-5-phenylmethanesulfonyl-1,2-dihydroindol-3-(Z)-ylidenemethyl)-1H-pyrrol-3-yl]propionic acid **477577-95-0P**, 3-[1-[3-Methyl-5-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-phenylmethanesulfonyl-1,3-dihydroindol-2-one **477577-96-1P**, 4-(4-Fluorophenyl)-2-methyl-5-(2-oxo-5-phenylmethanesulfonyl-1,2-dihydroindol-3-(Z)-ylidenemethyl)-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477577-97-2P**, 4-[5-Methyl-2-(2-oxo-5-phenylmethanesulfonyl-1,2-dihydroindol-3-(Z)-ylidenemethyl)-1H-pyrrol-3-yl]benzoic acid **477577-98-3P**, 3-[1-(4-(Morpholin-4-yl)phenyl)meth-(Z)-ylidene]-5-phenylmethanesulfonyl-1,3-dihydroindol-2-one **477577-99-4P**, 4-(2-Carboxyethyl)-3-methyl-5-(2-oxo-5-phenylmethanesulfonyl-1,2-dihydroindol-3-(Z)-ylidenemethyl)-1H-pyrrole-2-carboxylic acid ethyl ester **477578-00-0P**, 3-[2,4-Dimethyl-5-(2-

oxo-5-phenylmethanesulfonyl-1,2-dihydroindol-3-(Z)-ylidenemethyl)-1H-pyrrol-3-yl]propionic acid **477578-01-1P**, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (3-(pyrrolidin-1-yl)propyl)amide **477578-02-2P**, 2-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-5-methyl-1H-pyrrole-3-carboxylic acid (3-(pyrrolidin-1-yl)propyl)amide **477578-03-3P**, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid [2-(3-fluoropiperidin-1-yl)ethyl]amide **477578-04-4P**, 2-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-5-methyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide **477578-05-5P**, 3-[1-[4-[(3R,5S)-3,5-dimethylpiperazin-1-yl)methyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-phenylmethanesulfonyl-1,3-dihydroindol-2-one **477578-06-6P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-(1-methylpiperidin-4-yl)-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477578-07-7P**, 2-[5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-yl]-N-[2-(3-fluoropiperidin-1-yl)ethyl]acetamide **477578-08-8P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-(4-[(morpholin-4-yl)methyl]phenyl)-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477578-09-9P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[4-(cyclopropylamino)piperidin-1-ylmethyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one **477578-10-2P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[4-(pyrrolidin-1-yl)piperidin-1-ylmethyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

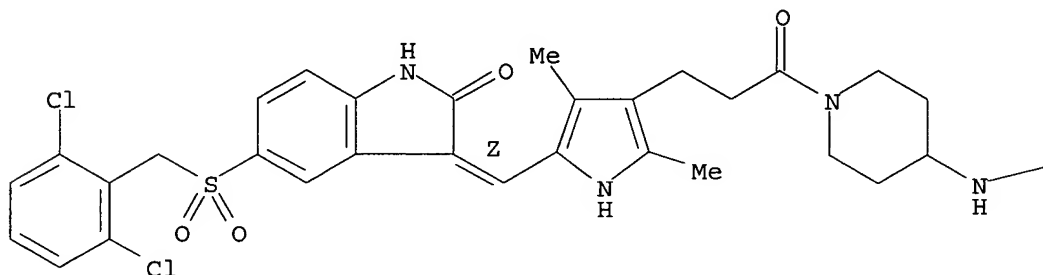
(drug candidate; preparation of aralkylsulfonyl- and pyrrolylmethylidene-substituted indolinones as kinase inhibitors useful against cancers and other disorders)

RN 477577-50-7 HCAPLUS

CN 4-Piperidinamine, N-cyclopropyl-1-[3-[5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]-1-oxopropyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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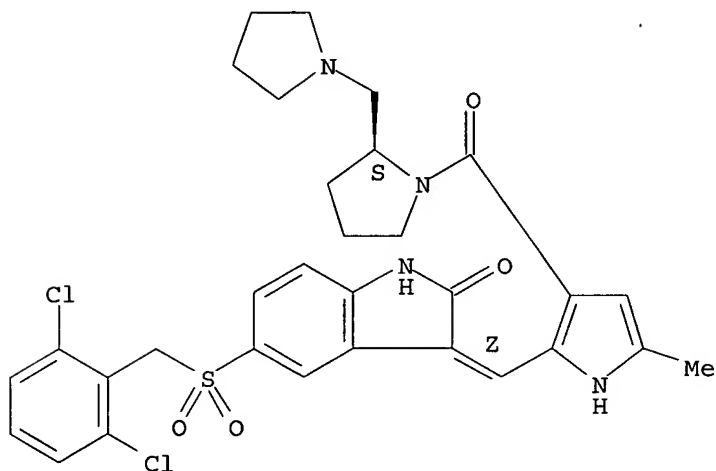




RN 477577-51-8 HCAPLUS

CN Pyrrolidine, 1-[[2-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-5-methyl-1H-pyrrol-3-yl]carbonyl]-2-(1-pyrrolidinylmethyl)-, (2S)- (9CI) (CA INDEX NAME)

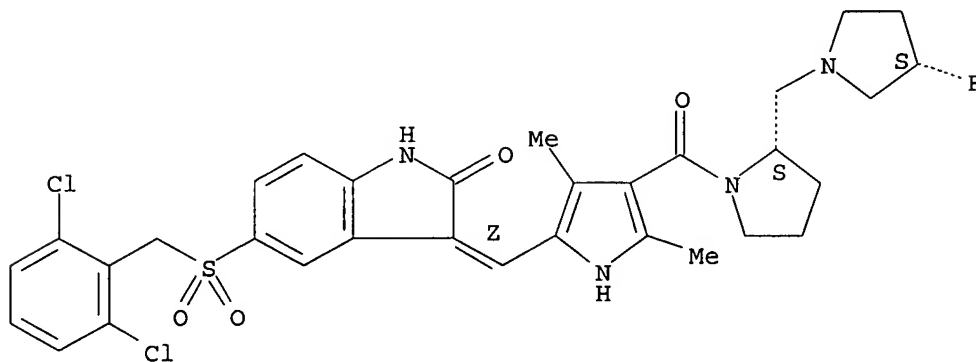
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477577-52-9 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-[[[(3S)-3-fluoro-1-pyrrolidinyl]methyl]-, (2S)- (9CI) (CA INDEX NAME)

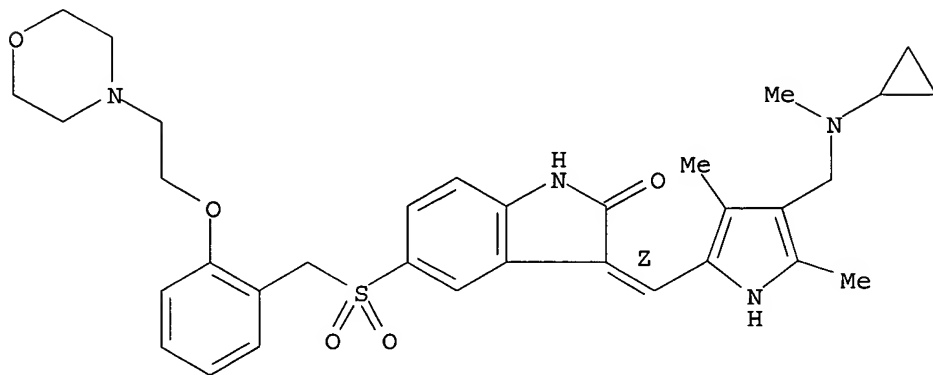
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477577-54-1 HCAPLUS

CN 2H-Indol-2-one, 3-[[4-[(cyclopropylmethylamino)methyl]-3,5-dimethyl-1H-pyrrol-2-yl)methylene]-1,3-dihydro-5-[[[2-[2-(4-morpholinyl)ethoxy]phenyl)methyl]sulfonyl]-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

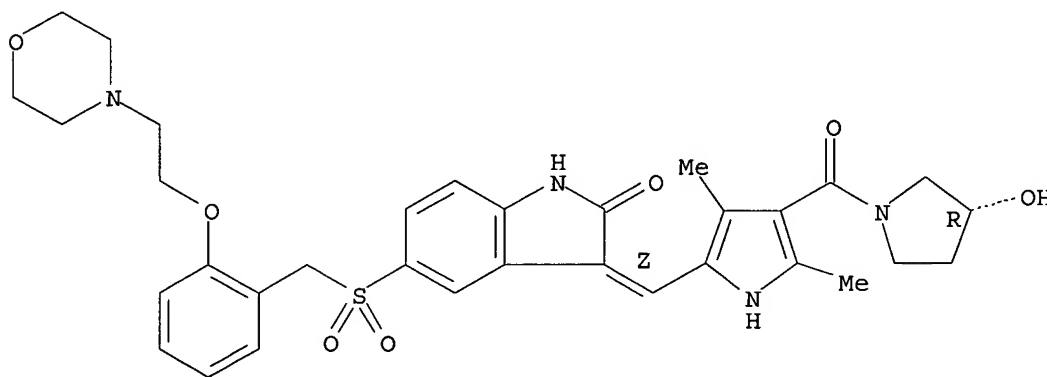


RN 477577-55-2 HCAPLUS

CN 3-Pyrrolidinol, 1-[[5-[(Z)-[1,2-dihydro-5-[[[2-[2-(4-morpholinyl)ethoxy]phenyl)methyl]sulfonyl]-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

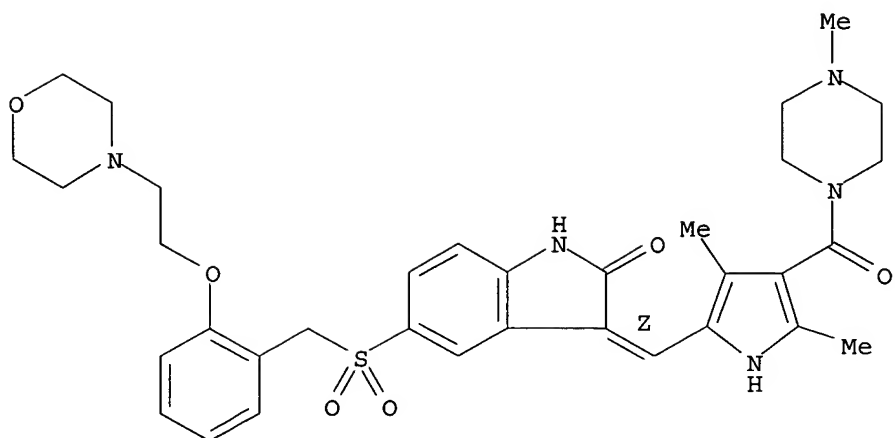


RN 477577-57-4 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-5-[[[2-[2-(4-morpholinyl)ethoxy]phenyl)methyl]sulfonyl]-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



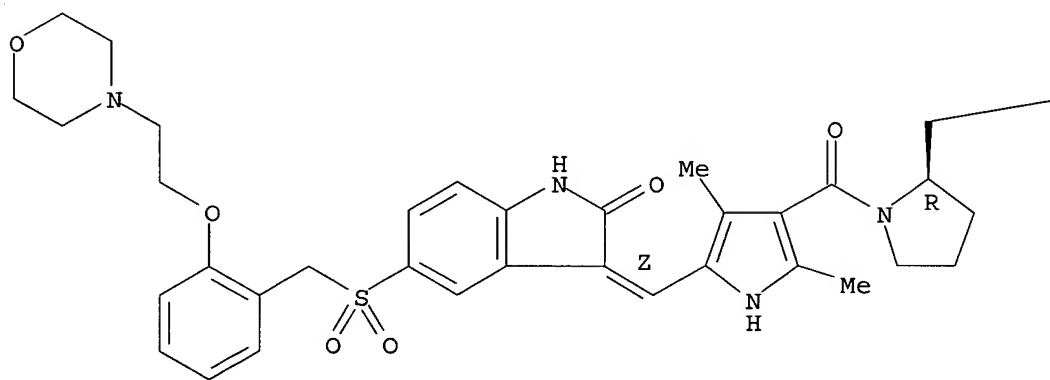


RN 477577-58-5 HCAPLUS

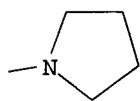
CN Pyrrolidine, 1-[[5-[(Z)-[1,2-dihydro-5-[[[2-[2-(4-morpholinyl)ethoxy]phenyl)methyl]sulfonyl]-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-(1-pyrrolidinylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

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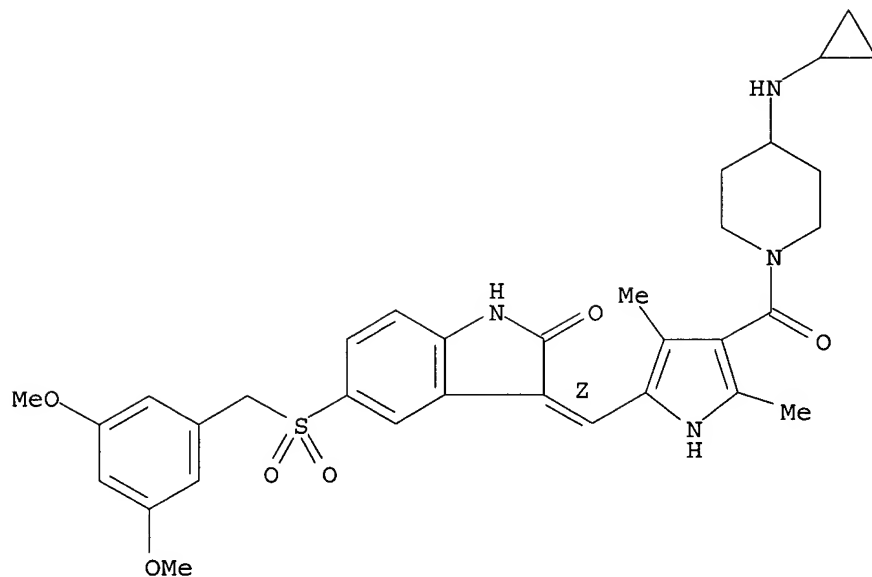
PAGE 1-B



RN 477577-60-9 HCAPLUS

CN 4-Piperidinamine, N-cyclopropyl-1-[[5-[(Z)-[5-[[[3,5-dimethoxyphenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

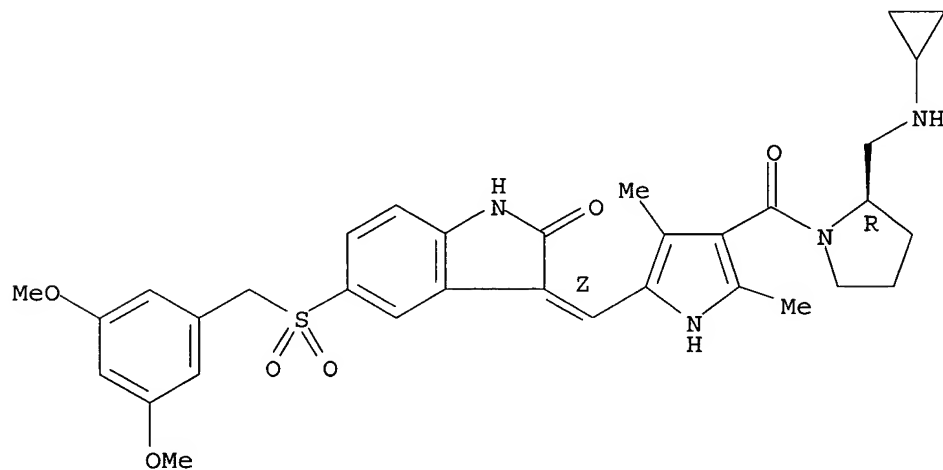
Double bond geometry as shown.



RN 477577-61-0 HCAPLUS

CN 2-Pyrrolidinemethanamine, N-cyclopropyl-1-[[5-[(Z)-[5-[(3,5-dimethoxyphenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

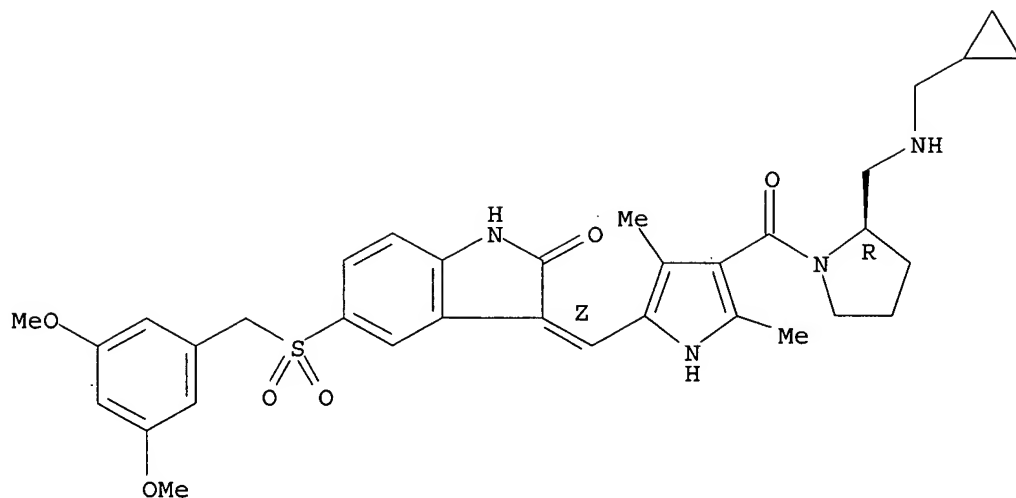


RN 477577-62-1 HCAPLUS

CN 2-Pyrrolidinemethanamine, N-(cyclopropylmethyl)-1-[[5-[(Z)-[5-[(3,5-dimethoxyphenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

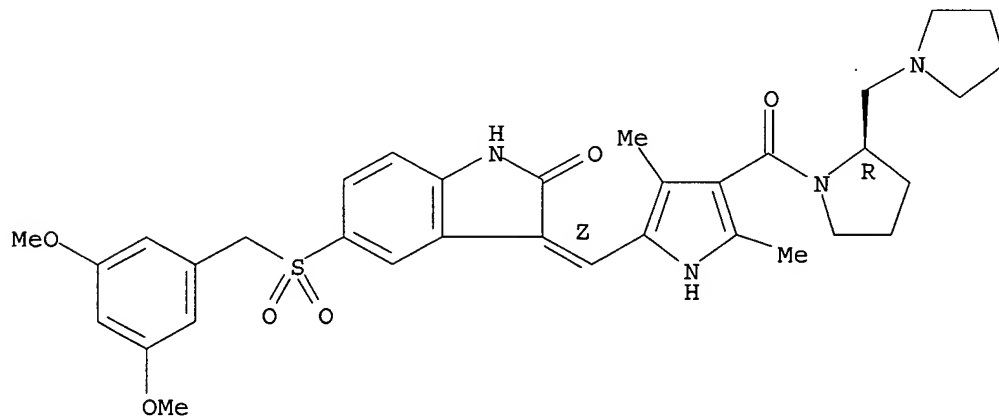


RN 477577-63-2 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[(3,5-dimethoxyphenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-(1-pyrrolidinylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

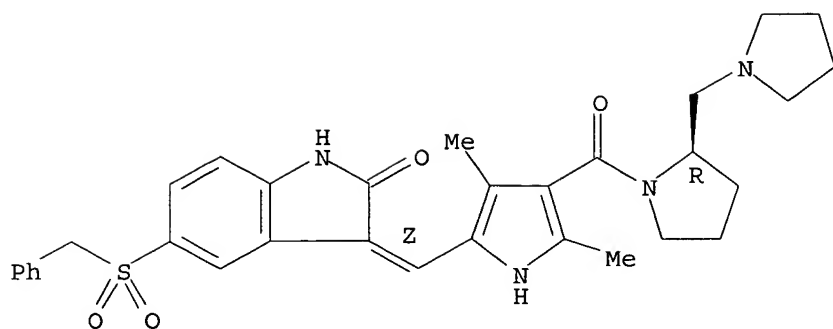


RN 477577-64-3 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-(1-pyrrolidinylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

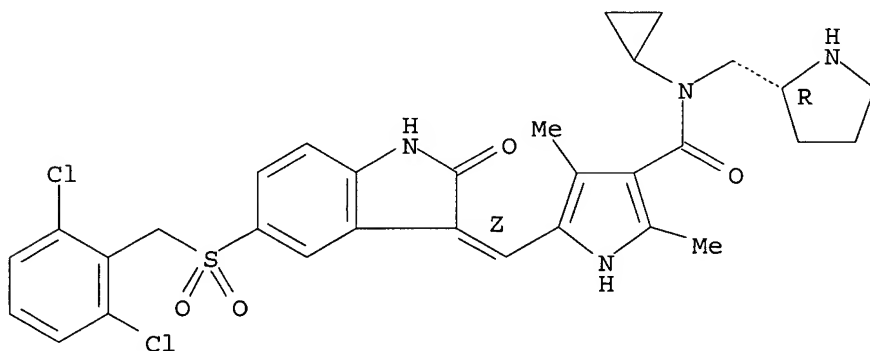
Double bond geometry as shown.



RN 477577-65-4 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-cyclopropyl-5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[(2R)-2-pyrrolidinylmethyl]- (9CI) (CA INDEX NAME)

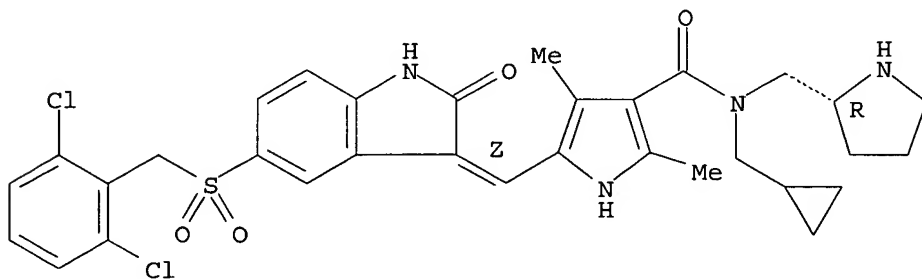
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477577-66-5 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-(cyclopropylmethyl)-5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[(2R)-2-pyrrolidinylmethyl]- (9CI) (CA INDEX NAME)

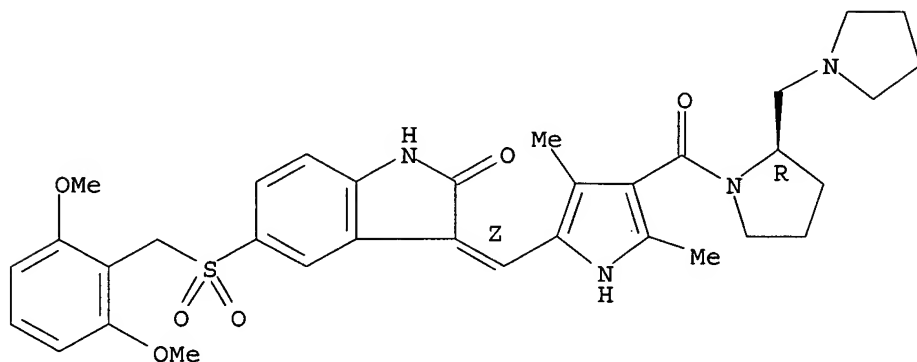
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477577-67-6 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[2,6-dimethoxyphenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-(1-pyrrolidinylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

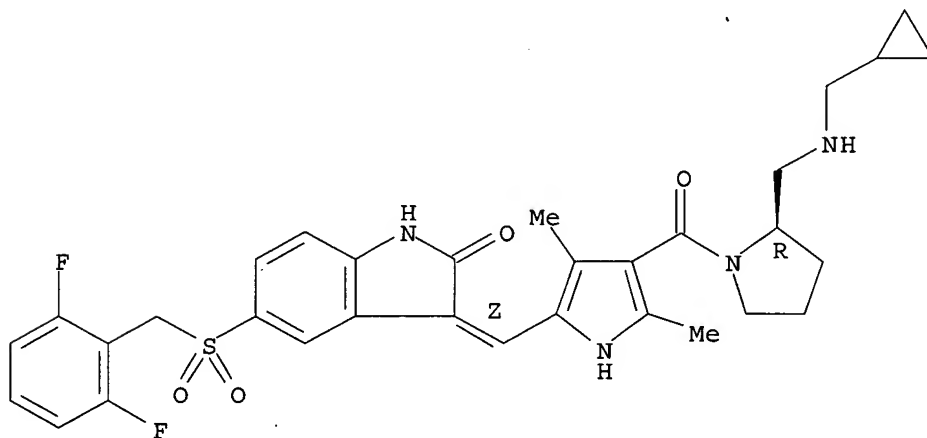
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477577-68-7 HCAPLUS

CN 2-Pyrrolidinemethanamine, N-(cyclopropylmethyl)-1-[[5-[(Z)-[5-[[2,6-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (2R)- (9CI) (CA INDEX NAME)

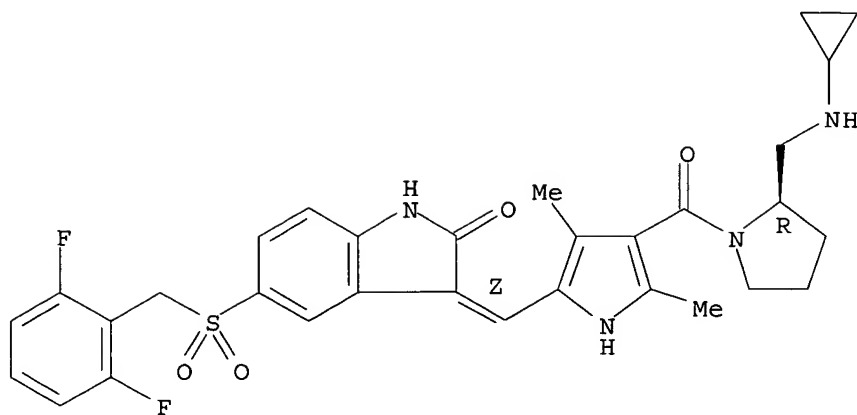
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477577-69-8 HCAPLUS

CN 2-Pyrrolidinemethanamine, N-cyclopropyl-1-[[5-[(Z)-[5-[[2,6-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (2R)- (9CI) (CA INDEX NAME)

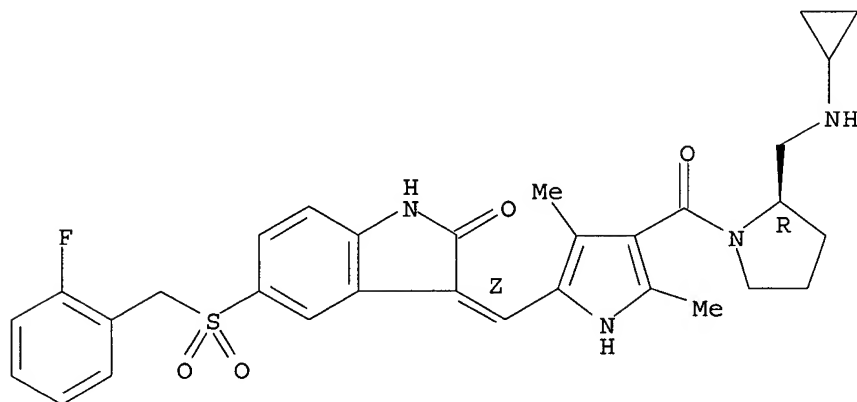
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477577-70-1 HCAPLUS

CN 2-Pyrrolidinemethanamine, N-cyclopropyl-1-[[5-[(Z)-[5-[(2-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (2R)- (9CI) (CA INDEX NAME)

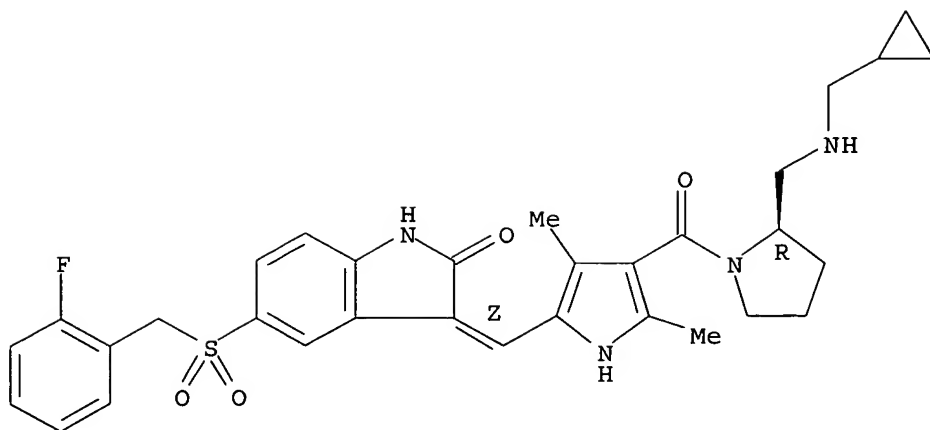
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477577-71-2 HCAPLUS

CN 2-Pyrrolidinemethanamine, N-(cyclopropylmethyl)-1-[[5-[(Z)-[5-[(2-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (2R)- (9CI) (CA INDEX NAME)

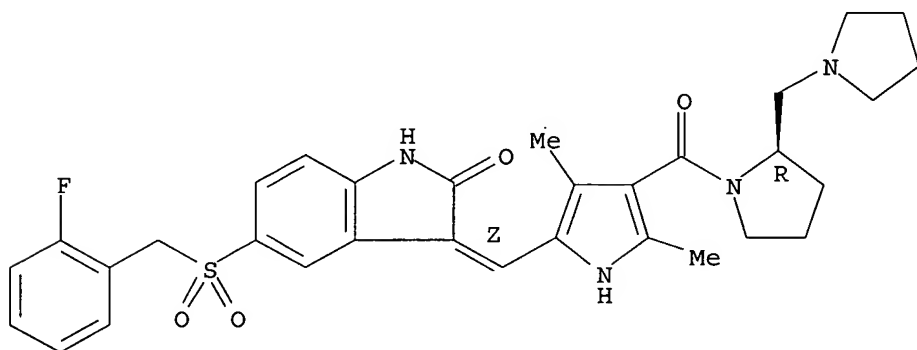
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477577-72-3 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[(2-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-(1-pyrrolidinylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

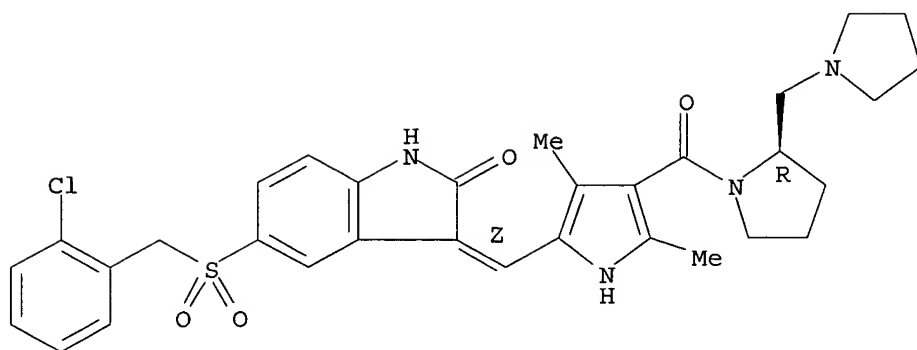
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477577-73-4 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[(2-chlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-(1-pyrrolidinylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

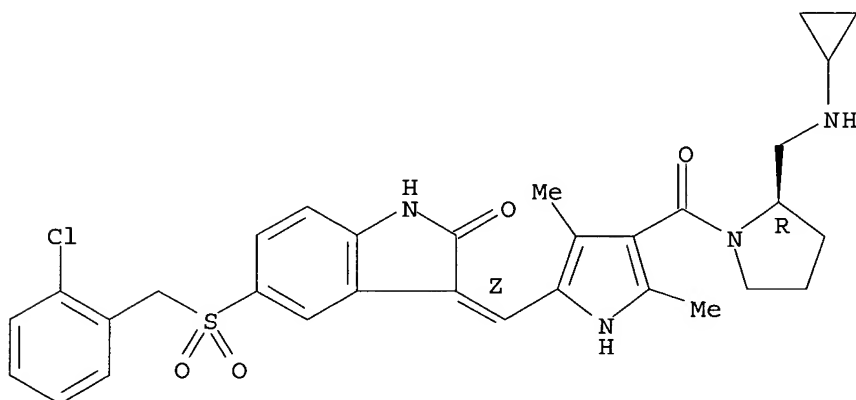
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477577-74-5 HCAPLUS

CN 2-Pyrrolidinemethanamine, 1-[[5-[(Z)-[5-[[[(2-chlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-N-cyclopropyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

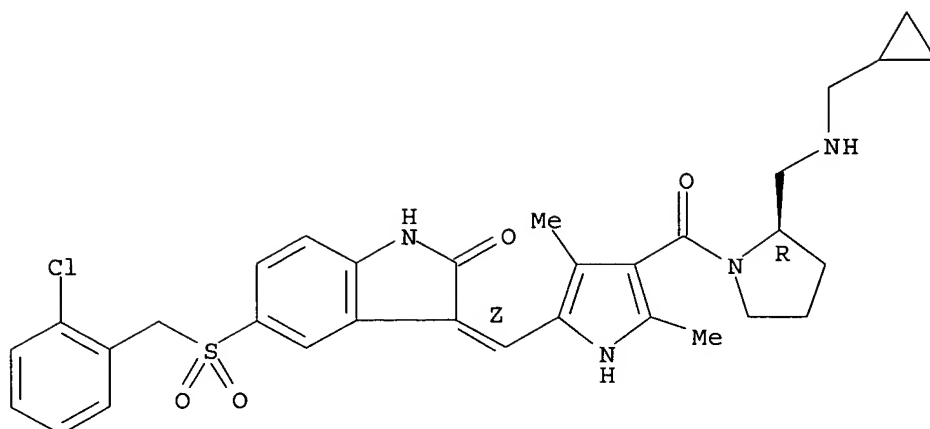


RN 477577-75-6 HCAPLUS

CN 2-Pyrrolidinemethanamine, 1-[[5-[(Z)-[5-[[[(2-chlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-N-(cyclopropylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

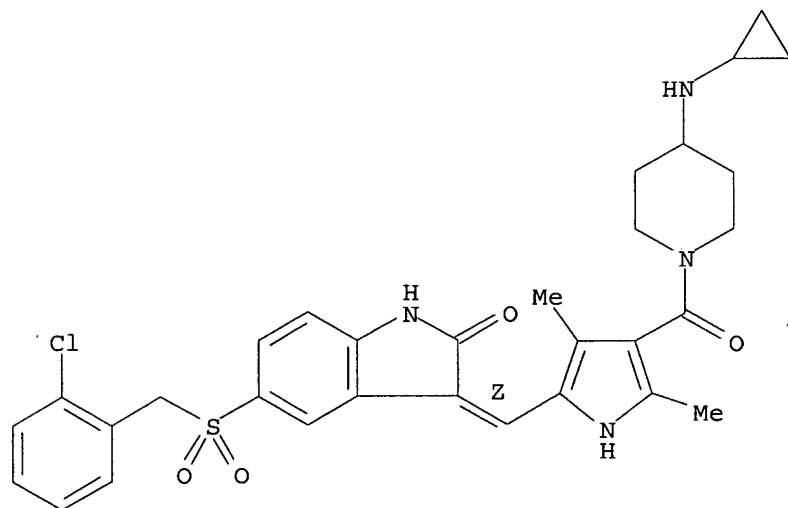




RN 477577-76-7 HCAPLUS

CN 4-Piperidinamine, 1-[[5-[(Z)-[5-[(2-chlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-N-cyclopropyl- (9CI) (CA INDEX NAME)

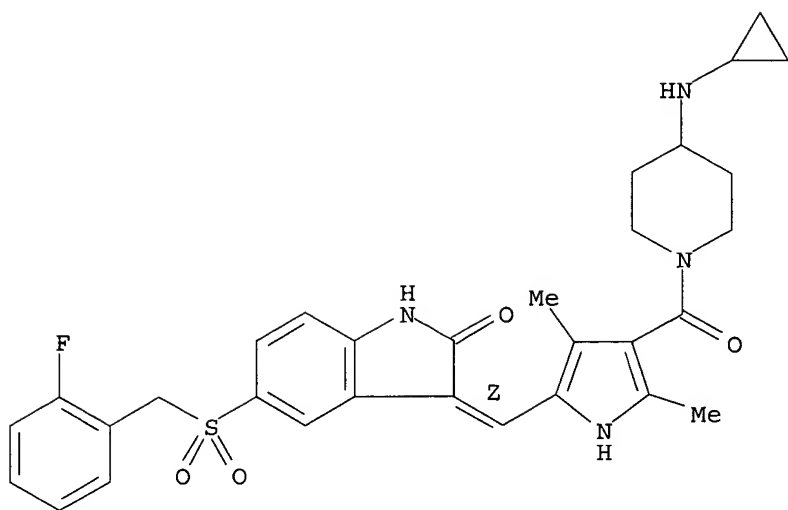
Double bond geometry as shown.



RN 477577-77-8 HCAPLUS

CN 4-Piperidinamine, N-cyclopropyl-1-[[5-[(Z)-[5-[(2-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

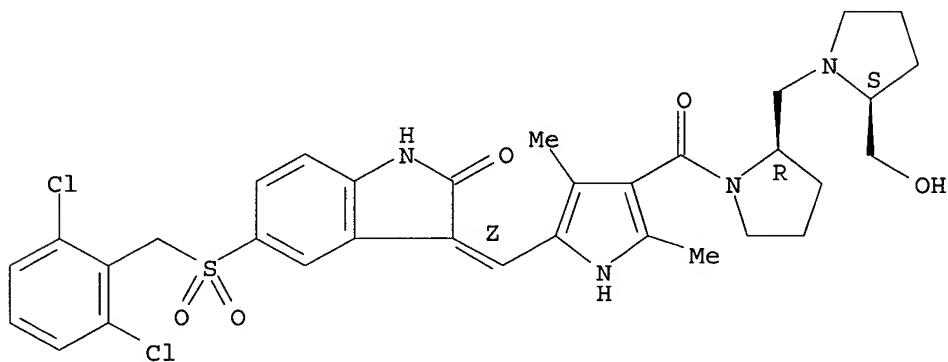
Double bond geometry as shown.



RN 477577-78-9 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-[[2S)-2-(hydroxymethyl)-1-pyrrolidinyl]methyl]-, (2R)-(9CI) (CA INDEX NAME)

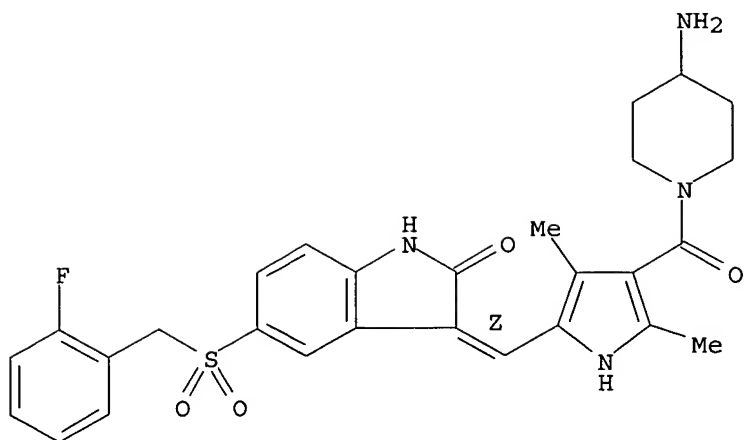
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477577-79-0 HCAPLUS

CN 4-Piperidinamine, 1-[[5-[(Z)-[5-[[2-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

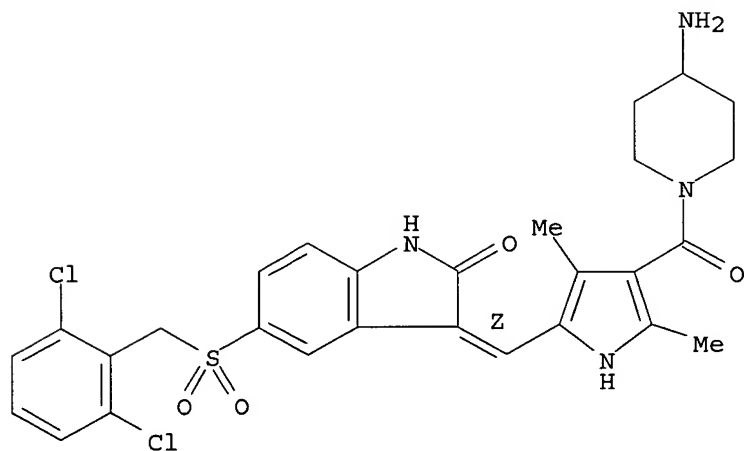
Double bond geometry as shown.



RN 477577-80-3 HCAPLUS

CN 4-Piperidinamine, 1-[[5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

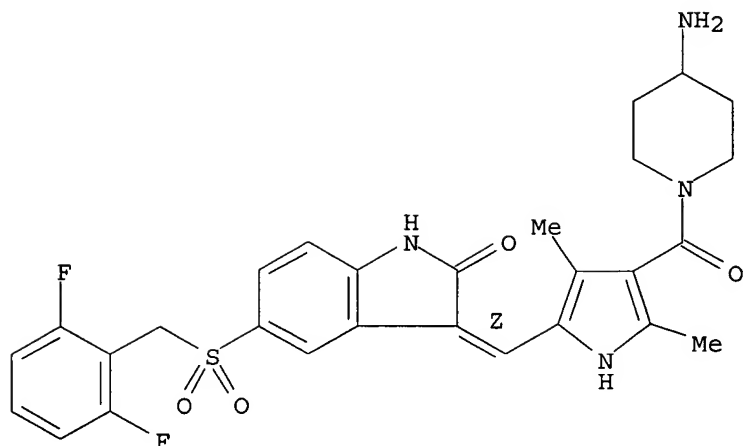
Double bond geometry as shown.



RN 477577-81-4 HCAPLUS

CN 4-Piperidinamine, 1-[[5-[(Z)-[5-[(2,6-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

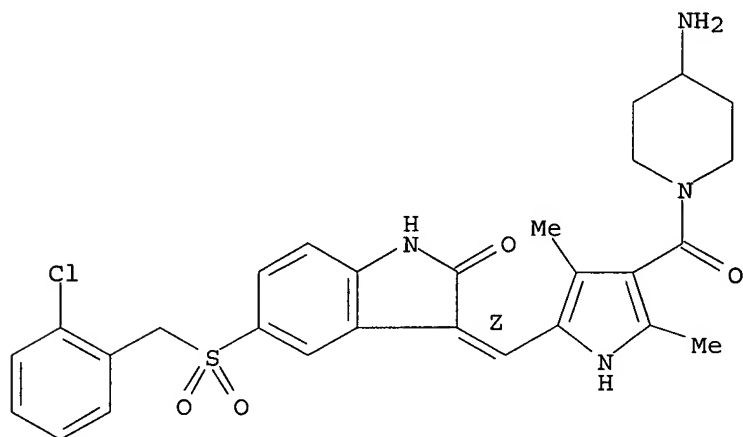
Double bond geometry as shown.



RN 477577-82-5 HCAPLUS

4-Piperidinamine, 1-[[5-[(Z)-[5-[[2-chlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene)methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

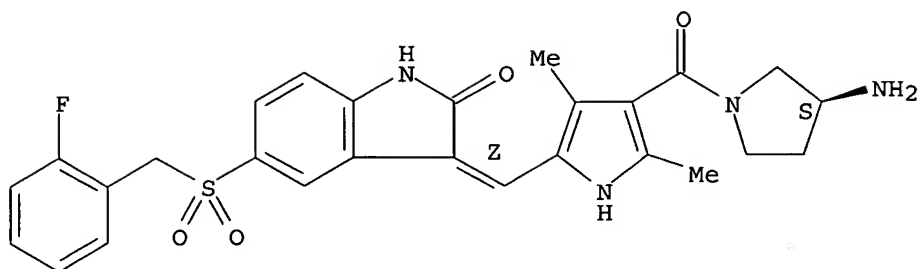


RN 477577-83-6 HCAPLUS

CN 3-Pyrrolidinamine, 1-[[5-[(Z)-[5-[[2-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene)methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

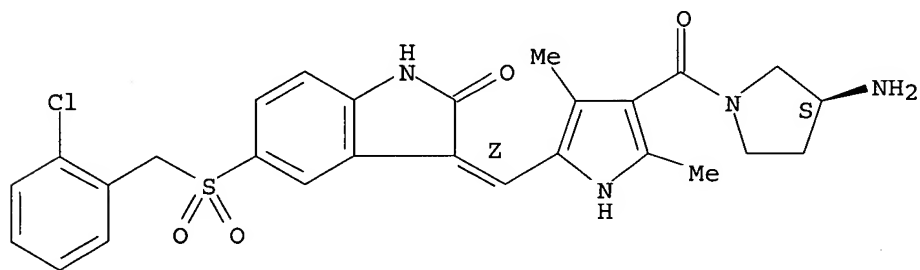
Double bond geometry as shown.



RN 477577-84-7 HCAPLUS

CN 3-Pyrrolidinamine, 1-[[5-[(Z)-[5-[(2-chlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (3S)- (9CI) (CA INDEX NAME)

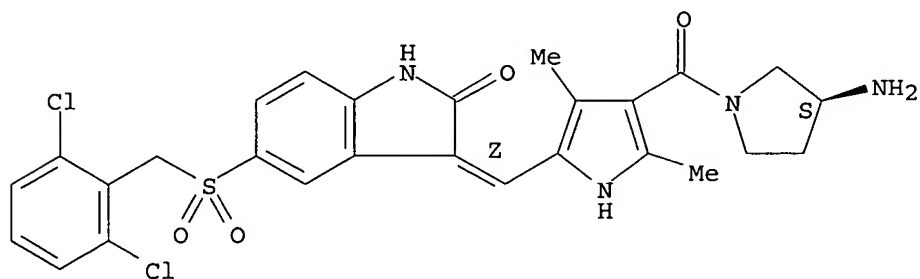
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477577-85-8 HCAPLUS

CN 3-Pyrrolidinamine, 1-[[5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (3S)- (9CI) (CA INDEX NAME)

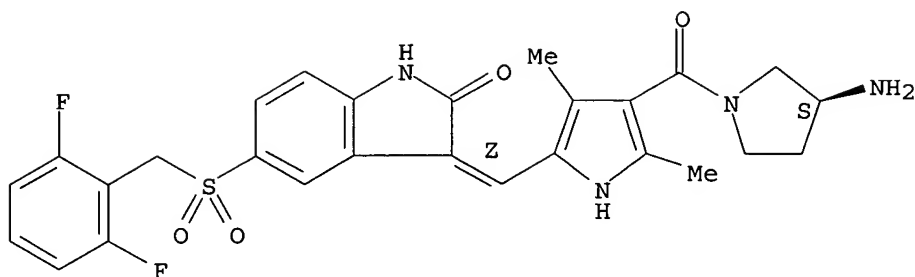
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477577-86-9 HCAPLUS

CN 3-Pyrrolidinamine, 1-[[5-[(Z)-[5-[(2,6-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (3S)- (9CI) (CA INDEX NAME)

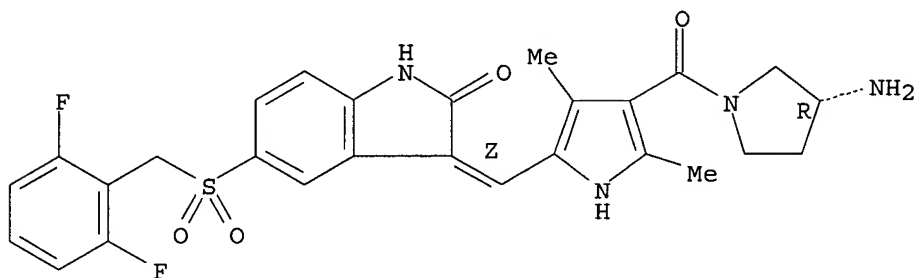
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477577-87-0 HCAPLUS

CN 3-Pyrrolidinamine, 1-[[5-[(Z)-[5-[[[(2,6-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (3R)- (9CI) (CA INDEX NAME)

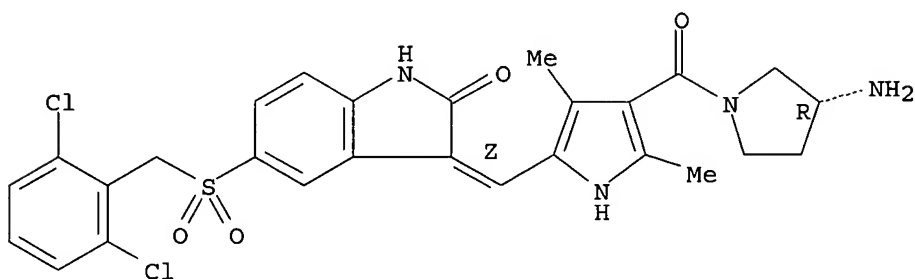
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477577-88-1 HCAPLUS

CN 3-Pyrrolidinamine, 1-[[5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (3R)- (9CI) (CA INDEX NAME)

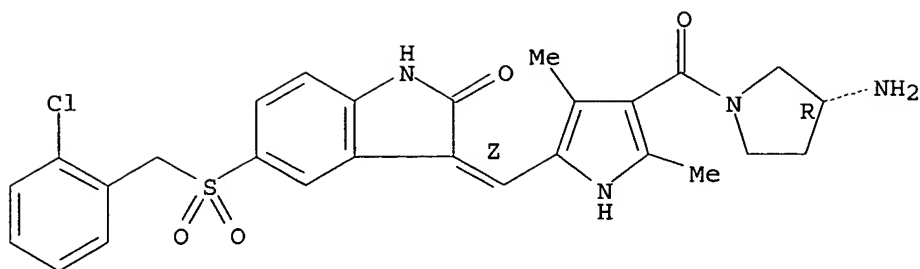
Absolute stereochemistry.  
Double bond geometry as shown.



RN 477577-89-2 HCAPLUS

CN 3-Pyrrolidinamine, 1-[[5-[(Z)-[5-[[[(2-chlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

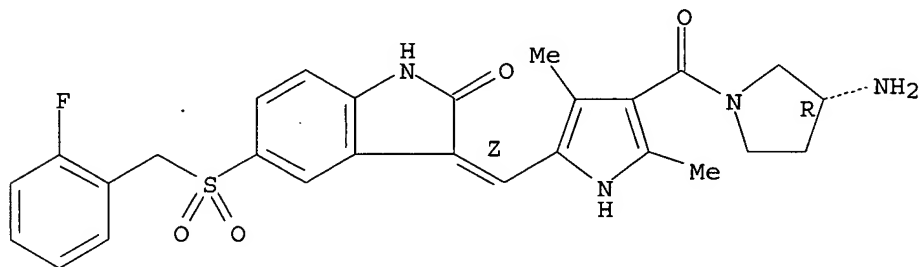


RN 477577-90-5 HCAPLUS

CN 3-Pyrrolidinamine, 1-[[5-[(Z)-[5-[(2-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

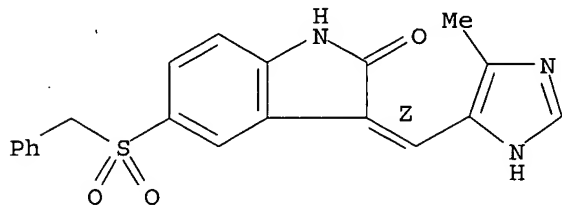
Double bond geometry as shown.



RN 477577-91-6 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(5-methyl-1H-imidazol-4-yl)methylene]-5-[(phenylmethyl)sulfonyl]-, (3Z)- (9CI) (CA INDEX NAME)

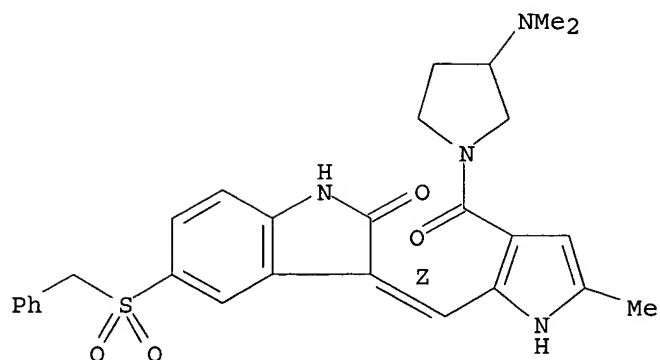
Double bond geometry as shown.



RN 477577-92-7 HCAPLUS

CN 3-Pyrrolidinamine, 1-[[2-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-5-methyl-1H-pyrrol-3-yl]carbonyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

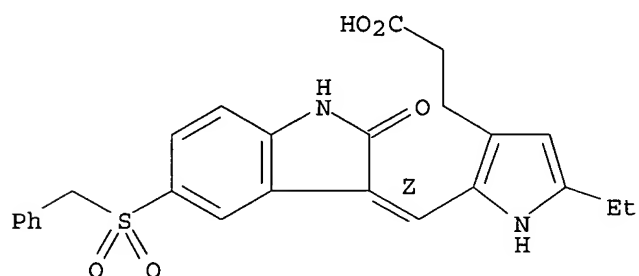
Double bond geometry as shown.



RN 477577-93-8 HCAPLUS

CN 1H-Pyrrole-3-propanoic acid, 2-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-5-ethyl- (9CI) (CA INDEX NAME)

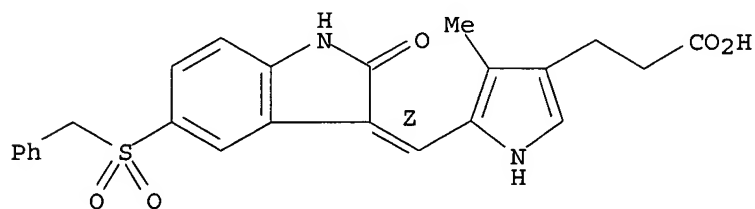
Double bond geometry as shown.



RN 477577-94-9 HCAPLUS

CN 1H-Pyrrole-3-propanoic acid, 5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

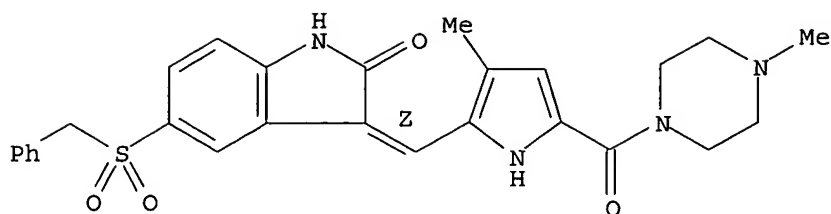


RN 477577-95-0 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-4-methyl-1H-pyrrol-2-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

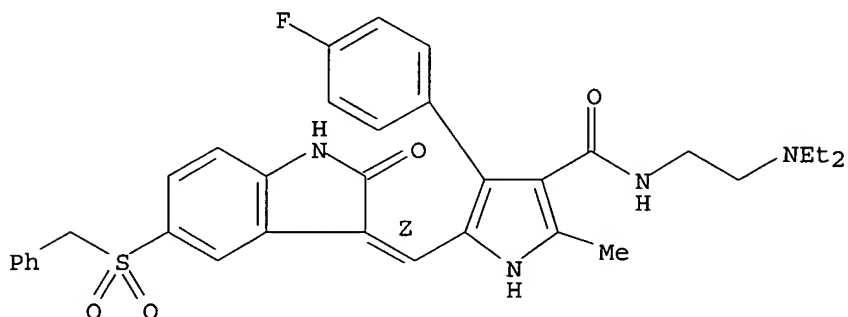




RN 477577-96-1 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl) sulfonyl]-3H-indol-3-ylidene]methyl]-4-(4-fluorophenyl)-2-methyl- (9CI) (CA INDEX NAME)

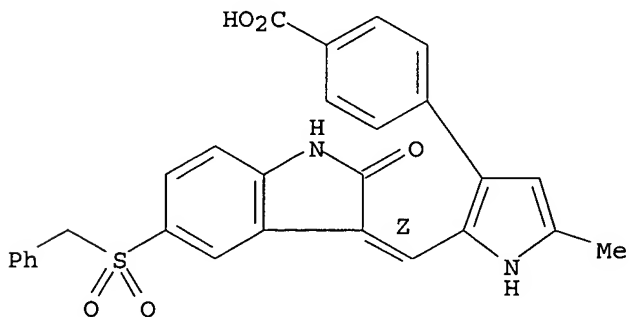
Double bond geometry as shown.



RN 477577-97-2 HCAPLUS

CN Benzoic acid, 4-[2-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl) sulfonyl]-3H-indol-3-ylidene]methyl]-5-methyl-1H-pyrrol-3-yl]- (9CI) (CA INDEX NAME)

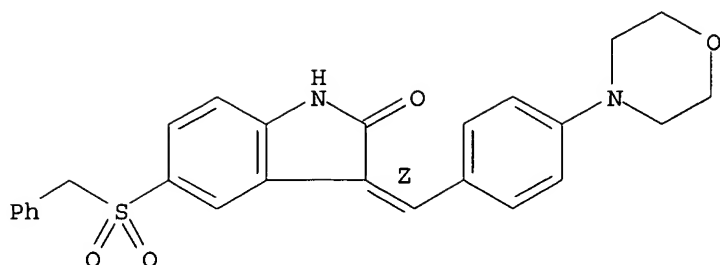
Double bond geometry as shown.



RN 477577-98-3 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[[4-(4-morpholinyl)phenyl]methylene]-5-[(phenylmethyl) sulfonyl]-, (3Z)- (9CI) (CA INDEX NAME)

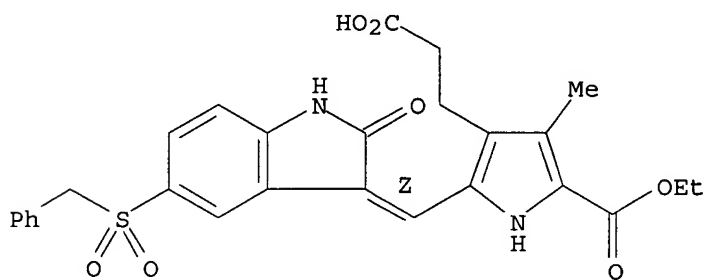
Double bond geometry as shown.



RN 477577-99-4 HCAPLUS

CN 1H-Pyrrole-3-propanoic acid, 2-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-5-(ethoxycarbonyl)-4-methyl- (9CI) (CA INDEX NAME)

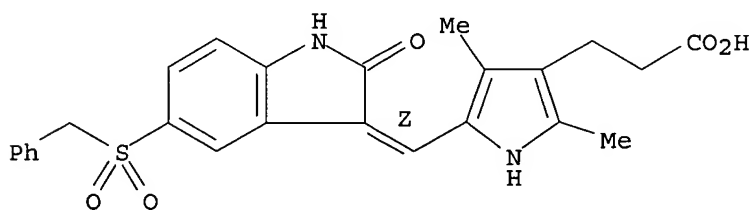
Double bond geometry as shown.



RN 477578-00-0 HCAPLUS

CN 1H-Pyrrole-3-propanoic acid, 5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

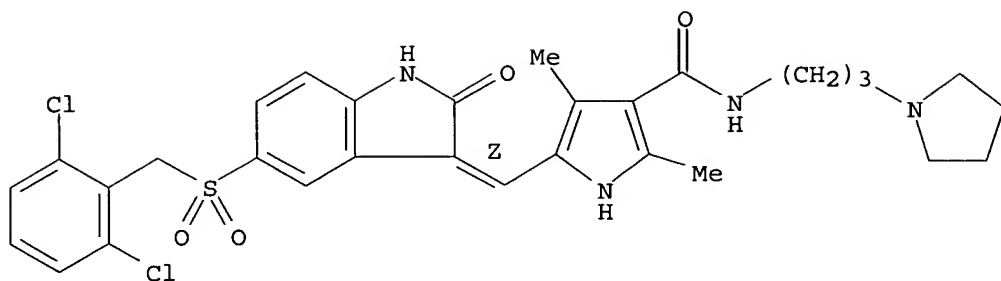
Double bond geometry as shown.



RN 477578-01-1 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[3-(1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)

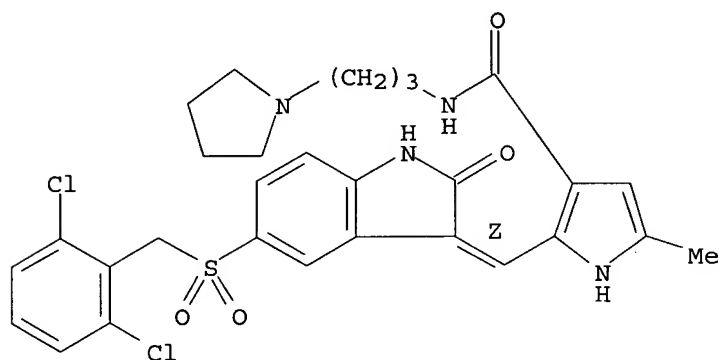
Double bond geometry as shown.



RN 477578-02-2 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 2-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-5-methyl-N-[3-(1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)

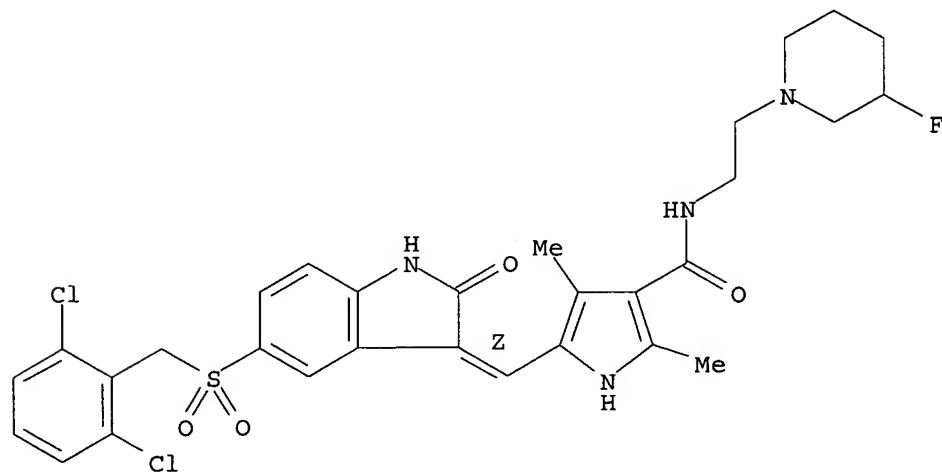
Double bond geometry as shown.



RN 477578-03-3 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(3-fluoro-1-piperidiny)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

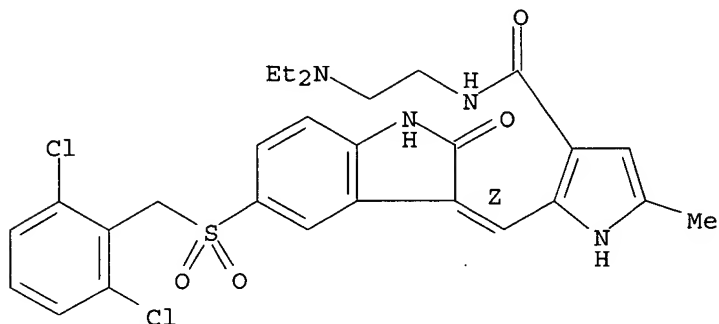
Double bond geometry as shown.



RN 477578-04-4 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 2-[(Z)-[5-[[2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene)methyl]-N-[2-(diethylamino)ethyl]-5-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

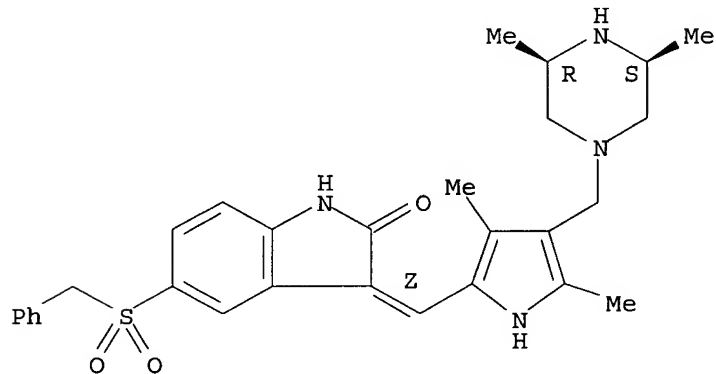


RN 477578-05-5 HCAPLUS

CN 2H-Indol-2-one, 3-[[4-[[3,5-dimethyl-1-piperazinyl)methyl]-3,5-dimethyl-1H-pyrrol-2-yl)methylene]-1,3-dihydro-5-[(phenylmethyl)sulfonyl]-, (3Z)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

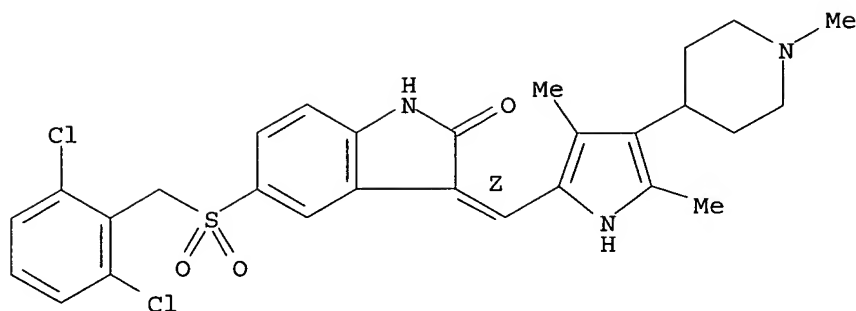
Double bond geometry as shown.



RN 477578-06-6 HCAPLUS

CN 2H-Indol-2-one, 5-[[2,6-dichlorophenyl)methyl]sulfonyl]-3-[[3,5-dimethyl-4-(1-methyl-4-piperidinyl)-1H-pyrrol-2-yl)methylene]-1,3-dihydro-, (3Z)- (9CI) (CA INDEX NAME)

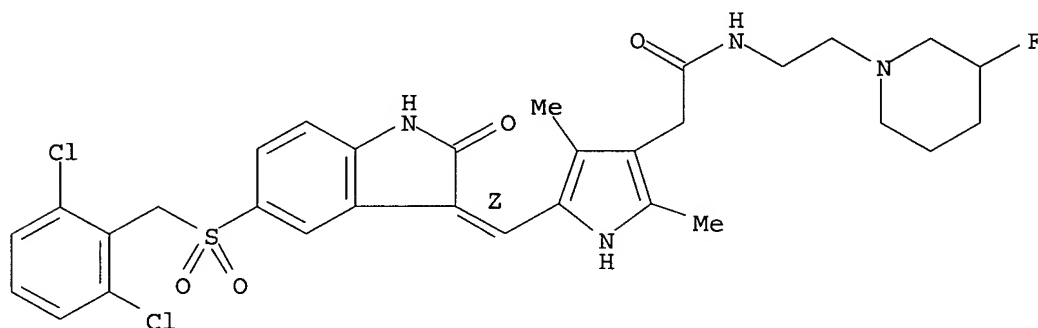
Double bond geometry as shown.



RN 477578-07-7 HCAPLUS

CN 1H-Pyrrole-3-acetamide, 5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(3-fluoro-1-piperidinyl)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

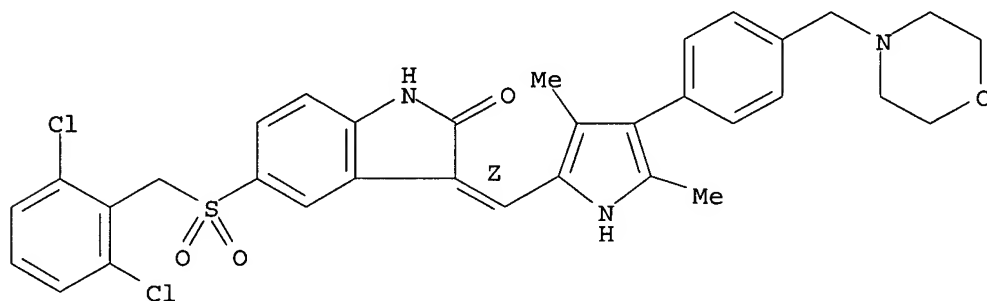
Double bond geometry as shown.



RN 477578-08-8 HCAPLUS

CN 2H-Indol-2-one, 5-[(2,6-dichlorophenyl)methyl]sulfonyl]-3-[[3,5-dimethyl-4-[4-(4-morpholinylmethyl)phenyl]-1H-pyrrol-2-yl]methylene]-1,3-dihydro-, (3Z)- (9CI) (CA INDEX NAME)

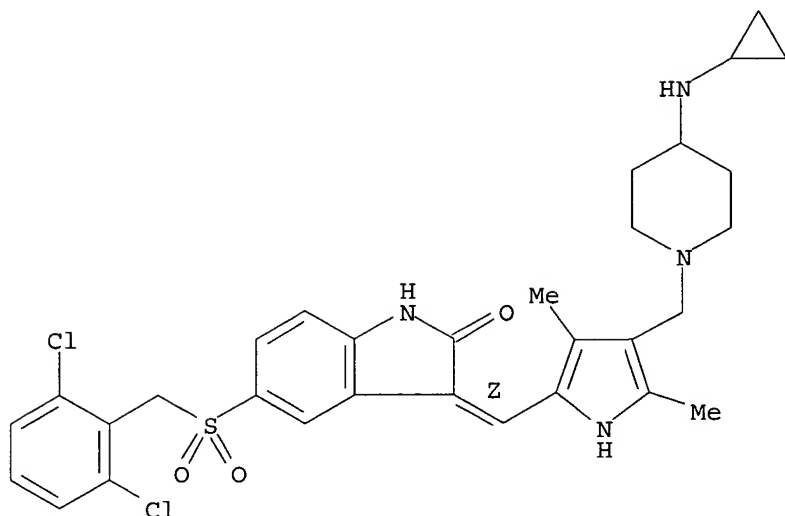
Double bond geometry as shown.



RN 477578-09-9 HCAPLUS

CN 2H-Indol-2-one, 3-[[4-[[4-(cyclopropylamino)-1-piperidinyl]methyl]-3,5-dimethyl-1H-pyrrol-2-yl]methylene]-5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,3-dihydro-, (3Z)- (9CI) (CA INDEX NAME)

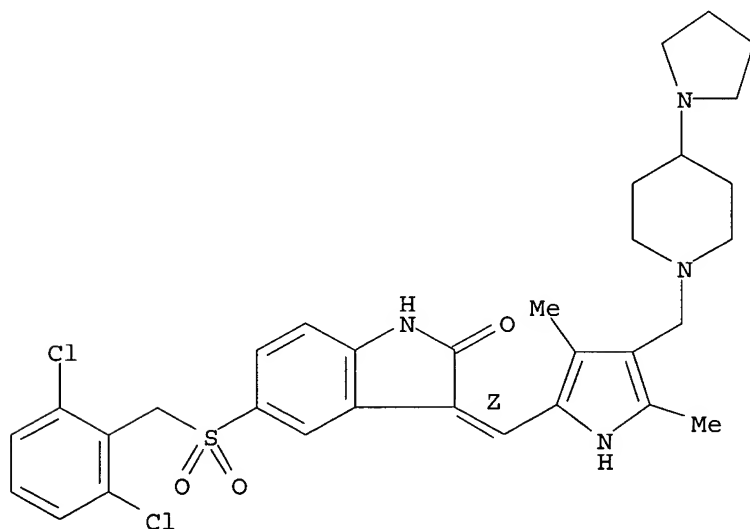
Double bond geometry as shown.



RN 477578-10-2 HCAPLUS

CN 2H-Indol-2-one, 5-[[ (2,6-dichlorophenyl)methyl]sulfonyl]-3-[[3,5-dimethyl-4-[[4-(1-pyrrolidinyl)-1-piperidinyl]methyl]-1H-pyrrol-2-yl]methylene]-1,3-dihydro-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

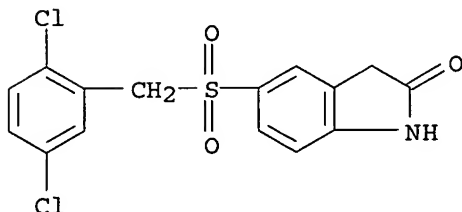


IT 477575-84-1, 5-[(2,5-Dichlorobenzyl)sulfonyl]-1,3-dihydroindol-2-one 477575-87-4, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid [1,2,3]triazolo[4,5-b]pyridin-3-yl ester 477576-13-9, 5-[5-(2,5-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid 2,5-dioxopyrrolidin-1-yl ester 477577-22-3, 5-[5-(2,6-Difluorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid 477577-53-0, 5-(3,5-Dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of aralkylsulfonyl- and pyrrolylmethylidene-substituted  
 indolinones as kinase inhibitors useful against cancers and other  
 disorders)

RN 477575-84-1 HCAPLUS

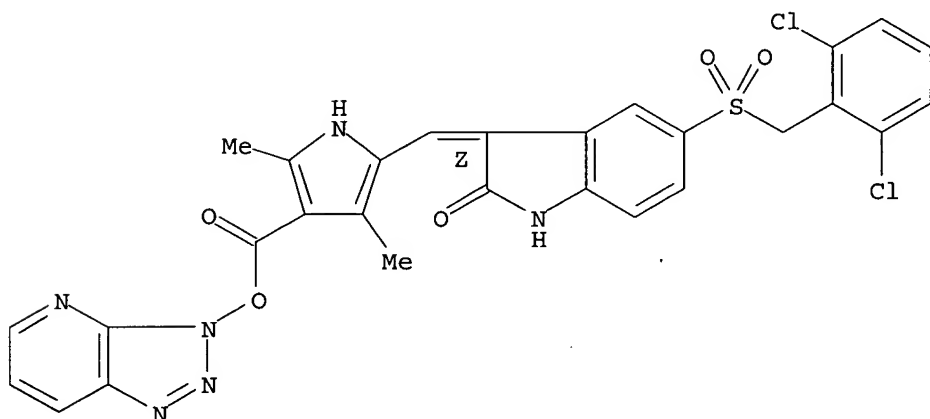
CN 2H-Indol-2-one, 5-[[[(2,5-dichlorophenyl)methyl]sulfonyl]-1,3-dihydro-  
 (9CI) (CA INDEX NAME)



RN 477575-87-4 HCAPLUS

CN 2H-Indol-2-one, 5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-3-[[[3,5-dimethyl-  
 4-[[[3H-1,2,3-triazolo[4,5-b]pyridin-3-yloxy)carbonyl]-1H-pyrrol-2-  
 yl]methylene]-1,3-dihydro-, (3Z)- (9CI) (CA INDEX NAME)

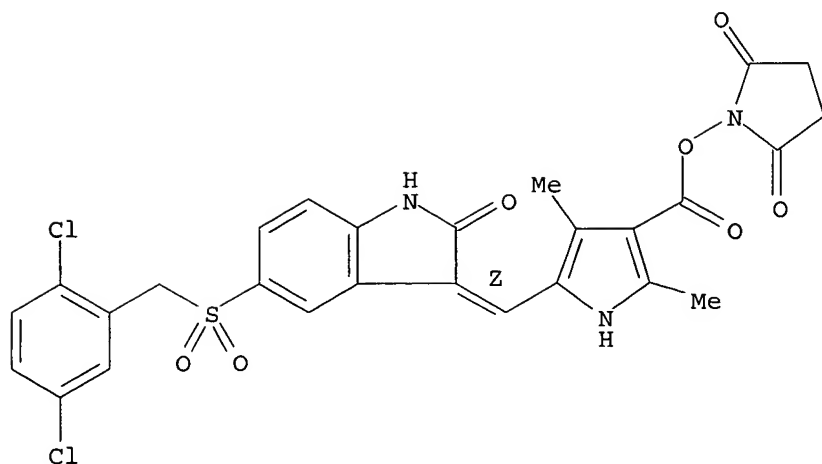
Double bond geometry as shown.



RN 477576-13-9 HCAPLUS

CN 2,5-Pyrrolidinedione, 1-[[[5-[(Z)-[5-[[[(2,5-dichlorophenyl)methyl]sulfonyl]  
 ]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-  
 yl]carbonyl]oxy]- (9CI) (CA INDEX NAME)

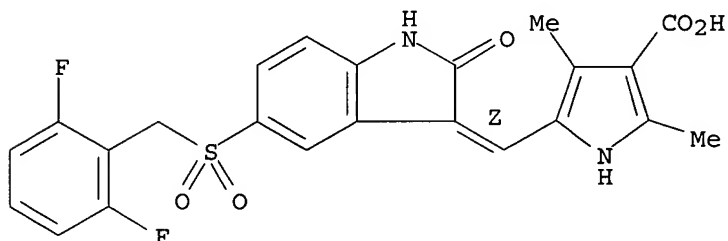
Double bond geometry as shown.



RN 477577-22-3 HCAPLUS

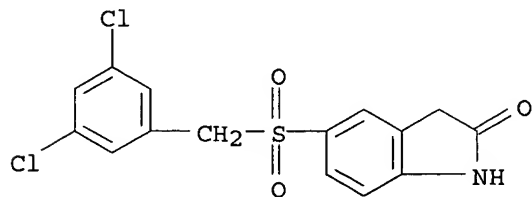
CN 1H-Pyrrole-3-carboxylic acid, 5-[(Z)-[5-[(2,6-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 477577-53-0 HCAPLUS

CN 2H-Indol-2-one, 5-[[[(3,5-dichlorophenyl)methyl]sulfonyl]-1,3-dihydroindol-2-one (9CI) (CA INDEX NAME)



IT 477573-04-9P, 5-(2-Trifluoromethylphenylmethanesulfonyl)-1,3-dihydroindol-2-one 477573-05-0P, 5-(4-

Nitrophenylmethanesulfonyl)-1,3-dihydroindol-2-one 477573-06-1P, 3-[[[(2-Oxo-2,3-dihydro-1H-indol-5-yl)sulfonyl]methyl]benzonitrile

477573-07-2P, 5-(2,4-Difluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one 477573-08-3P, 5-Phenylmethanesulfonyl-1,3-dihydroindol-2-one 477573-09-4P, 5-(2,6-

Dimethylphenylmethanesulfonyl)-1,3-dihydroindol-2-one 477573-10-7P

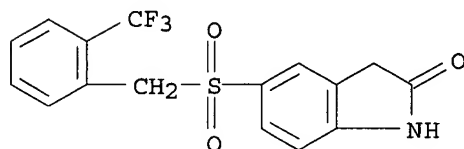


, 5-(2,3-Dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one  
**477573-11-8P**, 5-(2,6-Dimethoxyphenylmethanesulfonyl)-1,3-dihydroindol-2-one **477573-12-9P**, 5-[2-(2-(Morpholin-4-yl)ethoxy)phenylmethanesulfonyl]-1,3-dihydroindol-2-one **477573-13-0P**, 5-(3-Methoxyphenylmethanesulfonyl)-1,3-dihydroindol-2-one **477573-14-1P**, 5-(3-Nitrophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477573-15-2P**, 5-(2-Nitrophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477573-16-3P**, 5-(3-Trifluoromethoxyphenylmethanesulfonyl)-1,3-dihydroindol-2-one **477573-17-4P**, 5-(3-Bromophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477573-18-5P**, 5-(2,6-Difluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477573-19-6P**, 5-(3,5-Difluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477573-20-9P**, 5-(3,4-Difluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477573-21-0P**, 5-[2,4-Bis(trifluoromethyl)phenylmethanesulfonyl]-1,3-dihydroindol-2-one **477573-22-1P**, 5-[3,5-Bis(trifluoromethyl)phenylmethanesulfonyl]-1,3-dihydroindol-2-one **477573-23-2P**, 5-(2-Hydroxy-5-nitrophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477573-24-3P**, 5-(2-Methoxy-5-nitrophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477573-26-5P**, 5-(2-Fluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477573-27-6P**, 5-(3-Fluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477573-28-7P**, 5-(4-Fluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477573-29-8P**, 5-(4-Trifluoromethoxyphenylmethanesulfonyl)-1,3-dihydroindol-2-one **477573-30-1P**, 5-(3-Trifluoromethylphenylmethanesulfonyl)-1,3-dihydroindol-2-one **477573-31-2P**, 5-(4-Trifluoromethylphenylmethanesulfonyl)-1,3-dihydroindol-2-one **477573-32-3P**, 4-[[[(2-Oxo-2,3-dihydro-1H-indol-5-yl)sulfonyl]methyl]benzoic acid **477573-33-4P**, [4-[[[(2-Oxo-2,3-dihydro-1H-indol-5-yl)sulfonyl]methyl]phenyl]acetic acid **477573-34-5P**, 3-Nitro-4-[[[(2-oxo-2,3-dihydro-1H-indol-5-yl)sulfonyl]methyl]benzoic acid **477573-35-6P**, 5-Pentafluorophenylmethanesulfonyl-1,3-dihydroindol-2-one **477573-36-7P**, 5-(2,5-Difluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477573-37-8P**, 5-(2,3,6-Trifluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477573-38-9P**, 5-(2,3-Difluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477573-39-0P**, 5-(2,6-Dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477573-40-3P**, 5-(Biphenyl-2-ylmethanesulfonyl)-1,3-dihydroindol-2-one **477573-41-4P**, 5-(2-Fluoro-6-nitrophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477573-42-5P**, 5-[3-(4-Fluorophenoxy)phenylmethanesulfonyl]-1,3-dihydroindol-2-one **477573-43-6P**, 5-(3,5-Dibromo-2-hydroxyphenylmethanesulfonyl)-1,3-dihydroindol-2-one **477573-44-7P**, 5-(2,3,5-Trifluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477573-45-8P**, 4-Methyl-5-phenylmethanesulfonyl-1,3-dihydroindol-2-one **477573-46-9P**, 5-(2-Fluorophenylmethanesulfonyl)-4-methyl-1,3-dihydroindol-2-one **477573-47-0P**, 2-[[[(2-Oxo-2,3-dihydro-1H-indol-5-yl)sulfonyl]methyl]benzonitrile **477573-48-1P**, 5-(3-Chlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477573-49-2P**, 4-[[[(2-Oxo-2,3-dihydro-1H-indol-5-yl)sulfonyl]methyl]benzoic acid methyl ester **477573-50-5P**, 3-[[[(2-Oxo-2,3-dihydro-1H-indol-5-yl)sulfonyl]methyl]benzoic acid methyl ester **477573-51-6P**, 5-(2-Chlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one **477576-37-7P**, 4-[2-[[2-[5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]amino]ethyl]piperazine-1-carboxylic acid tert-butyl ester **477576-39-9P**, Acetic acid

2-[4-[2-[[2-[5-[5-(2,6-dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]amino]ethyl]piperazin-1-yl]-2-oxoethyl ester  
**477576-58-2P**, 4-[2-[[5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]amino]ethyl]piperazine-1-carboxylic acid tert-butyl ester  
**477576-59-3P**, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-(piperazin-1-yl)ethyl)amide **477576-60-6P**, Acetic acid  
 2-[4-[2-[[5-[5-(2,6-dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]amino]ethyl]piperazin-1-yl]-2-oxoethyl ester  
**477577-27-8P**, [2-[4-[2-[[5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]amino]ethyl]piperazin-1-yl]-1,1-dimethyl-2-oxoethyl]carbamic acid tert-butyl ester  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of aralkylsulfonyl- and pyrrolylmethylidene-substituted indolinones as kinase inhibitors useful against cancers and other disorders)

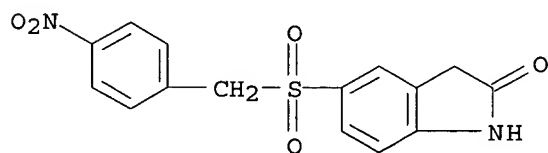
RN 477573-04-9 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-5-[[[2-(trifluoromethyl)phenyl]methyl]sulfonyl]- (9CI) (CA INDEX NAME)



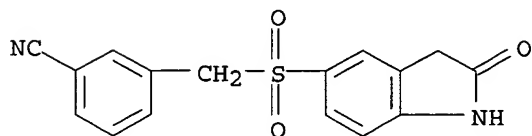
RN 477573-05-0 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-5-[[[4-nitrophenyl]methyl]sulfonyl]- (9CI) (CA INDEX NAME)



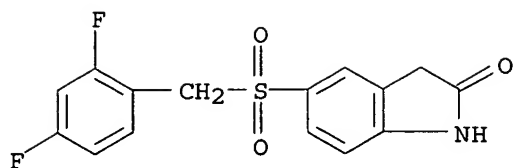
RN 477573-06-1 HCAPLUS

CN Benzonitrile, 3-[[[2,3-dihydro-2-oxo-1H-indol-5-yl]sulfonyl]methyl]- (9CI) (CA INDEX NAME)

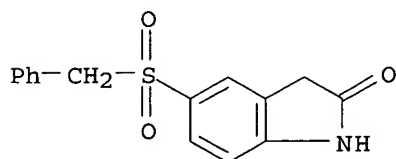


RN 477573-07-2 HCAPLUS

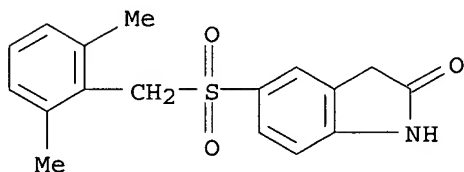
CN 2H-Indol-2-one, 5-[[[2,4-difluorophenyl]methyl]sulfonyl]-1,3-dihydro- (9CI) (CA INDEX NAME)



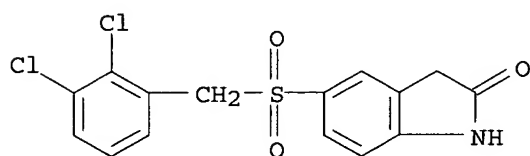
RN 477573-08-3 HCAPLUS  
 CN 2H-Indol-2-one, 1,3-dihydro-5-[(phenylmethyl)sulfonyl]- (9CI) (CA INDEX NAME)



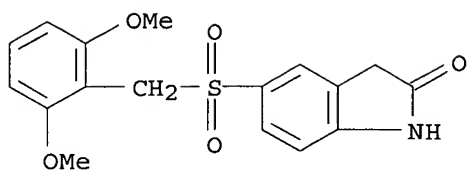
RN 477573-09-4 HCAPLUS  
 CN 2H-Indol-2-one, 5-[[[(2,6-dimethylphenyl)methyl]sulfonyl]-1,3-dihydro- (9CI) (CA INDEX NAME)



RN 477573-10-7 HCAPLUS  
 CN 2H-Indol-2-one, 5-[[[(2,3-dichlorophenyl)methyl]sulfonyl]-1,3-dihydro- (9CI) (CA INDEX NAME)

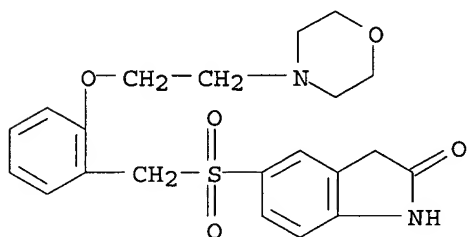


RN 477573-11-8 HCAPLUS  
 CN 2H-Indol-2-one, 5-[[[(2,6-dimethoxyphenyl)methyl]sulfonyl]-1,3-dihydro- (9CI) (CA INDEX NAME)



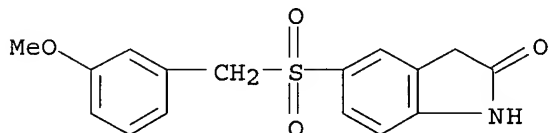
RN 477573-12-9 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-5-[[[2-(4-morpholinyl)ethoxy]phenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)



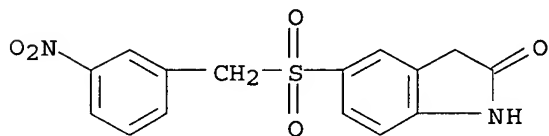
RN 477573-13-0 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-5-[[[3-methoxyphenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)



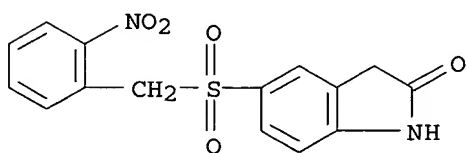
RN 477573-14-1 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-5-[[[3-nitrophenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)



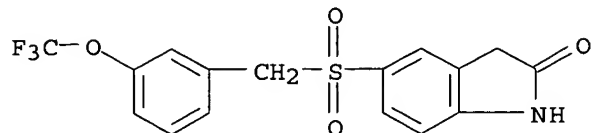
RN 477573-15-2 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-5-[[[2-nitrophenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)



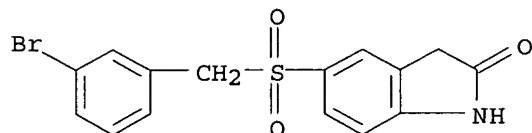
RN 477573-16-3 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-5-[[[3-(trifluoromethoxy)phenyl]methyl]sulfonyl]-1,3-dihydro- (9CI) (CA INDEX NAME)



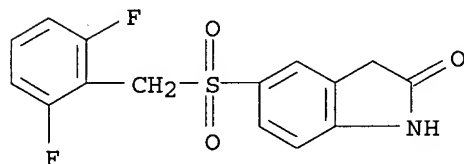
RN 477573-17-4 HCAPLUS

CN 2H-Indol-2-one, 5-[[[3-bromophenyl]methyl]sulfonyl]-1,3-dihydro- (9CI) (CA INDEX NAME)



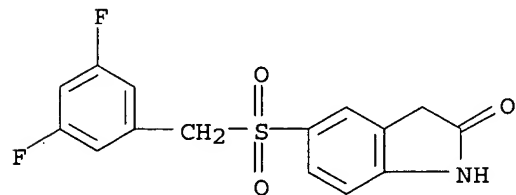
RN 477573-18-5 HCAPLUS

CN 2H-Indol-2-one, 5-[[[2,6-difluorophenyl]methyl]sulfonyl]-1,3-dihydro- (9CI) (CA INDEX NAME)



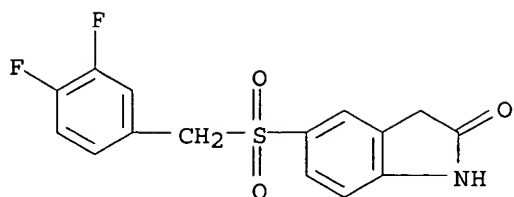
RN 477573-19-6 HCAPLUS

CN 2H-Indol-2-one, 5-[[[3,5-difluorophenyl]methyl]sulfonyl]-1,3-dihydro- (9CI) (CA INDEX NAME)

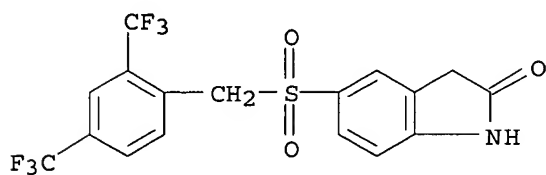


RN 477573-20-9 HCAPLUS

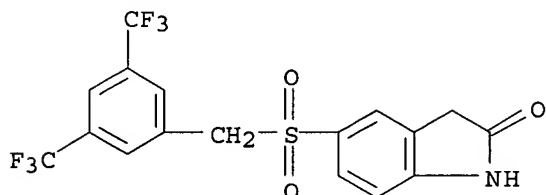
CN 2H-Indol-2-one, 5-[[[3,4-difluorophenyl]methyl]sulfonyl]-1,3-dihydro- (9CI) (CA INDEX NAME)



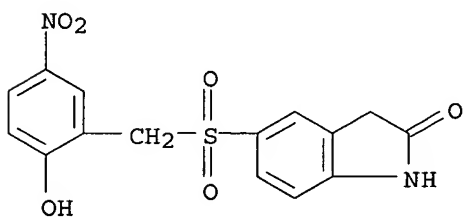
RN 477573-21-0 HCAPLUS  
 CN 2H-Indol-2-one, 5-[[[2,4-bis(trifluoromethyl)phenyl]methyl]sulfonyl]-1,3-dihydro- (9CI) (CA INDEX NAME)



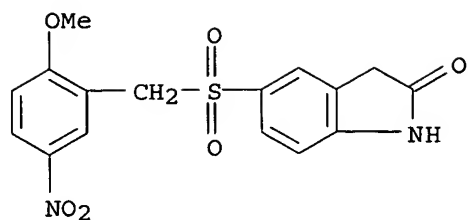
RN 477573-22-1 HCAPLUS  
 CN 2H-Indol-2-one, 5-[[[3,5-bis(trifluoromethyl)phenyl]methyl]sulfonyl]-1,3-dihydro- (9CI) (CA INDEX NAME)



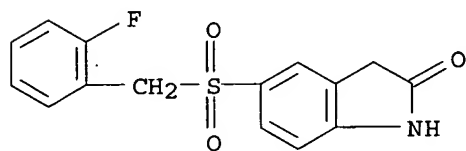
RN 477573-23-2 HCAPLUS  
 CN 2H-Indol-2-one, 1,3-dihydro-5-[[[(2-hydroxy-5-nitrophenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)



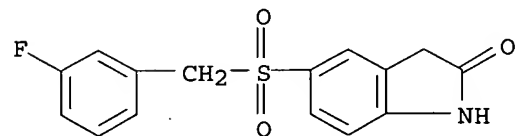
RN 477573-24-3 HCAPLUS  
 CN 2H-Indol-2-one, 1,3-dihydro-5-[[[(2-methoxy-5-nitrophenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)



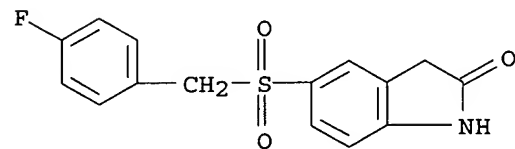
RN 477573-26-5 HCAPLUS  
 CN 2H-Indol-2-one, 5-[[2-fluorophenyl)methyl]sulfonyl]-1,3-dihydro- (9CI)  
 (CA INDEX NAME)



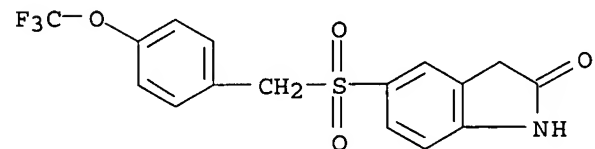
RN 477573-27-6 HCAPLUS  
 CN 2H-Indol-2-one, 5-[[3-fluorophenyl)methyl]sulfonyl]-1,3-dihydro- (9CI)  
 (CA INDEX NAME)



RN 477573-28-7 HCAPLUS  
 CN 2H-Indol-2-one, 5-[[4-fluorophenyl)methyl]sulfonyl]-1,3-dihydro- (9CI)  
 (CA INDEX NAME)

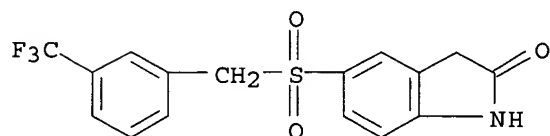


RN 477573-29-8 HCAPLUS  
 CN 2H-Indol-2-one, 1,3-dihydro-5-[[[4-(trifluoromethoxy)phenyl)methyl]sulfonyl]-1]- (9CI) (CA INDEX NAME)



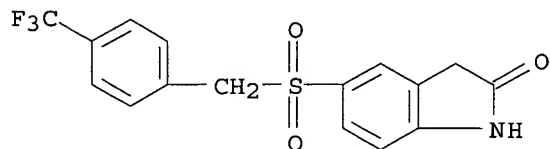
RN 477573-30-1 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-5-[[[3-(trifluoromethyl)phenyl]methyl]sulfonyl]- (9CI) (CA INDEX NAME)



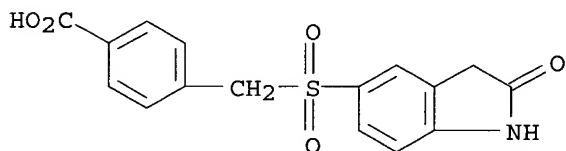
RN 477573-31-2 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-5-[[[4-(trifluoromethyl)phenyl]methyl]sulfonyl]- (9CI) (CA INDEX NAME)



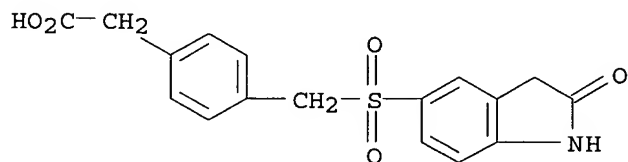
RN 477573-32-3 HCAPLUS

CN Benzoic acid, 4-[[[(2,3-dihydro-2-oxo-1H-indol-5-yl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)



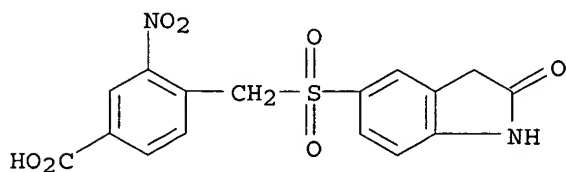
RN 477573-33-4 HCAPLUS

CN Benzeneacetic acid, 4-[[[(2,3-dihydro-2-oxo-1H-indol-5-yl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)



RN 477573-34-5 HCAPLUS

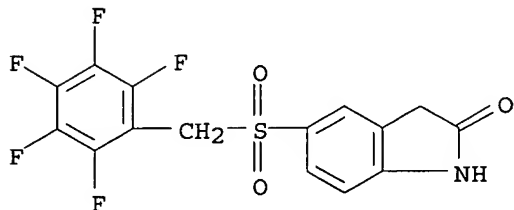
CN Benzoic acid, 4-[[[(2,3-dihydro-2-oxo-1H-indol-5-yl)sulfonyl]methyl]-3-nitro- (9CI) (CA INDEX NAME)





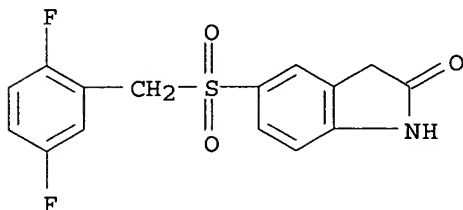
RN 477573-35-6 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-5-[[ (pentafluorophenyl)methyl]sulfonyl] - (9CI)  
(CA INDEX NAME)



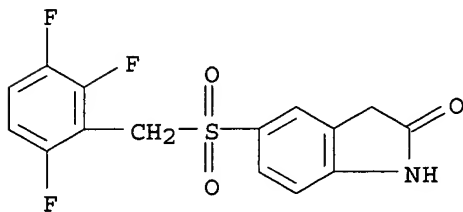
RN 477573-36-7 HCAPLUS

CN 2H-Indol-2-one, 5-[[ (2,5-difluorophenyl)methyl]sulfonyl] -1,3-dihydro-  
(9CI) (CA INDEX NAME)



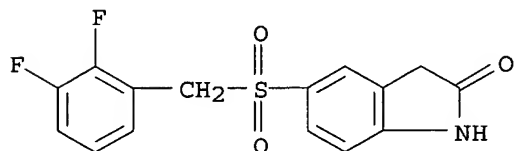
RN 477573-37-8 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-5-[[ (2,3,6-trifluorophenyl)methyl]sulfonyl] -  
(9CI) (CA INDEX NAME)



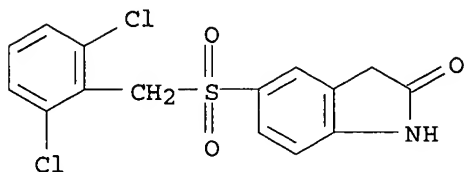
RN 477573-38-9 HCAPLUS

CN 2H-Indol-2-one, 5-[[ (2,3-difluorophenyl)methyl]sulfonyl] -1,3-dihydro-  
(9CI) (CA INDEX NAME)



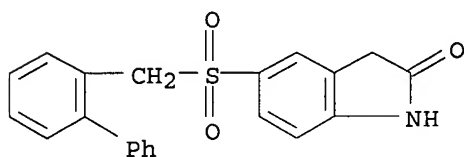
RN 477573-39-0 HCAPLUS

CN 2H-Indol-2-one, 5-[[ (2,6-dichlorophenyl)methyl]sulfonyl]-1,3-dihydro-  
(9CI) (CA INDEX NAME)



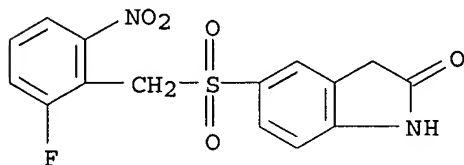
RN 477573-40-3 HCAPLUS

CN 2H-Indol-2-one, 5-[[ (1,1'-biphenyl)-2-ylmethyl]sulfonyl]-1,3-dihydro-  
(9CI) (CA INDEX NAME)



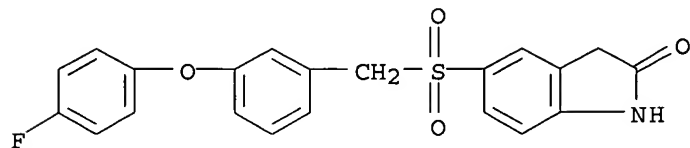
RN 477573-41-4 HCAPLUS

CN 2H-Indol-2-one, 5-[[ (2-fluoro-6-nitrophenyl)methyl]sulfonyl]-1,3-dihydro-  
(9CI) (CA INDEX NAME)



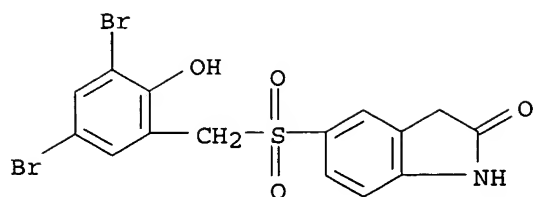
RN 477573-42-5 HCAPLUS

CN 2H-Indol-2-one, 5-[[ [3-(4-fluorophenoxy)phenyl]methyl]sulfonyl]-1,3-  
dihydro- (9CI) (CA INDEX NAME)



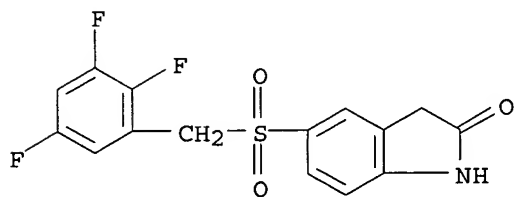
RN 477573-43-6 HCAPLUS

CN 2H-Indol-2-one, 5-[[ (3,5-dibromo-2-hydroxyphenyl)methyl]sulfonyl]-1,3-  
dihydro- (9CI) (CA INDEX NAME)



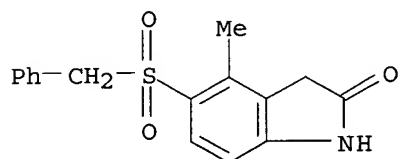
RN 477573-44-7 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-5-[[2,3,5-trifluorophenyl]methyl]sulfonyl- (9CI) (CA INDEX NAME)



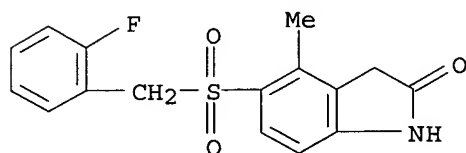
RN 477573-45-8 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-4-methyl-5-[(phenylmethyl)sulfonyl]- (9CI) (CA INDEX NAME)



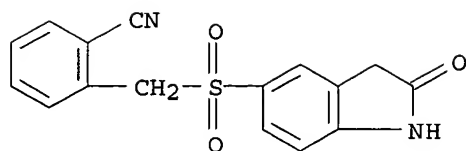
RN 477573-46-9 HCAPLUS

CN 2H-Indol-2-one, 5-[[2-fluorophenyl]methyl]sulfonyl]-1,3-dihydro-4-methyl- (9CI) (CA INDEX NAME)



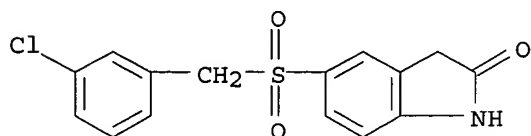
RN 477573-47-0 HCAPLUS

CN Benzonitrile, 2-[[2,3-dihydro-2-oxo-1H-indol-5-yl]sulfonyl]methyl]- (9CI) (CA INDEX NAME)



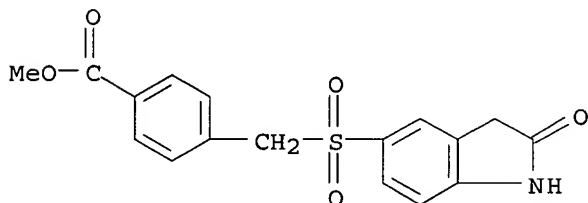
RN 477573-48-1 HCAPLUS

CN 2H-Indol-2-one, 5-[[[(3-chlorophenyl)methyl]sulfonyl]-1,3-dihydro- (9CI)  
(CA INDEX NAME)



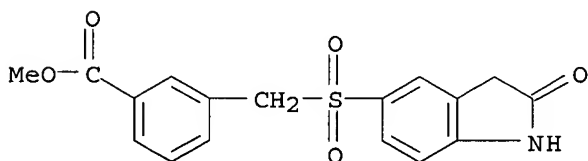
RN 477573-49-2 HCAPLUS

CN Benzoic acid, 4-[[[(2,3-dihydro-2-oxo-1H-indol-5-yl)sulfonyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



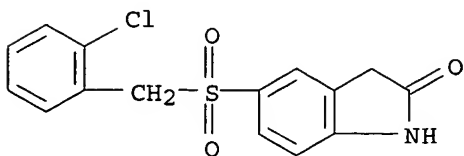
RN 477573-50-5 HCAPLUS

CN Benzoic acid, 3-[[[(2,3-dihydro-2-oxo-1H-indol-5-yl)sulfonyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 477573-51-6 HCAPLUS

CN 2H-Indol-2-one, 5-[[[(2-chlorophenyl)methyl]sulfonyl]-1,3-dihydro- (9CI)  
(CA INDEX NAME)

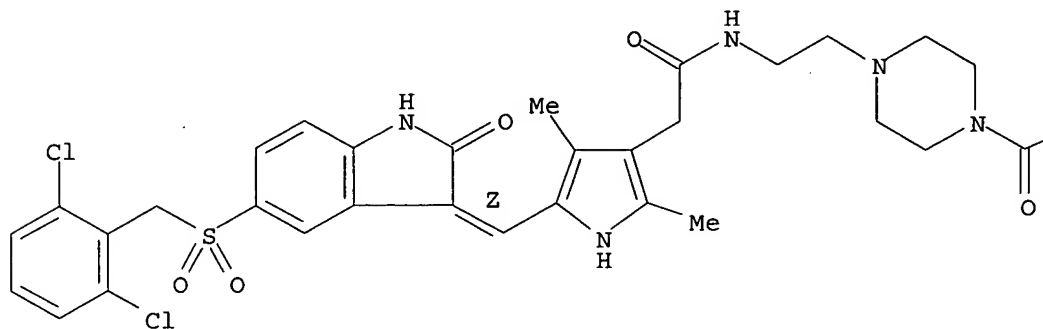


RN 477576-37-7 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[2-[[[5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

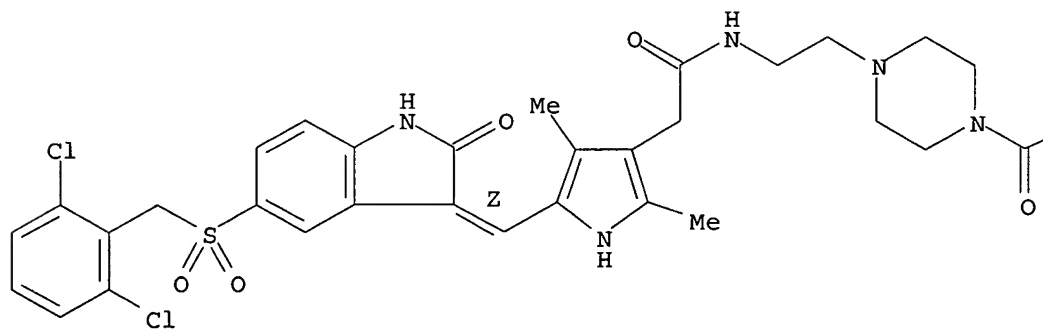
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RN 477576-39-9 HCAPLUS

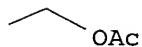
CN 1H-Pyrrole-3-acetamide, N-[2-[4-[(acetyloxy)acetyl]-1-piperazinyl]ethyl]-5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

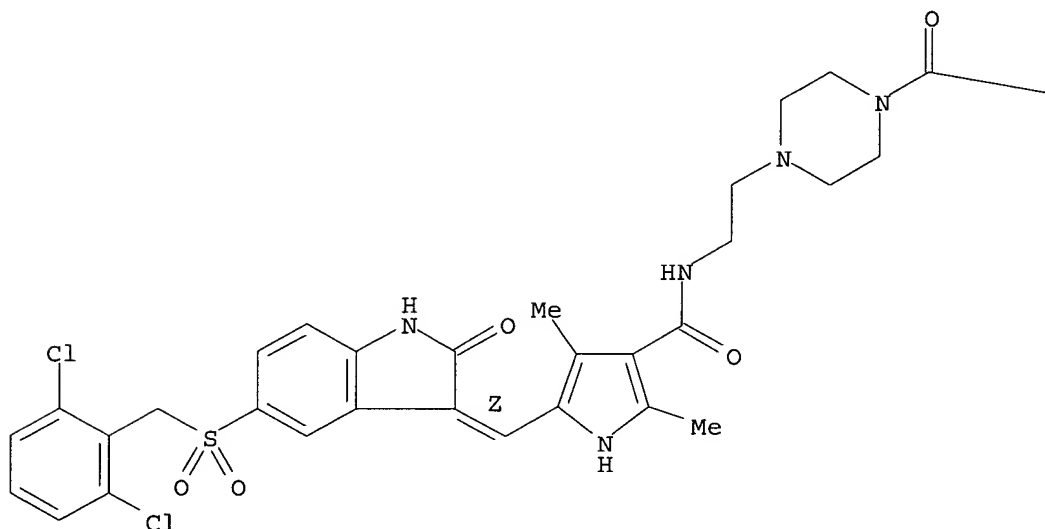


RN 477576-58-2 HCAPLUS

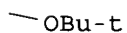
CN 1-Piperazinecarboxylic acid, 4-[2-[[[5-[(Z)-[5-[[[2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



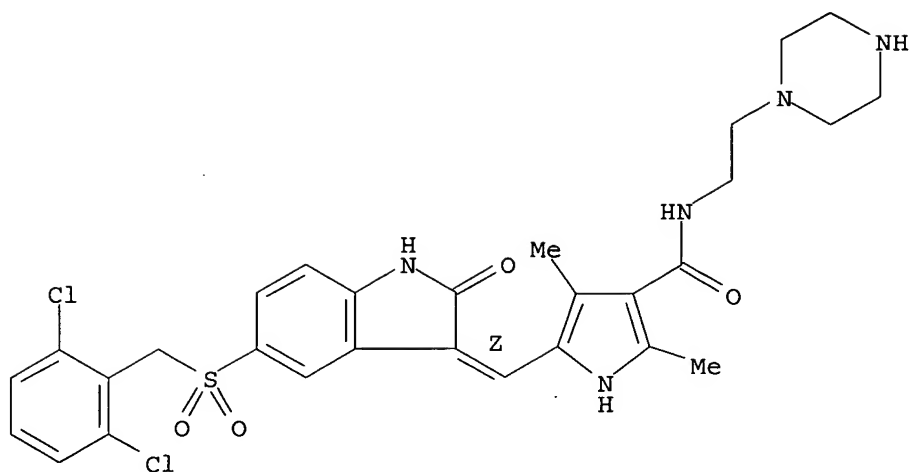
PAGE 1-B



RN 477576-59-3 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[[2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[2-(1-piperazinyl)ethyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

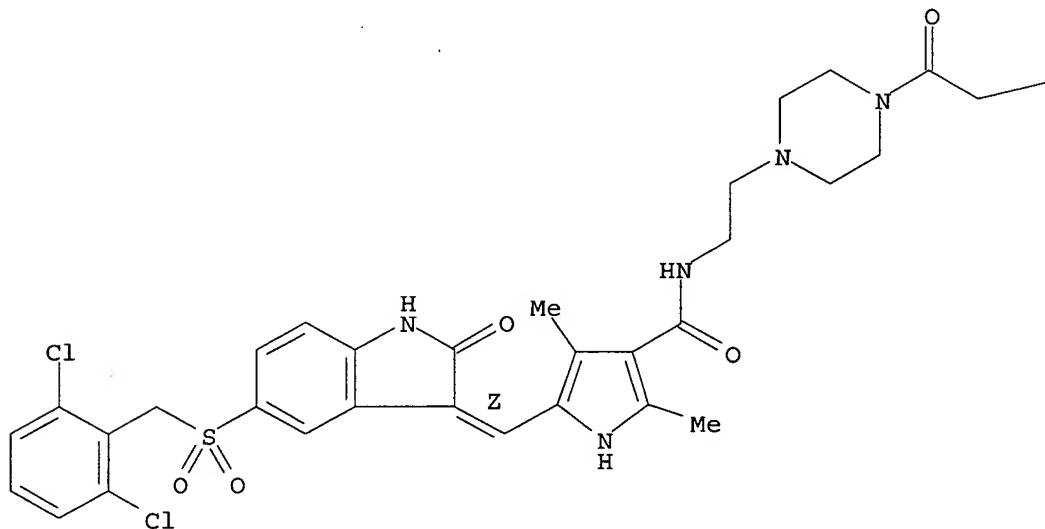


RN 477576-60-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-[4-[(acetyloxy)acetyl]-1-piperazinyl]ethyl]-5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

—OAc

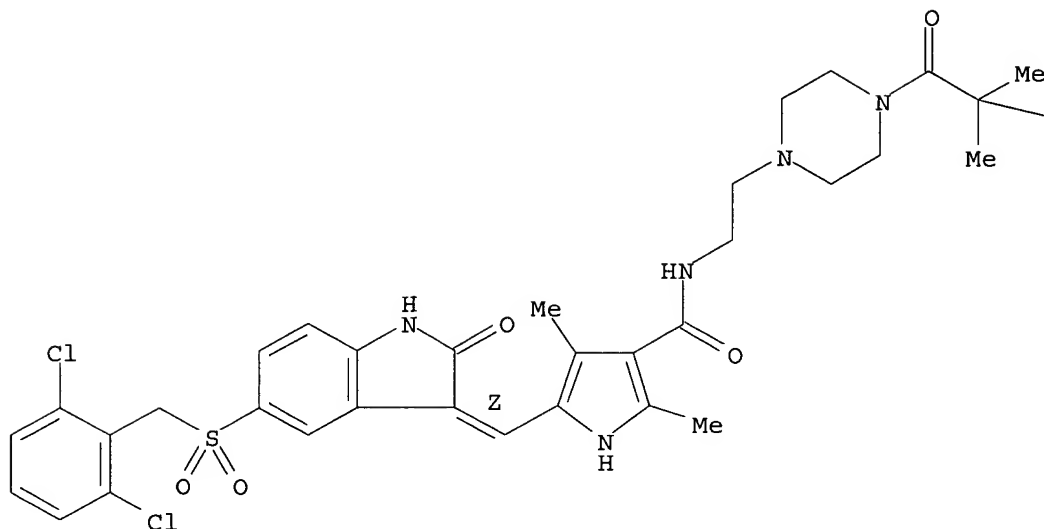
RN 477577-27-8 HCAPLUS

CN Carbamic acid, [2-[4-[2-[[[5-[(Z)-[5-[[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]amino]ethyl]-1-piperazinyl]-1,1-dimethyl-2-oxoethyl]-,

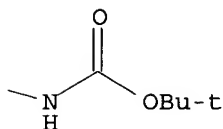
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B



L18 ANSWER 13 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2002:906195 HCAPLUS  
 DOCUMENT NUMBER: 138:4618  
 TITLE: Preparation of 3-quinoline-2(1H)-ylideneindolin-2-one derivatives as vascular endothelial growth factor (VEGF) inhibitors  
 INVENTOR(S): Samizu, Kiyohiro; Hisamichi, Hiroyuki; Matsuhisa, Akira; Kinoyama, Isao; Hayakawa, Masahiko; Taniguchi, Nobuaki; Ideyama, Yukitaka; Kuromitsu, Sadao; Yahiro, Kiyoshi; Okada, Minoru  
 PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 65 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.    | KIND | DATE     | APPLICATION NO. | DATE         |
|---------------|------|----------|-----------------|--------------|
| WO 2002094809 | A1   | 20021128 | WO 2002-JP5014  | 20020523 <-- |

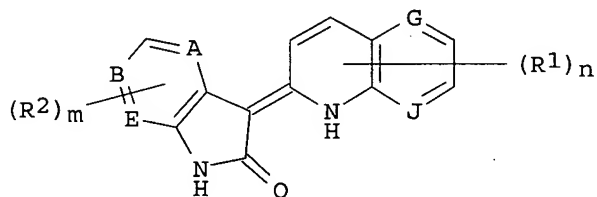


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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2448076 AA 20021128 CA 2002-2448076 20020523 <--  
 EP 1396490 A1 20040310 EP 2002-728131 20020523 <--  
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 CN 1511151 A 20040707 CN 2002-810534 20020523 <--  
 US 2005090498 A1 20050428 US 2003-478504 20020523  
 PRIORITY APPLN. INFO.: JP 2001-155761 A 20010524  
 WO 2002-JP5014 W 20020523

OTHER SOURCE(S): MARPAT 138:4618  
 GI



AB Novel 3-(1,2-dihydroquinolin-2-ylidene)indolin-2-one derivs. represented by the following general formula (I) or salts thereof [wherein A, B, E, G, J= N, CH; R1, R2 = lower alkyl, alkenyl, or alkynyl, Ra, X-(C1-8 alkylene optionally substituted by ORb)-Ra, X-C1-8 alkenylene-Ra, X-C1-8 alkynylene-Ra, provided that R1 and R2 are not substituted on N atom; X = O, CO, CO2, O2C, S, SO, SO2, NRb, NRbSO2, SO2NRb, CONRb, NRbCO, NRbCONRb, NRbCO2, O2CNRb, a single bond; wherein Ra = halo-lower alkyl, halo, NO2, cyano, ORb, O-lower alkylene-NRbRc, CO2Rb, CORb, CONRbRc, NRbRc, NRd-lower alkylene-NRbRc, etc.; Rb, Rc, Rd = H, lower alkyl, lower alkylene-RIN; RIN = (un)substituted saturated heterocyclyl, cycloalkyl, aryl, or heteroaryl; n, m = an integer of 0-4; provided that when A, B, E, E, G, and J are simultaneously C, they are not simultaneously N] are prepared. These compounds have excellent effects of inhibiting VEGF and **angiogenesis** and an antitumor effect and, therefore, are useful as appropriate VEGF inhibitors, **angiogenesis** inhibitors and anticancer agents. They are useful as remedies for diseases in which **angiogenesis** participates, e.g. solid tumors and diabetic retinopathy. Thus, 0.3 mL benzoyl chloride was added to a solution of 510 mg 6-[2-(1H-1,2,3-triazol-1-yl)ethoxy]quinoline N-oxide in 25 mL CHCl3 under ice-cooling and stirred at the same temperature for 30 min, followed by adding 265 mg indolidin-2-one, and the resulting mixture was refluxed at 90° for 8 h to give 3-[6-[2-(1H-1,2,3-triazol-1-yl)ethoxy]quinolin-2(1H)-ylidene]isoindolin-2-one (II). II and 5-fluoro-3-(quinolin-2(1H)-ylidene)isoindolin-2-one showed IC50 of 0.14 and 0.00097 μM, resp., for inhibiting the human recombinant VEGF-promoted uptake of [3H]thymidine in human umbilical vein endothelial cells (HUVEC).

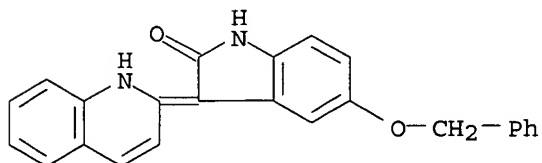
IT 476656-85-6P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of 3-quinoline-2(1H)-ylideneindolin-2-one derivs. as vascular endothelial growth factor (VEGF) inhibitors, **angiogenesis** inhibitors, and antitumor agents)

RN 476656-85-6 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-5-(phenylmethoxy)-3-(2(1H)-quinolinylidene)-(9CI) (CA INDEX NAME)



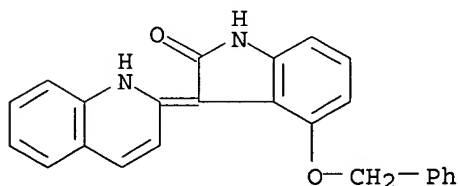
IT 476657-67-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 3-quinoline-2(1H)-ylideneindolin-2-one derivs. as vascular endothelial growth factor (VEGF) inhibitors, **angiogenesis** inhibitors, and antitumor agents)

RN 476657-67-7 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-4-(phenylmethoxy)-3-(2(1H)-quinolinylidene)-(9CI) (CA INDEX NAME)



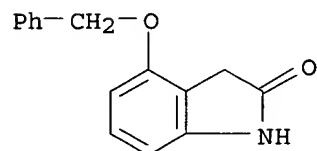
IT 458526-10-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 3-quinoline-2(1H)-ylideneindolin-2-one derivs. as vascular endothelial growth factor (VEGF) inhibitors, **angiogenesis** inhibitors, and antitumor agents)

RN 458526-10-8 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-4-(phenylmethoxy)-(9CI) (CA INDEX NAME)



REFERENCE COUNT:

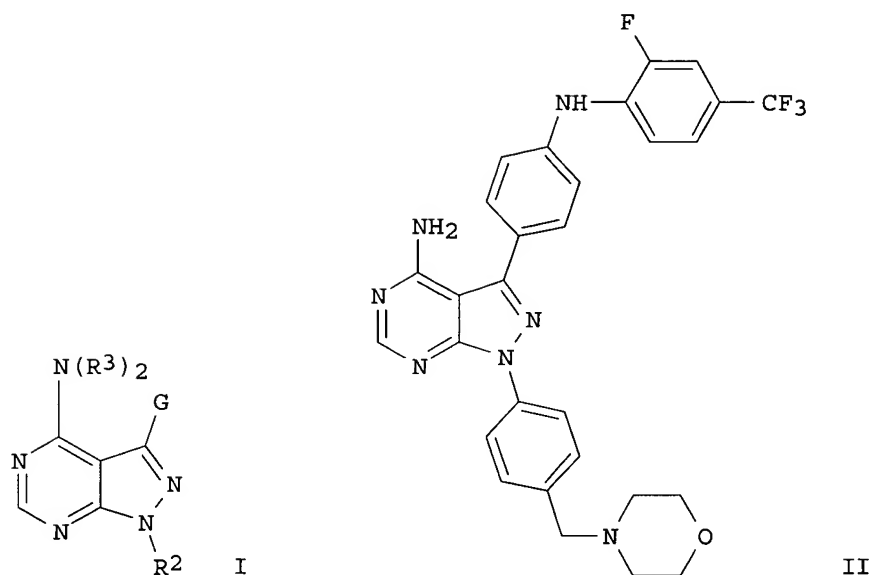
45

THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

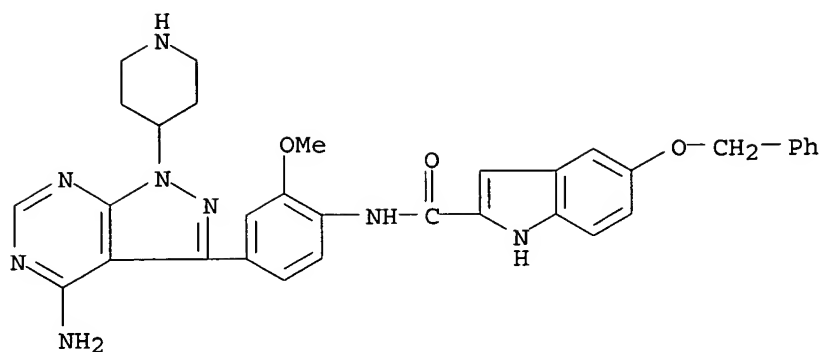
L18 ANSWER 14 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:814851 HCAPLUS  
 DOCUMENT NUMBER: 137:310930  
 TITLE: Preparation of 3-(azahetero)aryl-1H-pyrazolo[3,4-d]pyrimidin-3-amines as protein kinase inhibitors with antiangiogenic properties  
 INVENTOR(S): Hirst, Gavin C.; Rafferty, Paul; Ritter, Kurt; Calderwood, David; Wishart, Neil; Arnold, Lee D.; Friedman, Michael M.  
 PATENT ASSIGNEE(S): Abbott Laboratories, USA  
 SOURCE: U.S. Pat. Appl. Publ., 426 pp., Cont.-in-part of U.S. Ser. No. 663,780.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE         |
|---|------|----------|-----------------|--------------|
| US 2002156081   | A1   | 20021024 | US 2001-815310  | 20010322 <-- |
| US 6921763  | B2   | 20050726 |                 |              |
| US 6660744  | B1   | 20031209 | US 2000-663780  | 20000915 <-- |
| CA 2440724  | AA   | 20021017 | CA 2002-2440724 | 20020322 <-- |
| WO 2002080926   | A1   | 20021017 | WO 2002-US9104  | 20020322 <-- |
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| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |              |
| EP 1385524  | A1   | 20040204 | EP 2002-746301  | 20020322 <-- |
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| CN 1520298  | A    | 20040811 | CN 2002-810250  | 20020322 <-- |
| JP 2004531513   | T2   | 20041014 | JP 2002-578965  | 20020322     |
| BR 2002005889   | A    | 20041109 | BR 2002-5889    | 20020322     |
| ZA 2003006886   | A    | 20040716 | ZA 2003-6886    | 20030903 <-- |
| NO 2003004176   | A    | 20031121 | NO 2003-4176    | 20030919 <-- |
| BG 108269   | A    | 20041230 | BG 2003-108269  | 20031014     |
| PRIORITY APPLN. INFO.:  |      |          | US 1999-154620P | P 19990917   |
|   |      |          | US 2000-663780  | A2 20000915  |
|   |      |          | US 2001-815310  | A 20010322   |
|   |      |          | WO 2002-US9104  | W 20020322   |
| OTHER SOURCE(S): MARPAT 137:310930  |      |          |                 |              |
| GI  |      |          |                 |              |



- AB Title compds. I [wherein  $G$  = (un)substituted 5-6 membered (azahetero)aryl;  $R^2$  = H or (un)substituted trityl, cycloalkenyl, azaheteroaryl, or  $C_6H_4-4-CH_2E$ ;  $E$  = (un)substituted alkyl-OR, alkyl-CO<sub>2</sub>R, alkylheteroaryl, alkylheterocycloalkyl, or alkyl-NR<sub>2</sub>;  $R$  = independently H or (un)substituted (cyclo)alkyl, or aryl(alkyl);  $R^3$  = independently H, OH, or (un)substituted alkyl, alkyl-CO, (hetero)aryl-CO, or alkoxy; or racemic diastereomeric mixts., optical isomers, pharmaceutically acceptable salts, prodrugs, and/or biol. active metabolites thereof] were prepared For example, 3-iodo-1H-pyrazolo[3,4-d]pyrimidin-4-amine was coupled with 4-fluorobenzaldehyde in the presence of NaH in DMF to give 4-(4-amino-3-iodo-1H-pyrazolo[3,4-d]pyrimidin-1-yl)benzaldehyde. Treatment of the 3-iodopyrazolopyrimidine with N-[2-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-2-fluoro-4-(trifluoromethyl)benzamide, Pd(PPh<sub>3</sub>)<sub>4</sub>, and Na<sub>2</sub>CO<sub>3</sub> in H<sub>2</sub>O afforded the N-[4-(pyrazolopyrimidin-3-yl)phenyl]benzamide. Addition of morpholine to the benzaldehyde in the presence of Na(AcO)<sub>3</sub>BH in dichloroethane produced II. All exemplified compds. significantly inhibited either FGFR, PDGFR, KDR, Tie-2, Lck, Fyn, Blk, Lyn, or Src at concentration of  $\leq 50 \mu M$ . Certain compds. of the invention also significantly inhibited cdc2 or cellular VEGF-induced KDR tyrosine kinase phosphorylation at concns. of  $\leq 50 \mu M$ . Thus, I are useful for the treatment of a wide variety of disease states ameliorated by the inhibition of protein tyrosine kinase activity essential for angiogenic processes (no data).
- IT **461702-74-9**, N-[4-[4-Amino-1-(4-piperidyl)-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-2-methoxyphenyl]-5-(benzyloxy)-1H-2-indolecarboxamide  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of [(hetero)aryl]pyrazolo[3,4-d]pyrimidinamines as protein kinase inhibitors with antiangiogenic properties)
- RN **461702-74-9** HCAPLUS
- CN 1H-Indole-2-carboxamide, N-[4-[4-amino-1-(4-piperidinyl)-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-2-methoxyphenyl]-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



IT **461702-75-0P**, 1H-Indole-2-carboxamide, N-[4-[4-amino-1-(4-piperidinyl)-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-2-methoxyphenyl]-5-(phenylmethoxy)-, monoacetate **461702-83-0P**, 1H-Indole-2-carboxamide, N-[4-[4-amino-1-(4-piperidinyl)-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-2-methoxyphenyl]-4-(phenylmethoxy)-, monoacetate  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(protein kinase inhibitor; preparation of [(hetero)aryl]pyrazolo[3,4-d]pyrimidinamines as protein kinase inhibitors with antiangiogenic properties)

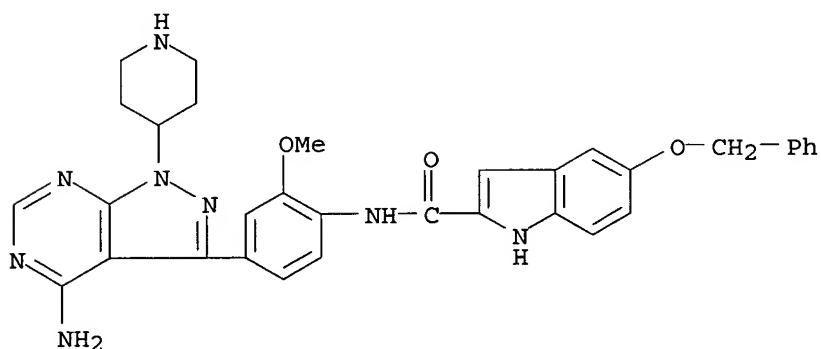
RN 461702-75-0 HCAPLUS

CN 1H-Indole-2-carboxamide, N-[4-[4-amino-1-(4-piperidinyl)-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-2-methoxyphenyl]-5-(phenylmethoxy)-, monoacetate (9CI)  
 (CA INDEX NAME)

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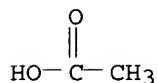
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CM 2

CRN 64-19-7

CMF C2 H4 O2



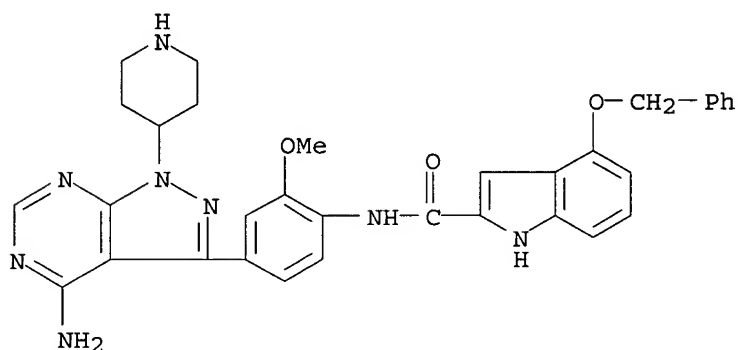
RN 461702-83-0 HCAPLUS

CN 1H-Indole-2-carboxamide, N-[4-[4-amino-1-(4-piperidinyl)-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-2-methoxyphenyl]-4-(phenylmethoxy)-, monoacetate (9CI)  
(CA INDEX NAME)

CM 1

CRN 461702-82-9

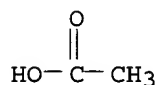
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CM 2

CRN 64-19-7

CMF C2 H4 O2



L18 ANSWER 15 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:793426 HCAPLUS

DOCUMENT NUMBER: 137:310925

TITLE: Preparation of 3-(azahetero)aryl-1H-pyrazolo[3,4-d]pyrimidin-3-amines as protein kinase inhibitors with antiangiogenic properties

INVENTOR(S): Hirst, Gavin C.; Rafferty, Paul; Ritter, Kurt; Calderwood, David; Wishart, Neil; Arnold, Lee D.; Friedman, Michael M.

PATENT ASSIGNEE(S): Abbott G.m.b.H. &amp; Co. K.-G., Germany

SOURCE: PCT Int. Appl., 867 pp.

CODEN: PIXXD2

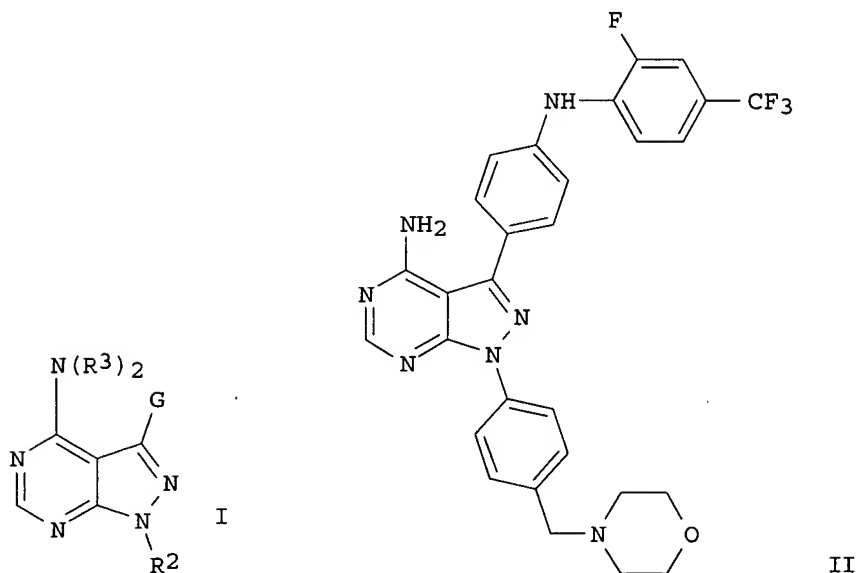
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

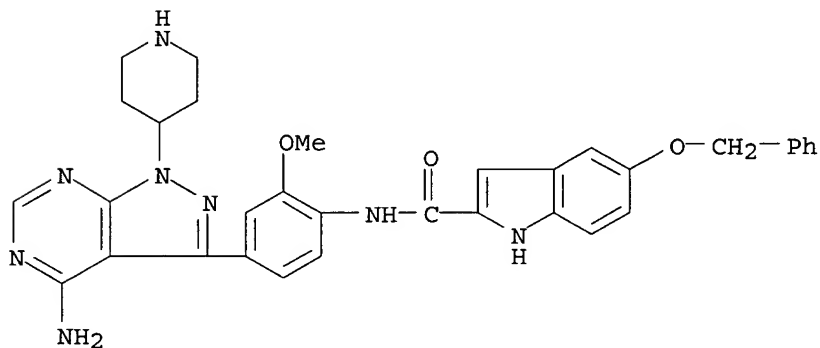
| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE         |
|---|------|----------|-----------------|--------------|
| WO 2002080926   | A1   | 20021017 | WO 2002-US9104  | 20020322 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM<br>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG |      |          |                 |              |
| US 2002156081   | A1   | 20021024 | US 2001-815310  | 20010322 <-- |
| US 6921763  | B2   | 20050726 |                 |              |
| CA 2440724  | AA   | 20021017 | CA 2002-2440724 | 20020322 <-- |
| EP 1385524  | A1   | 20040204 | EP 2002-746301  | 20020322 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |      |          |                 |              |
| JP 2004531513   | T2   | 20041014 | JP 2002-578965  | 20020322     |
| BR 2002005889   | A    | 20041109 | BR 2002-5889    | 20020322     |
| NO 2003004176   | A    | 20031121 | NO 2003-4176    | 20030919 <-- |
| PRIORITY APPLN. INFO.:  |      |          |                 |              |
|   |      |          | US 2001-815310  | A 20010322   |
|   |      |          | US 1999-154620P | P 19990917   |
|   |      |          | US 2000-663780  | A2 20000915  |
|   |      |          | WO 2002-US9104  | W 20020322   |
| OTHER SOURCE(S): MARPAT 137:310925  |      |          |                 |              |
| GI  |      |          |                 |              |



AB Title compds. I [wherein G = (un)substituted 5-6 membered (azahetero)aryl; R2 = H or (un)substituted trityl, cycloalkenyl, azaheteroaryl, or C6H4-4-CH2E; E = (un)substituted alkyl-OR, alkyl-CO2R, alkylheteroaryl,

alkylheterocycloalkyl, or alkyl-NR<sub>2</sub>; R = independently H or (un)substituted (cyclo)alkyl, or aryl(alkyl); R<sub>3</sub> = independently H, OH, or (un)substituted alkyl, alkyl-CO, (hetero)aryl-CO, or alkoxy; or racemic diastereomeric mixts., optical isomers, pharmaceutically acceptable salts, prodrugs, and/or biol. active metabolites thereof] were prepared For example, 3-iodo-1H-pyrazolo[3,4-d]pyrimidin-4-amine was coupled with 4-fluorobenzaldehyde in the presence of NaH in DMF to give 4-(4-amino-3-iodo-1H-pyrazolo[3,4-d]pyrimidin-1-yl)benzaldehyde. Treatment of the 3-iodopyrazolopyrimidine with N-[2-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-2-fluoro-4-(trifluoromethyl)benzamide, Pd(PPh<sub>3</sub>)<sub>4</sub>, and Na<sub>2</sub>CO<sub>3</sub> in H<sub>2</sub>O afforded the N-[4-(pyrazolopyrimidin-3-yl)phenyl]benzamide. Addition of morpholine to the benzaldehyde in the presence of Na(AcO)<sub>3</sub>BH in dichloroethane produced II. All exemplified compds. significantly inhibited either FGFR, PDGFR, KDR, Tie-2, Lck, Fyn, Blk, Lyn, or Src at concentration of ≤ 50 μM. Certain compds. of the invention also significantly inhibited cdc2 or cellular VEGF-induced KDR tyrosine kinase phosphorylation at concns. of ≤ 50 μM. Thus, I are useful for the treatment of a wide variety of disease states ameliorated by the inhibition of protein tyrosine kinase activity essential for angiogenic processes (no data).

IT **461702-74-9**, N-[4-[4-Amino-1-(4-piperidyl)-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-2-methoxyphenyl]-5-(benzyloxy)-1H-2-indolecarboxamide  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of [(hetero)aryl]pyrazolo[3,4-d]pyrimidinamines as protein kinase inhibitors with antiangiogenic properties)  
 RN 461702-74-9 HCAPLUS  
 CN 1H-Indole-2-carboxamide, N-[4-[4-amino-1-(4-piperidinyl)-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-2-methoxyphenyl]-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



IT **461702-75-0P**, 1H-Indole-2-carboxamide, N-[4-[4-amino-1-(4-piperidinyl)-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-2-methoxyphenyl]-5-(phenylmethoxy)-, monoacetate **461702-83-0P**, 1H-Indole-2-carboxamide, N-[4-[4-amino-1-(4-piperidinyl)-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-2-methoxyphenyl]-4-(phenylmethoxy)-, monoacetate  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (protein kinase inhibitor; preparation of [(hetero)aryl]pyrazolo[3,4-d]pyrimidinamines as protein kinase inhibitors with antiangiogenic properties)  
 RN 461702-75-0 HCAPLUS  
 CN 1H-Indole-2-carboxamide, N-[4-[4-amino-1-(4-piperidinyl)-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-2-methoxyphenyl]-5-(phenylmethoxy)-, monoacetate (9CI)

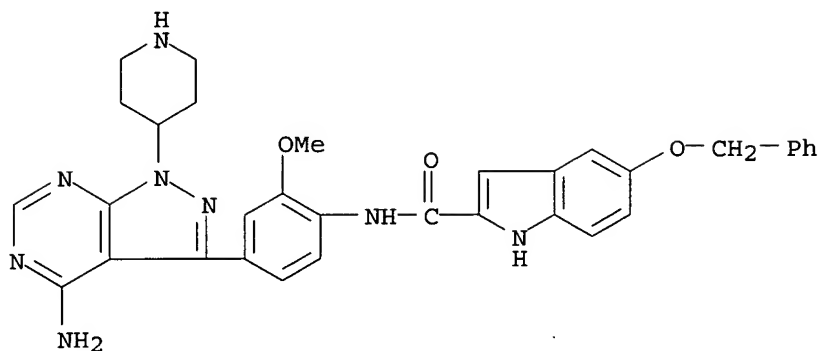


(CA INDEX NAME)

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CRN 461702-74-9

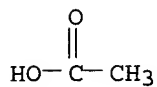
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CM 2

CRN 64-19-7

CMF C2 H4 O2



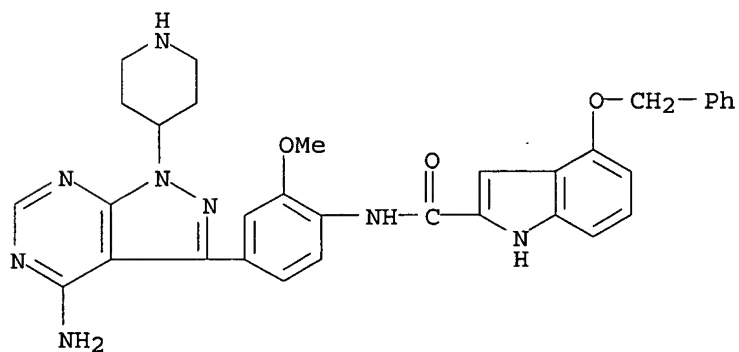
RN 461702-83-0 HCAPLUS

CN 1H-Indole-2-carboxamide, N-[4-[4-amino-1-(4-piperidinyl)-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-2-methoxyphenyl]-4-(phenylmethoxy)-, monoacetate (9CI)  
(CA INDEX NAME)

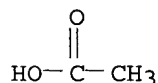
CM 1

CRN 461702-82-9

CMF C33 H32 N8 O3



CM 2

CRN 64-19-7  
CMF C2 H4 O2

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 16 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:777727 HCAPLUS

DOCUMENT NUMBER: 137:288985

TITLE: Inhibitors of prenyl-protein transferase

INVENTOR(S): Desolms, S. Jane; Shaw, Anthony W.

PATENT ASSIGNEE(S): Merck &amp; Co., Inc., USA

SOURCE: PCT Int. Appl., 109 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO. | DATE         |
|------------------------|--|----------|-----------------|--------------|
| WO 2002078702          | A1   | 20021010 | WO 2002-US9208  | 20020326 <-- |
| W:                     | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                 |              |
| RW:                    | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |              |
| PRIORITY APPLN. INFO.: |  |          | US 2001-280610P | P 20010330   |

OTHER SOURCE(S): MARPAT 137:288985

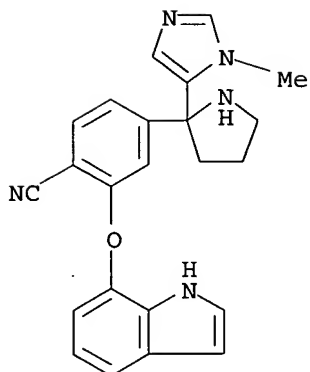
AB The present invention is directed to compds. which inhibit a prenyl-protein transferase (FTase) and the farnesylation of the oncogene protein Ras. The compds. of the present invention comprise non-prodrug, non-thiol compds. that contain a spirocyclic pyrrolidinyl moiety. The invention is further directed to chemotherapeutic compns. containing the compds. of this invention and methods for inhibiting a prenyl-protein transferase and the prenylation of the oncogene protein Ras.

IT 467424-14-2

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(inhibitors of prenyl-protein transferase)

RN 467424-14-2 HCAPLUS

CN Benzonitrile, 2-(1H-indol-7-yloxy)-4-[2-(1-methyl-1H-imidazol-5-yl)-2-pyrrolidinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 17 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:754390 HCAPLUS

DOCUMENT NUMBER: 137:263056

TITLE: Preparation of 3-(azahetero)aryl-1H-pyrazolo[3,4-d]pyrimidin-3-amines as protein kinase inhibitors with antiangiogenic properties

INVENTOR(S): Hirst, Gavin C.; Rafferty, Paul; Ritter, Kurt; Calderwood, David; Wishart, Neil; Arnold, Lee D.; Friedman, Michael M.

PATENT ASSIGNEE(S): Abbott GmbH & Co. KG, Germany

SOURCE: PCT Int. Appl., 440 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

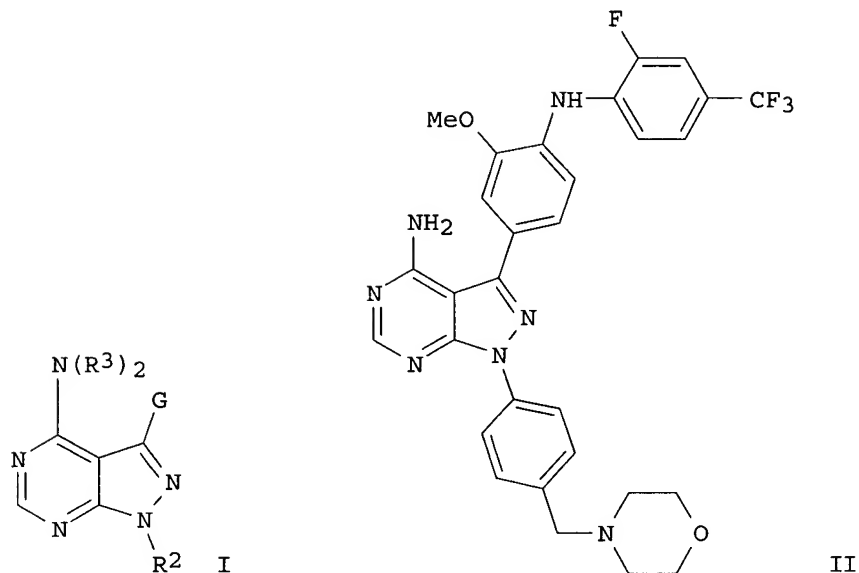
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO. | DATE         |
|------------------------|--|----------|-----------------|--------------|
| WO 2002076986          | A1   | 20021003 | WO 2002-US8996  | 20020322 <-- |
| W:                     | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                 |              |
| RW:                    | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |              |
| CA 2440714             | AA   | 20021003 | CA 2002-2440714 | 20020322 <-- |
| EP 1379528             | A1   | 20040114 | EP 2002-728546  | 20020322 <-- |
| R:                     | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |          |                 |              |
| BR 2002005890          | A  | 20040629 | BR 2002-5890    | 20020322 <-- |
| JP 2005501811          | T2   | 20050120 | JP 2002-576244  | 20020322     |
| US 2004006083          | A1   | 20040108 | US 2002-104140  | 20020719 <-- |
| ZA 2003006887          | A  | 20040913 | ZA 2003-6887    | 20030903 <-- |
| NO 2003004177          | A  | 20031121 | NO 2003-4177    | 20030919 <-- |
| BG 108268              | A  | 20050430 | BG 2003-108268  | 20031014     |
| PRIORITY APPLN. INFO.: |  |          | US 2001-278047P | P 20010322   |

OTHER SOURCE(S) :  
GI

MARPAT 137:263056



AB Title compds. I [wherein  $G$  = (un)substituted 5-6 membered (azahetero)aryl;  $R^2$  = H or (un)substituted trityl, cycloalkenyl, azaheteroaryl, or  $C_6H_4-4-CH_2E$ ;  $E$  = (un)substituted alkyl-OR, alkyl-CO<sub>2</sub>R, alkylheteroaryl, alkylheterocycloalkyl, or alkyl-NR<sub>2</sub>;  $R$  = independently H or (un)substituted (cyclo)alkyl, or aryl(alkyl);  $R^3$  = independently H, OH, or (un)substituted alkyl, alkyl-CO, (hetero)aryl-CO, or alkoxy; or racemic diastereomeric mixts., optical isomers, pharmaceutically acceptable salts, prodrugs, and/or biol. active metabolites thereof] were prepared For example, 3-iodo-1H-pyrazolo[3,4-d]pyrimidin-4-amine was coupled with 4-fluorobenzaldehyde in the presence of NaH in DMF to give 4-(4-amino-3-iodo-1H-pyrazolo[3,4-d]pyrimidin-1-yl)benzaldehyde. Treatment of the 3-iodopyrazolopyrimidine with N-[2-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-2-fluoro-4-(trifluoromethyl)benzamide, Pd(PPh<sub>3</sub>)<sub>4</sub>, and Na<sub>2</sub>CO<sub>3</sub> in H<sub>2</sub>O afforded the N-[4-(pyrazolopyrimidin-3-yl)phenyl]benzamide. Addition of morpholine to the benzaldehyde in the presence of Na(AcO)<sub>3</sub>BH in dichloroethane produced II. All exemplified compds. significantly inhibited either FGFR, PDGFR, KDR, Tie-2, Lck, Fyn, Blk, Lyn, or Src at concentration of  $\leq 50$   $\mu$ M. Certain compds. of the invention also significantly inhibited cdc2 or cellular VEGF-induced KDR tyrosine kinase phosphorylation at concns. of  $\leq 50$   $\mu$ M. Thus, I are useful for the treatment of a wide variety of disease states ameliorated by the inhibition of protein tyrosine kinase activity essential for angiogenic processes (no data).

IT 461702-75-0P 461702-83-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(protein kinase inhibitor; preparation of (azahetero)aryl-1H-pyrazolo[3,4-d]pyrimidin-3-amines as protein kinase inhibitors with antiangiogenic properties)

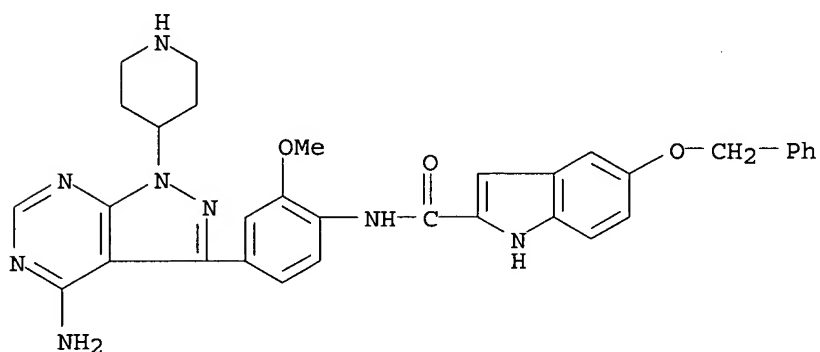
RN 461702-75-0 HCAPLUS

CN 1H-Indole-2-carboxamide, N-[4-[4-amino-1-(4-piperidinyl)-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-2-methoxyphenyl]-5-(phenylmethoxy)-, monoacetate (9CI)  
(CA INDEX NAME)

CM 1

CRN 461702-74-9

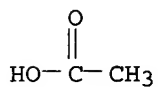
CMF C33 H32 N8 O3



CM 2

CRN 64-19-7

CMF C2 H4 O2



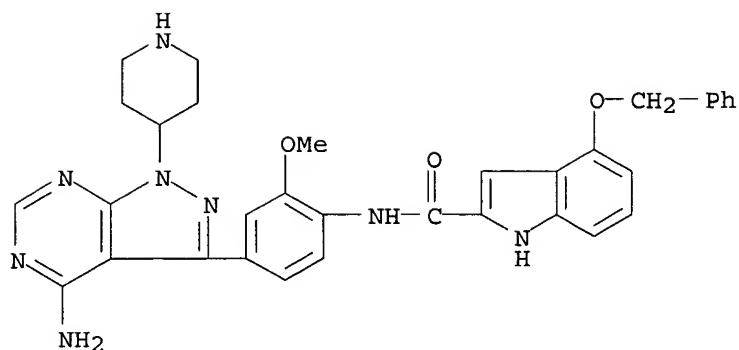
RN 461702-83-0 HCAPLUS

CN 1H-Indole-2-carboxamide, N-[4-[4-amino-1-(4-piperidinyl)-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-2-methoxyphenyl]-4-(phenylmethoxy)-, monoacetate (9CI)  
(CA INDEX NAME)

CM 1

CRN 461702-82-9

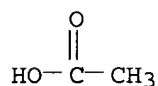
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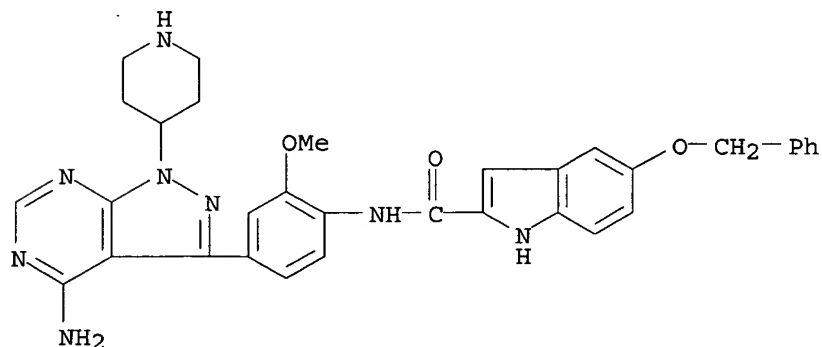
CM 2

CRN 64-19-7

CMF C2 H4 O2



IT 461702-74-9, N-[4-[4-Amino-1-(4-piperidyl)-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-2-methoxyphenyl]-5-(benzyloxy)-1H-2-indolecarboxamide  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reactant; preparation of (azahetero)aryl-1H-pyrazolo[3,4-d]pyrimidin-3-  
 amines as protein kinase inhibitors with antiangiogenic properties)  
 RN 461702-74-9 HCAPLUS  
 CN 1H-Indole-2-carboxamide, N-[4-[4-amino-1-(4-piperidinyl)-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-2-methoxyphenyl]-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

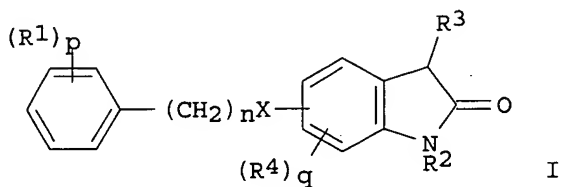


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 18 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2002:695948 HCAPLUS  
 DOCUMENT NUMBER: 137:232556

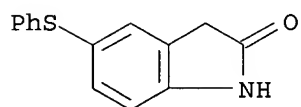
TITLE: Preparation of indolones as **angiogenesis** inhibitors.  
 INVENTOR(S): Arnould, Jean Claude  
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited  
 SOURCE: PCT Int. Appl., 65 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO.   | DATE         |
|---|------|----------|-------------------|--------------|
| WO 2002070478   | A1   | 20020912 | WO 2002-GB947     | 20020304 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM<br>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG |      |          |                   |              |
| EP 1370527  | A1   | 20031217 | EP 2002-702529    | 20020304 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |      |          |                   |              |
| JP 2004529110   | T2   | 20040924 | JP 2002-569798    | 20020304 <-- |
| US 2004147589   | A1   | 20040729 | US 2004-469834    | 20040116 <-- |
| PRIORITY APPLN. INFO.:  |      |          | EP 2001-400583    | A 20010306   |
|   |      |          | WO 2002-GB947     | W 20020304   |
| OTHER SOURCE(S):  |      |          | MARPAT 137:232556 |              |
| GI  |      |          |                   |              |

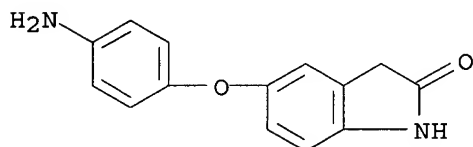


AB Use of title compds. [I; X = O, S, SO, SO<sub>2</sub>, NR<sub>5</sub>, CO, CONR<sub>5</sub>, SO<sub>2</sub>NR<sub>5</sub>; R<sub>1</sub> = amino, halo, OH, OPO<sub>3</sub>H<sub>2</sub>, alkyl, alkoxy, wherein the amino group is optionally substituted by an amino acid residue and the OH group is optionally esterified; R<sub>2</sub> = H, alkyl; R<sub>3</sub> = H, halo, OH, hydroxyalkyl, cyano, cyanoalkyl, carboxy, carboxyalkyl, alkanoyl, alkanoylalkyl, carbamoyl, carbamoylalkyl, alkoxy, alkoxy-carbonyl, alkoxy-carbonylalkyl, alkoxy-carbonylamino, amino, alkylamino, dialkylamino, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, ureido, alkylureylene; R<sub>4</sub> = alkyl, alkoxy, halo; R<sub>5</sub> = H, alkyl; n = 0, 1; p = 0-3; q = 0-2] for manufacture of a medicament for treatment of **angiogenesis**-associated disease is claimed (no data). Thus, 2-NO<sub>2</sub>-5-(phenylthio)phenylacetic acid (preparation given) was heated at 100° for 10 h with Zn, H<sub>2</sub>SO<sub>4</sub>, and EtOH to give 31% 5-(phenylthio)-1,3-dihydro-2H-indol-2-one.

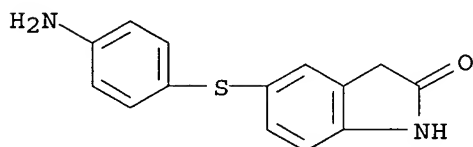
IT 458525-84-3P, 5-(Phenylsulfanyl)-1,3-dihydro-2H-indol-2-one  
 458525-85-4P, 5-(4-Aminophenoxy)-1,3-dihydro-2H-indol-2-one  
 458525-86-5P, 5-(4-Aminophenylsulfanyl)-1,3-dihydro-2H-indol-2-one  
 458525-87-6P, 5-(4-Hydroxyphenylsulfanyl)-1,3-dihydro-2H-indol-2-one  
 458525-88-7P, 6-(3-Aminobenzyloxy)-1,3-dihydro-2H-indol-2-one  
 458525-89-8P 458525-90-1P 458525-91-2P  
 458525-92-3P 458525-93-4P 458525-94-5P  
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 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)  
 (preparation of indolones as **angiogenesis** inhibitors)  
 RN 458525-84-3 HCAPLUS  
 CN 2H-Indol-2-one, 1,3-dihydro-5-(phenylthio)- (9CI) (CA INDEX NAME)



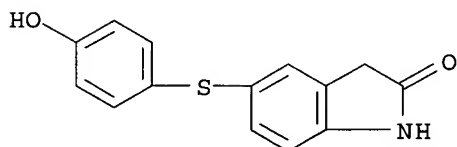
RN 458525-85-4 HCAPLUS  
 CN 2H-Indol-2-one, 5-(4-aminophenoxy)-1,3-dihydro- (9CI) (CA INDEX NAME)



RN 458525-86-5 HCAPLUS  
 CN 2H-Indol-2-one, 5-[(4-aminophenyl)thio]-1,3-dihydro- (9CI) (CA INDEX NAME)



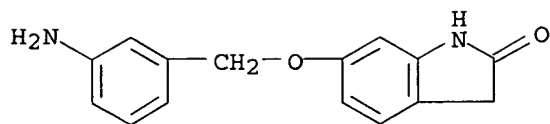
RN 458525-87-6 HCAPLUS  
 CN 2H-Indol-2-one, 5-[(4-hydroxyphenyl)thio]-1,3-dihydro- (9CI) (CA INDEX NAME)



RN 458525-88-7 HCAPLUS  
 CN 2H-Indol-2-one, 6-[(3-aminophenyl)methoxy]-1,3-dihydro- (9CI) (CA INDEX NAME)



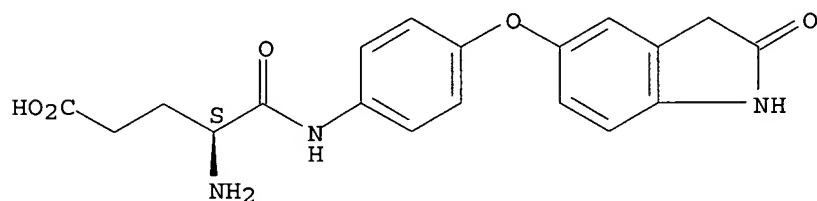
NAME)



RN 458525-89-8 HCAPLUS

CN Pentanoic acid, 4-amino-5-[[4-[(2,3-dihydro-2-oxo-1H-indol-5-yl)oxy]phenyl]amino]-5-oxo-, (4S)- (9CI) (CA INDEX NAME)

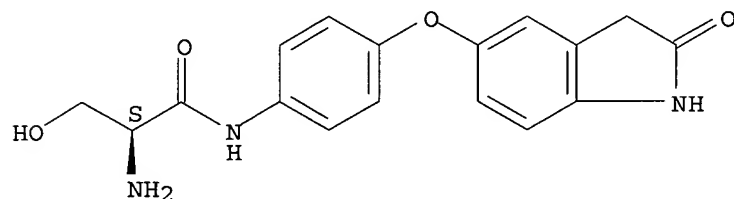
Absolute stereochemistry.



RN 458525-90-1 HCAPLUS

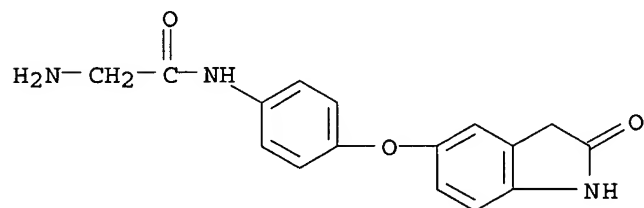
CN Propanamide, 2-amino-N-[4-[(2,3-dihydro-2-oxo-1H-indol-5-yl)oxy]phenyl]-3-hydroxy-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 458525-91-2 HCAPLUS

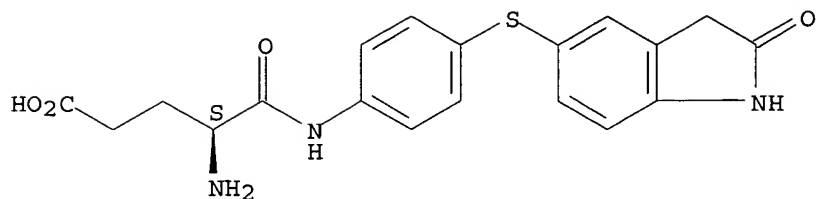
CN Acetamide, 2-amino-N-[4-[(2,3-dihydro-2-oxo-1H-indol-5-yl)oxy]phenyl]- (9CI) (CA INDEX NAME)



RN 458525-92-3 HCAPLUS

CN Pentanoic acid, 4-amino-5-[[4-[(2,3-dihydro-2-oxo-1H-indol-5-yl)thio]phenyl]amino]-5-oxo-, (4S)- (9CI) (CA INDEX NAME)

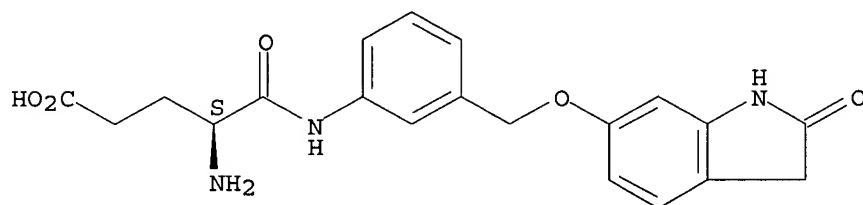
Absolute stereochemistry.



RN 458525-93-4 HCAPLUS

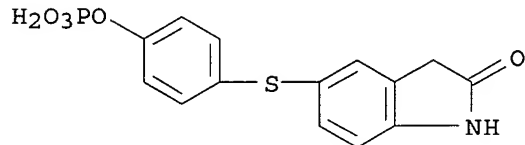
CN Pentanoic acid, 4-amino-5-[[3-[[[(2,3-dihydro-2-oxo-1H-indol-6-yl)oxy]methyl]phenyl]amino]-5-oxo-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



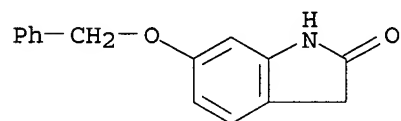
RN 458525-94-5 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-5-[[4-(phosphonooxy)phenyl]thio]- (9CI) (CA INDEX NAME)



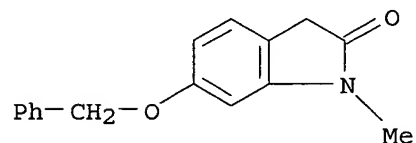
RN 458526-08-4 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)

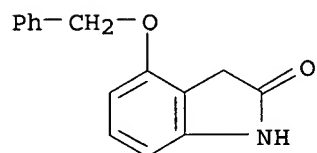


RN 458526-09-5 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-1-methyl-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)

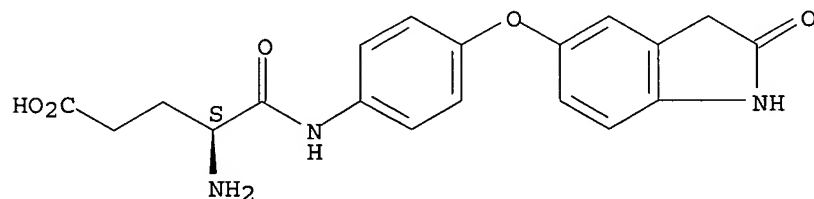


RN 458526-10-8 HCAPLUS  
 CN 2H-Indol-2-one, 1,3-dihydro-4-(phenylmethoxy) - (9CI) (CA INDEX NAME)



RN 458526-11-9 HCAPLUS  
 CN Pentanoic acid, 4-amino-5-[[4-[(2,3-dihydro-2-oxo-1H-indol-5-yl)oxy]phenyl]amino]-5-oxo-, hydrochloride (20:19), (4S) - (9CI) (CA INDEX NAME)

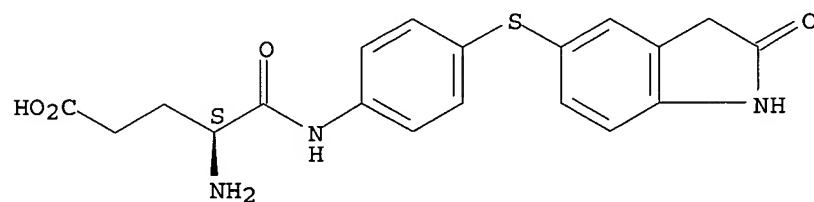
Absolute stereochemistry.



●19/20 HCl

RN 458526-12-0 HCAPLUS  
 CN Pentanoic acid, 4-amino-5-[[4-[(2,3-dihydro-2-oxo-1H-indol-5-yl)thio]phenyl]amino]-5-oxo-, hydrochloride (10:9), (4S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

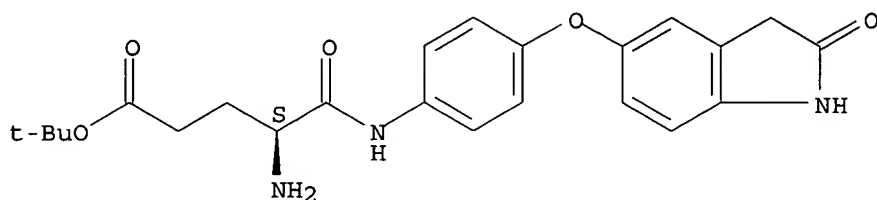


●9/10 HCl

IT 458525-99-0P 458526-00-6P 458526-01-7P  
 458526-02-8P 458526-05-1P 458526-06-2P  
 458526-07-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of indolones as angiogenesis inhibitors)  
 RN 458525-99-0 HCAPLUS  
 CN Pentanoic acid, 4-amino-5-[[4-[(2,3-dihydro-2-oxo-1H-indol-5-

yl)oxy]phenyl]amino]-5-oxo-, 1,1-dimethylethyl ester, (4S)- (9CI) (CA INDEX NAME)

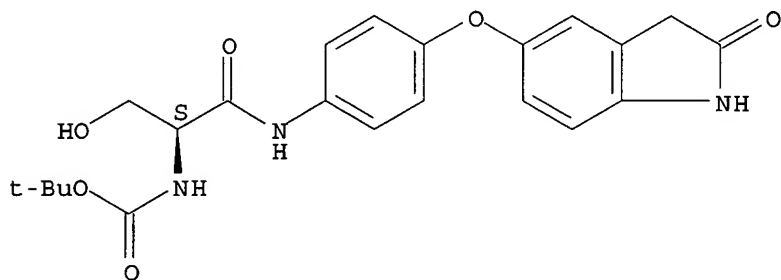
Absolute stereochemistry.



RN 458526-00-6 HCAPLUS

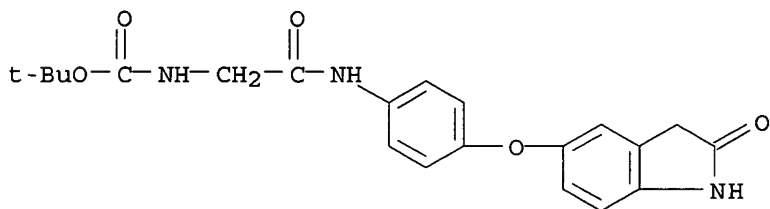
CN Carbamic acid, [(1S)-2-[[4-[(2,3-dihydro-2-oxo-1H-indol-5-yl)oxy]phenyl]amino]-1-(hydroxymethyl)-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 458526-01-7 HCAPLUS

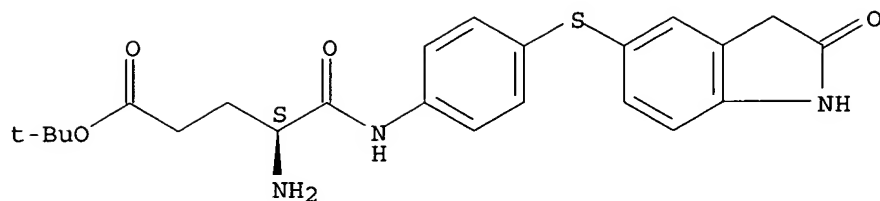
CN Carbamic acid, [2-[[4-[(2,3-dihydro-2-oxo-1H-indol-5-yl)oxy]phenyl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 458526-02-8 HCAPLUS

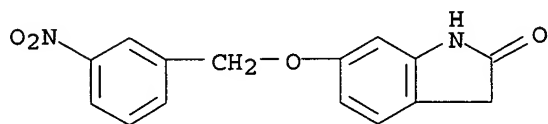
CN Pentanoic acid, 4-amino-5-[[4-[(2,3-dihydro-2-oxo-1H-indol-5-yl)thio]phenyl]amino]-5-oxo-, 1,1-dimethylethyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 458526-05-1 HCAPLUS

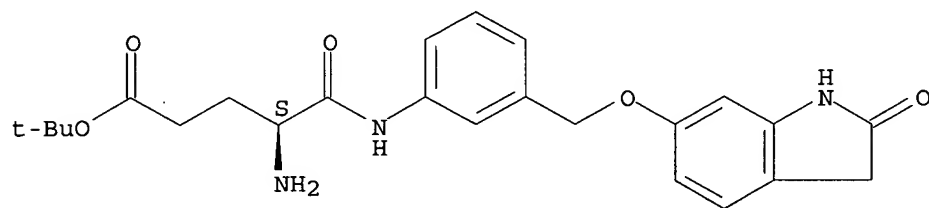
CN 2H-Indol-2-one, 1,3-dihydro-6-[(3-nitrophenyl)methoxy]- (9CI) (CA INDEX NAME)



RN 458526-06-2 HCAPLUS

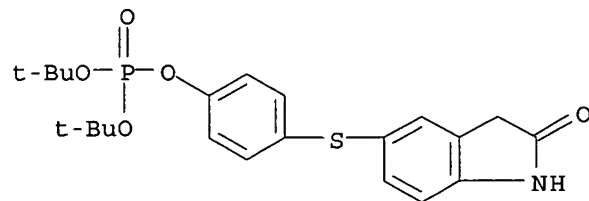
CN Pentanoic acid, 4-amino-5-[[3-[[[(2,3-dihydro-2-oxo-1H-indol-6-yl)oxy]methyl]phenyl]amino]-5-oxo-, 1,1-dimethylethyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 458526-07-3 HCAPLUS

CN Phosphoric acid, 4-[(2,3-dihydro-2-oxo-1H-indol-5-yl)thio]phenyl bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 19 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

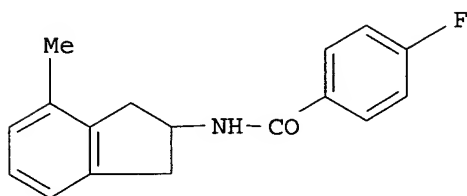
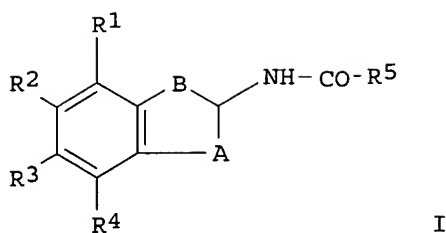
ACCESSION NUMBER: 2002:637636 HCAPLUS

DOCUMENT NUMBER: 137:185515

TITLE: Preparation of acylated indanyl amines and their use as remedies in upregulation of endothelial nitric

INVENTOR(S) : oxide synthase  
 Strobel, Hartmut; Wohlfart, Paulus; Safarova, Alena;  
 Walser, Armin; Suzuki, Teri; Dharanipragada, Ramalinga  
 M.  
 PATENT ASSIGNEE(S) : Aventis Pharma Deutschland GmbH, Germany  
 SOURCE: PCT Int. Appl., 137 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO. | DATE         |
|------------------------|--|----------|-----------------|--------------|
| WO 2002064545          | A1   | 20020822 | WO 2002-EP1444  | 20020212 <-- |
| W:                     | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                 |              |
| RW:                    | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |              |
| CA 2437944             | AA   | 20020822 | CA 2002-2437944 | 20020212 <-- |
| EE 200300369           | A  | 20031015 | EE 2003-369     | 20020212 <-- |
| EP 1373191             | A1   | 20040102 | EP 2002-722067  | 20020212 <-- |
| R:                     | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |          |                 |              |
| BR 2002007211          | A  | 20040127 | BR 2002-7211    | 20020212 <-- |
| CN 1491207             | A  | 20040421 | CN 2002-804836  | 20020212 <-- |
| JP 2004518719          | T2   | 20040624 | JP 2002-564478  | 20020212 <-- |
| NZ 527470              | A  | 20050429 | NZ 2002-527470  | 20020212     |
| US 2003055093          | A1   | 20030320 | US 2002-73160   | 20020213 <-- |
| ZA 2003005413          | A  | 20040428 | ZA 2003-5413    | 20030714 <-- |
| BG 108076              | A  | 20050531 | BG 2003-108076  | 20030807     |
| NO 2003003565          | A  | 20031013 | NO 2003-3565    | 20030812 <-- |
| PRIORITY APPLN. INFO.: |  |          | EP 2001-102850  | A 20010213   |
|                        |  |          | WO 2002-EP1444  | W 20020212   |
| OTHER SOURCE(S) :      | MARPAT 137:185515  |          |                 |              |
| GI                     |  |          |                 |              |



AB Title compds. [I; R1-R4 =; A = CH<sub>2</sub>, CHOH, CH(C1-C3-alkyl); B = CH<sub>2</sub>, CH(C1-C3-alkyl); R5 = aryl, heteroaryl] are prepared and are useful in the upregulation of endothelial nitric oxide synthase (eNOS). Title compds. I may therefore be useful for the manufacture of medicaments for the treatment of cardiovascular diseases, stable or unstable angina pectoris, coronary heart disease, Prinzmetal angina, acute coronary syndrome, heart failure, myocardial infarction, stroke, thrombosis, peripheral artery occlusive disease, endothelial dysfunction, atherosclerosis, restenosis, endothelial damage after PTCA (percutaneous trans-luminal coronary angioplasty), hypertension, essential hypertension, pulmonary hypertension, secondary hypertension, renovascular hypertension, chronic glomerulonephritis, erectile dysfunction, ventricular arrhythmia, diabetes or diabetes complications, nephropathy or retinopathy, **angiogenesis**, asthma bronchial, chronic renal failure, cirrhosis of the liver, osteoporosis, restricted memory performance, a restricted ability to learn, or for the lowering of cardiovascular risk of postmenopausal women or after intake of contraceptives. Thus, the title compound II was prepared from 2-amino-4-methylindane and 4-fluorobenzoyl chloride, purified by HPLC and was in vitro tested on human umbilical vein cord endothelial cells for activation effect of eNOS transcription with EC-50 (μM) = 6.0 and TIR(max) = 2.80.

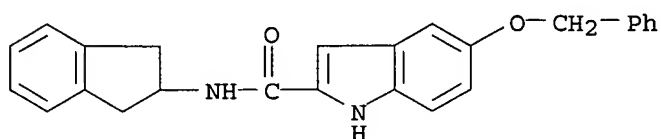
IT **450353-75-0P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation method of acylated indanyl amines and use as remedies in upregulation of endothelial nitric oxide synthase)

RN 450353-75-0 HCAPLUS

CN 1H-Indole-2-carboxamide, N-(2,3-dihydro-1H-inden-2-yl)-5-(phenylmethoxy)-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 20 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:514276 HCAPLUS

DOCUMENT NUMBER: 137:63079

TITLE: Preparation of aminobenzoic acids and their use as vascular endothelial growth factor (VEGF) receptor antagonists

INVENTOR(S): Wada, Hisaya; Asanuma, Hajime; Takayama, Tetsuo; Sato, Masakazu; Yamagishi, Takehiro; Shibuya, Masashi

PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

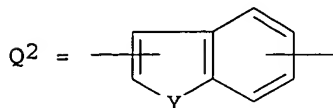
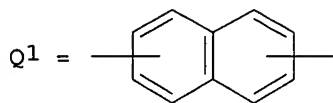
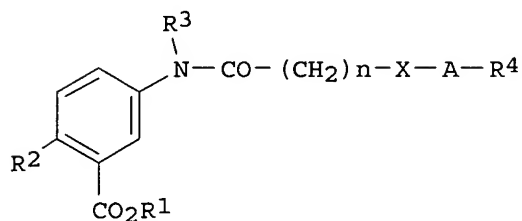
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE      | APPLICATION NO. | DATE         |
|------------------------|--------|-----------|-----------------|--------------|
| JP 2002193923          | A2     | 20020710  | JP 2000-395413  | 20001226 <-- |
| PRIORITY APPLN. INFO.: |        |           | JP 2000-395413  | 20001226     |
| OTHER SOURCE(S):       | MARPAT | 137:63079 |                 |              |

GI



AB Title compds. I [R1 = H, C1-6 alkyl; R2 = C1-6 alkylthio, carboxyphenoxy, alkoxy-carbonylphenoxy; R3 = H, C1-6 alkyl; R4 = C14-20 alkyl; X = Q1, Q2; Y = O, NH, :N; A = O, (C1-6 alkyl-substituted) amide group; n = 0, 1] or



their medically acceptable salts, useful for treatment of diabetic retinopathy, rheumatoid arthritis, solid tumor, etc., are prepared Thus, amidation of Me 5-amino-2-methylthiobenzoate with 6-(octadecyloxy)-2-naphthoic acid gave I [R1 = Me, R2 = MeS, R3 = H, XAR4 = 6-(octadecyloxy)-2-naphthyl, n = 0], which was hydrolyzed to give the corresponding carboxylic acid derivative The product inhibited binding of VEGF to its receptor with IC50 of 0.87  $\mu$ M.

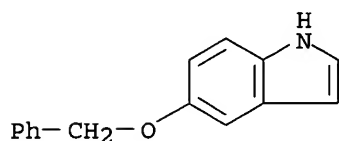
IT 1215-59-4, 5-Benzyloxyindole

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of aminobenzoic acids as vascular endothelial growth factor receptor antagonists)

RN 1215-59-4 HCAPLUS

CN 1H-Indole, 5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



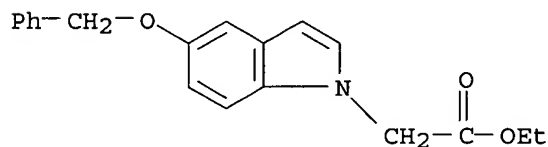
IT 402933-28-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aminobenzoic acids as vascular endothelial growth factor receptor antagonists)

RN 402933-28-2 HCAPLUS

CN 1H-Indole-1-acetic acid, 5-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



L18 ANSWER 21 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:353460 HCAPLUS

DOCUMENT NUMBER: 136:355230

TITLE: Preparation of tetrahydrocyclopent[b]indoles, tetrahydrocarbazoles, hexahydrocyclohept[b]indoles, and related compounds with cytotoxic and antiangiogenic activity.

INVENTOR(S): Giannini, Giuseppe; Marzi, Mauro; Tinti, Maria Ornella; Pisano, Claudio

PATENT ASSIGNEE(S): Sigma-Tau Industrie Farmaceutiche Riunite S.P.A., Italy

SOURCE: PCT Int. Appl., 63 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

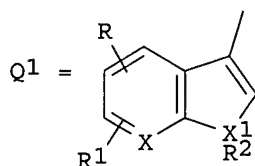
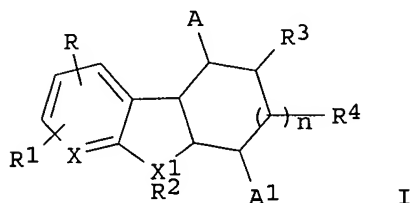
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

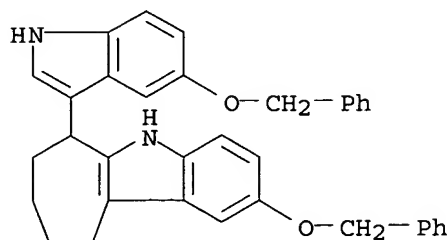
| PATENT NO. | KIND | DATE  | APPLICATION NO. | DATE  |
|------------|------|-------|-----------------|-------|
| -----      | ---- | ----- | -----           | ----- |

WO 2002036597 A1 20020510 WO 2001-IT526 20011016 <--  
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,  
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,  
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,  
PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,  
US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
IT 1317926 B1 20030715 IT 2000-RM570 20001103 <--  
CA 2427568 AA 20020510 CA 2001-2427568 20011016 <--  
AU 2002015186 A5 20020515 AU 2002-15186 20011016 <--  
EP 1343789 A1 20030917 EP 2001-983768 20011016 <--  
EP 1343789 B1 20050824  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
BR 2001015119 A 20030930 BR 2001-15119 20011016 <--  
JP 2004513130 T2 20040430 JP 2002-539355 20011016 <--  
AT 302780 E 20050915 AT 2001-983768 20011016  
US 2004034052 A1 20040219 US 2003-415896 20030828 <--  
US 6887892 B2 20050503  
US 2005124647 A1 20050609 US 2005-32068 20050111  
PRIORITY APPLN. INFO.: IT 2000-RM570 A 20001103  
WO 2001-IT526 W 20011016  
OTHER SOURCE(S): MARPAT 136:355230  
GI



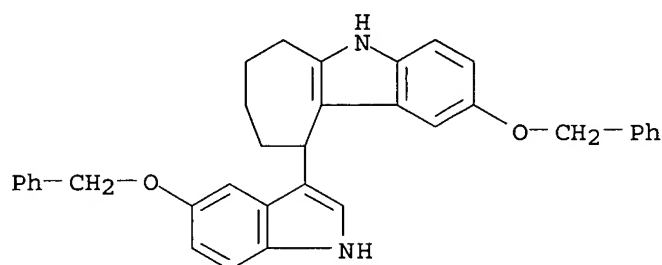
AB Title compds. [I; X = CH, N; X1 = O, S, N, CH; R, R1 = H, OH, OR5, NO2,  
amino, CO2H, alkoxy carbonyl; RR1 = aliphatic or aromatic cyclic group having  
5-6 atoms; R5 = alkyl, benzyl; 2 vicinal R5 = CH2; when X1 = N, CH, then R2 =  
H, Ph, PhCH2, alkyl; n = 0-4; R3, R4 = H, OH, OR6; R6 = alkyl; when R3 =  
R4 = vicinal OR6, then R6 = isopropylidene; A = Q1, A1 = H; or A1 = Q1, A  
= H, R7; R7 = CHO, CH:NOH, (HO-, R6O-substituted) alkyl], were prepared  
Thus, 1-(indol-3-yl)-2,3-O-isopropylidene-4-(2,3-O-  
isopropylideneethyl)tetrahydrocarbazole (preparation outlined) showed IC50 =  
21.1  $\mu$ M against MCF-7 cells.  
IT **422323-85-1P 422323-87-3P**  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)  
(preparation of tetrahydrocyclopentindoles, tetrahydrocarbazoles,  
hexahydrocycloheptindoles, and related compds. with cytotoxic and  
antiangiogenic activity)  
RN 422323-85-1 HCAPLUS  
CN Cyclohept[b]indole, 5,6,7,8,9,10-hexahydro-2-(phenylmethoxy)-6-[5-

(phenylmethoxy)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)



RN 422323-87-3 HCAPLUS

CN Cyclohept[b]indole, 5,6,7,8,9,10-hexahydro-2-(phenylmethoxy)-10-[5-(phenylmethoxy)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 22 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:886064 HCAPLUS

DOCUMENT NUMBER: 136:20012

TITLE: Synthetic preparation of indole derivatives with potential vascular damaging activity

INVENTOR(S): Arnould, Jean-Claude; Bird, Thomas Geoffrey; Boyle, Francis Thomas; Blakey, David Charles

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Ltd.

SOURCE: PCT Int. Appl., 89 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE         |
|---|------|----------|-----------------|--------------|
| WO 2001092224   | A1   | 20011206 | WO 2001-GB2335  | 20010525 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                 |              |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,   |      |          |                 |              |

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to Amines*

BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

|   |    |          |                 |              |
|---|----|----------|-----------------|--------------|
| CA 2406979  | AA | 20011206 | CA 2001-2406979 | 20010525 <-- |
| EP 1289952  | A1 | 20030312 | EP 2001-931944  | 20010525 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR |    |          |                 |              |
| BR 2001011230   | A  | 20030610 | BR 2001-11230   | 20010525 <-- |
| JP 2003535078   | T2 | 20031125 | JP 2002-500839  | 20010525 <-- |
| NZ 522074   | A  | 20040625 | NZ 2001-522074  | 20010525 <-- |
| ZA 2002008938   | A  | 20040204 | ZA 2002-8938    | 20021104 <-- |
| US 2003216356   | A1 | 20031120 | US 2002-276347  | 20021113 <-- |
| NO 2002005696   | A  | 20021127 | NO 2002-5696    | 20021127 <-- |
| PRIORITY APPLN. INFO.:  |    |          | EP 2000-401551  | A 20000531   |
|   |    |          | EP 2000-402956  | A 20001025   |
|   |    |          | WO 2001-GB2335  | W 20010525   |

OTHER SOURCE(S): MARPAT 136:20012

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

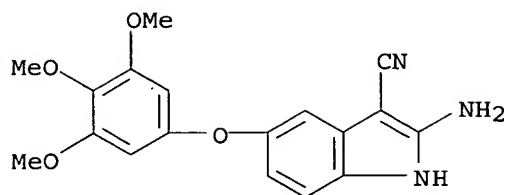
AB The invention provides a compound of formula I [R1, R2 = independently H, halogen, CN, hydrocarbyl group or a group of formula II: wherein W = aryl or heterocyclic group, R4 = independently H, halogen, OH, amino, alkanoylamino, OPO3H2, or hydrocarbyl group, wherein the amino group is optionally substituted by an amino acid residue and the hydroxy group is optionally esterified or two R4 groups together form an optionally substituted cyclic or heterocyclic group; X = S, O, S(O), S(O2), or NH; p = 0,1,2,3 or 4; q = 1,2,3 or 4; R3, R10 = independently H, lower alkyl or a group of formula III: wherein Y = NH, O or a bond; Z = NH, O, C(O) or a bond; r = 0,1,2,3 or 4; t = 0 or 1; R6 = H, hydrocarbyl group or a group of formula IV: wherein n = 1,2,3,4,5 or 6; R7, R8 = independently H or hydrocarbyl group; R11 = H or lower alkyl; or a salt or solvate thereof; provided that: when R1 = unsubstituted Sph, R2,R10, and R11 = H then R3 is neither H nor- C(O)OEt; and R1, R2 and R3 are not all H.]. Thus, 5-(4-hydroxyphenylsulphanyl)-2-amino-1H-indole-3-carbonitrile (V) was produced from 4-(4-hydroxyphenylsulphanyl)-2-chloro-nitrobenzene and malononitrile in 62% yield. Such compds. are predicted to cause the selective destruction of tumor vasculature and they may therefore be used to inhibit and/or reverse, and/or alleviate symptoms of **angiogenesis** and/or any disease state associated with **angiogenesis**. For example, V has an activity of 36% in the colchicine binding site competitive assay at 10  $\mu$ M and 55% in the cell detachment assay at 100  $\mu$ M and 6-methyl-5-fluoro-2-amino-1H-indole-3-carbonitrile (VI) has an activity of 31% in the colchicine binding site competitive assay at 10  $\mu$ M and 34% in the cell detachment assay at 100  $\mu$ M.

IT 378236-89-6P 378236-96-5P 378236-97-6P  
 378237-07-1P 378237-10-6P 378237-12-8P  
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 378237-31-1P 378237-32-2P

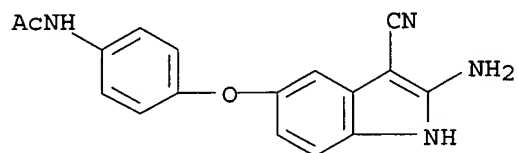
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (indole derivs. with potential vascular damaging activity)

RN 378236-89-6 HCAPLUS

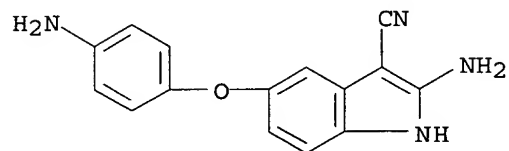
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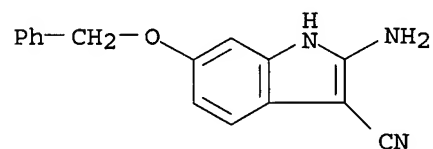
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 CN Acetamide, N-[4-[(2-amino-3-cyano-1H-indol-5-yl)oxy]phenyl]- (9CI) (CA INDEX NAME)



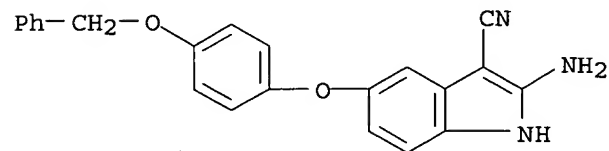
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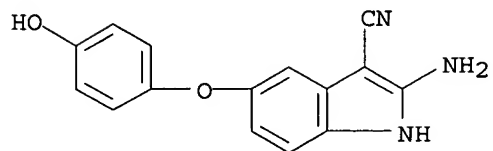
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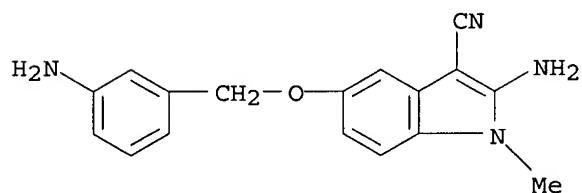
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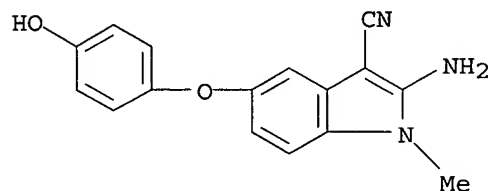
RN 378237-12-8 HCAPLUS  
 CN 1H-Indole-3-carbonitrile, 2-amino-5-(4-hydroxyphenoxy) - (9CI) (CA INDEX NAME)



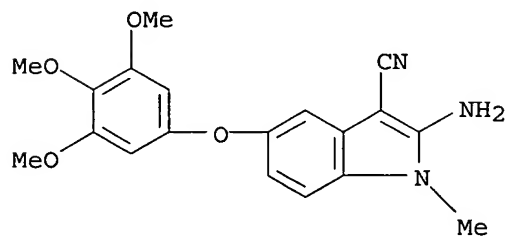
RN 378237-15-1 HCAPLUS  
 CN 1H-Indole-3-carbonitrile, 2-amino-5-[(3-aminophenyl)methoxy]-1-methyl- (9CI) (CA INDEX NAME)



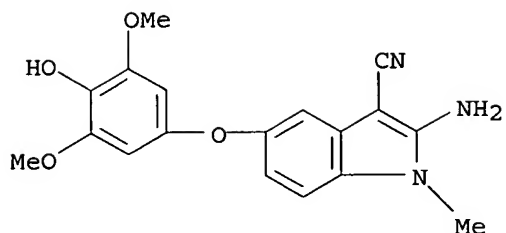
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 CN 1H-Indole-3-carbonitrile, 2-amino-5-(4-hydroxyphenoxy)-1-methyl- (9CI) (CA INDEX NAME)



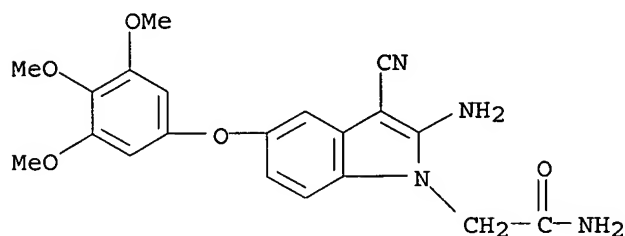
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 CN 1H-Indole-3-carbonitrile, 2-amino-1-methyl-5-(3,4,5-trimethoxyphenoxy) - (9CI) (CA INDEX NAME)



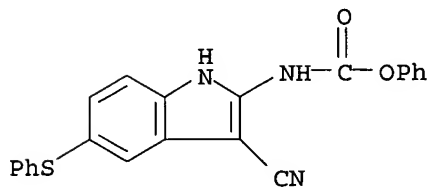
RN 378237-31-1 HCAPLUS  
 CN 1H-Indole-3-carbonitrile, 2-amino-5-(4-hydroxy-3,5-dimethoxyphenoxy)-1-methyl- (9CI) (CA INDEX NAME)



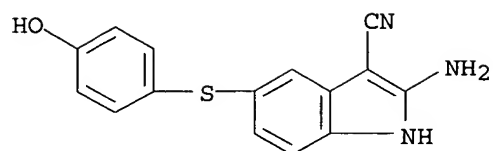
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 CN 1H-Indole-1-acetamide, 2-amino-3-cyano-5-(3,4,5-trimethoxyphenoxy)- (9CI)  
 (CA INDEX NAME)



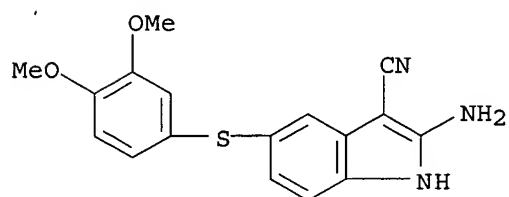
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 378236-99-8P 378237-01-5P 378237-03-7P  
 378237-05-9P 378237-08-2P 378237-13-9P  
 378237-20-8P 378237-22-0P 378237-27-5P  
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 378237-35-5P 378237-36-6P 378245-38-6P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)  
 (indole derivs. with potential vascular damaging activity)  
 RN 378236-69-2 HCAPLUS  
 CN Carbamic acid, [3-cyano-5-(phenylthio)-1H-indol-2-yl]-, phenyl ester (9CI)  
 (CA INDEX NAME)



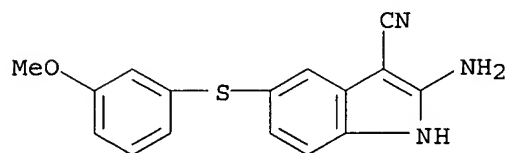
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 CN 1H-Indole-3-carbonitrile, 2-amino-5-[(4-hydroxyphenyl)thio]- (9CI) (CA  
 INDEX NAME)



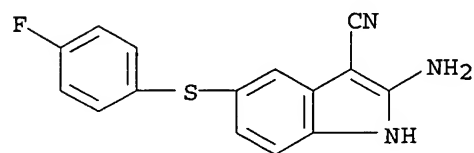
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 (CA INDEX NAME)



RN 378236-76-1 HCAPLUS  
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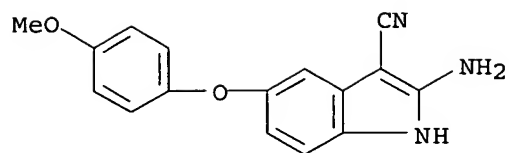


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 CN 1H-Indole-3-carbonitrile, 2-amino-5-[(4-fluorophenyl)thio]- (9CI) (CA  
 INDEX NAME)

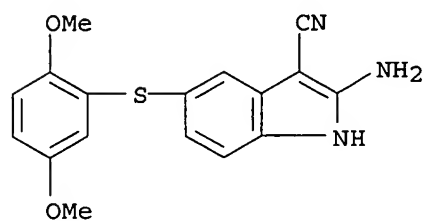


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 CN 1H-Indole-3-carbonitrile, 2-amino-5-(4-methoxyphenoxy)- (9CI) (CA INDEX  
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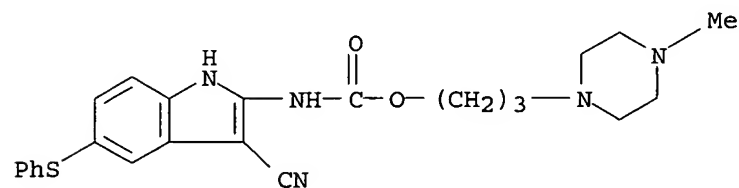




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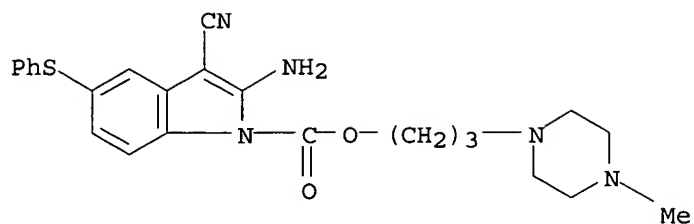


RN 378236-93-2 HCAPLUS  
 CN Carbamic acid, [3-cyano-5-(phenylthio)-1H-indol-2-yl]-,  
 3-(4-methyl-1-piperazinyl)propyl ester, hydrochloride (5:2) (9CI) (CA  
 INDEX NAME)



●2/5 HCl

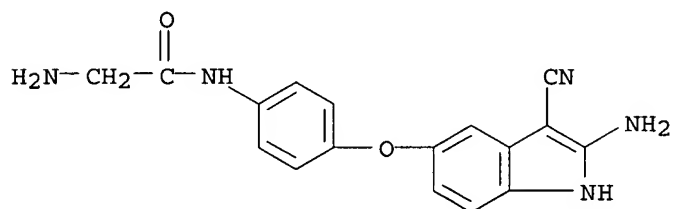
RN 378236-94-3 HCAPLUS  
 CN 1H-Indole-1-carboxylic acid, 2-amino-3-cyano-5-(phenylthio)-,  
 3-(4-methyl-1-piperazinyl)propyl ester, hydrochloride (10:47) (9CI) (CA  
 INDEX NAME)



●47/10 HCl

RN 378236-99-8 HCAPLUS

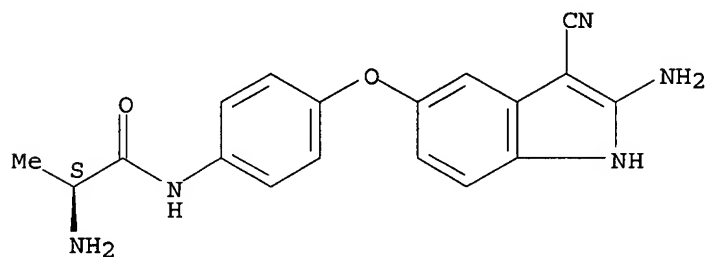
CN Acetamide, 2-amino-N-[4-[(2-amino-3-cyano-1H-indol-5-yl)oxy]phenyl] - (9CI)  
(CA INDEX NAME)



RN 378237-01-5 HCAPLUS

CN Propanamide, 2-amino-N-[4-[(2-amino-3-cyano-1H-indol-5-yl)oxy]phenyl] -, (2S) - (9CI) (CA INDEX NAME)

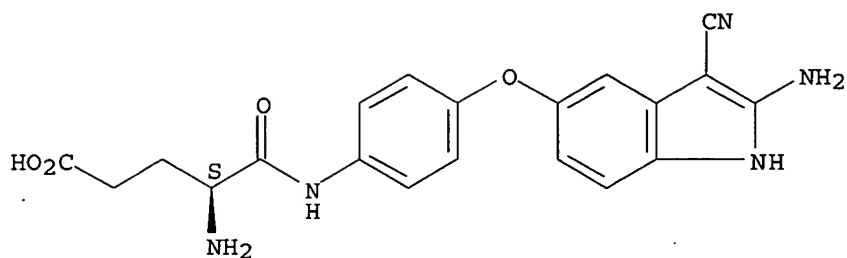
Absolute stereochemistry.



RN 378237-03-7 HCAPLUS

CN Pentanoic acid, 4-amino-5-[[4-[(2-amino-3-cyano-1H-indol-5-yl)oxy]phenyl]amino]-5-oxo-, hydrochloride (20:23), (4S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

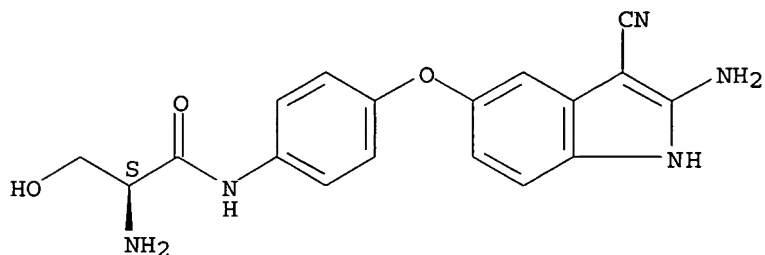


●23/20 HCl

RN 378237-05-9 HCAPLUS

CN Propanamide, 2-amino-N-[4-[(2-amino-3-cyano-1H-indol-5-yl)oxy]phenyl]-3-hydroxy-, hydrochloride (5:7), (2S)- (9CI) (CA INDEX NAME)

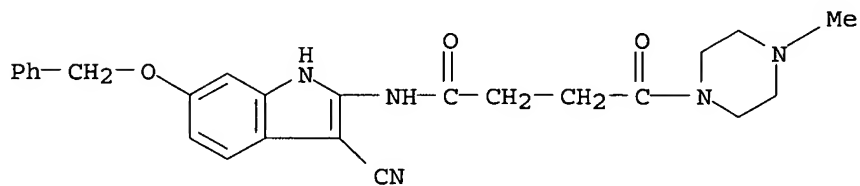
Absolute stereochemistry.



●7/5 HCl

RN 378237-08-2 HCAPLUS

CN 1-Piperazinebutanamide, N-[3-cyano-6-(phenylmethoxy)-1H-indol-2-yl]-4-methyl-γ-oxo-, hydrochloride (10:11) (9CI) (CA INDEX NAME)

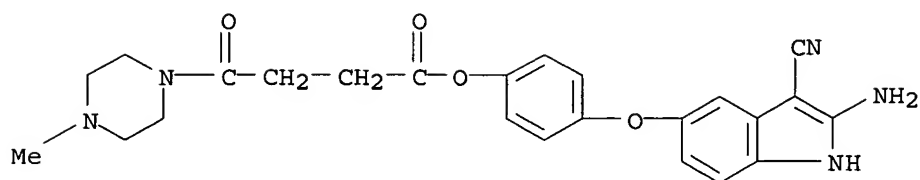


●11/10 HCl

RN 378237-13-9 HCAPLUS

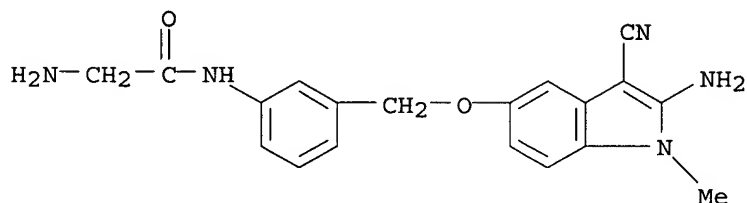
CN 1-Piperazinebutanoic acid, 4-methyl-γ-oxo-, 4-[(2-amino-3-cyano-1H-indol-5-yl)oxy]phenyl ester (9CI) (CA INDEX NAME)

Grazier 10\_509633



RN 378237-20-8 HCAPLUS

CN Acetamide, 2-amino-N-[3-[[[(2-amino-3-cyano-1-methyl-1H-indol-5-yl)oxy]methyl]phenyl]-, hydrochloride (10:19) (9CI) (CA INDEX NAME)

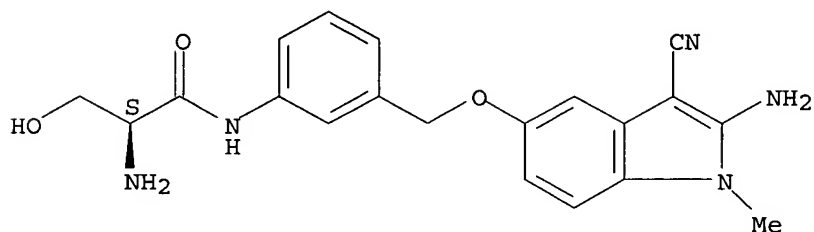


●19/10 HCl

RN 378237-22-0 HCAPLUS

CN Propanamide, 2-amino-N-[3-[[[(2-amino-3-cyano-1-methyl-1H-indol-5-yl)oxy]methyl]phenyl]-3-hydroxy-, hydrochloride (5:7), (2S)- (9CI) (CA INDEX NAME)

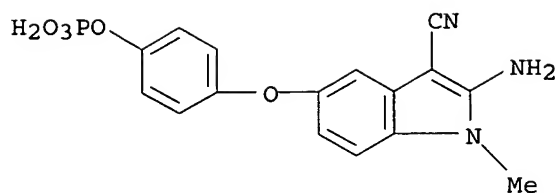
Absolute stereochemistry.



●7/5 HCl

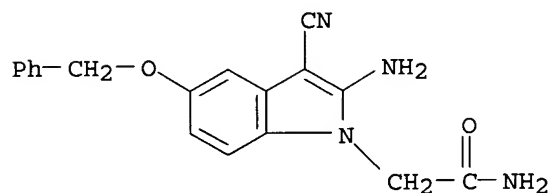
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CN 1H-Indole-3-carbonitrile, 2-amino-1-methyl-5-[4-(phosphonooxy)phenoxy]- (9CI) (CA INDEX NAME)



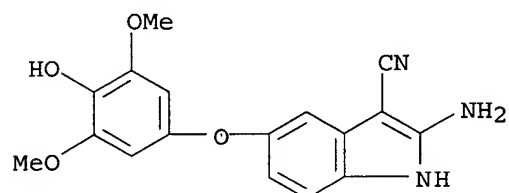
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CN 1H-Indole-1-acetamide, 2-amino-3-cyano-5-(phenylmethoxy) - (9CI) (CA INDEX NAME)



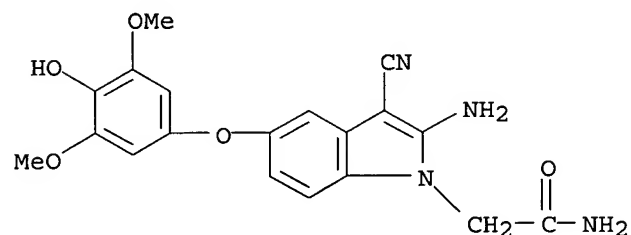
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CN 1H-Indole-3-carbonitrile, 2-amino-5-(4-hydroxy-3,5-dimethoxyphenoxy) - (9CI) (CA INDEX NAME)



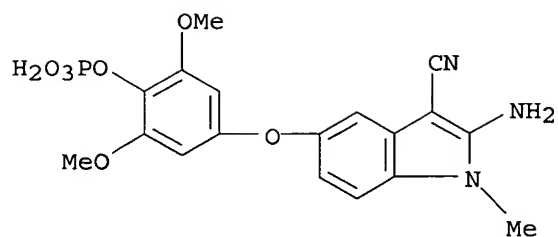
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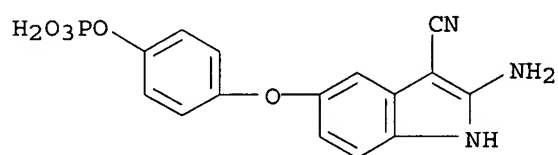
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CN 1H-Indole-3-carbonitrile, 2-amino-5-[3,5-dimethoxy-4-(phosphonoxy)phenoxy] -1-methyl - (9CI) (CA INDEX NAME)



RN 378237-36-6 HCAPLUS

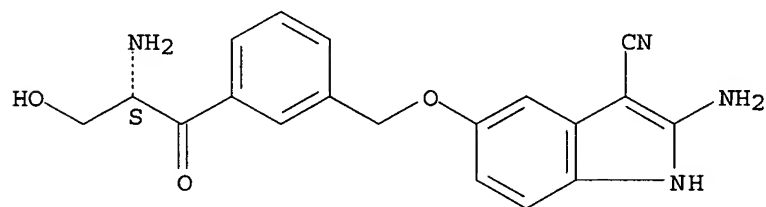
CN 1H-Indole-3-carbonitrile, 2-amino-5-[4-(phosphonooxy)phenoxy]- (9CI) (CA INDEX NAME)



RN 378245-38-6 HCAPLUS

CN 1H-Indole-3-carbonitrile, 2-amino-5-[[3-[(2S)-2-amino-3-hydroxy-1-oxopropyl]phenyl]methoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



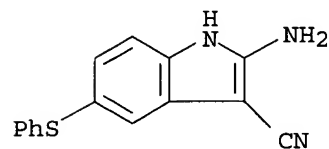
IT 91531-98-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(indole derivs. with potential vascular damaging activity)

RN 91531-98-5 HCAPLUS

CN 1H-Indole-3-carbonitrile, 2-amino-5-(phenylthio)- (9CI) (CA INDEX NAME)



IT 378236-98-7P 378237-00-4P 378237-02-6P

378237-04-8P 378237-06-0P 378237-14-0P

378237-16-2P 378237-17-3P 378237-19-5P

378237-21-9P 378237-23-1P 378237-24-2P

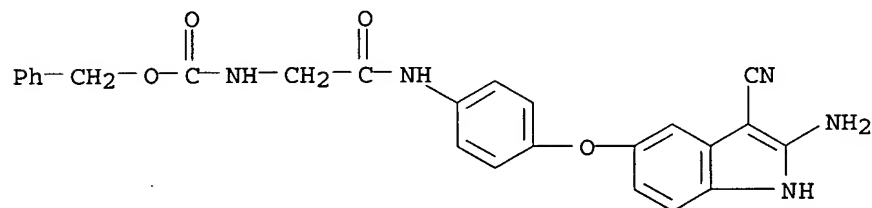
378237-26-4P 378237-34-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(indole derivs. with potential vascular damaging activity)

RN 378236-98-7 HCAPLUS

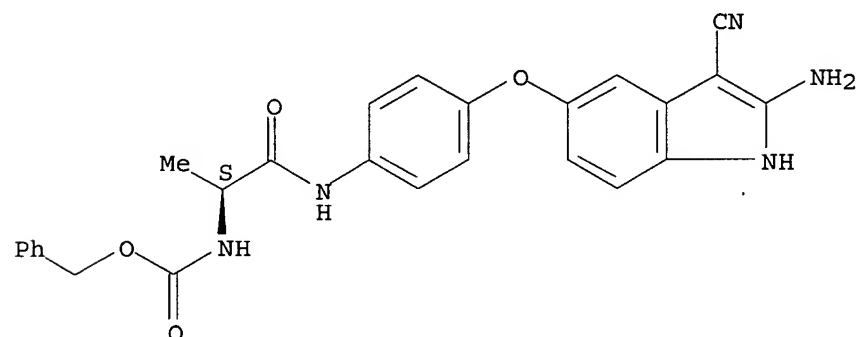
CN Carbamic acid, [2-[[4-[(2-amino-3-cyano-1H-indol-5-yl)oxy]phenyl]amino]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 378237-00-4 HCAPLUS

CN Carbamic acid, [(1S)-2-[[4-[(2-amino-3-cyano-1H-indol-5-yl)oxy]phenyl]amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

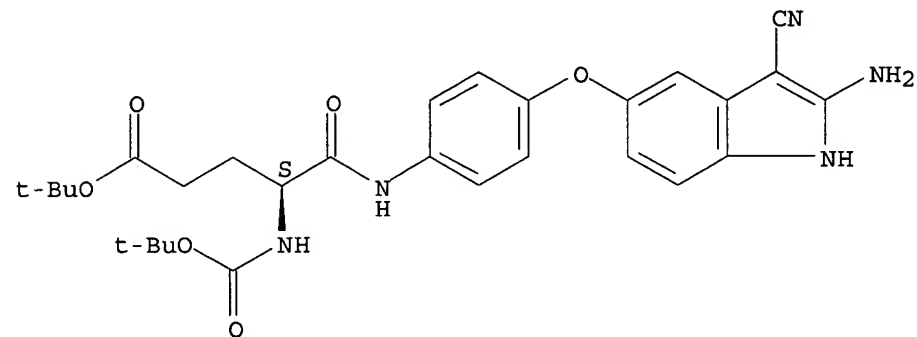
Absolute stereochemistry.



RN 378237-02-6 HCAPLUS

CN Pentanoic acid, 5-[[4-[(2-amino-3-cyano-1H-indol-5-yl)oxy]phenyl]amino]-4-[[[(1,1-dimethylethoxy)carbonyl]amino]-5-oxo-, 1,1-dimethylethyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



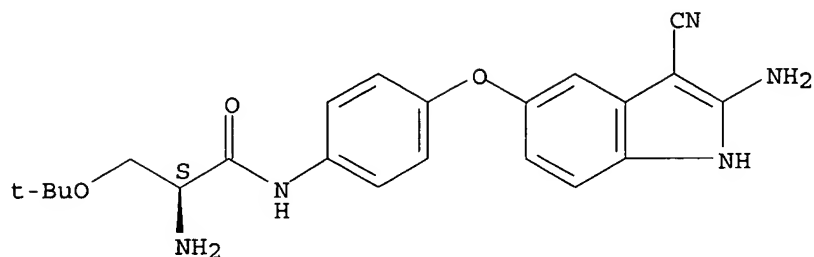
RN 378237-04-8 HCAPLUS

CN Propanamide, 2-amino-N-[4-[(2-amino-3-cyano-1H-indol-5-yl)oxy]phenyl]-3-

Grazier 10\_509633

(1,1-dimethylethoxy)-, (2S)- (9CI) (CA INDEX NAME)

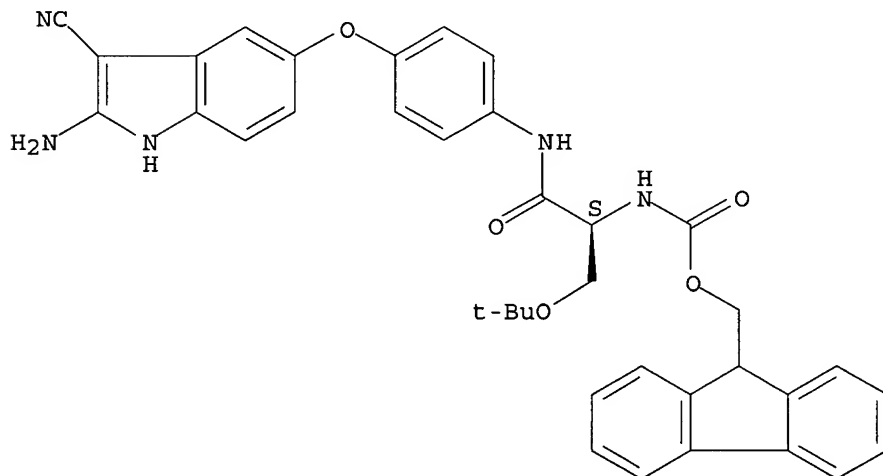
Absolute stereochemistry.



RN 378237-06-0 HCAPLUS

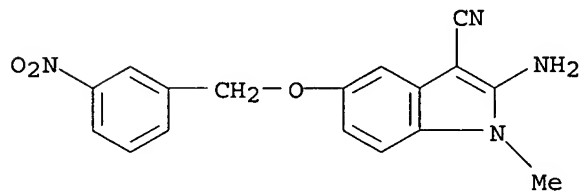
CN Carbamic acid, [(1S)-2-[[4-[(2-amino-3-cyano-1H-indol-5-yl)oxy]phenyl]amino]-1-[(1,1-dimethylethoxy)methyl]-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 378237-14-0 HCAPLUS

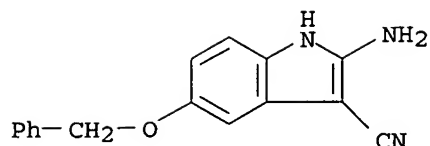
CN 1H-Indole-3-carbonitrile, 2-amino-1-methyl-5-[(3-nitrophenyl)methoxy] - (9CI) (CA INDEX NAME)



RN 378237-16-2 HCAPLUS

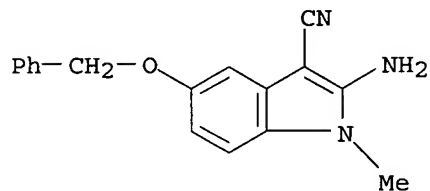
CN 1H-Indole-3-carbonitrile, 2-amino-5-(phenylmethoxy) - (9CI) (CA INDEX NAME)





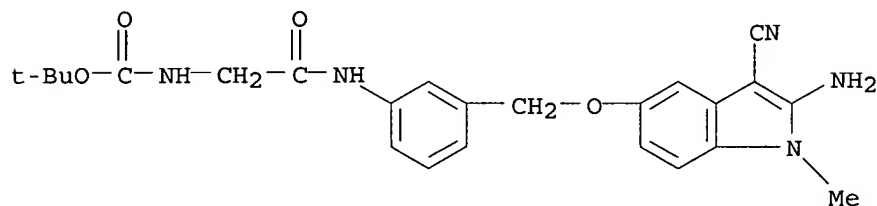
RN 378237-17-3 HCAPLUS

CN 1H-Indole-3-carbonitrile, 2-amino-1-methyl-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 378237-19-5 HCAPLUS

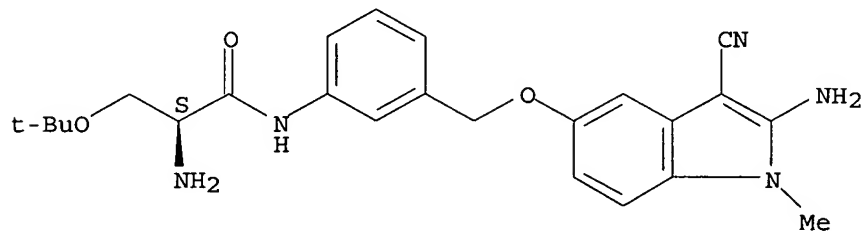
CN Carbamic acid, [2-[[3-[[[(2-amino-3-cyano-1-methyl-1H-indol-5-yl)oxy)methyl]phenyl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 378237-21-9 HCAPLUS

CN Propanamide, 2-amino-N-[3-[[[(2-amino-3-cyano-1-methyl-1H-indol-5-yl)oxy)methyl]phenyl]-3-(1,1-dimethylethoxy)-, (2S)- (9CI) (CA INDEX NAME)

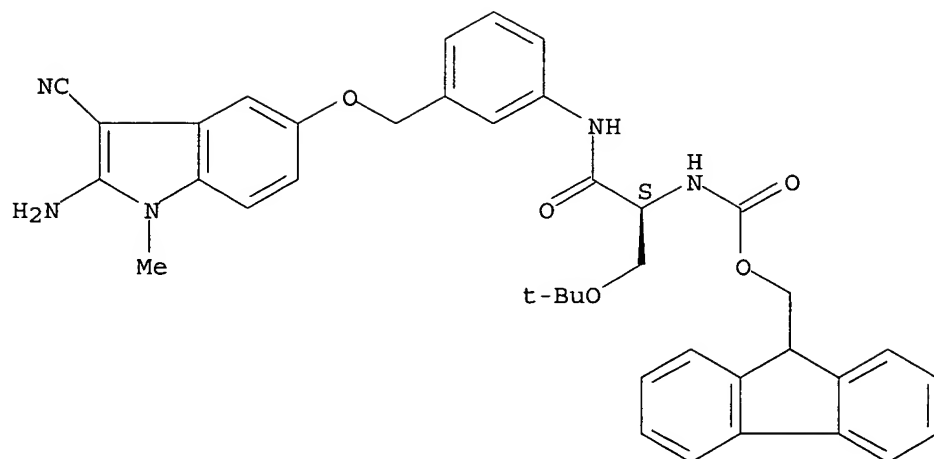
Absolute stereochemistry.



RN 378237-23-1 HCAPLUS

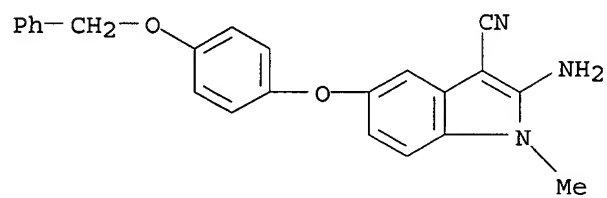
CN Carbamic acid, [(1S)-2-[[3-[[[(2-amino-3-cyano-1-methyl-1H-indol-5-yl)oxy)methyl]phenyl]amino]-1-[(1,1-dimethylethoxy)methyl]-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



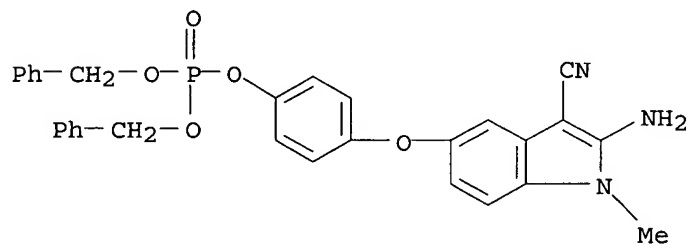
RN 378237-24-2 HCAPLUS

CN 1H-Indole-3-carbonitrile, 2-amino-1-methyl-5-[4-(phenylmethoxy)phenoxy]-(9CI) (CA INDEX NAME)



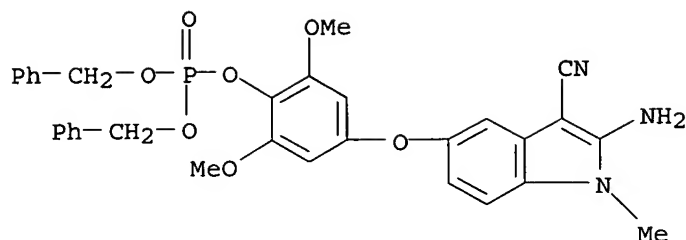
RN 378237-26-4 HCAPLUS

CN Phosphoric acid, 4-[(2-amino-3-cyano-1-methyl-1H-indol-5-yl)oxy]phenyl bis(phenylmethyl) ester (9CI) (CA INDEX NAME)



RN 378237-34-4 HCAPLUS

CN Phosphoric acid, 4-[(2-amino-3-cyano-1-methyl-1H-indol-5-yl)oxy]-2,6-dimethoxyphenyl bis(phenylmethyl) ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 23 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:836852 HCAPLUS

DOCUMENT NUMBER: 136:112229

TITLE: Synthetic 2-Aroylindole Derivatives as a New Class of Potent Tubulin-Inhibitory, Antimitotic Agents

AUTHOR(S): Mahboobi, Siavosh; Pongratz, Herwig; Hufsky, Harald; Hockemeyer, Joerg; Frieser, Markus; Lyssenko, Alexei; Paper, Dietrich H.; Buergermeister, Jutta; Boehmer, Frank-D.; Fiebig, Heinz-Herbert; Burger, Angelika M.; Baasner, Silke; Beckers, Thomas

CORPORATE SOURCE: Faculty of Chemistry and Pharmacy Institute of Pharmacy, University of Regensburg, Regensburg, D-93040, Germany

SOURCE: Journal of Medicinal Chemistry (2001), 44(26), 4535-4553

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 136:112229

AB A new class of simple synthetic antimitotic compds. based on 2-aryolindoles was discovered. (5-Methoxy-1H-2-indolyl)-phenylmethanone (I) as well as analogous 3-fluorophenyl- and 3-methoxyphenyl derivs. displayed high cytotoxicity of IC50 = 20 to 75 nM against the human HeLa/KB cervical, SK-OV-3 ovarian, and U373 astrocytoma carcinoma cell lines. The inhibition of proliferation correlated with the arrest in the G2/M phase of the cell cycle. In in vitro assays with tubulin isolated from bovine brain, in general antiproliferative activity correlated with inhibition of tubulin polymerization. Thus, the antimitotic activity of 2-aryolindoles is explained by interference with the mitotic spindle apparatus and destabilization of microtubules. In contrast to colchicine, vincristine, nocodazole, or taxol, I did not significantly affect the GTPase activity of  $\beta$ -tubulin. Interestingly, selected compds. inhibited **angiogenesis** in the chorioallantoic membrane (CAM) assay. In xenograft expts., I was highly active after oral administration at 200 mg/kg against the human amelanocytic melanoma MEXF 989 in athymic nude mice. We conclude, that 2-aryolindoles constitute an interesting new class of antitubulin agents with the potential to be clin. developed for cancer treatment.

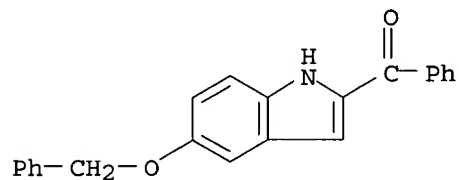
IT 170147-26-9P 370581-40-1P 370581-41-2P  
370581-42-3P 370581-43-4P 370581-44-5P  
370581-45-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aroylindoles as tubulin-inhibitory antimitotic agents)

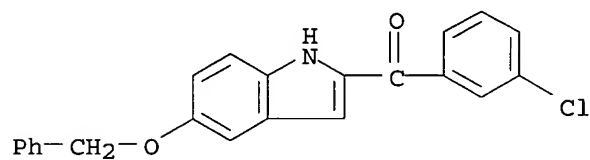
RN 170147-26-9 HCAPLUS

CN Methanone, phenyl [5-(phenylmethoxy)-1H-indol-2-yl]- (9CI) (CA INDEX NAME)



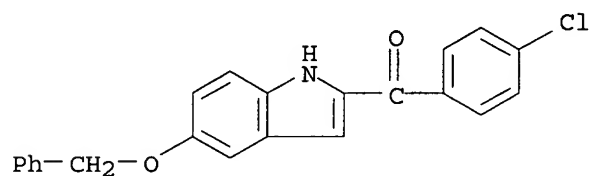
RN 370581-40-1 HCAPLUS

CN Methanone, (3-chlorophenyl) [5-(phenylmethoxy)-1H-indol-2-yl]- (9CI) (CA INDEX NAME)



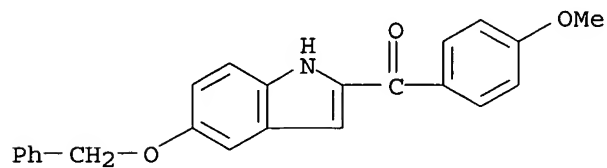
RN 370581-41-2 HCAPLUS

CN Methanone, (4-chlorophenyl) [5-(phenylmethoxy)-1H-indol-2-yl]- (9CI) (CA INDEX NAME)



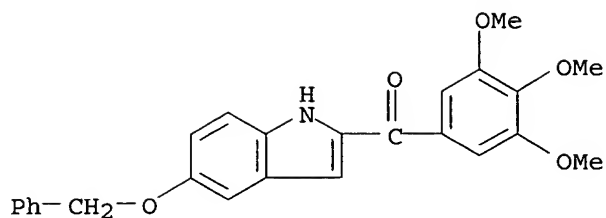
RN 370581-42-3 HCAPLUS

CN Methanone, (4-methoxyphenyl) [5-(phenylmethoxy)-1H-indol-2-yl]- (9CI) (CA INDEX NAME)

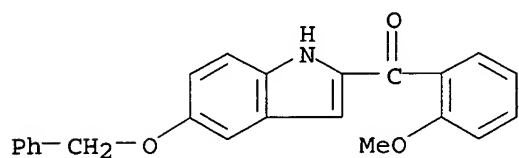


RN 370581-43-4 HCAPLUS

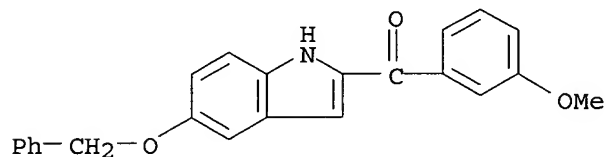
CN Methanone, [5-(phenylmethoxy)-1H-indol-2-yl] (3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



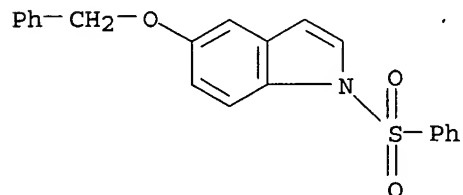
RN 370581-44-5 HCAPLUS  
 CN Methanone, (2-methoxyphenyl) [5-(phenylmethoxy)-1H-indol-2-yl] - (9CI) (CA INDEX NAME)



RN 370581-45-6 HCAPLUS  
 CN Methanone, (3-methoxyphenyl) [5-(phenylmethoxy)-1H-indol-2-yl] - (9CI) (CA INDEX NAME)

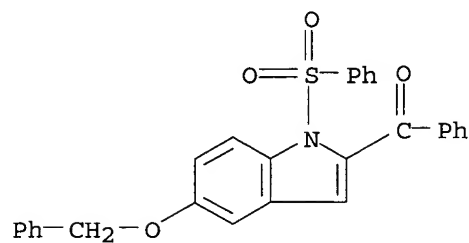


IT 170147-24-7  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of aroylindoles as tubulin-inhibitory antimitotic agents)  
 RN 170147-24-7 HCAPLUS  
 CN 1H-Indole, 5-(phenylmethoxy)-1-(phenylsulfonyl) - (9CI) (CA INDEX NAME)



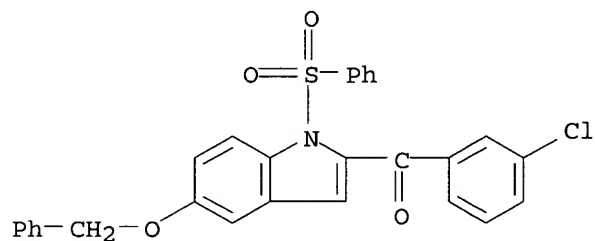
IT 370580-70-4P 370580-71-5P 370580-74-8P  
 370580-77-1P 370580-78-2P 370580-81-7P  
 370580-83-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of aroylindoles as tubulin-inhibitory antimitotic agents)  
 RN 370580-70-4 HCAPLUS

CN 1H-Indole, 2-benzoyl-5-(phenylmethoxy)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



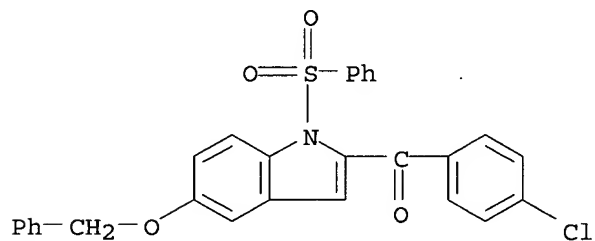
RN 370580-71-5 HCAPLUS

CN 1H-Indole, 2-(3-chlorobenzoyl)-5-(phenylmethoxy)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



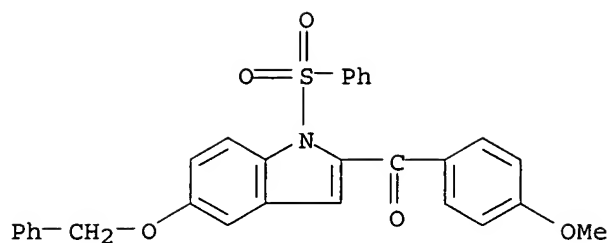
RN 370580-74-8 HCAPLUS

CN 1H-Indole, 2-(4-chlorobenzoyl)-5-(phenylmethoxy)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

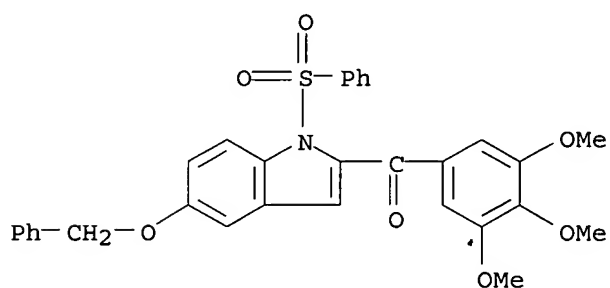


RN 370580-77-1 HCAPLUS

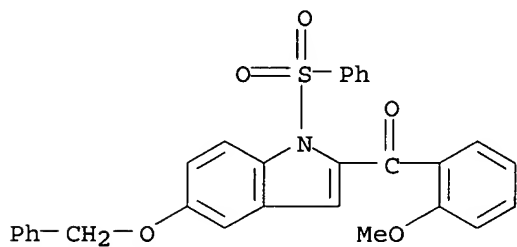
CN 1H-Indole, 2-(4-methoxybenzoyl)-5-(phenylmethoxy)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



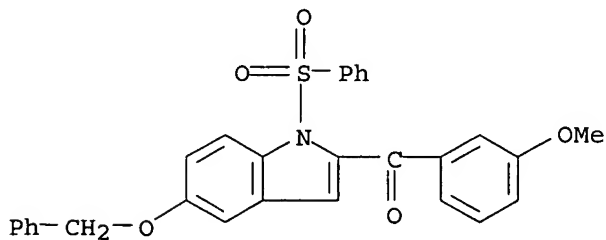
RN 370580-78-2 HCAPLUS  
 CN 1H-Indole, 5-(phenylmethoxy)-1-(phenylsulfonyl)-2-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)



RN 370580-81-7 HCAPLUS  
 CN 1H-Indole, 2-(2-methoxybenzoyl)-5-(phenylmethoxy)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 370580-83-9 HCAPLUS  
 CN 1H-Indole, 2-(3-methoxybenzoyl)-5-(phenylmethoxy)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 24 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:597980 HCAPLUS

DOCUMENT NUMBER: 135:180700

TITLE: Preparation of indol-3-ylpropionates as integrin inhibitors.

INVENTOR(S): Goodman, Simon; Gottschlich, Rudolf; Wiesner, Matthias

PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany

SOURCE: PCT Int. Appl., 87 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

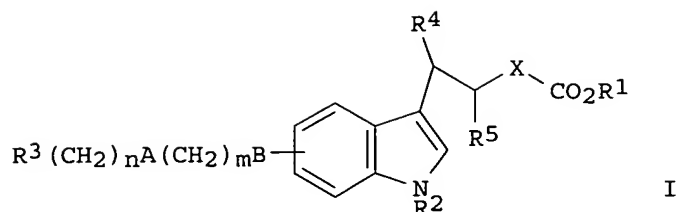
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO.  | KIND   | DATE       | APPLICATION NO.  | DATE         |
|---|--------|------------|------------------|--------------|
| WO 2001058893   | A2     | 20010816   | WO 2001-EP84     | 20010105 <-- |
| WO 2001058893   | A3     | 20020418   |                  |              |
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| AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, |        |            |                  |              |
| CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, |        |            |                  |              |
| HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, |        |            |                  |              |
| LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, |        |            |                  |              |
| SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, |        |            |                  |              |
| YU, ZA, ZW  |        |            |                  |              |
| RW:   |        |            |                  |              |
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| DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, |        |            |                  |              |
| BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG          |        |            |                  |              |
| DE 10006139   | A1     | 20010816   | DE 2000-10006139 | 20000211 <-- |
| CA 2399813  | AA     | 20010816   | CA 2001-2399813  | 20010105 <-- |
| AU 2001031664   | A5     | 20010820   | AU 2001-31664    | 20010105 <-- |
| EP 1254133  | A2     | 20021106   | EP 2001-903624   | 20010105 <-- |
| EP 1254133  | B1     | 20050420   |                  |              |
| R:  |        |            |                  |              |
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| IE, SI, LT, LV, FI, RO, MK, CY, AL, TR                          |        |            |                  |              |
| BR 2001008154   | A      | 20030121   | BR 2001-8154     | 20010105 <-- |
| JP 2003530319   | T2     | 20031014   | JP 2001-558443   | 20010105 <-- |
| NZ 521260   | A      | 20040227   | NZ 2001-521260   | 20010105 <-- |
| AT 293620   | E      | 20050515   | AT 2001-903624   | 20010105     |
| RU 2257380  | C2     | 20050727   | RU 2002-123332   | 20010105     |
| PT 1254133  | T      | 20050930   | PT 2001-903624   | 20010105     |
| ES 2240400  | T3     | 20051016   | ES 2001-1903624  | 20010105     |
| NO 2002003770   | A      | 20020809   | NO 2002-3770     | 20020809 <-- |
| US 2003045728   | A1     | 20030306   | US 2002-203406   | 20020809 <-- |
| US 6743810  | B2     | 20040601   |                  |              |
| ZA 2002007273   | A      | 20031210   | ZA 2002-7273     | 20020910 <-- |
| US 2004138284   | A1     | 20040715   | US 2004-750879   | 20040105 <-- |
| PRIORITY APPLN. INFO.:  |        |            | DE 2000-10006139 | A 20000211   |
|   |        |            | WO 2001-EP84     | W 20010105   |
|   |        |            | US 2002-203406   | A2 20020809  |
| OTHER SOURCE(S):  | MARPAT | 135:180700 |                  |              |
| GI  |        |            |                  |              |





AB Title compds. [I; A, B = O, S, NH, NR7, CO, CONH, bond; X = (substituted) alkylene; R1 = H, Z, (CH2)oAr; R2 = H, R7, COZ; R3 = NHR6, NR6C(:NR6)NHR6, Het; R4, R5 = H, O, R7, (CH2)oAr, OAr, etc.; R6 = H, COR7, COAr, R7, CO2R7, SO2R7, etc.; R7 = alkyl, cycloalkyl; Z = alkyl; Ar = (substituted) aryl; Het = (unsatd.) (substituted) mono- or bicyclic N-heterocyclyl; m = 0-6; n, o = 0-2], were prepared as integrin inhibitors useful for combating thrombosis, myocardial infarcts, coronary heart disease, arteriosclerosis, inflammation, tumors, osteoporosis, rheumatic arthritis, macular degenerative diseases, diabetic retinopathy, infections, restenosis after angioplasty, and pathol. conditions which are maintained or propagated by **angiogenesis** (no data). Thus, 6-benzyloxyindole, PhCHO, Meldrum's acid, and L-proline were stirred 3 h in MeCN to give 5-[phenyl-(6-O-benzylindol-3-yl)methyl]-2,2-dimethyl-1,3-dioxane-4,6-dione. The latter was refluxed with Cu powder in pyridine/EtOH to give Et 3-phenyl-3-(6-O-benzylindol-3-yl)propionate, which was hydrogenated in EtOH over Pd/C to give Et 3-phenyl-3-(6-hydroxyindol-3-yl)propionate. This was converted to 3-phenyl-3-[6-[3-(pyridin-2-ylamino)propoxy]indol-3-yl]propionic acid in several steps.

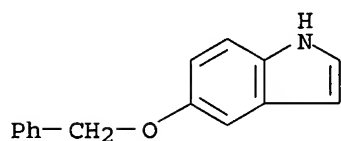
IT 1215-59-4, 5-Benzyloxyindole 15903-94-3,  
6-Benzyloxyindole

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of indolylpropionates as integrin inhibitors)

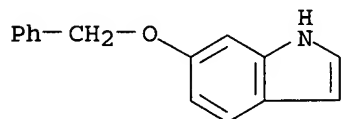
RN 1215-59-4 HCAPLUS

CN 1H-Indole, 5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 15903-94-3 HCAPLUS

CN 1H-Indole, 6-(phenylmethoxy)- (9CI) (CA INDEX NAME)



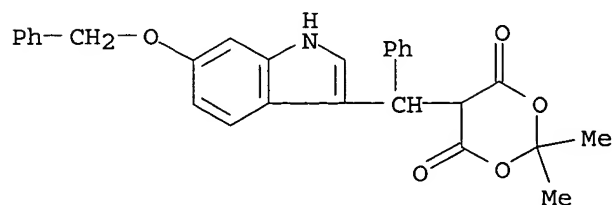
IT 354822-51-8P 354822-52-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

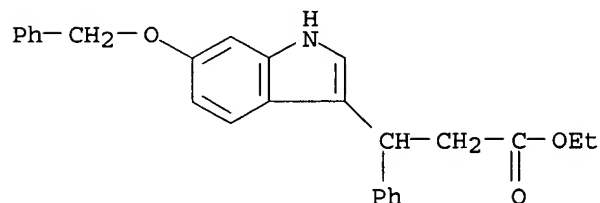
(preparation of indolylpropionates as integrin inhibitors)

RN 354822-51-8 HCAPLUS

CN 1,3-Dioxane-4,6-dione, 2,2-dimethyl-5-[phenyl[6-(phenylmethoxy)-1H-indol-3-yl]methyl]- (9CI) (CA INDEX NAME)



RN 354822-52-9 HCAPLUS

CN 1H-Indole-3-propanoic acid,  $\beta$ -phenyl-6-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)

L18 ANSWER 25 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:338524 HCAPLUS

DOCUMENT NUMBER: 134:340503

TITLE: Preparation of heterocyclylpyrazolinones as protein kinase inhibitors

INVENTOR(S): Singh, Jasbir; Tripathy, Rabindranath

PATENT ASSIGNEE(S): Cephalon, Inc., USA

SOURCE: PCT Int. Appl., 138 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

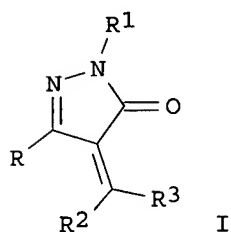
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE         |
|---|------|----------|-----------------|--------------|
| WO 2001032653   | A1   | 20010510 | WO 2000-US30226 | 20001101 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                 |              |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |              |
| US 6455525  | B1   | 20020924 | US 2000-702191  | 20001031 <-- |
| CA 2389807  | AA   | 20010510 | CA 2000-2389807 | 20001101 <-- |
| EP 1226141  | A1   | 20020731 | EP 2000-978338  | 20001101 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |      |          |                 |              |

|                        |    |          |                   |              |
|------------------------|----|----------|-------------------|--------------|
| TR 200201225           | T2 | 20020821 | TR 2002-200201225 | 20001101 <-- |
| JP 2003513091          | T2 | 20030408 | JP 2001-534804    | 20001101 <-- |
| BR 2000015568          | A  | 20030610 | BR 2000-15568     | 20001101 <-- |
| NO 2002002095          | A  | 20020611 | NO 2002-2095      | 20020502 <-- |
| ZA 2002003492          | A  | 20030804 | ZA 2002-3492      | 20020502 <-- |
| BG 106771              | A  | 20030331 | BG 2002-106771    | 20020604 <-- |
| US 2003162775          | A1 | 20030828 | US 2002-225670    | 20020822 <-- |
| US 6831075             | B2 | 20041214 |                   |              |
| PRIORITY APPLN. INFO.: |    |          | US 1999-163377P   | P 19991104   |
|                        |    |          | US 2000-702191    | A 20001031   |
|                        |    |          | WO 2000-US30226   | W 20001101   |
| OTHER SOURCE(S):       |    |          | MARPAT 134:340503 |              |
| GI                     |    |          |                   |              |



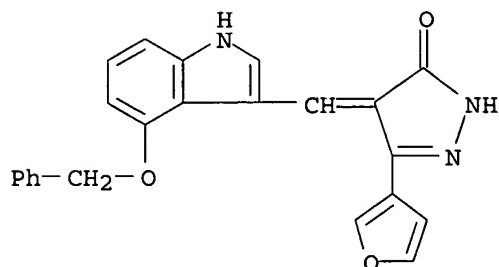
AB Title compds. e.g., I [R = (un)substituted heterocyclyl or -heteroaryl; R1 = H, (un)substituted alkyl, NH2, acyl, etc.; R2,R3 = H, (un)substituted alkyl, acyl, heterocyclyl, etc.] were prepared Thus, 2-acetylthiazole was condensed with CO(OEt)2 and the product cyclocondensed with H2NNH2 to give 3-(2-thiazolyl)-2-pyrazolin-5-one which was condensed with indole-3-carboxaldehyde to give I (R = 2-thiazolyl, R1 = R2 = H, R3 = 3-indolyl). Data for biol. activity of I were given.

IT 338753-21-2P 338753-27-8P 338753-44-9P  
338753-68-7P 338753-70-1P 338756-22-2P  
338756-30-2P 338756-32-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of heterocyclylpyrazolinones as protein kinase inhibitors)

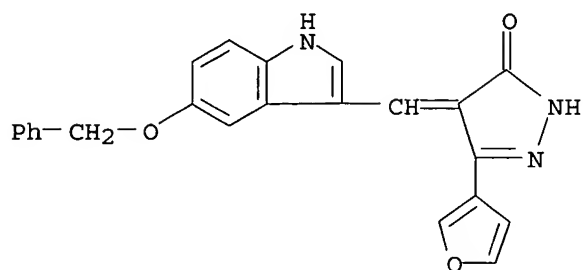
RN 338753-21-2 HCAPLUS

CN 3H-Pyrazol-3-one, 5-(3-furanyl)-2,4-dihydro-4-[[4-(phenylmethoxy)-1H-indol-3-yl]methylene]- (9CI) (CA INDEX NAME)



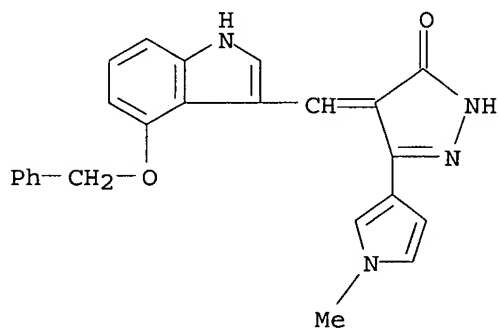
RN 338753-27-8 HCAPLUS

CN 3H-Pyrazol-3-one, 5-(3-furanyl)-2,4-dihydro-4-[[5-(phenylmethoxy)-1H-indol-3-yl]methylene]- (9CI) (CA INDEX NAME)



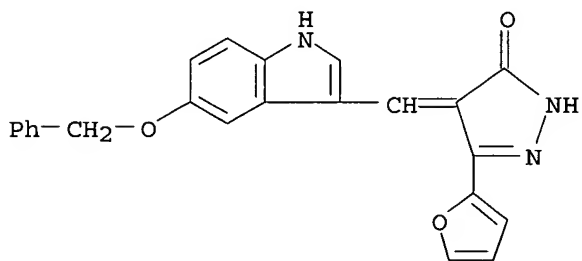
RN 338753-44-9 HCAPLUS

CN 3H-Pyrazol-3-one, 2,4-dihydro-5-(1-methyl-1H-pyrrol-3-yl)-4-[[4-(phenylmethoxy)-1H-indol-3-yl]methylene]- (9CI) (CA INDEX NAME)



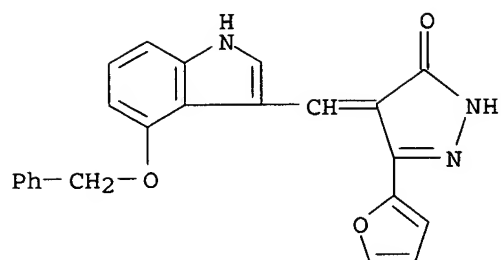
RN 338753-68-7 HCAPLUS

CN 3H-Pyrazol-3-one, 5-(2-furanyl)-2,4-dihydro-4-[[5-(phenylmethoxy)-1H-indol-3-yl]methylene]- (9CI) (CA INDEX NAME)

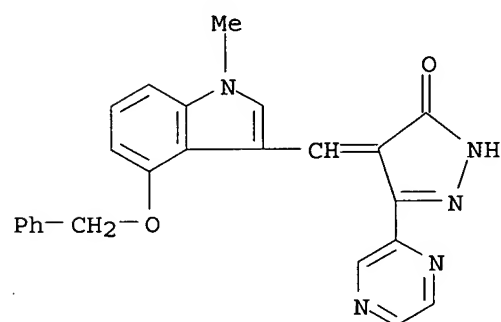


RN 338753-70-1 HCAPLUS

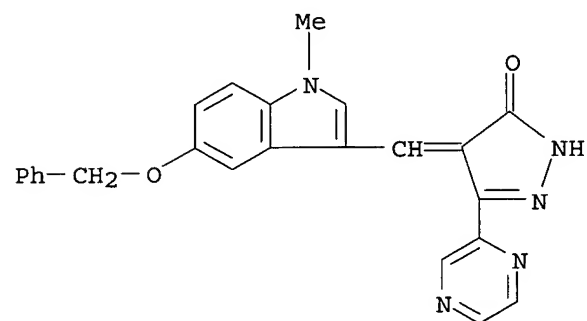
CN 3H-Pyrazol-3-one, 5-(2-furanyl)-2,4-dihydro-4-[[4-(phenylmethoxy)-1H-indol-3-yl]methylene]- (9CI) (CA INDEX NAME)



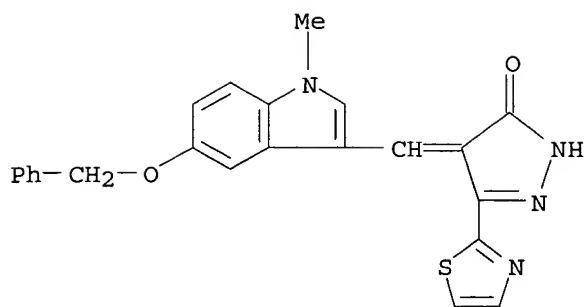
RN 338756-22-2 HCAPLUS  
 CN 3H-Pyrazol-3-one, 2,4-dihydro-4-[[1-methyl-4-(phenylmethoxy)-1H-indol-3-yl]methylene]-5-pyrazinyl- (9CI) (CA INDEX NAME)



RN 338756-30-2 HCAPLUS  
 CN 3H-Pyrazol-3-one, 2,4-dihydro-4-[[1-methyl-5-(phenylmethoxy)-1H-indol-3-yl]methylene]-5-pyrazinyl- (9CI) (CA INDEX NAME)



RN 338756-32-4 HCAPLUS  
 CN 3H-Pyrazol-3-one, 2,4-dihydro-4-[[1-methyl-5-(phenylmethoxy)-1H-indol-3-yl]methylene]-5-(2-thiazolyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 26 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:265404 HCAPLUS

DOCUMENT NUMBER: 134:295842

TITLE: Preparation of triazine kinase inhibitors

INVENTOR(S): Armistead, David M.; Bemis, Jean E.; Buchanan, John L.; Dipietro, Lucian V.; Elbaum, Daniel; Habgood, Gregory J.; Kim, Joseph L.; Marshall, Teresa L.; Geuns-Meyer, Stephanie D.; Novak, Perry M.; Nunes, Joseph J.; Patel, Vinod F.; Toledo-Sherman, Leticia M.; Zhu, Xiaotian

PATENT ASSIGNEE(S): Kinetix Pharmaceuticals Inc., USA

SOURCE: PCT Int. Appl., 376 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

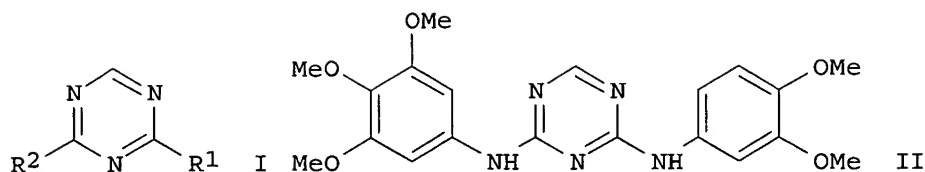
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE         |
|---|------|----------|-----------------|--------------|
| WO 2001025220   | A1   | 20010412 | WO 2000-US27811 | 20001006 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                 |              |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |              |
| CA 2386218  | AA   | 20010412 | CA 2000-2386218 | 20001006 <-- |
| EP 1218360  | A1   | 20020703 | EP 2000-972036  | 20001006 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL   |      |          |                 |              |
| JP 2003511378   | T2   | 20030325 | JP 2001-528166  | 20001006 <-- |
| AU 770600   | B2   | 20040226 | AU 2001-10754   | 20001006 <-- |
| PRIORITY APPLN. INFO.:  |      |          |                 |              |
|   |      |          | US 1999-158176P | P 19991007   |
|   |      |          | US 1999-166978P | P 19991123   |
|   |      |          | US 1999-170378P | P 19991213   |
|   |      |          | US 2000-183263P | P 20000217   |
|   |      |          | US 2000-215576P | P 20000630   |
|   |      |          | US 2000-219801P | P 20000720   |
|   |      |          | WO 2000-US27811 | W 20001006   |

OTHER SOURCE(S):  
GI

MARPAT 134:295842



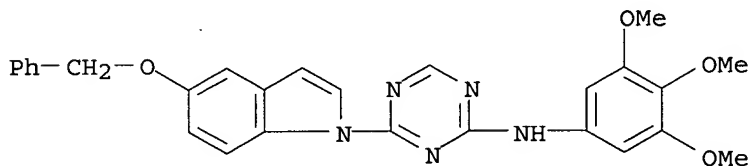
AB Title triazine compds. (I) [wherein R<sup>1</sup> and R<sup>2</sup> = independently R<sup>3</sup>, R<sup>8</sup>, NHR<sup>3</sup>, NHR<sup>5</sup>, NHR<sup>6</sup>, NR<sup>5</sup>R<sup>5</sup>, NR<sup>5</sup>R<sup>6</sup>, SR<sup>5</sup>, SR<sup>6</sup>, SR<sup>3</sup>, OR<sup>5</sup>, OR<sup>6</sup>, OR<sup>3</sup>, COR<sup>3</sup>, or (un)substituted heterocyclyl or alkyl; R<sup>3</sup> = independently aryl or (un)substituted Ph or heteroaryl; R<sup>5</sup> = independently H, (un)substituted (cyclo)alkyl or alkenyl, alkynyl, cycloalkenyl, aryl, or haloalkyl; R<sup>6</sup> = independently COR<sup>5</sup>, CO<sub>2</sub>R<sup>5</sup>, CONR<sup>5</sup>R<sup>5</sup>, C(NR<sup>5</sup>)NR<sup>5</sup>R<sup>5</sup>, or SOnR<sup>5</sup>; R<sup>8</sup> = independently (un)substituted mono-, di-, or tricyclic ring system comprising 1-3, 1-6, or 1-9 heteroatoms, resp.; n = 1-2] were prepared as inhibitors of enzymes that bind to ATP or GTP and/or catalyze phosphoryl transfer. For example, amination of 2,4-dichloro-1,3,5-triazine (preparation given) with 3,4,5-trimethoxyaniline in DMF, followed by a second amination with 4-aminoveratrole in the presence of diisopropylethylamine in EtOH, yielded II. In kinase inhibition studies, II gave IC<sub>50</sub> values of < 0.4 µg/mL for KDR-1, PDGFRB-1, and Flt-1; 0.4 to 2.4 µg/mL for Lck-1; 3.5 to 4.5 µg/mL for EGFR-1, Tek-1, and EPGB4-1; and > 4.5 µg/mL for IGFR-1, AKT3-1, Met-1, Zap-1, Itk-1, FGFR1-1, and Fyn-1. I and compns. comprising them are useful for the treatment of disease or disease symptoms related to kinase inhibition, such as **angiogenesis** or vasculogenesis (no data).

IT 333727-64-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of triazine kinase inhibitors for inhibiting **angiogenesis** or vasculogenesis)

RN 333727-64-3 HCAPLUS

CN 1,3,5-Triazin-2-amine, 4-[5-(phenylmethoxy)-1H-indol-1-yl]-N-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 27 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:137023 HCAPLUS

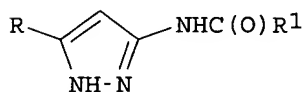
DOCUMENT NUMBER: 134:178552

TITLE: 3(5)-Acylaminopyrazole derivatives, process for their preparation and their use as antitumor agents

INVENTOR(S): Pevarello, Paolo; Orsini, Paolo; Traquandi, Gabriella;

PATENT ASSIGNEE(S): Varasi, Mario; Fritzen, Edward L.; Warpehoski, Martha A.; Pierce, Betsy S.; Brasca, Maria Grabriella  
 Pharmacia & Upjohn S.p.A., Italy; Pharmacia & Upjohn Company  
 SOURCE: PCT Int. Appl., 123 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE              | APPLICATION NO. | DATE         |
|---|------|-------------------|-----------------|--------------|
| WO 2001012189   | A1   | 20010222          | WO 2000-US6699  | 20000505 <-- |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |                   |                 |              |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  |      |                   |                 |              |
| CA 2383555  | AA   | 20010222          | CA 2000-2383555 | 20000505 <-- |
| AU 2000049714   | A5   | 20010313          | AU 2000-49714   | 20000505 <-- |
| EP 1202733  | A1   | 20020508          | EP 2000-931906  | 20000505 <-- |
| EP 1202733  | B1   | 20051005          |                 |              |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL   |      |                   |                 |              |
| BR 2000013143   | A    | 20020611          | BR 2000-13143   | 20000505 <-- |
| JP 2003507329   | T2   | 20030225          | JP 2001-516535  | 20000505 <-- |
| EE 200200065  | A    | 20030415          | EE 2002-65      | 20000505 <-- |
| NZ 517237   | A    | 20040227          | NZ 2000-517237  | 20000505 <-- |
| US 6218418  | B1   | 20010417          | US 2000-667603  | 20000922 <-- |
| NO 2002000684   | A    | 20020403          | NO 2002-684     | 20020211 <-- |
| HR 2002000128   | A1   | 20030430          | HR 2002-128     | 20020212 <-- |
| ZA 2002001511   | A    | 20030311          | ZA 2002-1511    | 20020222 <-- |
| BG 106480   | A    | 20020930          | BG 2002-106480  | 20020305 <-- |
| PRIORITY APPLN. INFO.:  |      |                   | US 1999-372831  | A 19990812   |
|   |      |                   | US 2000-560400  | A1 20000428  |
|   |      |                   | WO 2000-US6699  | W 20000505   |
| OTHER SOURCE(S):  |      | MARPAT 134:178552 |                 |              |
| GI  |      |                   |                 |              |



AB Compds. which are 3-acylaminopyrazole derivs. (I; e.g. N-(5-cyclopropyl-1H-pyrazol-3-yl)-2,2-diphenylacetamide) wherein R is C3-C6 cycloalkyl group optionally substituted by a straight or branched C1-C6 alkyl or arylalkyl group; R1 is a straight or branched C1-C6 alkyl, C2-C4 alkenyl, cycloalkyl, cycloalkenyl, heterocyclyl, aryl, arylalkyl, arylcarbonyl, aryloxyalkyl or arylalkenyl group, each of which may be optionally further substituted as indicated in the description; or a pharmaceutically acceptable salt thereof, processes for their preparation and their therapeutic uses. The compds. are useful for the treatment of



cancer, cell proliferative disorders, Alzheimer's disease, viral infections, auto-immune diseases or neurodegenerative diseases, but no quant. test results are presented. The cancer is selected from carcinoma, squamous cell carcinoma, hematopoietic tumors of myeloid or lymphoid lineage, tumors of mesenchymal origin, tumors of the central and peripheral nervous system, melanoma, seminoma, teratocarcinoma, osteosarcoma, xeroderma pigmentosum, keratoacanthoma, thyroid follicular cancer and Kaposi's sarcoma. The cell proliferative disorder is selected from benign prostate hyperplasia, familial adenomatosis polyposis, neuro-fibromatosis, psoriasis, vascular smooth cell proliferation associated with atherosclerosis, pulmonary fibrosis, arthritis glomerulonephritis and post-surgical stenosis and restenosis. The method of treatment provides tumor **angiogenesis** and metastasis inhibition, cell cycle inhibition or cdk/cyclin dependent inhibition, and treatment or prevention of radiotherapy-induced or chemotherapy-induced alopecia. A process for preparing the 3-aminopyrazole derivative or the pharmaceutically acceptable

salt

thereof, comprising: (a) reacting  $\text{RCO}_2\text{R}_2$  ( $\text{R}_2 = \text{alkyl}$ ), with MeCN in the presence of a basic agent, to obtain  $\text{RC(O)CH}_2\text{CN}$ ; (b) reacting  $\text{RC(O)CH}_2\text{CN}$  with hydrazine hydrate to obtain an 3-amino-5-R-1H-pyrazole; (c) oxidizing the 3-amino-5-R-1H-pyrazole to obtain the nitro analog; (d) reacting the nitro compound with tert-butoxycarbonyl anhydride (Boc<sub>2</sub>O) to obtain the N-Boc derivative; (e) reducing this BOC derivative to obtain the amino analog;

(f)

reacting this amino compound with  $\text{R}_1\text{C(O)X}$  ( $\text{X} = \text{OH}$  or a suitable leaving group) to obtain the N1-Boc-protected I; and (g) hydrolyzing this intermediate in an acidic medium to obtain I. Other methods of preparation are also claimed.

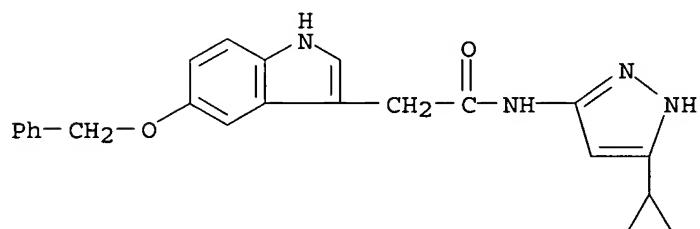
IT 326824-40-2P, 2-[5-(Benzyloxy)-1H-indol-3-yl]-N-(5-cyclopropyl-1H-pyrazol-3-yl)acetamide

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(acylaminopyrazole derivs., process for preparation and use as antitumor agents)

RN 326824-40-2 HCAPLUS

CN 1H-Indole-3-acetamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-5-(phenylmethoxy)-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 28 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:900841 HCAPLUS

DOCUMENT NUMBER: 134:37031

TITLE: FVIIA/TF activity inhibiting compounds

INVENTOR(S): Jakobsen, Palle; Persson, Egon

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 25 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE         |
|---|------|----------|-----------------|--------------|
| WO 2000077246   | A2   | 20001221 | WO 2000-DK316   | 20000613 <-- |
| WO 2000077246   | A3   | 20010222 |                 |              |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                 |              |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |              |
| EP 1192270  | A2   | 20020403 | EP 2000-934951  | 20000613 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO   |      |          |                 |              |
| JP 2003530819   | T2   | 20031021 | JP 2001-503687  | 20000613 <-- |
| US 6238878  | B1   | 20010529 | US 2000-616010  | 20000713 <-- |
| US 6444434  | B1   | 20020903 | US 2001-844828  | 20010427 <-- |

PRIORITY APPLN. INFO.:

|                 |    |          |
|-----------------|----|----------|
| DK 1999-840     | A  | 19990614 |
| US 1999-139714P | P  | 19990617 |
| DK 1999-910     | A  | 19990625 |
| US 1999-141416P | P  | 19990629 |
| DK 1999-1241    | A  | 19990903 |
| US 1999-152863P | P  | 19990908 |
| WO 2000-DK316   | W  | 20000613 |
| US 2000-616010  | A1 | 20000713 |

AB The invention relates to compds. inhibiting the activation of FX to FXa by TF/FVIIa. The compds. are anticoagulants. The invention also relates to a method of identifying a drug candidate.

IT 313236-56-5 313236-57-6 313236-59-8

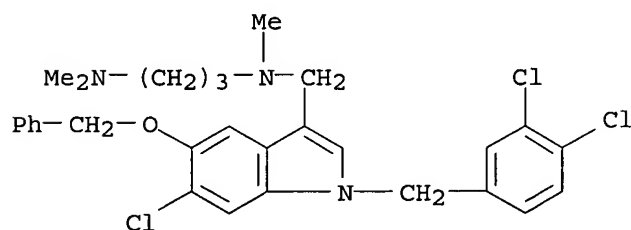
313236-60-1

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(FVIIA/TF activity inhibiting compds.)

RN 313236-56-5 HCAPLUS

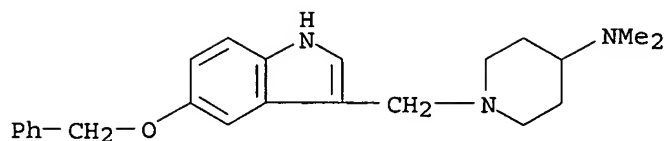
CN 1,3-Propanediamine, N-[[6-chloro-1-[(3,4-dichlorophenyl)methyl]-5-(phenylmethoxy)-1H-indol-3-yl]methyl]-N,N',N'-trimethyl- (9CI) (CA INDEX NAME)



RN 313236-57-6 HCAPLUS

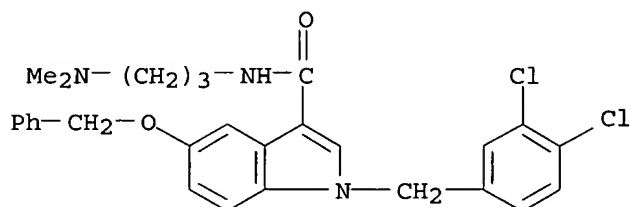
CN 4-Piperidinamine, N,N-dimethyl-1-[[5-(phenylmethoxy)-1H-indol-3-yl]methyl]-

(9CI) (CA INDEX NAME)



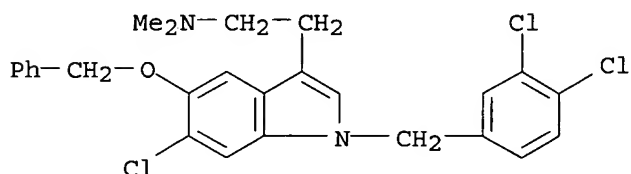
RN 313236-59-8 HCAPLUS

CN 1H-Indole-3-carboxamide, 1-[(3,4-dichlorophenyl)methyl]-N-[3-(dimethylamino)propyl]-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 313236-60-1 HCAPLUS

CN 1H-Indole-3-ethanamine, 6-chloro-1-[(3,4-dichlorophenyl)methyl]-N,N-dimethyl-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L18 ANSWER 29 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:688234 HCAPLUS

DOCUMENT NUMBER: 133:266589

TITLE: Preparation of heterocyclic derivatives as chemokine receptor antagonists effective against HIV, tumor, and allergy

INVENTOR(S): Bridger, Gary; Skerlj, Renato; Kaller, Al; Harwig, Curtis; Bogucki, David; Wilson, Trevor R.; Crawford, Jason; McEachern, Ernest J.; Atsma, Bem; Nan, Siqiao; Zhou, Yuanxi; Schols, Dominique

PATENT ASSIGNEE(S): Anormed Inc., Can.

SOURCE: PCT Int. Appl., 274 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.    | KIND | DATE     | APPLICATION NO. | DATE         |
|---------------|------|----------|-----------------|--------------|
| WO 2000056729 | A1   | 20000928 | WO 2000-CA321   | 20000324 <-- |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

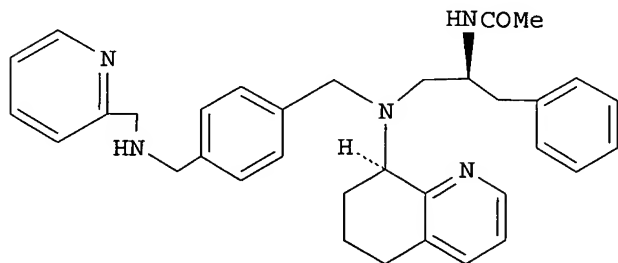
CA 2368047 AA 20000928 CA 2000-2368047 20000324 <--  
 EP 1163238 A1 20011219 EP 2000-913979 20000324 <--  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO  
 BR 2000010655 A 20020213 BR 2000-10655 20000324 <--  
 TR 200102799 T2 20020722 TR 2001-200102799 20000324 <--  
 NZ 514709 A 20030328 NZ 2000-514709 20000324 <--  
 JP 2003524620 T2 20030819 JP 2000-606590 20000324 <--  
 US 6750348 B1 20040615 US 2000-535314 20000324 <--  
 AU 775123 B2 20040715 AU 2000-35460 20000324 <--  
 NO 2001004593 A 20011029 NO 2001-4593 20010921 <--  
 US 2004235823 A1 20041125 US 2004-837467 20040430

PRIORITY APPLN. INFO.:

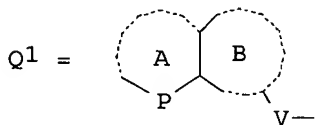
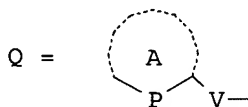
US 1999-125823P P 19990324  
 US 2000-535314 A3 20000324  
 WO 2000-CA321 W 20000324

OTHER SOURCE(S): MARPAT 133:266589

GI



I



AB Title compds. [YW(X)(Z)(CR1R2)nArCR3R4N(R5)(CR6R7)qR8; W = N, Y is void; WY = CH; R1 to R7 may be the same or different and are independently selected from H, straight, branched or cyclic C1-6 alkyl; R8 = substituted heterocyclic group or a substituted aromatic group; Ar = aromatic or heteroarom.

ring each optionally substituted at single or multiple, non-linking positions with electron-donating or withdrawing groups; n and q are

independently = 0-2; X = Q, Q1; A = optionally substituted, saturated or unsatd. 5 or 6-membered ring; P = optionally substituted carbon atom, optionally substituted nitrogen atom, sulfur or oxygen atom; B = optionally substituted 5 to 7-membered ring; Ring A and Ring B in the above formula can be connected to the group W from any position via the group V; V = bond, (CH<sub>2</sub>)<sub>m</sub>, CO; m = 0-2; Z = H, optionally substituted C1-6 alkyl group, C0-6 alkyl group substituted with an optionally substituted aromatic or heterocyclic group, optionally substituted C0-6 alkylamino, C3-7 cycloalkylamino group, optionally substituted carbonyl group or sulfonyl], pharmaceutically acceptable acid addition, salts, metal complexes, stereoisomers, isomer mixts., and pharmaceutical composition are prepared

## Title

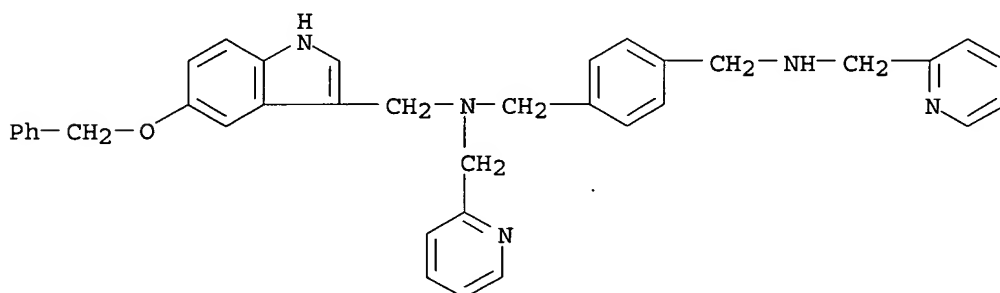
comps. are having protective effects against infection by HIV through binding to chemokine receptors, including CXCR4 and CCR5 and inhibiting the subsequent binding of their natural ligands. Thus, the title compound I was prepared and tested for inhibition of HIV-1 NL4.3 or IIIB replication in MT-4 cells and exhibited EC<sub>50</sub>'s of less than 20µg/mL.

## IT 297770-83-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of heterocyclic derivs. as chemokine receptor antagonists effective against HIV, tumor, and allergy)

## RN 297770-83-3 HCAPLUS

## CN 1,4-Benzenedimethanamine, N-[[5-(phenylmethoxy)-1H-indol-3-yl]methyl]-N,N'-bis(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 30 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:608722 HCAPLUS

DOCUMENT NUMBER: 133:193079

TITLE: Preparation of arylsulfonylheterocyclylhydroxamic acids and related compounds as matrix metalloprotease inhibitors

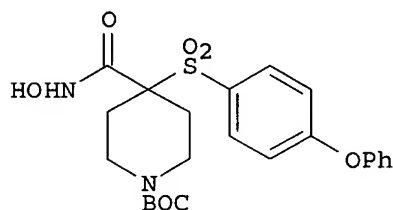
INVENTOR(S): Barta, Thomas E.; Becker, Daniel P.; Bedell, Louis J.; Boehm, Terri L.; Carroll, Jeffery N.; De Crescenzo, Gary A.; Fobian, Yvette M.; Freskos, John N.; Getman, Daniel P.; McDonald, Joseph J.; Hanson, Gunnar J.; Hockerman, Susan L.; Howard, Susan C.; Kolodziej, Steve A.; Li, Hui; Mischke, Deborah A.; Rico, Joseph G.; Stehle, Nathan W.; Tollefson, Michael B.; Vernier, William F.; Villamil, Clara I.; Rao, Shashidhar N.

PATENT ASSIGNEE(S): G.D. Searle and Co., USA

SOURCE: PCT Int. Appl., 851 pp.

DOCUMENT TYPE: CODEN: PIXXD2  
 LANGUAGE: Patent  
 FAMILY ACC. NUM. COUNT: English  
 5  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO.   | DATE         |
|---|------|----------|-------------------|--------------|
| WO 2000050396   | A1   | 20000831 | WO 2000-US2518    | 20000222 <-- |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM<br>RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG |      |          |                   |              |
| US 2001039287   | A1   | 20011108 | US 1999-256948    | 19990224 <-- |
| CA 2371876  | AA   | 20000831 | CA 2000-2371876   | 20000222 <-- |
| AU 2000034785   | A5   | 20000914 | AU 2000-34785     | 20000222 <-- |
| EP 1230219  | A1   | 20020814 | EP 2000-913317    | 20000222 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL   |      |          |                   |              |
| BR 2000008491   | A    | 20020917 | BR 2000-8491      | 20000222 <-- |
| JP 2002537378   | T2   | 20021105 | JP 2000-600979    | 20000222 <-- |
| NZ 513648   | A    | 20040227 | NZ 2000-513648    | 20000222 <-- |
| NO 2001003963   | A    | 20011023 | NO 2001-3963      | 20010815 <-- |
| ZA 2001006780   | A    | 20020816 | ZA 2001-6780      | 20010816 <-- |
| US 2002177588   | A1   | 20021128 | US 2001-954451    | 20010917 <-- |
| US 6750233  | B2   | 20040615 |                   |              |
| PRIORITY APPLN. INFO.:  |      |          | US 1999-256948    | A 19990224   |
|   |      |          | US 1997-66007P    | P 19971114   |
|   |      |          | US 1998-95347P    | P 19980804   |
|   |      |          | US 1998-95501P    | P 19980806   |
|   |      |          | US 1998-101080P   | P 19980918   |
|   |      |          | WO 2000-US2518    | W 20000222   |
| OTHER SOURCE(S):  |      |          | MARPAT 133:193079 |              |
| GI  |      |          |                   |              |



I

AB A process for treating conditions associated with pathol. matrix metalloproteinase (MMP) activity comprises administration of compds. having inhibitory activity against >1 of MMP-2, MMP-9, and MMP-13, while exhibiting substantially less inhibition of MMP-1. The compds. are of the form HONHCOCR1R2SO2R3 [R1, R2 = H; R1R2 = atoms to form a 5-8 membered ring containing 1-3 heteroatoms; R3 = (substituted) aryl, heteroaryl]. Thus, 4-PhOC6H4SH was heated in Me2SO to give the disulfide dimer, which in THF was added to a mixture of Et N-tert-butoxycarbonylisonipecotate (preparation

given) and LDA in THF at -60° to room temperature to give 40% sulfide, which was oxidized with m-ClC<sub>6</sub>H<sub>4</sub>CO(OOH) to give 59% sulfone. The Et ester was saponified with NaOH in EtOH/H<sub>2</sub>O to give 100% acid, which in DMF was treated with hydroxybenzotriazole, EDC, 4-methylmorpholine, and aqueous NH<sub>2</sub>OH to give title compound I. I inhibited MMP-2 with IC<sub>50</sub> = 0.2 nM. Pharmacol., pharmacokinetic, and toxicol. data are given for selected compds.

IT 226390-30-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of arylsulfonylheterocyclhydroxamic acids and related compds. as matrix metalloprotease inhibitors)

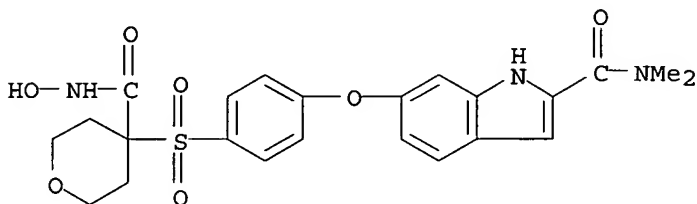
RN 226390-30-3 HCAPLUS

CN 1H-Indole-2-carboxamide, N,N-dimethyl-6-[4-[[tetrahydro-4-[(hydroxyamino)carbonyl]-2H-pyran-4-yl]sulfonyl]phenoxy]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 226390-29-0

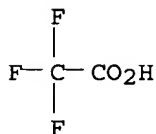
CMF C23 H25 N3 O7 S



CM 2

CRN 76-05-1

CMF C2 H F3 O2

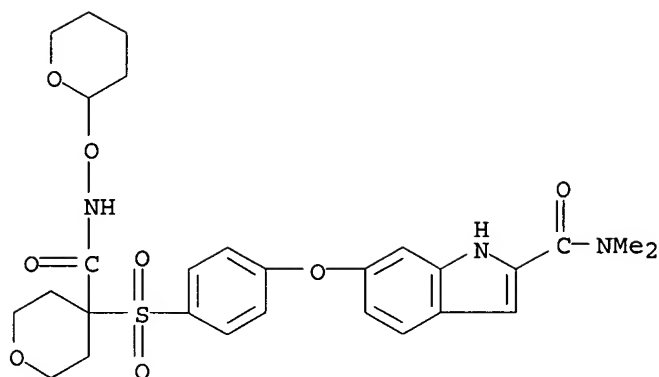


IT 226399-13-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of arylsulfonylheterocyclhydroxamic acids and related compds. as matrix metalloprotease inhibitors)

RN 226399-13-9 HCAPLUS

CN 1H-Indole-2-carboxamide, N,N-dimethyl-6-[4-[[tetrahydro-4-[[[(tetrahydro-2H-pyran-2-yl)oxy]amino]carbonyl]-2H-pyran-4-yl]sulfonyl]phenoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 31 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:592560 HCAPLUS

DOCUMENT NUMBER: 133:198575

TITLE: Compositions and methods for use in targeting vascular destruction

INVENTOR(S): Pero, Ronald W.; Sherris, David

PATENT ASSIGNEE(S): Oxigene, Inc., USA

SOURCE: PCT Int. Appl., 36 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE         |
|---|------|----------|-----------------|--------------|
| WO 2000048606   | A1   | 20000824 | WO 2000-US3996  | 20000216 <-- |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                 |              |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |              |
| CA 2358925  | AA   | 20000824 | CA 2000-2358925 | 20000216 <-- |
| CA 2455956  | AA   | 20000824 | CA 2000-2455956 | 20000216 <-- |
| EP 1152764  | A1   | 20011114 | EP 2000-914606  | 20000216 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO   |      |          |                 |              |
| JP 2002537262   | T2   | 20021105 | JP 2000-599398  | 20000216 <-- |
| US 6538038  | B1   | 20030325 | US 2000-505402  | 20000216 <-- |
| AU 776511   | B2   | 20040909 | AU 2000-35973   | 20000216 <-- |
| EP 1547603  | A2   | 20050629 | EP 2004-76582   | 20000216     |
| EP 1547603  | A3   | 20050727 |                 |              |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL   |      |          |                 |              |
| US 2003109500   | A1   | 20030612 | US 2002-218833  | 20020814 <-- |
| US 6956054  | B2   | 20051018 |                 |              |



PRIORITY APPLN. INFO.: US 1999-120478P P 19990218  
 CA 2000-2358925 A3 20000216  
 EP 2000-914606 A3 20000216  
 US 2000-505402 A1 20000216  
 WO 2000-US3996 W 20000216

OTHER SOURCE(S): MARPAT 133:198575

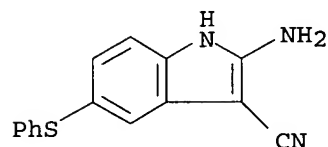
AB Treatment of warm-blooded animals having a tumor or non-malignant hypervascularization, by administering a sufficient amount of a cytotoxic agent formulated into a phosphate prodrug form having substrate specificity for microvessel phosphatases, so that microvessels are destroyed preferentially over other normal tissues, because the less cytotoxic prodrug form is converted to the highly cytotoxic dephosphorylated form.

IT 91531-98-5D, Amphetamine, derivs.

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
 (prodrugs for use in targeting vascular destruction)

RN 91531-98-5 HCAPLUS

CN 1H-Indole-3-carbonitrile, 2-amino-5-(phenylthio)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 32 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:573671 HCAPLUS

DOCUMENT NUMBER: 133:177183

TITLE: Preparation of quinazoline derivatives as **angiogenesis** inhibitors

INVENTOR(S): Hennequin, Laurent Francois Andre; Ple, Patrick; Stokes, Elaine Sophie Elizabeth; Mckerrecher, Darren

PATENT ASSIGNEE(S): Astrazeneca UK Limited, UK; Zeneca-Pharma S.A.

SOURCE: PCT Int. Appl., 346 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

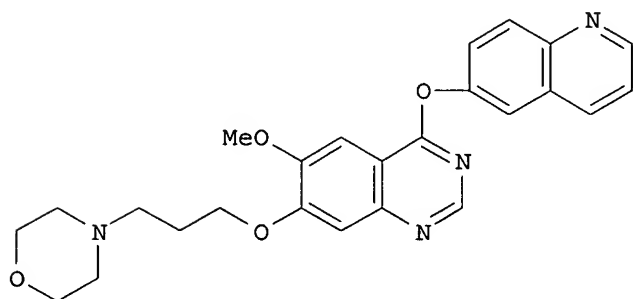
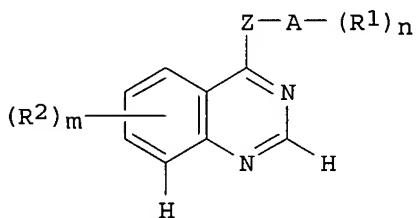
PATENT INFORMATION:

| PATENT NO.    | KIND   | DATE     | APPLICATION NO. | DATE         |
|---------------|--|----------|-----------------|--------------|
| WO 2000047212 | A1   | 20000817 | WO 2000-GB373   | 20000208 <-- |
| W:            | AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW |          |                 |              |
| RW:           | GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG   |          |                 |              |
| CA 2362715    | AA   | 20000817 | CA 2000-2362715 | 20000208 <-- |
| EP 1154774    | A1   | 20011121 | EP 2000-902730  | 20000208 <-- |

EP 1154774 B1 20050622  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

|   |    |          |                   |          |          |
|---|----|----------|-------------------|----------|----------|
| TR 200102314  | T2 | 20020121 | TR 2001-200102314 | 20000208 | <--      |
| BR 2000008128   | A  | 20020213 | BR 2000-8128      | 20000208 | <--      |
| JP 2002536414   | T2 | 20021029 | JP 2000-598164    | 20000208 | <--      |
| EE 200100409  | A  | 20021216 | EE 2001-409       | 20000208 | <--      |
| AU 763618   | B2 | 20030731 | AU 2000-24475     | 20000208 | <--      |
| NZ 513204   | A  | 20040430 | NZ 2000-513204    | 20000208 | <--      |
| TR 200500745  | T2 | 20050523 | TR 2005-200500745 | 20000208 |          |
| NZ 530832   | A  | 20050527 | NZ 2000-530832    | 20000208 |          |
| EP 1553097  | A1 | 20050713 | EP 2005-4285      | 20000208 |          |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL |    |          |                   |          |          |
| AT 298237   | E  | 20050715 | AT 2000-902730    | 20000208 |          |
| RU 2262935  | C2 | 20051027 | RU 2001-124816    | 20000208 |          |
| ZA 2001006340   | A  | 20021101 | ZA 2001-6340      | 20010801 | <--      |
| NO 2001003882   | A  | 20011009 | NO 2001-3882      | 20010809 | <--      |
| NO 2005002773   | A  | 20011009 | NO 2005-2773      | 20050608 | <--      |
| PRIORITY APPLN. INFO.:  |    |          | EP 1999-400305    | A        | 19990210 |
|   |    |          | EP 2000-902730    | A3       | 20000208 |
|   |    |          | WO 2000-GB373     | W        | 20000208 |

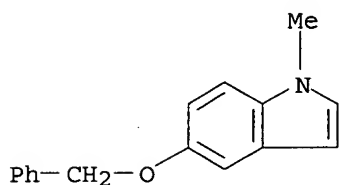
OTHER SOURCE(S): MARPAT 133:177183  
 GI



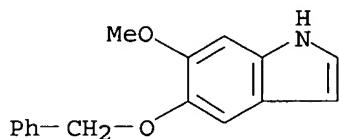
AB The title compds. (I) [wherein A = an 8-, 9-, 10-, 12- or 13-membered bicyclic or tricyclic ring optionally containing 1-3 O, N, and/or S heteroatoms; Z = O, NH, S, CH<sub>2</sub>, or a bond; n = 0-5; m = 0-3; R<sub>2</sub> = H, OH, halo, CN, NO<sub>2</sub>, CF<sub>3</sub>, alkyl(sulfanyl), alkoxy, NR<sub>3</sub>N<sub>4</sub>, or R<sub>5</sub>X<sub>1</sub>; R<sub>3</sub> and R<sub>4</sub> = independently H or alkyl; X<sub>1</sub> = a bond, O, CH<sub>2</sub>, OC(O), CO, S, SO, SO<sub>2</sub>, NR<sub>6</sub>CO, CONR<sub>7</sub>, SO<sub>2</sub>R<sub>8</sub>, NR<sub>9</sub>SO<sub>2</sub>, or NR<sub>10</sub>; R<sub>5</sub> = H or (un)substituted alkyl, alkenyl, alkynyl, or heterocyclyl, etc.; R<sub>6</sub>-R<sub>10</sub> = independently H or (alkoxy)alkyl] were prepared for use in the production of an antiangiogenic and/or vascular permeability reducing effect in warm-blooded animals. For instance, II was synthesized in a 9-step sequence starting with the cyclization of 2-amino-4-benzyloxy-5-methoxybenzamide using Gold's reagent

in dioxane to form 7-benzyloxy-6-methoxy-3,4-dihydroquinazolin-4-one (84%). I and the pharmaceutically acceptable salts thereof inhibit the effects of VEGF, a property of value in the treatment of a number of disease states including cancer and rheumatoid arthritis (no data).

IT 2439-68-1, 5-Benzyloxy-1-methylindole 4790-04-9,  
5-Benzyloxy-6-methoxyindole  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(starting material; preparation of quinazolines as **angiogenesis**  
inhibitors by cyclization of 2-aminobenzamides and subsequent  
derivatization)  
RN 2439-68-1 HCAPLUS  
CN 1H-Indole, 1-methyl-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 4790-04-9 HCAPLUS  
CN 1H-Indole, 6-methoxy-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 33 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:383910 HCAPLUS

DOCUMENT NUMBER: 133:26859

TITLE: Methods of reducing serum glucose and triglyceride  
levels and for inhibiting **angiogenesis** using  
substituted indole-alkanoic acids

INVENTOR(S): Sredy, Janet; Jacot, Jorge

PATENT ASSIGNEE(S): The Institutes for Pharmaceutical Discovery, Inc., USA

SOURCE: PCT Int. Appl., 128 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.    | KIND | DATE     | APPLICATION NO. | DATE         |
|---------------|------|----------|-----------------|--------------|
| WO 2000032180 | A2   | 20000608 | WO 1999-US28483 | 19991201 <-- |
| WO 2000032180 | A3   | 20001116 |                 |              |

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,  
CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN,  
IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,  
MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK,

SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW  
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,  
 DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,  
 CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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| CA 2385845   | AA | 20000608 | CA 1999-2385845   | 19991201 <-- |
| BR 9915882   | A  | 20010821 | BR 1999-15882     | 19991201 <-- |
| EP 1135124   | A2 | 20010926 | EP 1999-965955    | 19991201 <-- |
| EP 1135124   | B1 | 20040428 |                   |              |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,<br>IE, SI, LT, LV, FI, RO |    |          |                   |              |
| TR 200101539   | T2 | 20011221 | TR 2001-200101539 | 19991201 <-- |
| JP 2002531398  | T2 | 20020924 | JP 2000-584876    | 19991201 <-- |
| EE 200100296   | A  | 20030217 | EE 2001-296       | 19991201 <-- |
| US 6555568   | B1 | 20030429 | US 1999-452252    | 19991201 <-- |
| AU 770925  | B2 | 20040311 | AU 2000-21616     | 19991201 <-- |
| AT 265210  | E  | 20040515 | AT 1999-965955    | 19991201 <-- |
| TW 584560  | B  | 20040421 | TW 1999-88120912  | 20000201 <-- |
| ZA 2001004126  | A  | 20020521 | ZA 2001-4126      | 20010521 <-- |
| BG 105531  | A  | 20011231 | BG 2001-105531    | 20010522 <-- |
| NO 2001002690  | A  | 20010727 | NO 2001-2690      | 20010531 <-- |
| US 2003216452  | A1 | 20031120 | US 2003-397140    | 20030326 <-- |
| US 6964980   | B2 | 20051115 |                   |              |

PRIORITY APPLN. INFO.:

|                 |    |          |
|-----------------|----|----------|
| US 1998-110395P | P  | 19981201 |
| US 1999-452252  | A1 | 19991201 |
| WO 1999-US28483 | W  | 19991201 |

OTHER SOURCE(S): MARPAT 133:26859

AB Methods are disclosed for reducing serum glucose and triglyceride levels and for inhibiting **angiogenesis**, the methods comprising administration of substituted indole-alkanoic acids to patients in need of such treatment. Also disclosed are such compds. useful in the treatment of **angiogenesis**, hyperglycemia, hyperlipidemia and chronic complications arising from diabetes mellitus. Also disclosed are pharmaceutical compns. containing the compds. Preparation of the compds. of the invention is included.

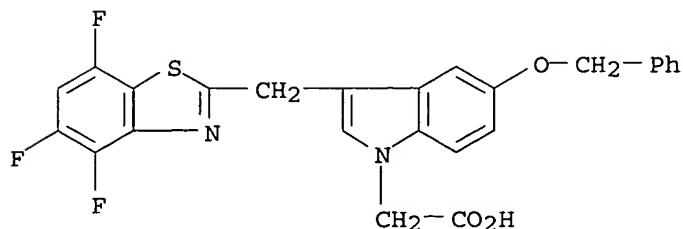
IT 245116-96-5P 245117-09-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(indole-alkanoic acid derivative preparation for reducing serum glucose and triglyceride levels and for inhibiting **angiogenesis**)

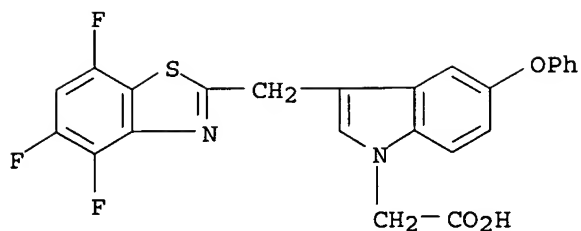
RN 245116-96-5 HCAPLUS

CN 1H-Indole-1-acetic acid, 5-(phenylmethoxy)-3-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]- (9CI) (CA INDEX NAME)

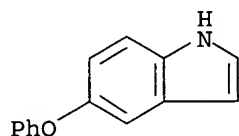


RN 245117-09-3 HCAPLUS

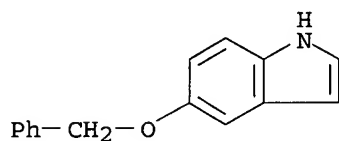
CN 1H-Indole-1-acetic acid, 5-phenoxy-3-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]- (9CI) (CA INDEX NAME)



IT 78304-53-7P, 5-Phenoxyindole  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and reaction; indole-alkanoic acid derivative preparation for  
 reducing serum glucose and triglyceride levels and for inhibiting  
 angiogenesis)  
 RN 78304-53-7 HCAPLUS  
 CN 1H-Indole, 5-phenoxy- (9CI) (CA INDEX NAME)



IT 1215-59-4, 5-Benzyloxyindole  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction; indole-alkanoic acid derivative preparation for reducing serum  
 glucose and triglyceride levels and for inhibiting angiogenesis  
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 RN 1215-59-4 HCAPLUS  
 CN 1H-Indole, 5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

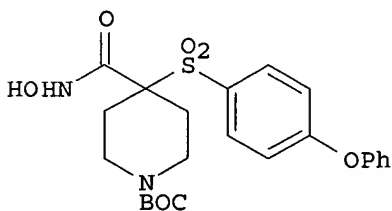


L18 ANSWER 34 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1999:350651 HCAPLUS  
 DOCUMENT NUMBER: 131:18929  
 TITLE: Preparation of arylsulfonylheterocyclylhydroxamic  
 acids and related compounds as matrix metalloprotease  
 inhibitors  
 INVENTOR(S): Barta, Thomas E.; Becker, Daniel P.; Boehm, Terri L.;  
 De Crescenzo, Gary A.; Villamil, Clara I.; McDonald,  
 Joseph J.; Freskos, John N.; Getman, Daniel P.  
 PATENT ASSIGNEE(S): G.D. Searle and Co., USA  
 SOURCE: PCT Int. Appl., 840 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

## PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE         |
|---|------|----------|-----------------|--------------|
| WO 9925687  | A1   | 19990527 | WO 1998-US23242 | 19981112 <-- |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                 |              |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |              |
| CA 2306460  | AA   | 19990527 | CA 1998-2306460 | 19981112 <-- |
| AU 9913732  | A1   | 19990607 | AU 1999-13732   | 19981112 <-- |
| AU 756150   | B2   | 20030102 |                 |              |
| BR 9814643  | A    | 20001003 | BR 1998-14643   | 19981112 <-- |
| EP 1042290  | A1   | 20001011 | EP 1998-957485  | 19981112 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI   |      |          |                 |              |
| JP 2001523662   | T2   | 20011127 | JP 2000-521071  | 19981112 <-- |
| NZ 503485   | A    | 20021025 | NZ 1998-503485  | 19981112 <-- |
| RU 2250105  | C2   | 20050420 | RU 2000-115948  | 19981112     |
| ZA 9810412  | A    | 19991209 | ZA 1998-10412   | 19981113 <-- |
| US 2001014688   | A1   | 20010816 | US 1998-191129  | 19981113 <-- |
| NO 2000002469   | A    | 20000712 | NO 2000-2469    | 20000512 <-- |
| US 6541489  | B1   | 20030401 | US 2000-554082  | 20000731 <-- |
| US 2002177588   | A1   | 20021128 | US 2001-954451  | 20010917 <-- |
| US 6750233  | B2   | 20040615 |                 |              |
| US 2004048852   | A1   | 20040311 | US 2003-337942  | 20030107 <-- |
| US 6890937  | B2   | 20050510 |                 |              |
| PRIORITY APPLN. INFO.:  |      |          | US 1997-66007P  | P 19971114   |
|   |      |          | US 1998-95347P  | P 19980804   |
|   |      |          | US 1998-95501P  | P 19980806   |
|   |      |          | US 1998-101080P | P 19980918   |
|   |      |          | WO 1998-US23242 | W 19981112   |
|   |      |          | US 1999-256948  | B3 19990224  |
|   |      |          | US 2000-554082  | A3 20000731  |

OTHER SOURCE(S): MARPAT 131:18929  
GI

I

AB A process for treating conditions associated with pathol. matrix metalloproteinase (MMP) activity comprises administration of compds. having inhibitory activity against >1 of MMP-2, MMP-9, and MMP-13, while exhibiting substantially less inhibition of MMP-1. The compds. are of the form HONHCOCR1R2SO2R3 [R1, R2 = H; R1R2 = atoms to form a 5-8 membered ring containing 1-3 heteroatoms; R3 = (substituted) aryl, heteroaryl]. Thus, 4-PhOC6H4SH was heated in Me2SO to give the disulfide dimer, which in THF

was added to a mixture of Et N-tert-butoxycarbonylisonipecotate (preparation given) and LDA in THF at -60° to room temperature to give 405 sulfide, which was oxidized with m-ClC<sub>6</sub>H<sub>4</sub>CO(OOH) to give 59% sulfone. The Et ester was saponified with NaOH in EtOH/H<sub>2</sub>O to give 100% acid, which in DMF was treated with hydroxybenzotriazole, EDC, 4-methylmorpholine, and aqueous NH<sub>2</sub>OH to give title compound (I). I inhibited MMP-2 with IC<sub>50</sub> = 0.2 nM.

IT 226390-30-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of arylsulfonylheterocyclhydroxamic acids and related compds. as matrix metalloprotease inhibitors)

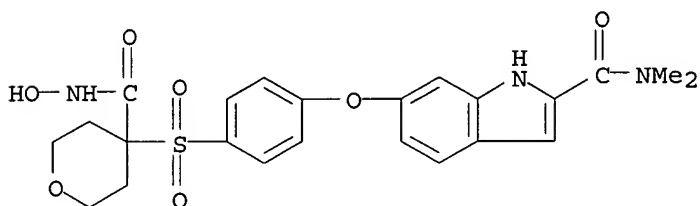
RN 226390-30-3 HCAPLUS

CN 1H-Indole-2-carboxamide, N,N-dimethyl-6-[4-[[tetrahydro-4-[(hydroxyamino)carbonyl]-2H-pyran-4-yl]sulfonyl]phenoxy]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 226390-29-0

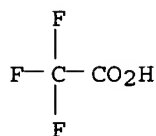
CMF C23 H25 N3 O7 S



CM 2

CRN 76-05-1

CMF C2 H F3 O2

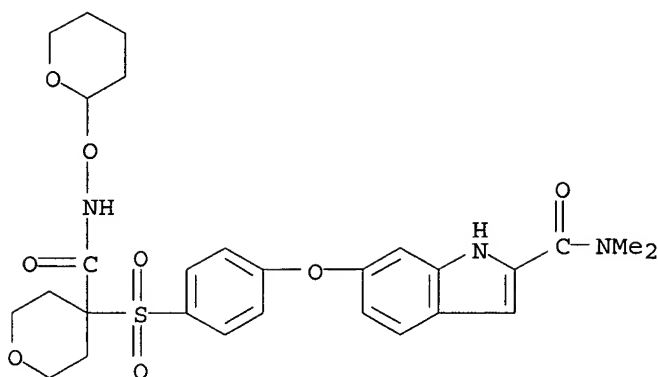


IT 226399-13-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of arylsulfonylheterocyclhydroxamic acids and related compds. as matrix metalloprotease inhibitors)

RN 226399-13-9 HCAPLUS

CN 1H-Indole-2-carboxamide, N,N-dimethyl-6-[4-[[tetrahydro-4-[[[(tetrahydro-2H-pyran-2-yl)oxy]amino]carbonyl]-2H-pyran-4-yl]sulfonyl]phenoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 35 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:271331 HCAPLUS

DOCUMENT NUMBER: 130:311803

TITLE: Preparation of aminobutanoic acid derivatives as inhibitors of matrix metalloproteinases

INVENTOR(S): Takahashi, Kanji; Sugiura, Tsuneyuki

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 557 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

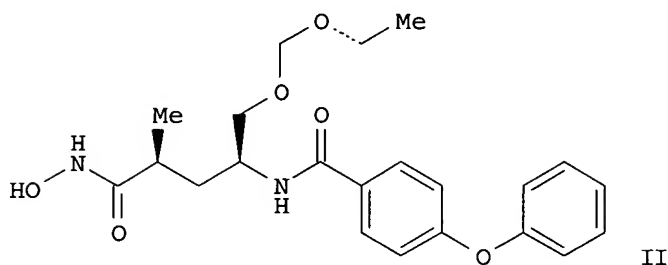
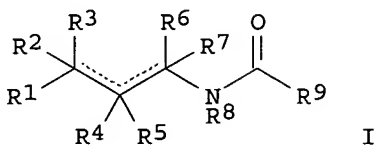
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.    | KIND   | DATE     | APPLICATION NO.   | DATE         |
|---------------|--|----------|-------------------|--------------|
| WO 9919296    | A1   | 19990422 | WO 1998-JP4529    | 19980907 <-- |
| W:            | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                   |              |
| RW:           | GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG   |          |                   |              |
| EP 1024134    | A1   | 20000802 | EP 1998-947771    | 19980907 <-- |
| R:            | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI   |          |                   |              |
| JP 3155536    | B2   | 20010409 | JP 2000-515869    | 19980907 <-- |
| ZA 9809113    | A  | 19990414 | ZA 1998-9113      | 19981006 <-- |
| CA 2305463    | AA   | 19990422 | CA 1998-2305463   | 19981007 <-- |
| AU 9894580    | A1   | 19990503 | AU 1998-94580     | 19981007 <-- |
| AU 760181     | B2   | 20030508 |                   |              |
| BR 9812807    | A  | 20001017 | BR 1998-12807     | 19981007 <-- |
| TR 200001732  | T2   | 20010122 | TR 2000-200001732 | 19981007 <-- |
| JP 2001172245 | A2   | 20010626 | JP 2000-322746    | 19981007 <-- |
| JP 3470692    | B2   | 20031125 |                   |              |
| TR 200101019  | T2   | 20020621 | TR 2001-200101019 | 19981007 <-- |
| TR 200101020  | T2   | 20020621 | TR 2001-200101020 | 19981007 <-- |
| NZ 503789     | A  | 20021126 | NZ 1998-503789    | 19981007 <-- |
| JP 2003212831 | A2   | 20030730 | JP 2002-344969    | 19981007 <-- |



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| RU 2215735             | C2 | 20031110 | RU 2000-111472    | 19981007 <-- |
| TW 568897              | B  | 20040101 | TW 1998-87116686  | 19981009 <-- |
| NO 2000001813          | A  | 20000609 | NO 2000-1813      | 20000407 <-- |
| MX 200003465           | A  | 20001113 | MX 2000-3465      | 20000407 <-- |
| US 6420427             | B1 | 20020716 | US 2000-529056    | 20000407 <-- |
| PRIORITY APPLN. INFO.: |    |          | JP 1997-291834    | A 19971009   |
|                        |    |          | JP 1998-28533     | A 19980210   |
|                        |    |          | JP 2000-515869    | A3 19980907  |
|                        |    |          | WO 1998-JP4529    | W 19980907   |
|                        |    |          | JP 2000-322746    | A3 19981007  |
| OTHER SOURCE(S):       |    |          | MARPAT 130:311803 |              |
| GI                     |    |          |                   |              |



AB Aminobutanoic acid derivs. represented by general formula (I) and salts thereof [wherein R1 = CO2R10, CONHOR10, CONHNHR10, (CH2)nSR50, Y-P(:O)(OR51)2; R10 = H, C1-8 alkyl, Ph, phenyl- or C1-8 alkoxy-C1-8 alkyl, PhO2C, PhCH2O2C, C1-8 alkoxy-carbonyl; wherein n = 0-3; R50 = H, C1-8 alkyl, C1-8-alkyl-carbonyl, PhCO, SH, C1-8 alkylthio, SPh; R51 = H, C1-8 alkyl, Ph; Y = single bond, CH2, O; R2-R7 = H, C2-8 alkenyl, (un)substituted SH, OH, or NH2, CO2H, C1-8 alkyl-carbonyl, C1-8 alkoxy-carbonyl, (un)substituted carbocyclyl or heterocyclyl, (un)substituted C1-8 alkyl or C2-8 alkenyl; or R3 and R4 or R5 and R6 together represents C1-8 alkylene; or R2 and R3, R4 and R5, or R6 and R7 together represent C2-8 alkylene; when R8 = H, (un)substituted C1-8 alkyl, or C1-8 alkoxy-carbonyl, R9 = (un)substituted carbocyclyl; or when R8 = (un)substituted carbocyclyl or heterocyclyl, R9 = (un)substituted C1-8 alkyl or C1-8 alkoxy, (un)substituted carbocyclyl; M = C1-8 alkylene; J = single bond, O, S, NH, C1-8 alkyl-N] are prepared and claimed. Also claimed are matrix metalloproteinases containing I as the active ingredients and drugs containing I as the active ingredients for the prevention and/or treatment of rheumatism, osteoarthritis, pathol. bone resorption, osteoporosis, periodontal diseases, interstitial nephritis, arteriosclerosis, pulmonary emphysema, hepatic cirrhosis, corneal injury, diseases due to metastasis and infiltration of cancer cells or proliferation thereof, autoimmune diseases (such as Crohn's disease and Sjogren's disease), diseases due to transmigration of white blood cells or infiltration thereof, neovascularization, multiple sclerosis, aortic aneurysm, or endometritis. For example, the title compound (II) showed IC50 of 26 nM against human stromelysin. A table and an ampule formulation containing II were described.

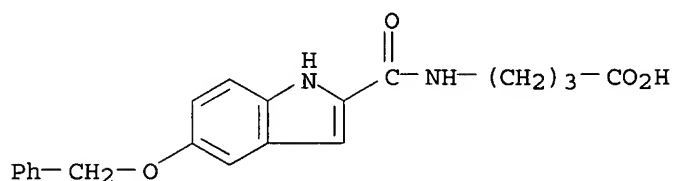
IT 223466-05-5P 223466-31-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminobutanoic acid derivs. as inhibitors of matrix metalloproteinases for prevention and treatment of diseases)

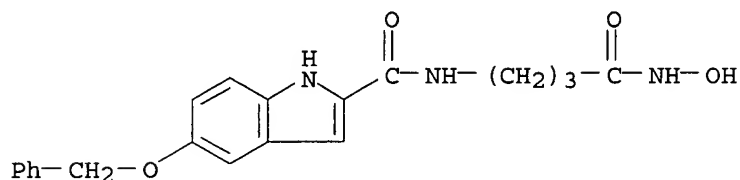
RN 223466-05-5 HCAPLUS

CN Butanoic acid, 4-[[[5-(phenylmethoxy)-1H-indol-2-yl]carbonyl]amino]- (9CI)  
(CA INDEX NAME)



RN 223466-31-7 HCAPLUS

CN 1H-Indole-2-carboxamide, N-[4-(hydroxyamino)-4-oxobutyl]-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 36 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:222914 HCAPLUS

DOCUMENT NUMBER: 130:267341

TITLE: Preparation of oxindoles as protein tyrosine kinase and protein serine/threonine kinase inhibitors.

INVENTOR(S): Davis, Stephen Thomas; Dickerson, Scott Howard; Frye, Stephen Vernon; Harris, Philip Anthony; Hunter, Robert Neil, III; Kuyper, Lee Frederick; Lackey, Karey Elizabeth; Luzzio, Michael Joseph; Veal, James Marvin; Walker, Duncan Herrick

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 133 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND  | DATE     | APPLICATION NO. | DATE         |
|------------|---|----------|-----------------|--------------|
| WO 9915500 | A1  | 19990401 | WO 1998-EP5559  | 19980903 <-- |
| W:         | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, |          |                 |              |

NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,  
 UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,  
 FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,  
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|            |    |          |                  |              |
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| TW 520358  | B  | 20030211 | TW 1998-87113993 | 19980825 <-- |
| CA 2302572 | AA | 19990401 | CA 1998-2302572  | 19980903 <-- |
| AU 9897407 | A1 | 19990412 | AU 1998-97407    | 19980903 <-- |
| AU 747506  | B2 | 20020516 |                  |              |
| ZA 9808078 | A  | 20000322 | ZA 1998-8078     | 19980903 <-- |
| EP 1009738 | A1 | 20000621 | EP 1998-951342   | 19980903 <-- |
| EP 1009738 | B1 | 20040519 |                  |              |

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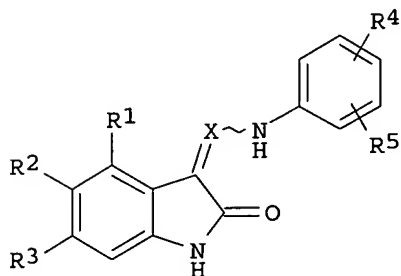
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| TR 200001174  | T2 | 20000821 | TR 2000-200001174 | 19980903 <-- |
| BR 9812048    | A  | 20000926 | BR 1998-12048     | 19980903 <-- |
| EE 200000117  | A  | 20001215 | EE 2000-200000117 | 19980903 <-- |
| JP 2001517652 | T2 | 20011009 | JP 2000-512809    | 19980903 <-- |
| AT 267170     | E  | 20040615 | AT 1998-951342    | 19980903 <-- |
| ES 2221211    | T3 | 20041216 | ES 1998-951342    | 19980903     |
| US 6369086    | B1 | 20020409 | US 1999-262351    | 19990304 <-- |
| MX 200002254  | A  | 20001030 | MX 2000-2254      | 20000303 <-- |
| US 6387919    | B1 | 20020514 | US 2000-486960    | 20000606 <-- |
| US 2003004351 | A1 | 20030102 | US 2001-924431    | 20010808 <-- |
| US 6541503    | B2 | 20030401 |                   |              |
| US 2003069430 | A1 | 20030410 | US 2001-999331    | 20011130 <-- |

PRIORITY APPLN. INFO.:

|                |    |          |
|----------------|----|----------|
| GB 1997-18913  | A  | 19970905 |
| WO 1998-EP5559 | W  | 19980903 |
| US 1999-262351 | A3 | 19990304 |
| US 2000-486960 | A3 | 20000606 |

OTHER SOURCE(S): MARPAT 130:267341

GI



I

AB Title compds. [I; X = N, CH, CCF3, CA; A = aliphatic; R1 = H, SH, OH, HOA, heterocyclyl, AHN, A2N, A2NCO, halo, cyano, NO2, etc.; R2 = H, A, HONA, alkoxy, HOA, heterocyclyl, A2NSO2, halo, NO2, OH, ASO2, etc.; R3 = H, A, OH, HOA, A2N, aryl, aryloxy, hydroxyaryl, heterocyclyl, hydroxyheterocyclyl, etc.; R4 = SO3H, SO2A, A2N, A2NCO, heterocyclylamino, heterocyclylsulfonyl, etc.; R5 = H; R1R2, R4R5 = fused ring], were prepared. Thus, (Z)-N-(3-hydroxy-2,2-dimethylpropyl)-4-[(7-oxo-6,7-dihydro-1-thia-3,6-diaza-as-indacen-8-ylidenemethyl)amino]benzenesulfonamide [prepared from 8-ethoxymethylene-6,8-dihydro-1-thia-3,6-diaza-as-indacen-7-one and 4-amino-N-(3-hydroxy-2,2-dimethylpropyl)benzenesulfonamide] inhibited protein kinases CDK1, CDK2, and UL97 with IC50 = 1-10 nM.

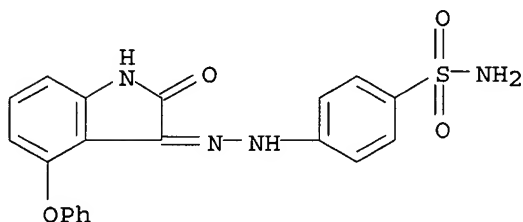
IT 222034-96-0P 222035-48-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of oxindoles as protein tyrosine kinase and protein  
 serine/threonine kinase inhibitors)

RN 222034-96-0 HCAPLUS

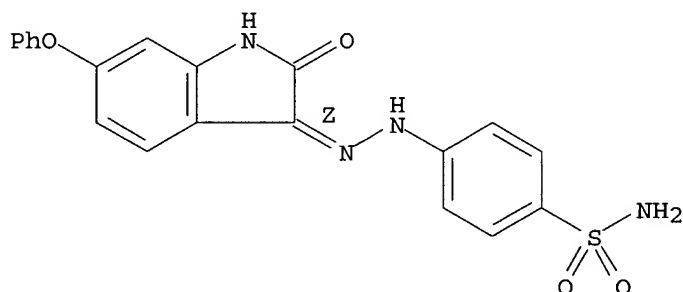
CN Benzenesulfonamide, 4-[(1,2-dihydro-2-oxo-4-phenoxy-3H-indol-3-ylidene)hydrazino]- (9CI) (CA INDEX NAME)



RN 222035-48-5 HCAPLUS

CN Benzenesulfonamide, 4-[(2Z)-(1,2-dihydro-2-oxo-6-phenoxy-3H-indol-3-ylidene)hydrazino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



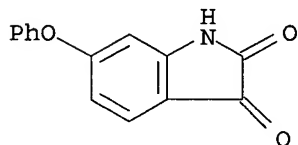
IT 222036-24-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)

(preparation of oxindoles as protein tyrosine kinase and protein  
 serine/threonine kinase inhibitors)

RN 222036-24-0 HCAPLUS

CN 1H-Indole-2,3-dione, 6-phenoxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

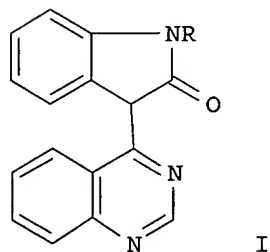
L18 ANSWER 37 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:756964 HCAPLUS

DOCUMENT NUMBER: 128:22920

TITLE: Oxindolylquinazoline derivatives as  
**angiogenesis** inhibitors  
 INVENTOR(S): Thomas, Andrew Peter; Hennequin, Laurent Francois  
 Andre; Lohmann, Jean-jacques Marcel; Ple, Patrick  
 PATENT ASSIGNEE(S): Zeneca Limited, UK; Zeneca Pharma S.A.; Thomas, Andrew  
 Peter; Hennequin, Laurent Francois Andre; Lohmann,  
 Jean-Jacques Marcel; Ple, Patrick  
 SOURCE: PCT Int. Appl., 164 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE             | APPLICATION NO. | DATE         |
|---|------|------------------|-----------------|--------------|
| WO 9742187  | A1   | 19971113         | WO 1997-GB1211  | 19970502 <-- |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |                  |                 |              |
| RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG  |      |                  |                 |              |
| AU 9726475  | A1   | 19971126         | AU 1997-26475   | 19970502 <-- |
| EP 912557   | A1   | 19990506         | EP 1997-918293  | 19970502 <-- |
| EP 912557   | B1   | 20030709         |                 |              |
| R: CH, DE, FR, GB, IT, LI   |      |                  |                 |              |
| JP 2000510115   | T2   | 20000808         | JP 1997-539644  | 19970502 <-- |
| ZA 9703844  | A    | 19971106         | ZA 1997-3844    | 19970505 <-- |
| US 6265411  | B1   | 20010724         | US 1998-180310  | 19981106 <-- |
| PRIORITY APPLN. INFO.:  |      |                  | EP 1996-400956  | A 19960506   |
|   |      |                  | EP 1996-400957  | A 19960506   |
|   |      |                  | EP 1996-402762  | A 19961217   |
|   |      |                  | EP 1996-402763  | A 19961217   |
|   |      |                  | WO 1997-GB1211  | W 19970502   |
| OTHER SOURCE(S):  |      | MARPAT 128:22920 |                 |              |
| GI  |      |                  |                 |              |



AB Title compds. I [R = H, alkyl, alkoxymethyl, dialkoxymethyl, alkanoyl and the benzene rings may be further substituted] were prepared for use in inhibiting **angiogenesis** and reducing vascular permeability (no data). Thus, 4,5-dimethoxyanthranilic acid was converted to 6,7-dimethoxyquinazoline by treatment with HCONH<sub>2</sub> and was treated with

1-methyloxindole to give 6,7-dimethoxy-4-(1-methyl-3-oxindolyl)quinazoline.

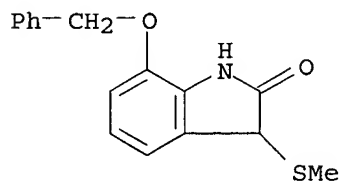
IT 74864-80-5 156232-24-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of oxindolylquinazoline derivs. as **angiogenesis** and vascular permeability inhibitors)

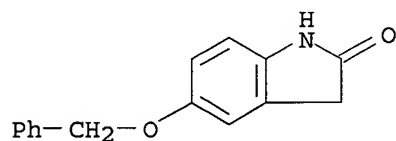
RN 74864-80-5 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-(methylthio)-7-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 156232-24-5 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



IT 74864-81-6P 199327-49-6P 199327-51-0P

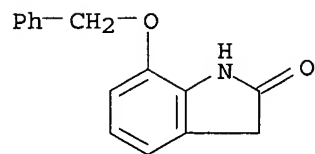
199327-57-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of oxindolylquinazoline derivs. as **angiogenesis** and vascular permeability inhibitors)

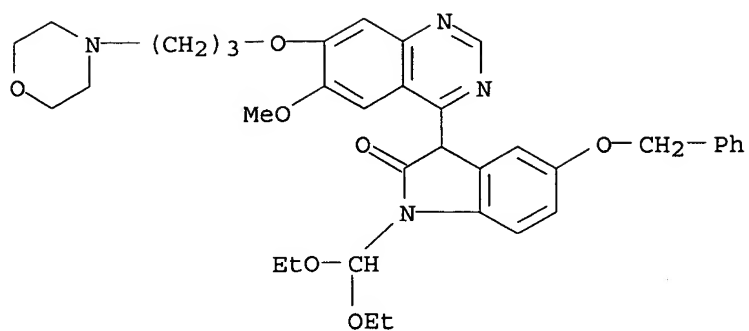
RN 74864-81-6 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-7-(phenylmethoxy)- (9CI) (CA INDEX NAME)



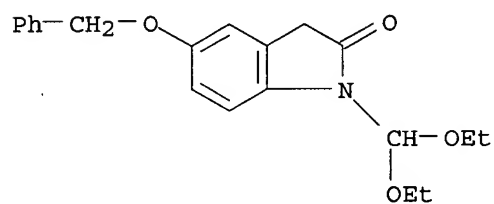
RN 199327-49-6 HCAPLUS

CN 2H-Indol-2-one, 1-(diethoxymethyl)-1,3-dihydro-3-[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



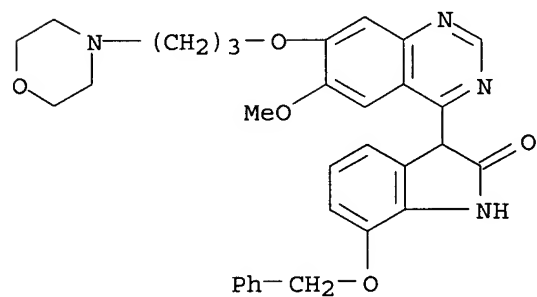
RN 199327-51-0 HCAPLUS

CN 2H-Indol-2-one, 1-(diethoxymethyl)-1,3-dihydro-5-(phenylmethoxy)- (9CI)  
(CA INDEX NAME)

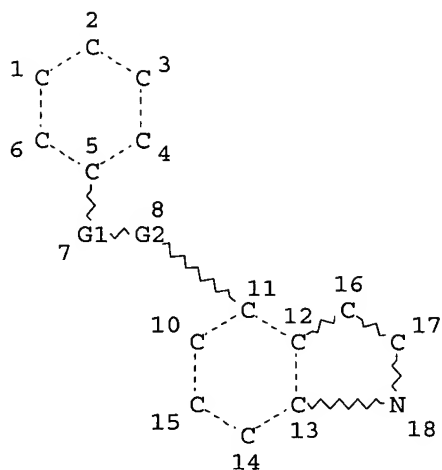


RN 199327-57-6 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]-7-(phenylmethoxy)- (9CI) (CA INDEX NAME)



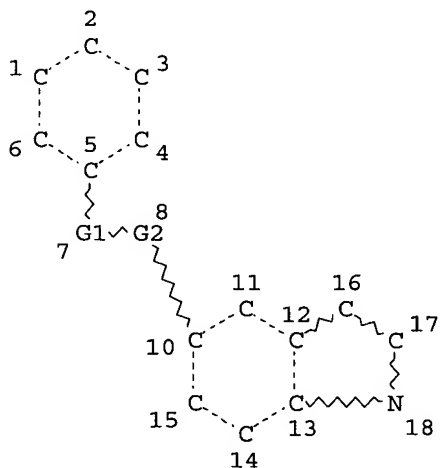
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L3 STR



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 DEFAULT MLEVEL IS ATOM  
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GRAPH ATTRIBUTES:  
 RING(S) ARE ISOLATED OR EMBEDDED  
 NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE  
 L5 STR

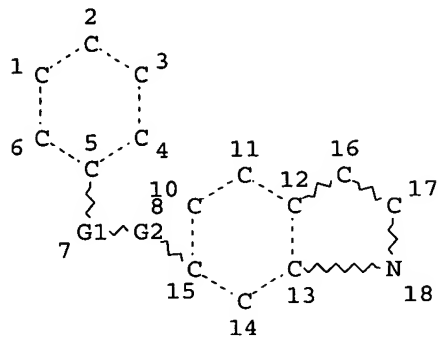


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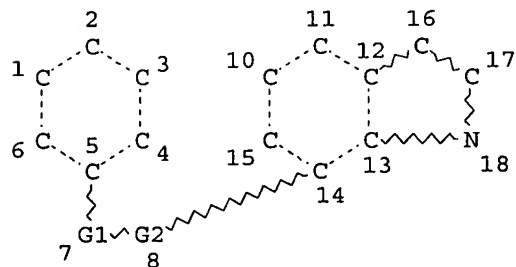
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DEFAULT ECLEVEL IS LIMITED

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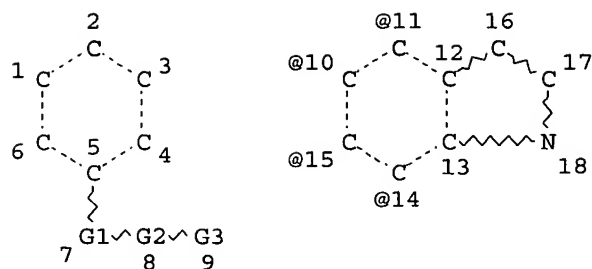
STEREO ATTRIBUTES: NONE  
L9 STR



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DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE  
L12 11678 SEA FILE=REGISTRY SSS FUL L3 OR L5 OR L7 OR L9  
L13 STR



REP G1=(0-1) CH2  
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 VAR G3=10/11/14/15

## NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM  
 DEFAULT ECLEVEL IS LIMITED

## GRAPH ATTRIBUTES:

RSPEC I  
 NUMBER OF NODES IS 18

## STEREO ATTRIBUTES: NONE

L14 7996 SEA FILE=REGISTRY SUB=L12 SSS FUL L13  
 L15 1916 SEA FILE=HCAPLUS ABB=ON PLU=ON L14  
 L16 30199 SEA FILE=HCAPLUS ABB=ON PLU=ON ANGIOGENESIS/CV OR ?ANGIOGENE?  
  
 L17 44 SEA FILE=HCAPLUS ABB=ON PLU=ON L15 AND L16  
 L18 37 SEA FILE=HCAPLUS ABB=ON PLU=ON L17 AND PD=<SEPTEMBER 29, 2004  
 L19 41 SEA FILE=HCAPLUS ABB=ON PLU=ON ("ARNOULD J"/AU OR "ARNOULD J C"/AU) OR ("ARNOULD JEAN"/AU OR "ARNOULD JEAN C"/AU OR "ARNOULD JEAN CLAUDE"/AU)  
 L20 3 SEA FILE=HCAPLUS ABB=ON PLU=ON L19 AND L15  
 L21 0 SEA FILE=HCAPLUS ABB=ON PLU=ON L20 NOT L18  
 L22 38 SEA FILE=HCAPLUS ABB=ON PLU=ON L19 NOT L18  
 L23 38 SEA FILE=HCAPLUS ABB=ON PLU=ON L21 OR L22

=>

=> d ibib abs hitstr l23 1-38

L23 ANSWER 1 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:1170531 HCAPLUS

DOCUMENT NUMBER: 143:440254

TITLE: Preparation of 3,4-disubstituted maleimides derivatives as vascular damaging agents

INVENTOR(S): Arnould, Jean-Claude; Harris, Craig Steven; Boyle, Francis Thomas; Gibson, Keith Hopkinson

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 77 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|------|-----------------|------|
|------------|------|------|-----------------|------|

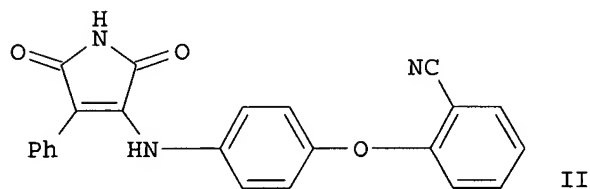
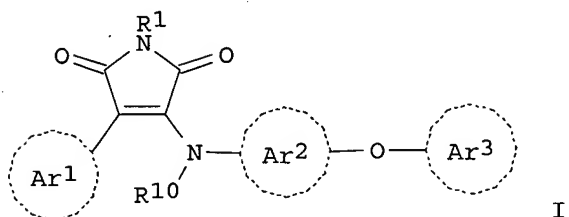
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 WO 2005102997      A1      20051103      WO 2005-GB1553      20050422  
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,  
 CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,  
 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,  
 LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,  
 NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL,  
 SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA,  
 ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
 EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,  
 RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,  
 MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

EP 2004-291074

A 20040426

GI



AB Title compds. represented by the formula I [wherein Ar1 = (un)substituted Ph, heteroaryl or heterocyclyl; Ar2 = (un)substituted Ph or heteroaryl; Ar3 = (un)substituted heteroaryl; R1 = H, Me, carboxy, alkyl, etc.; R10 = H or alkyl; and their salts thereof] were prepared as vascular damaging agents. For example, reaction of (preparation given) with 3-chloro-4-phenylpyrrole-2,5-dione provided II in 91% yield. II showed inhibition of colchicine binding by 80% at a concentration of 10  $\mu$ M. Thus, I and their pharmaceutical compns. are useful as vascular damaging agents for the treatment of angiogenesis or disease states associated with angiogenesis.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 2 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:962266 HCAPLUS

DOCUMENT NUMBER: 143:266908

TITLE: Preparation of substituted thieno[2,3-b]pyrroles as antagonists of GnRH

INVENTOR(S): Arnould, Jean-Claude; Harris, Craig Steven; Jones, Paul

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 98 pp.

DOCUMENT TYPE: CODEN: PIXXD2  
 LANGUAGE: Patent  
 FAMILY ACC. NUM. COUNT: English  
 PATENT INFORMATION: 1

| PATENT NO.    | KIND   | DATE     | APPLICATION NO. | DATE     |
|---------------|--|----------|-----------------|----------|
| WO 2005080402 | A1   | 20050901 | WO 2005-GB568   | 20050217 |
| W:            | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |          |                 |          |
| RW:           | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |          |

PRIORITY APPLN. INFO.: EP 2004-290466 A 20040220  
 OTHER SOURCE(S): MARPAT 143:266908  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [R1 = H, alkyl, aryl, etc.; R2 = H, alkyl, etc.; R3 = alkyl, alkylamino, etc.; R4 = H, alkyl, halo; R5 = alkylcarboxamido, carboxamido, acyl, etc.] are prepared For instance, II is prepared in 3 steps from III, 3-benzhydrylazetid-3-carboxylic acid and 2-formylthiophene. Compds. of the invention have GnRH activity at a concentration of 1 nM to 5  $\mu$ M. I are useful for treating a sex hormone related condition.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 3 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:792784 HCAPLUS

TITLE: Apparatus for supporting and bending glass-sheets and application for making curved tempered glass-sheets

INVENTOR(S): Arnould, Jean; Pommera, Christian

PATENT ASSIGNEE(S): Saint-Gobain Vitrage International, Fr.

SOURCE: Eur. Pat. Appl., No pp. given

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| EP 452206   | A1   | 19911016 | EP 1991-400947  | 19910409 |
| EP 452206   | B1   | 19941012 |                 |          |
| R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE |      |          |                 |          |
| CA 2039957  | AA   | 19911014 | CA 1991-2039957 | 19910408 |
| CA 2039957  | C    | 20020402 |                 |          |
| ES 2064935  | T3   | 19950201 | ES 1991-400947  | 19910409 |
| US 5292357  | A    | 19940308 | US 1991-684376  | 19910412 |

Grazier 10\_509633

JP 04228434 A2 19920818 JP 1991-171568 19910415  
PRIORITY APPLN. INFO.: FR 1990-4806 A 19900413  
AB Unavailable

L23 ANSWER 4 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:182888 HCAPLUS

DOCUMENT NUMBER: 140:235695

TITLE: Preparation of 6H-thieno[2,3-b]pyrrole derivatives as  
antagonists of gonadotropin-releasing hormone (GnRh)  
for treating sex hormone related conditions

INVENTOR(S): Foote, Kevin Michael; Matusiak, Zbigniew; Dossetter,  
Alexander Graham; Arnould, Jean Claude;  
Lamorlette, Maryannick Andree; Delouvrie, Benedicte;  
Hamon, Annie

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited

SOURCE: PCT Int. Appl., 215 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

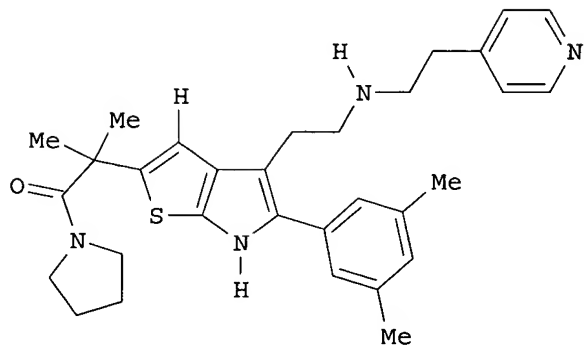
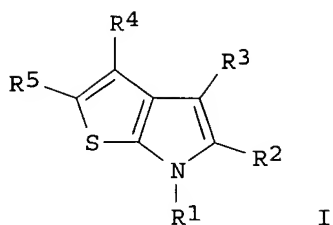
PATENT INFORMATION:

| PATENT NO.    | KIND   | DATE     | APPLICATION NO. | DATE     |
|---------------|--|----------|-----------------|----------|
| -----         | ----   | -----    | -----           | -----    |
| WO 2004018480 | A1   | 20040304 | WO 2003-GB3631  | 20030819 |
| W:            | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |          |                 |          |
| RW:           | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |          |
| EP 1543012    | A1   | 20050622 | EP 2003-792485  | 20030819 |
| R:            | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK   |          |                 |          |

PRIORITY APPLN. INFO.: EP 2002-292074 A 20020821  
WO 2003-GB3631 W 20030819

OTHER SOURCE(S): MARPAT 140:235695

GI



II

AB Title compds. I [R<sup>1</sup> = H, (un)substituted-alkyl, -alkanoyl, -aryl, or -arylalkyl; R<sup>2</sup> = (un)substituted mono or bicyclic aromatic ring; R<sup>3</sup> = arylalkylaminoalkyl, arylheterocyclalkyl, heterocyclalkylheterocyclalkyl, etc.; R<sup>4</sup> = H, (un)substituted-alkyl, -aryl, CN, halo, etc.; R<sup>5</sup> = heterocyclalkylcarbonylalkyl, halo, H, etc.] and their pharmaceutically acceptable salts are prepared and disclosed as gonadotropin releasing hormone antagonists. Thus, e.g., II, was prepared in a multistep synthesis from Et thiophen-2-ylacetate. In test assays, I possessed activity at concns. from 1nM to 5  $\mu$ M.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 5 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:182887 HCAPLUS

DOCUMENT NUMBER: 140:235694

TITLE: Preparation of thieno-pyrrole compounds as antagonists of gonadotropin releasing hormone

INVENTOR(S): Arnould, Jean Claude

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited

SOURCE: PCT Int. Appl., 74 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|--|------|----------|-----------------|----------|
| WO 2004018479  | A1   | 20040304 | WO 2003-GB3603  | 20030818 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, |      |          |                 |          |

PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,  
 TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,  
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 EP 1532154 A1 20050525 EP 2003-748242 20030818  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  
 PRIORITY APPLN. INFO.: EP 2002-292076 A 20020821  
 WO 2003-GB3603 W 20030818  
 OTHER SOURCE(S): MARPAT 140:235694  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [A = bond or (un)substituted alkylene; R1 = H,  
 (un)substituted alkyl, cycloalkyl, or cycloalkylalkyl; R2 =  
 (un)substituted mono- or bicyclic aromatic ring structure; R4 = H; R5 =  
 (un)substituted heterocyclic ring containing 1-4 heteroatoms selected from O,  
 N and S, hydroxyalkyl, alkylcarbonyl, etc.; R3 and R3a = independently H,  
 (un)substituted alkyl or together represent a carbonyl; R7 = H or  
 (un)substituted alkyl; R8 and X = when X represents CH, R8 represents NO2,  
 when X represents N, R8 is selected from CN, OH, H, alkoxy, etc., or the  
 combination XR8 equals CO] are prepared and disclosed as compds. useful as  
 gonadotropin releasing hormone antagonists. Thus, e.g., II was prepared via  
 condensation of 2-[2-(1,1-dimethyl-2-oxo-2-pyrrolidin-1-ylethyl)-5-(3,5-  
 dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]ethylamine (preparation given) with  
 diphenyl-N-cyanocarbonimidate and subsequent substitution with  
 3-(pyridin-4-yl)pyrrolidine. I have activity at a concentration from 1nM to  
 5µM. The invention also relates to pharmaceutical formulations of said  
 compds., methods of treatment using said compds. and to processes for the  
 preparation of said compds.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 6 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:90033 HCAPLUS

DOCUMENT NUMBER: 136:151337

TITLE: Preparation of colchinel derivatives as angiogenesis  
 inhibitors

INVENTOR(S): Arnould, Jean Claude

PATENT ASSIGNEE(S): Angiogene Pharmaceuticals Limited, UK

SOURCE: PCT Int. Appl., 57 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.    | KIND  | DATE     | APPLICATION NO. | DATE     |
|---------------|---|----------|-----------------|----------|
| WO 2002008213 | A1  | 20020131 | WO 2001-GB2964  | 20010704 |
| W:            | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, |          |                 |          |

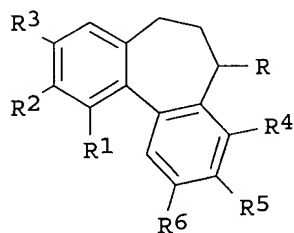
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 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

|  |    |          |                 |          |
|--|----|----------|-----------------|----------|
| CA 2410562   | AA | 20020131 | CA 2001-2410562 | 20010704 |
| EP 1301498   | A1 | 20030416 | EP 2001-943701  | 20010704 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,<br>IE, SI, LT, LV, FI, RO, MK, CY, AL, TR |    |          |                 |          |
| BR 2001012225  | A  | 20030506 | BR 2001-12225   | 20010704 |
| JP 2004504391  | T2 | 20040212 | JP 2002-514119  | 20010704 |
| NZ 522661  | A  | 20040730 | NZ 2001-522661  | 20010704 |
| EE 200300015   | A  | 20041015 | EE 2003-15      | 20010704 |
| ZA 2002009778  | A  | 20040302 | ZA 2002-9778    | 20021202 |
| NO 2003000055  | A  | 20030106 | NO 2003-55      | 20030106 |
| US 2003195173  | A1 | 20031016 | US 2003-332271  | 20030107 |
| US 6720323   | B2 | 20040413 |                 |          |
| US 2004142909  | A1 | 20040722 | US 2003-705198  | 20031112 |
| US 6846925   | B2 | 20050125 |                 |          |

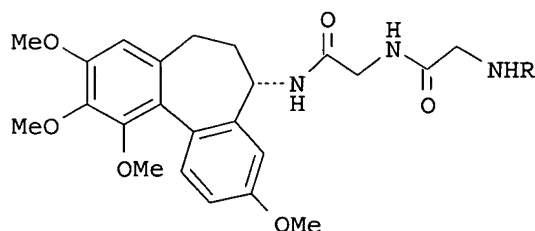
PRIORITY APPLN. INFO.:

|                |    |          |
|----------------|----|----------|
| EP 2000-401976 | A  | 20000707 |
| EP 2000-401977 | A  | 20000707 |
| WO 2001-GB2964 | W  | 20010704 |
| US 2003-332271 | A1 | 20030107 |

OTHER SOURCE(S): MARPAT 136:151337  
 GI



I



II

AB Colchicinol derivs., such as I [R1-R3 = OH, phosphoryloxy, alkoxy, ester; R4-R6 = alkoxy; R = N(R7)-A-[CH(Ra)]a-B-[CH(Rb)]b-D; A = CO, ester, CONR8; R8 = H, alkyl, alkoxyalkyl, aminoalkyl, hydroxyalkyl; a = an integer from 1 to 4 inclusive; Ra, Rb = H, OH, amino; B = O, CO, N(R9)CO, CON(R9), N(R9)C(O)O, N(R9)CON(R10), N(R9)SO2, SO2N(R9), a direct single bond; R7, R9, R10 = H, alkyl, alkoxyalkyl, aminoalkyl, hydroxyalkyl; b = O or an integer from 1 to 4 inclusive; D = carboxy, sulfo, tetrazolyl, imidazolyl, phosphoryloxy, hydroxy, amino, N-(alkyl)amino, N,N-di(alkyl)amino, etc.], and pharmaceutically acceptable salt, solvate or pro-drug thereof, were prepared for their use as vascular damaging agents. Thus, reaction between colchicinol I [R1-R3, R5 = OMe; R4, R6 = H; R = NH2] and 2[2-(tert-butoxycarbonylamino)acetylamin]acetic acid yielded II (R = BOC) which on treatment with TFA afforded colchicinol derivative II (R = H). The prepared colchicinol derivs. were tested against s.c. CaNT tumors.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 7 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:51447 HCAPLUS

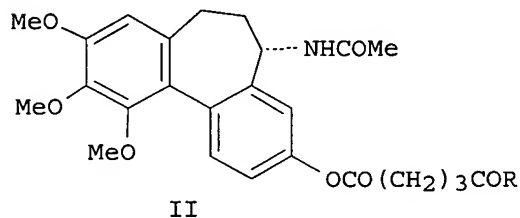
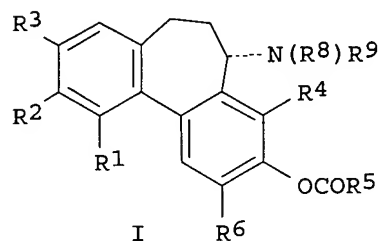
DOCUMENT NUMBER: 136:102557

TITLE: Preparation of colchicinol derivatives as vascular



damaging agents  
 INVENTOR(S): **Arnould, Jean Claude; Lamorlette, Maryannick**  
 Andree  
 PATENT ASSIGNEE(S): Angiogene Pharmaceuticals Limited, UK  
 SOURCE: PCT Int. Appl., 82 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO. | DATE       |
|------------------------|--|----------|-----------------|------------|
| WO 2002004434          | A1   | 20020117 | WO 2001-GB2966  | 20010704   |
| W:                     | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                 |            |
| RW:                    | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG   |          |                 |            |
| CA 2411160             | AA   | 20020117 | CA 2001-2411160 | 20010704   |
| EP 1301497             | A1   | 20030416 | EP 2001-943702  | 20010704   |
| R:                     | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |          |                 |            |
| BR 2001012224          | A  | 20030610 | BR 2001-12224   | 20010704   |
| JP 2004502766          | T2   | 20040129 | JP 2002-509300  | 20010704   |
| NZ 522861              | A  | 20040730 | NZ 2001-522861  | 20010704   |
| ZA 2002009776          | A  | 20040302 | ZA 2002-9776    | 20021202   |
| NO 2003000056          | A  | 20030106 | NO 2003-56      | 20030106   |
| PRIORITY APPLN. INFO.: |  |          | EP 2000-401978  | A 20000707 |
|                        |  |          | WO 2001-GB2966  | W 20010704 |
| OTHER SOURCE(S):       | MARPAT 136:102557  |          |                 |            |
| GI                     |  |          |                 |            |



AB Colchicinol derivs., such as I [R1 - R3 = OH, phosphoryloxy, alkoxy; R4 = R6 = H, NO2, NH2, alkylamino, OH, F, alkoxy, alkyl; R5 = A-X-Y-B; A = alkylene, (CH2)<sub>p</sub>-Q; p = 1-2; Q = phenylene, thienylene; X = O, CO, ester, amide, amino, etc.; Y = alkylene; B = carboxy, sulfo, phosphoryloxy, hydroxy, amino, heterocyclic group, etc.; R8 = CO, ester, amino, amide, SO2, etc.; R9 = H, alkyl], and pharmaceutically acceptable salt, solvate or pro-drug thereof, were prepared for their use as vascular damaging agents in a warm blooded animal. Thus, reaction between glutaric anhydride and N-acetylpiperazine yielded 5-(4-acetylpiperazin-1-yl)-5-oxopentanoic acid which on condensation with N-acetyl colchicinol afforded colchicinol derivative II

(R = 4-acetylpiperazin-1-yl). The prepared colchinel derivs. were tested against s.c. CaNT tumors.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 8 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:475616 HCAPLUS

DOCUMENT NUMBER: 133:89673

TITLE: Preparation of colchinel derivatives for use as vascular damaging agents

INVENTOR(S): Davis, Peter David; Arnould, Jean-Claude; Boyle, Francis Thomas

PATENT ASSIGNEE(S): Angiogene Pharmaceuticals Ltd., UK

SOURCE: PCT Int. Appl., 136 pp.

CODEN: PIXXD2

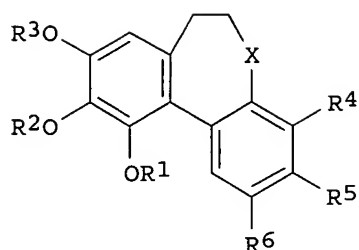
DOCUMENT TYPE: Patent

LANGUAGE: English

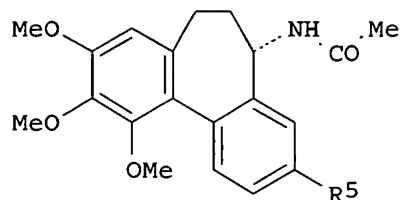
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO. | DATE       |
|------------------------|--|----------|-----------------|------------|
| WO 2000040529          | A1   | 20000713 | WO 1999-GB4436  | 19991224   |
| W:                     | AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                 |            |
| RW:                    | GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG   |          |                 |            |
| CA 2355302             | AA   | 20000713 | CA 1999-2355302 | 19991224   |
| EP 1140745             | A1   | 20011010 | EP 1999-962468  | 19991224   |
| EP 1140745             | B1   | 20031022 |                 |            |
| R:                     | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO   |          |                 |            |
| BR 9916790             | A  | 20011204 | BR 1999-16790   | 19991224   |
| JP 2002534400          | T2   | 20021015 | JP 2000-592241  | 19991224   |
| AU 760830              | B2   | 20030522 | AU 2000-18823   | 19991224   |
| AT 252529              | E  | 20031115 | AT 1999-962468  | 19991224   |
| NZ 512398              | A  | 20031128 | NZ 1999-512398  | 19991224   |
| PT 1140745             | T  | 20040331 | PT 1999-962468  | 19991224   |
| ES 2211206             | T3   | 20040701 | ES 1999-962468  | 19991224   |
| ZA 2001005065          | A  | 20020920 | ZA 2001-5065    | 20010620   |
| NO 2001003367          | A  | 20010905 | NO 2001-3367    | 20010706   |
| PRIORITY APPLN. INFO.: |  |          | GB 1999-334     | A 19990107 |
|                        |  |          | WO 1999-GB4436  | W 19991224 |
| OTHER SOURCE(S):       | MARPAT 133:89673   |          |                 |            |
| GI                     |  |          |                 |            |



I



II

AB Colchicinol derivs., such as I [X = CO, CS, C:NOH, CHR7, etc.; R1, R2, R3 = H, phosphate, sulfate, alkyl, etc.; R4, R5, R6 = H, OH, NO2, NH2, phosphate, phosphonate, halogen, carboxy, carbamoyl, acyl, etc.; R7 = H, OH, alkoxy, amino, acylamino, etc.] were prepared and formulated for use as vascular damaging agents in the treatment of a number of disease states including cancer and rheumatoid arthritis. Thus, colchicinol derivative II [R5 = OCO(CH2)2NHCOC2NH2] was prepared starting from N-acetylcolchicinol,  $\beta$ -alanine Et ester hydrochloride, and N-(tert-butoxycarbonyl)glycine. Pharmaceutical compns. containing the prepared colchicinol derivs. were also presented.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 9 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:282206 HCAPLUS

DOCUMENT NUMBER: 130:325147

TITLE: Imidazole amine derivatives and their use as farnesyl protein transferase inhibitors

INVENTOR(S): Arnould, Jean-Claude

PATENT ASSIGNEE(S): Zeneca Limited, UK; Zeneca Pharma S.A.

SOURCE: PCT Int. Appl., 64 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

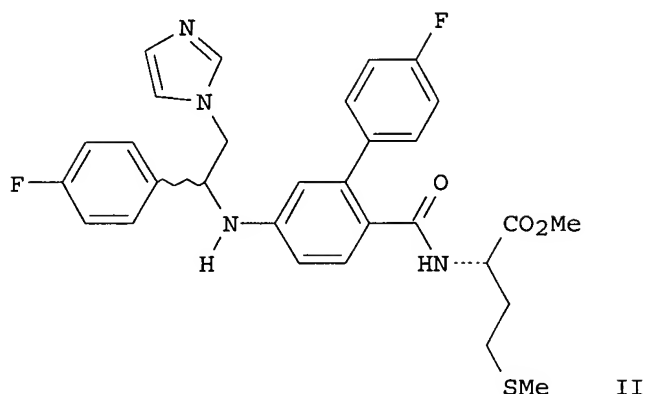
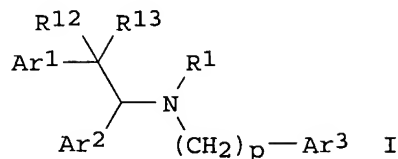
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE       |
|---|------|----------|-----------------|------------|
| WO 9920612  | A1   | 19990429 | WO 1998-GB3115  | 19981019   |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                 |            |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |            |
| AU 9894529  | A1   | 19990510 | AU 1998-94529   | 19981019   |
| EP 1025089  | A1   | 20000809 | EP 1998-947692  | 19981019   |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO   |      |          |                 |            |
| JP 2001520222   | T2   | 20011030 | JP 2000-516954  | 19981019   |
| US 6410539  | B1   | 20020625 | US 2000-509476  | 20000324   |
| PRIORITY APPLN. INFO.:  |      |          | EP 1997-402503  | A 19971022 |
|   |      |          | EP 1997-402504  | A 19971022 |
|   |      |          | WO 1998-GB3115  | W 19981019 |

OTHER SOURCE(S) : MARPAT 130:325147  
GI



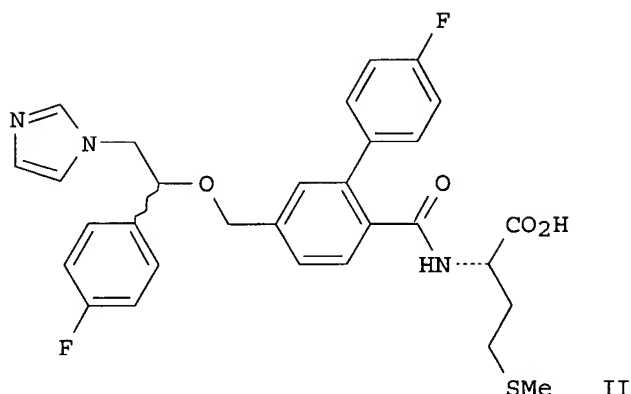
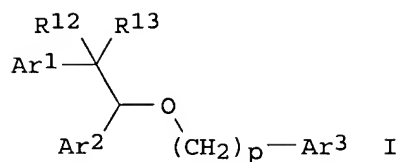
AB The invention relates to compds. I [Ar1 = certain (un)substituted 1H-imidazol-1-yl, -2-yl, or -5-yl subunits; R1 = H, C1-4 alkyl or alkanoyl; R12, R13 = H or C1-4 alkyl; Ar2 = Ph or heteroaryl; p = 0 or 1; Ar3 = (un)substituted Ph or certain 6-membered N heterocycles with 1 or 2 N atoms, and bearing groups R2 and -(CH2)nR3 which are attached to ring carbon atoms; R2 = CONHCR7R8COR9 or  $\gamma$ -butyrolacton-2-ylaminocarbonyl; n = 0, 1, or 2; R3 = Ph or heteroaryl; R7 = H or C1-4 alkyl; R8 = (CH2)qR10 where q = 0-4 and R10 = OH, alkylsulfanyl, alkoxy, (un)substituted carbamoyl, Ph, thienyl, etc.; R9 = OH, alkoxy, alkylsulfonylamino, etc.; with provisos] and their pharmaceutically-acceptable salts, prodrugs, or solvates. Also disclosed are processes for their preparation, their use as therapeutic agents, and pharmaceutical compns. containing them. As inhibitors of the farnesylation of ras proteins by farnesyl protein transferase (FPTase), I are particularly useful in cancer therapy. Over 20 synthetic examples are given. For instance, Me 4-amino-2-(4-fluorophenyl)benzoate underwent imine condensation with 1-(4-fluorophenyl)-2-(imidazol-1-yl)ethanone in the presence of TiCl4, followed by reduction with NaBH3CN (52%), hydrolysis of the Me ester (95%), and amidation with L-methionine Me ester HCl (80%), to give title compound II. Compds. I inhibited FPTase in vitro with IC50 values generally in the range of 0.0005-50  $\mu$ M.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 10 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 1999:282205 HCAPLUS  
DOCUMENT NUMBER: 130:325146  
TITLE: Imidazole ether derivatives and their use as farnesyl protein transferase inhibitors

INVENTOR(S): Arnould, Jean-Claude  
 PATENT ASSIGNEE(S): Zeneca Limited, UK; Zeneca-Pharma S.A.  
 SOURCE: PCT Int. Appl., 114 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO.   | DATE        |
|---|------|----------|-------------------|-------------|
| WO 9920611  | A1   | 19990429 | WO 1998-GB3117    | 19981019    |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                   |             |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  |      |          |                   |             |
| AU 9894530  | A1   | 19990510 | AU 1998-94530     | 19981019    |
| EP 1025088  | A1   | 20000809 | EP 1998-947694    | 19981019    |
| EP 1025088  | B1   | 20010905 |                   |             |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO   |      |          |                   |             |
| AT 205195   | E    | 20010915 | AT 1998-947694    | 19981019    |
| JP 2001524455   | T2   | 20011204 | JP 2000-516953    | 19981019    |
| ES 2163295  | T3   | 20020116 | ES 1998-947694    | 19981019    |
| PT 1025088  | T    | 20020130 | PT 1998-947694    | 19981019    |
| US 6342765  | B1   | 20020129 | US 2000-509210    | 20000324    |
| US 2002052376   | A1   | 20020502 | US 2001-955994    | 20010920    |
| US 2002058665   | A1   | 20020516 | US 2001-956005    | 20010920    |
| PRIORITY APPLN. INFO.:  |      |          | EP 1997-402502    | A 19971022  |
|   |      |          | EP 1997-402505    | A 19971022  |
|   |      |          | WO 1998-GB3117    | W 19981019  |
|   |      |          | US 2000-509210    | A3 20000324 |
| OTHER SOURCE(S):  |      |          | MARPAT 130:325146 |             |
| GI  |      |          |                   |             |



AB The invention relates to compds. I [wherein Ar1 = (un)substituted imidazol-1-yl, -2-yl, or -5-yl; R12 and R13 are independently H or C1-4 alkyl; Ar2 = Ph or heteroaryl; p = 0 or 1; Ar3 = Ph, pyridinyl, pyridazinyl, pyrimidyl or pyrazynyl, with the ring being substituted on ring C atoms by R2 and -(CH2)nR3, and with Ar3 being attached via a ring C atom; R2 = -CONHCR7R8COR9, or a  $\gamma$ -butyrolacton-2-ylaminocarbonyl group; n = 0, 1 or 2; R3 = Ph or heteroaryl] and the pharmaceutically acceptable salts, prodrugs, and solvates thereof. Also disclosed are processes for their preparation, their use as therapeutic agents, and pharmaceutical compns. containing them. As inhibitors of farnesyl protein transferase (FPTase), and particularly as inhibitors of the farnesylation of the protein ras, I are useful in cancer therapy. Approx. 90 compds. I were prepared. For instance, 2-(4-fluorophenyl)-4-[[2-(imidazol-1-yl)-1-(4-fluorophenyl)ethoxy]methyl]benzoic acid (preparation in 5 steps given) was amidated with L-methionine Me ester hydrochloride using EDC, HOBT, and N-methylmorpholine in CH2Cl2 (75% yield), followed by hydrolysis of the Me ester using aqueous NaOH in MeOH (65%), to give title compound II. As inhibitors of FPTase, I in general had IC50 values in the range of 0.0005 to 50  $\mu$ M, and II had an IC50 of 0.001  $\mu$ M.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 11 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:527321 HCAPLUS

DOCUMENT NUMBER: 129:161561

TITLE: Preparation of [(imidazolylalkenyl)benzamido]alkanoic acids and their analogs and derivatives as inhibitors of farnesyl protein transferase

INVENTOR(S): Arnould, Jean-Claude; Boyle, Francis Thomas; Davies, Gareth Morse; Wardleworth, James Michael

PATENT ASSIGNEE(S): Zeneca Limited, UK; Zeneca Pharma S.A.

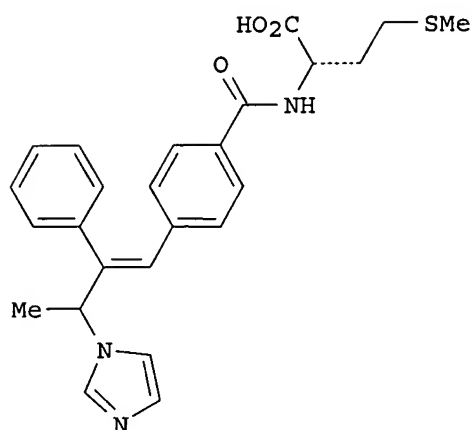
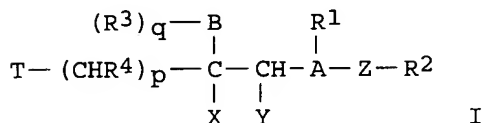
SOURCE: PCT Int. Appl., 128 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE              | APPLICATION NO. | DATE        |
|---|------|-------------------|-----------------|-------------|
| WO 9832741  | A1   | 19980730          | WO 1998-GB230   | 19980127    |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |                   |                 |             |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG  |      |                   |                 |             |
| AU 9857726  | A1   | 19980818          | AU 1998-57726   | 19980127    |
| EP 975603   | A1   | 20000202          | EP 1998-901389  | 19980127    |
| R: CH, DE, FR, GB, IT, LI, SE   |      |                   |                 |             |
| JP 2001509156   | T2   | 20010710          | JP 1998-531747  | 19980127    |
| ZA 9800702  | A    | 19980729          | ZA 1998-702     | 19980128    |
| US 6414145  | B1   | 20020702          | US 1999-355440  | 19990728    |
| US 2003220495   | A1   | 20031127          | US 2002-71098   | 20020211    |
| PRIORITY APPLN. INFO.:  |      |                   | EP 1997-400207  | A 19970129  |
|   |      |                   | WO 1998-GB230   | W 19980127  |
|   |      |                   | US 1999-355440  | A1 19990728 |
| OTHER SOURCE(S):  |      | MARPAT 129:161561 |                 |             |
| GI  |      |                   |                 |             |



AB The invention relates to inhibitors of ras farnesylation, having formula I [wherein T = (un)substituted imidazolyl; A, B = aryl, heteroaryl; X, Y = H; or XY = pi bond; R1 = (carboxyalkyl)carbamoyl or derivs., having L or D

configuration at the chiral alpha carbon in the corresponding free amino acid; R2 = H, aryl, heteroaryl; Z = bond, CH2, CH2CH2, C:CH2, O, CH2O, or OCH2; and R3 = H, alkyl, halo, OH, alkoxy, alkanoyl, (un)substituted amino, etc.; p, q = 0-3; R4 = H, alkyl], and their pharmaceutically acceptable salts, prodrugs, and solvates. Processes for their preparation, their use as therapeutic agents (especially for cancer), and pharmaceutical compns. containing them are also disclosed. For example, Wittig reaction of [(4-cyanophenyl)methyl]triphenylphosphonium chloride with 2-(imidazol-1-yl)propiophenone, followed by acid hydrolysis of the cyano group, amidation with L-methionine Me ester-HCl, and alkaline ester hydrolysis, gave title compound II. In an assay against farnesylation of Kras using human placental farnesyl protein transferase in vitro, IC50 values ranged from 0.0005 to 50  $\mu$ M, with that of II being 0.15  $\mu$ M.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 12 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:673301 HCAPLUS

DOCUMENT NUMBER: 126:44808

TITLE: Synthesis and antibacterial activity of lipophilic carbapenems with anti-MRSA activity

AUTHOR(S): Arnould, Jean Claude; Illingworth, Ruth N.; Nichols, Wright W.; Wilson, R. Geoffrey

CORPORATE SOURCE: Zeneca Pharma Centre Recherches, Reims, 51689, Fr.

SOURCE: Bioorganic & Medicinal Chemistry Letters (1996), 6(20), 2449-2454  
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A series of S- and C-linked lipophilic carbapenems was prepared and evaluated for antibacterial activity in vitro and in vivo and for affinity for penicillin-binding protein (PBP) 2' of Staphylococcus aureus. Potent activity in vitro against methicillin-resistant S. aureus and methicillin-resistant coagulase-neg. staphylococci was observed despite IC50 values for PBP2' being higher than the MIC.

L23 ANSWER 13 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:397515 HCAPLUS

DOCUMENT NUMBER: 125:194695

TITLE: Convenient synthesis of aromatic thiols from phenols

AUTHOR(S): Arnould, Jean Claude; Didelot, Myriam;

Cadilhac, Caroline; Pasquet, Marie Jeanne

CORPORATE SOURCE: Centre Recherches, Zeneca Pharma, Reims, 51689, Fr.

SOURCE: Tetrahedron Letters (1996), 37(26), 4523-4524

CODEN: TELEAY; ISSN: 0040-4039

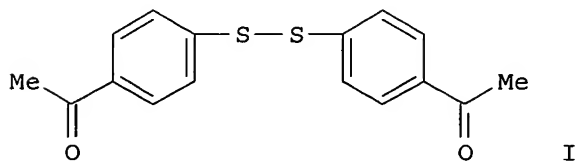
PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 125:194695

GI





AB Aromatic thiols were prepared from phenols in good yield and under mild conditions by reaction of the corresponding triflates with sodium triisopropylsilanethiolate (NaSTIPS) and subsequent deprotection. For example, the treatment of 4-hydroxyacetophenone with triflic anhydride and sodium triisopropylsilanethiolate followed by deprotection gave the disulfide I. Also, 6-hydroxy-1-indanone was converted into 2,3-dihydro-6-mercapto-1H-inden-1-one.

L23 ANSWER 14 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:256100 HCAPLUS

DOCUMENT NUMBER: 124:316867

TITLE: Carbapenem derivatives containing a bicyclic substituent

INVENTOR(S): Arnould, Jean-Claude

PATENT ASSIGNEE(S): Zeneca Limited, UK; Zeneca-Pharma

SOURCE: Eur. Pat. Appl., 27 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

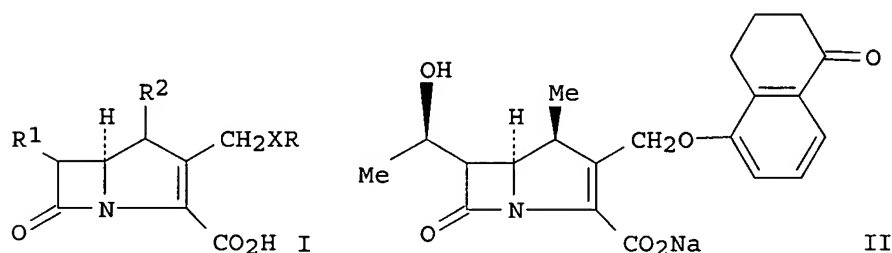
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE              | APPLICATION NO. | DATE       |
|---|------|-------------------|-----------------|------------|
| EP 695753   | A1   | 19960207          | EP 1995-305428  | 19950803   |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE |      |                   |                 |            |
| US 5607928  | A    | 19970304          | US 1995-508698  | 19950728   |
| CA 2155493  | AA   | 19960206          | CA 1995-2155493 | 19950804   |
| JP 08059664   | A2   | 19960305          | JP 1995-201126  | 19950807   |
| PRIORITY APPLN. INFO.:  |      |                   | EP 1994-401814  | A 19940805 |
| OTHER SOURCE(S):  |      | MARPAT 124:316867 |                 |            |

GI



AB Bactericidal (no data) carbapenems I [R = aryl, heteroaryl; R<sup>1</sup> = CH<sub>2</sub>OH, CHMeOH, CHMeF; R<sup>2</sup> = H, C1-4 alkyl; X = O, S] and pharmaceutically acceptable salts or in vivo hydrolyzable esters thereof, were prepared. Thus, (3S,4R,1'R,1''R)-1-(allyloxycarbonyltriphenylphosphoranylidene)methyl)-3-(1-hydroxyethyl)-4-[1-(hydroxymethylcarbonyl)ethyl]azetidin-2-one was treated with 5-hydroxy-1-tetralone, followed by ester hydrolysis to give the carbapenem II.

L23 ANSWER 15 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:190505 HCAPLUS

DOCUMENT NUMBER: 124:275460

TITLE: Effects of annealing in oxygen and nitrogen atmosphere

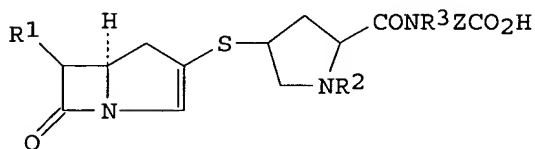
on float-zone silicon wafers  
 AUTHOR(S): Gay, N.; Floret, F.; Martinuzzi, S.; Roux, L.;  
**Arnould, J.**; Mathieu, G.  
 CORPORATE SOURCE: Laboratoire Photoelectricite des semi-conducteurs,  
 Faculte des Sciences et Techniques, Marseille, 13397,  
 Fr.  
 SOURCE: Materials Science & Engineering, B: Solid-State  
 Materials for Advanced Technology (1996), B36(1-3),  
 125-08  
 CODEN: MSBTEK; ISSN: 0921-5107  
 PUBLISHER: Elsevier  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB Float-zone silicon wafers were submitted to high temperature annealings during long times in oxygen and in nitrogen atmospheric in order to reproduce the same treatments which are necessary to develop power and high voltage transistors or diodes. It is shown by elec. techniques (microwave detected photocond. decay and surface photovoltage) and by revelation techniques (scanning IR microscope, x-ray topog., Fourier transformed IR spectroscopy, chemical etching) that annealings in nitrogen added to annealings in oxygen have a deleterious effect on the lifetime of minority carriers and can create dislocations and ppts.

L23 ANSWER 16 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1994:605125 HCAPLUS  
 DOCUMENT NUMBER: 121:205125  
 TITLE: Preparation of [[(carboxyheterocyclyl)carbamoyl]pyrrolidinylthio]carbapenems as antibiotics  
 INVENTOR(S): Jung, Frederic Henri; **Arnould, Jean Claude**  
 PATENT ASSIGNEE(S): Zeneca Ltd., UK; Zeneca Pharma S.A.  
 SOURCE: Eur. Pat. Appl., 27 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO.   | DATE        |
|---|------|----------|-------------------|-------------|
| EP 581500   | A1   | 19940202 | EP 1993-305607    | 19930716    |
| EP 581500   | B1   | 19980909 |                   |             |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE |      |          |                   |             |
| CA 2099818  | AA   | 19940122 | CA 1993-2099818   | 19930705    |
| AT 170859   | E    | 19980915 | AT 1993-305607    | 19930716    |
| ES 2121585  | T3   | 19981201 | ES 1993-305607    | 19930716    |
| JP 06179674   | A2   | 19940628 | JP 1993-177903    | 19930719    |
| US 5441949  | A    | 19950815 | US 1994-307048    | 19940916    |
| PRIORITY APPLN. INFO.:  |      |          | EP 1992-402105    | A 19920721  |
|   |      |          | US 1993-86836     | B1 19930707 |
| OTHER SOURCE(S):  |      |          | MARPAT 121:205125 |             |
| GI  |      |          |                   |             |

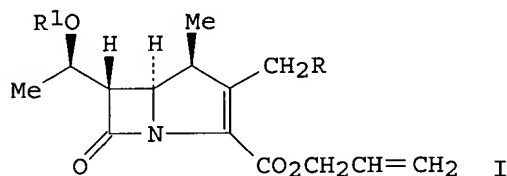


I

AB Title compds. [I; R<sub>1</sub> = MeCH(OH), MeCHF, CH<sub>2</sub>OH; R<sub>2</sub>, R<sub>3</sub> = H, alkyl; Z = (iso)quinolinediyl, quinazolinediyl, quinoxalinediyl, etc.] were prepared. Thus, disodium (1R,5S,6S,8R,2'S,4'S)-2-[2-(8-carboxyquinol-6-ylcarbamoyl)pyrrolidin-4-ylthio]-6-(1-hydroxyethyl)-1-methylcarbapenem-3-carboxylate, prepared in 5 steps from 6-amino-8-carboxyquinoline (preparation given), had MIC of 0.13 and 0.03 µg/mL against *Staphylococcus aureus* Oxford and *Escherichia coli* DCO, resp.

L23 ANSWER 17 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

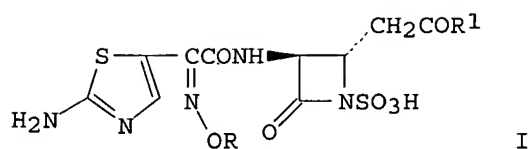
ACCESSION NUMBER: 1993:80676 HCAPLUS  
 DOCUMENT NUMBER: 118:80676  
 TITLE: New applications of the Mitsunobu reaction in the synthesis of C-2 N-methyl carbapenems  
 AUTHOR(S): Arnould, J. C.; Landier, F.; Pasquet, M. J.  
 CORPORATE SOURCE: Cent. Rech., ICI Pharma, Reims, 51100, Fr.  
 SOURCE: Tetrahedron Letters (1992), 33(47), 7133-6  
 CODEN: TELEAY; ISSN: 0040-4039  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 118:80676  
 GI



AB N-Acyl amides and N-acylamino heterocycles reacted regioselectively with 2-hydroxymethylcarbapenems I (R = OH, R<sub>1</sub> = H, SiMe<sub>2</sub>CMe<sub>3</sub>) under Mitsunobu conditions to give I (R = NR<sub>2</sub>CO<sub>2</sub>CH<sub>2</sub>CH:CH<sub>2</sub>, R<sub>2</sub> = 3-pyridyl, C<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>NHCO<sub>2</sub>CH<sub>2</sub>CH:CH<sub>2</sub>-4, C<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>OSiMe<sub>2</sub>CMe<sub>3</sub>-4, CH<sub>2</sub>CH<sub>2</sub>NHCO<sub>2</sub>CH<sub>2</sub>CH:CH<sub>2</sub>, 2-imidazolyl, substituted thiazolyl, pyrimidinyl, CO<sub>2</sub>CH<sub>2</sub>CH:CH<sub>2</sub>).

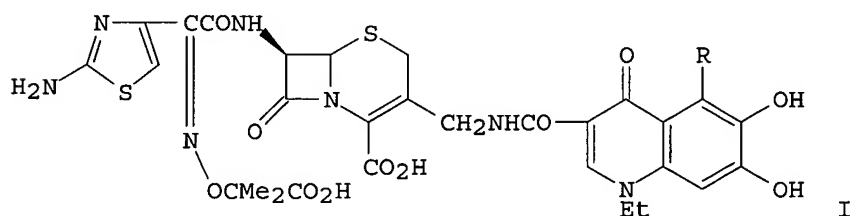
L23 ANSWER 18 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1992:511326 HCAPLUS  
 DOCUMENT NUMBER: 117:111326  
 TITLE: Synthesis and antibacterial activity of C-4 substituted monobactams  
 AUTHOR(S): Arnould, J. C.; Boutron, P.; Pasquet, M. J.  
 CORPORATE SOURCE: Cent. Rech., ICI-Pharma, Reims, 51064, Fr.  
 SOURCE: European Journal of Medicinal Chemistry (1992), 27(2), 131-40  
 CODEN: EJMCA5; ISSN: 0223-5234  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



AB Monobactams I [R = Me, CMe<sub>2</sub>CO<sub>2</sub>H; R<sub>1</sub> = OEt, OH, NHCH<sub>2</sub>CO<sub>2</sub>H, NHCH<sub>2</sub>CO<sub>2</sub>Me, NHCH<sub>2</sub>CN, NHC<sub>6</sub>H<sub>3</sub>(OH)<sub>2-3,4</sub>, 4-methylpiperazino, NHCH<sub>2</sub>CH<sub>2</sub>R<sub>2</sub>; R<sub>2</sub> = NH<sub>2</sub>, 1-methyl-4-pyridiniumylamino, 2-thioxoimidazolidin-1-yl (Q), 3,4-(HO)<sub>2</sub>C<sub>6</sub>H<sub>3</sub>CONH] were prepared from 6-aminopenicillanic acid. I (R = Me, R<sub>1</sub> = OH, NHCH<sub>2</sub>CO<sub>2</sub>H, NHCH<sub>2</sub>CH<sub>2</sub>Q) showed good to moderate activity against Gram-neg. bacteria with the exception of *Pseudomonas aeruginosa*. Introduction of a catechol moiety on the C(4) side chain only slightly improved the activity against *P. aeruginosa*.

L23 ANSWER 19 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1992:448157 HCAPLUS  
 DOCUMENT NUMBER: 117:48157  
 TITLE: Synthesis and structure-activity relationships of cephalosporins with C-3' catechol-containing residues  
 AUTHOR(S): Arnould, J. C.; Bertrandie, A.; Bird, T. G. C.; Boucherot, D.; Jung, F.; Lohmann, J. J.; Olivier, A.; Bailey, J. P.; Bell, W.; Davies, G. M.  
 CORPORATE SOURCE: Cent. Rech. Chem. Vrilly, ICI Pharma, Reims, 51100, Fr.  
 SOURCE: Journal of Medicinal Chemistry (1992), 35(14), 2631-42  
 CODEN: JMCMAR; ISSN: 0022-2623  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



AB Cephalosporins with new catechol substituents at C-3' have been synthesized, including novel compds. with C-3' C-C bonds. Many of these compds. have high potency against gram-neg. bacteria, in particular against resistant strains like *Pseudomonas aeruginosa*. Structure-activity relationships are discussed in terms of their dependence on the pK<sub>a</sub> of the C-3' catechol and also in terms of steric and conformational factors of the C-3' substituent. The best overall properties were found in compds. with a bulky and/or conformationally restricted acidic C-3' catechol, such as I (R = H, cyano).

L23 ANSWER 20 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1992:448155 HCAPLUS  
 DOCUMENT NUMBER: 117:48155  
 TITLE: Pharmacokinetics of catechol cephalosporins. The

effect of incorporating substituents into the catechol moiety on pharmacokinetics in a marmoset model

AUTHOR(S): Bird, T. G. C.; Arnould, J. C.; Bertrandie, A.; Jung, F. H.

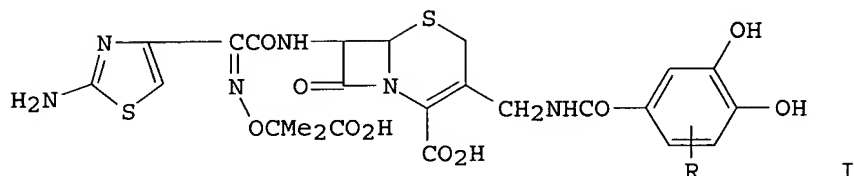
CORPORATE SOURCE: Cent. Rech., ICI PHARMA, Reims, 51064, Fr.

SOURCE: Journal of Medicinal Chemistry (1992), 35(14), 2643-51  
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB Two series of cephalosporins (38 compds.) have been synthesized, bearing at C-3' catechols substituted with various electron-withdrawing groups and differing links, and were evaluated for their in vitro antibacterial activity and their pharmacokinetics in marmosets. Compds. bearing an isobutyric oxime substituent, proved to be highly active against Gram-neg. organisms and were especially noteworthy for showing long elimination phase ( $\beta$ ) half-lives in marmosets. It was established that introduction of electron-withdrawing substituents greatly increased the  $\beta$  half-lives of compds. (I, R = H,  $t_{1/2}$  = 1.25 h, serum concentration = 27 mg/h per L; I, R = 5-Cl,  $t_{1/2}$  = 4.5 h, serum concentration = 638 mg/h per L) and that the nature of the link also influenced  $t_{1/2}$ . Acidities (pKa values) of the substituted catechols were measured, and relationships between the acidities and half-lives were evaluated. Thus it was established that the more acidic catechols gave the longest half-lives (I, R = 2,5-Cl<sub>2</sub>,  $t_{1/2}$  = 8.2 h, serum concentration = 461 mg/h per L). Further elaboration of the catechol to bicyclic systems maintained good pharmacokinetics when the pKa was sufficiently acidic.

L23 ANSWER 21 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1989:514966 HCAPLUS

DOCUMENT NUMBER: 111:114966

TITLE: Cephalosporin compounds, process for their preparation and their pharmaceutical compositions

INVENTOR(S): Arnould, Jean Claude; Bird, Thomas Geoffrey Colerick

PATENT ASSIGNEE(S): ICI-Pharma S. A., Fr.

SOURCE: Eur. Pat. Appl., 34 pp.  
CODEN: EPXXDW

DOCUMENT TYPE: Patent

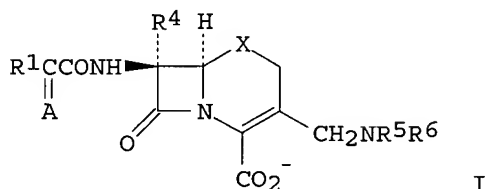
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE  | APPLICATION NO. | DATE  |
|------------|------|-------|-----------------|-------|
| -----      | ---- | ----- | -----           | ----- |

EP 304155 A2 19890222 EP 1988-306355 19880712  
 EP 304155 A3 19901031  
 EP 304155 B1 19951115  
 R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE  
 AT 130299 E 19951215 AT 1988-306355 19880712  
 US 5013730 A 19910507 US 1988-219779 19880718  
 JP 01040488 A2 19890210 JP 1988-181958 19880722  
 PRIORITY APPLN. INFO.: EP 1987-401720 A 19870723  
 OTHER SOURCE(S): MARPAT 111:114966  
 GI



AB Title compds. I [A = syn-R2ON (R2 = H, C1-6 alkyl, C3-8 cycloalkyl, C1-3-alkyl-C3-6-cycloalkyl, PhNHCO, PhCH2NHCO, C1-5 cyanoalkyl, 2-amidinoethyl, thietan-3-yl, 2-oxopyrrolidinyl, etc.); R1 = 5-aminoisothiazol-3-yl, 5-amino-1,2,4-thiadiazol-3-yl, 3-aminopyrazol-5-yl, 4-aminopyrimidin-2-yl; R4 = H, MeO, HCONH; R5 = H, C1-4 alkyl, halo-C1-4-alkyl, C3-6 alkenyl, Ph-C1-4-alkyl, 5-6-membered heteroaryl-C1-4-alkyl, etc.; R6 = substituted N-containing heterocyclyl] and their N-oxides, salts, and cations, useful as antibiotics (no data), were prepared To 3-(aminomethyl)-7-[2-(2-aminothiazol-4-yl)-2-[(Z)-1-carboxy-1-methylethoxyimino]acetamido]ceph-3-em-4-carboxylic acid in DMF was added Et3N and 1-(3,4-diacetoxybenzoylmethyl)-4-(methylthio)pyrimidium chloride (preparation given) to give 7-[(2-aminothiazol-4-yl)-2-[(Z)-1-carboxy-1-methylethoxyimino]acetamido]-3-[N-[1-(3,4-diacetoxybenzoylmethyl)-4-pyrimidino]aminomethyl]ceph-3-em-4-carboxylic acid.

L23 ANSWER 22 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1989:514965 HCAPLUS

DOCUMENT NUMBER: 111:114965

TITLE: Preparation of (carboxamidomethyl)cephemcarboxylic acids as antibiotics

INVENTOR(S): **Arnould, Jean Claude**; Jung, Frederick Henri; Boucherot, Dominique; Strawson, Colin John; Davies, David Huw

PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, UK; ICI-Pharma S. A.

SOURCE: Eur. Pat. Appl., 78 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| -----   | ---  | -----    | -----           | -----    |
| EP 304158   | A1   | 19890222 | EP 1988-306420  | 19880713 |
| EP 304158   | B1   | 19940622 |                 |          |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE |      |          |                 |          |

|                        |    |          |                |             |
|------------------------|----|----------|----------------|-------------|
| HU 47942               | A2 | 19890428 | HU 1988-3692   | 19880715    |
| HU 201949              | B  | 19910128 |                |             |
| FI 8803439             | A  | 19890124 | FI 1988-3439   | 19880720    |
| ZA 8805271             | A  | 19890329 | ZA 1988-5271   | 19880720    |
| DK 8804148             | A  | 19890124 | DK 1988-4148   | 19880722    |
| NO 8803275             | A  | 19890124 | NO 1988-3275   | 19880722    |
| AU 8819762             | A1 | 19890127 | AU 1988-19762  | 19880722    |
| JP 01093592            | A2 | 19890412 | JP 1988-182006 | 19880722    |
| CN 1031378             | A  | 19890301 | CN 1988-106393 | 19880723    |
| US 5019570             | A  | 19910528 | US 1988-223988 | 19880725    |
| US 5232918             | A  | 19930803 | US 1991-653149 | 19910211    |
| US 5371220             | A  | 19941206 | US 1992-886392 | 19920521    |
| PRIORITY APPLN. INFO.: |    |          | EP 1987-401718 | A 19870723  |
|                        |    |          | US 1988-223988 | A3 19880725 |
|                        |    |          | US 1991-653149 | A3 19910211 |

OTHER SOURCE(S): MARPAT 111:114965

GI For diagram(s), see printed CA Issue.

AB Cephalosporins having Q as a 3-position substituent [R1 = H, (substituted) C1-6 alkyl, etc.; Het = 5- or 6-membered heterocyclic ring Q1, Q2; A = CH, N; B = O, S, etc.; 1 or 2 of D, E, F, and G = N, the remainder = CH; or Het = pyrazinone, pyridinone, etc.; Het is fused by any 2 adjacent C atoms to the benzene ring and is bonded via a C atom to the CH<sub>2</sub>NR1CO group; R2, R3 = OH, in vivo hydrolyzable ester thereof; R3 is ortho to R2] were prepared as antibiotics. Reaction of 6,7-bis(phenylacetoxy)-1,4-dihydro-1-ethyl-4-oxoquinoline-3-carbonyl chloride with 3-(aminomethyl)-7-[2-(2-amino-4-thiazolyl)-2-[(Z)-[(1-carboxy-1-methylethoxy)imino]]acetamido]ceph-3-em-4-carboxylic acid in DMF containing Et<sub>3</sub>N, followed by deprotection and workup, gave 7-[2-(2-amino-4-thiazolyl)-2-[(Z)-[(1-carboxy-1-methylethoxy)imino]]acetamido]-3-[(1,4-dihydro-1-ethyl-6,7-dihydroxy-4-oxoquinolin-3-carboxamido)methyl]ceph-3-em-4-carboxylic acid (I). I had min. inhibitory concns. of 0.008 µg/mL and 16 µg/mL, resp., against Escherichia coli DCO and Staphylococcus aureus 147 N.

L23 ANSWER 23 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1988:492660 HCAPLUS

DOCUMENT NUMBER: 109:92660

TITLE: Preparation of aminomethylcephem derivatives as antibiotics

INVENTOR(S): Arnould, Jean Claude; Lohmann, Jean Jacques; Pasquet, Georges

PATENT ASSIGNEE(S): ICI-Pharma S. A., Fr.

SOURCE: Eur. Pat. Appl., 51 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

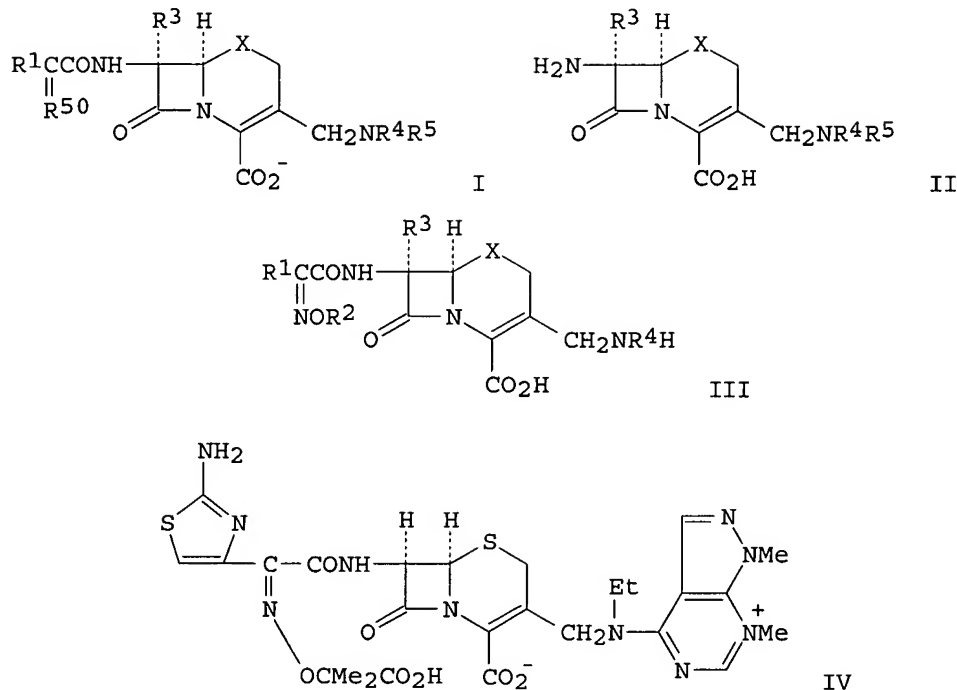
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| -----   | ---  | ----     | -----           | -----    |
| EP 225182   | A2   | 19870610 | EP 1986-309279  | 19861127 |
| EP 225182   | A3   | 19881214 |                 |          |
| EP 225182   | B1   | 19930210 |                 |          |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE |      |          |                 |          |
| ZA 8608506  | A    | 19870729 | ZA 1986-8506    | 19861107 |
| AU 8665145  | A1   | 19870604 | AU 1986-65145   | 19861114 |
| AU 585091   | B2   | 19890608 |                 |          |
| HU 43078  | A2   | 19870928 | HU 1986-4885    | 19861126 |
| HU 196813   | B    | 19890130 |                 |          |
| DK 8605717  | A    | 19870528 | DK 1986-5717    | 19861127 |

|                        |    |          |                |             |
|------------------------|----|----------|----------------|-------------|
| FI 8604836             | A  | 19870528 | FI 1986-4836   | 19861127    |
| JP 62155286            | A2 | 19870710 | JP 1986-280947 | 19861127    |
| AT 85616               | E  | 19930215 | AT 1986-309279 | 19861127    |
| US 5013731             | A  | 19910507 | US 1990-512069 | 19900419    |
| PRIORITY APPLN. INFO.: |    |          | EP 1985-402331 | A 19851127  |
|                        |    |          | US 1986-936721 | B1 19861125 |
|                        |    |          | EP 1986-309279 | A 19861127  |

GI



AB The title compds. I [X = S, O, CH<sub>2</sub>, SO (R or S configuration); R<sub>1</sub> = (substituted) 2-aminothiazol-4-yl, 2-aminooxazol-4-yl, 5-aminoisothiazol-3-yl, 5-amino-1,2,4-thiadiazol-3-yl, 3-aminopyrazol-5-yl, 3-aminopyrazol-4-yl, 2-aminopyrimidin-5-yl, 2-aminopyrid-6-yl, 4-aminopyrimidin-2-yl, 2-amino-1,3,4-thiadiazol-5-yl, 5-amino-1-methyl-1,2,4-triazol-3-yl; R<sub>50</sub> = chloromethylene, :NOR<sub>2</sub> wherein R<sub>2</sub> = H, (substituted) C<sub>1</sub>-6 alkyl, C<sub>3</sub>-8 cycloalkyl, etc.; R<sub>3</sub> = H, MeO; R<sub>4</sub> = H, C<sub>1</sub>-4 alkyl, halo(C<sub>1</sub>-4)alkyl, hydroxy(C<sub>1</sub>-4)alkyl, etc.; R<sub>5</sub> = aromatic heterocyclic fused ring system linked via C], useful as antibiotics, were prepared via II and III. A mixture of 3-ethylaminomethyl-7-[2-(2-aminothiazol-4-yl)-2-((Z)-1-carboxy-1-methylethoxyimino)acetamido]ceph-3-em-4-carboxylic acid and 1,7-dimethyl-4-methylthiopyrazolo[3,4-d]pyrimidine in DMF containing Et<sub>3</sub>N was heated at 50° for 3 h to give IV. I are said to have in vitro MIC<sub>50</sub> values of <4 µg/mL against *Staphylococcus aureus*.

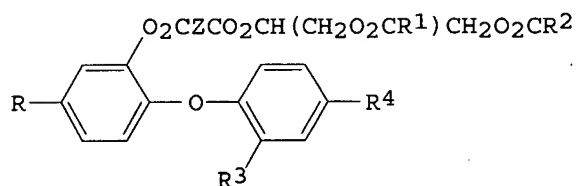
L23 ANSWER 24 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1984:406818 HCAPLUS  
 DOCUMENT NUMBER: 101:6818  
 TITLE: Halophenyl glyceride esters



INVENTOR(S): **Arnould, Jean Claude; Evans, John Raymond;**  
**Jones, Geraint; Thomson, David Summers**  
 PATENT ASSIGNEE(S): **Imperial Chemical Industries PLC, UK; ICI-Pharma S. A.**  
 SOURCE: **Eur. Pat. Appl., 33 pp.**  
 CODEN: EPXXDW  
 DOCUMENT TYPE: **Patent**  
 LANGUAGE: **English**  
 FAMILY ACC. NUM. COUNT: **2**  
 PATENT INFORMATION:

| PATENT NO.                                    | KIND | DATE     | APPLICATION NO. | DATE       |
|---|------|----------|-----------------|------------|
| EP 99177                                      | A1   | 19840125 | EP 1983-303339  | 19830609   |
| EP 99177                                      | B1   | 19860827 |                 |            |
| R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE |      |          |                 |            |
| US 4587262                                    | A    | 19860506 | US 1983-501758  | 19830606   |
| ZA 8304135                                    | A    | 19840425 | ZA 1983-4135    | 19830607   |
| AT 21686                                      | E    | 19860915 | AT 1983-303339  | 19830609   |
| AU 8315698                                    | A1   | 19851003 | AU 1983-15698   | 19830610   |
| AU 562207                                     | B2   | 19870604 |                 |            |
| IL 68950                                      | A1   | 19880531 | IL 1983-68950   | 19830610   |
| HU 31053                                      | O    | 19840428 | HU 1983-2121    | 19830615   |
| HU 191531                                     | B    | 19870330 |                 |            |
| FI 8302227                                    | A    | 19831219 | FI 1983-2227    | 19830617   |
| NO 8302203                                    | A    | 19831219 | NO 1983-2203    | 19830617   |
| DD 210029                                     | A5   | 19840530 | DD 1983-252126  | 19830617   |
| ES 523376                                     | A1   | 19841001 | ES 1983-523376  | 19830617   |
| CS 241061                                     | B2   | 19860313 | CS 1983-4456    | 19830617   |
| CS 241088                                     | B2   | 19860313 | CS 1984-3943    | 19830617   |
| CA 1221983                                    | A1   | 19870519 | CA 1983-430604  | 19830617   |
| ES 530744                                     | A1   | 19850616 | ES 1984-530744  | 19840316   |
| ES 530744                                     | A5   | 19850715 |                 |            |
| ES 530745                                     | A1   | 19851101 | ES 1984-530745  | 19840316   |
| ES 530745                                     | A5   | 19851128 |                 |            |
| PRIORITY APPLN. INFO.:                        |      |          | EP 1982-401119  | A 19820618 |
|   |      |          | EP 1983-303339  | A 19830609 |

GI



AB Phenoxyphenyl alkanedioates I (R and R4 are Cl, Br; R1 and R2 are alkyl, alkenyl; R3 = H, Cl, Br; Z = alkylene, alkylalkylene), which were prepared, exhibited bactericidal activity and they are useful in the treatment of acne. Glutamic anhydride was treated with triclosan, the monoester product was converted to the resp. acid chloride, and the latter was treated with [Me(CH2)6CO2CH2]2CHOH and pyridine to give I [Z = (CH2)3, R = R3 = R4 = Cl, R1 = R2 = Me(CH2)6].

L23 ANSWER 25 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1983:88353 HCAPLUS  
 DOCUMENT NUMBER: 98:88353

TITLE: Highly stereoselective synthesis and rearrangement of  $\beta$ -amino  $\alpha$ -bromo chalcones

AUTHOR(S): Arnould, J. C.; Feigenbaum, A.; Henin, F.

CORPORATE SOURCE: Lab. Photochim., UER, Reims, 51062, Fr.

SOURCE: Journal of Chemical Education (1983), 60(1), 82  
CODEN: JCEDA8; ISSN: 0021-9584

DOCUMENT TYPE: Journal

LANGUAGE: English

AB An experiment involving a series of easy steps illustrating important stereoselective reactions in organic chemical and suitable for advanced students is described. The reactions involve the bromination of a chalcone, the conversion of the brominated chalcone to a bromopiperidinodiphenylpropanone, and conversion of this product to  $\alpha$ -piperidinochalcone.

L23 ANSWER 26 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1981:586962 HCAPLUS

DOCUMENT NUMBER: 95:186962

TITLE: Photochemical reactivity of 2-dialkylamino-2-cyclohexenones and the corresponding ammonium salts

AUTHOR(S): Arnould, J. C.; Cossy, J.; Pete, J. P.

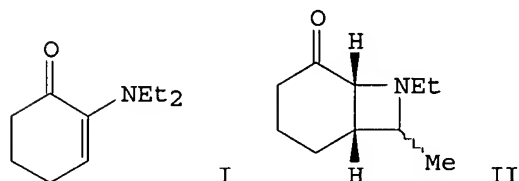
CORPORATE SOURCE: Lab. Photochim., UER Sci., Reims, 51062, Fr.

SOURCE: Tetrahedron (1981), 37(10), 1921-6  
CODEN: TETRAB; ISSN: 0040-4020

DOCUMENT TYPE: Journal

LANGUAGE: French

GI



AB Irradiation of 2-(dialkylamino)-2-cyclohexenones gave  $\alpha$ -ketoazetidines. E.g., cyclohexenone I on irradiation in Et<sub>2</sub>O for 1 h gave 65% of an epimeric mixture of azetidines II. Irradiation of the corresponding ammonium salts in hydroxylic solvents led only to adduct formation.

L23 ANSWER 27 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1980:639103 HCAPLUS

DOCUMENT NUMBER: 93:239103

TITLE: Photochemical reactivity of  $\alpha$ -aminoenones: cyclization and new type of reaction to  $\alpha$ -sulfonamidocyclohexenones

AUTHOR(S): Arnould, J. C.; Cossy, J.; Pete, J. P.

CORPORATE SOURCE: Lab. Photochim., Unite Enseign. Rech. Sci., Reims, 51062, Fr.

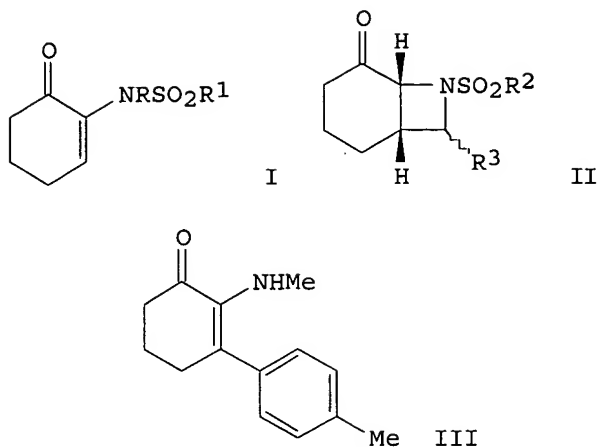
SOURCE: Tetrahedron (1980), 36(11), 1585-92  
CODEN: TETRAB; ISSN: 0040-4020

DOCUMENT TYPE: Journal

LANGUAGE: French

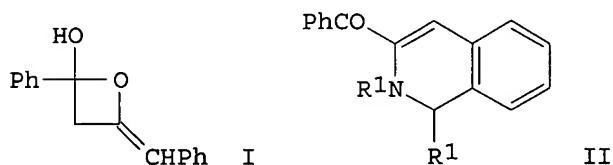
OTHER SOURCE(S): CASREACT 93:239103

GI



AB The photochem. behavior of 2-alkylamino-2-cyclohexenones depends on the N-substituents. 2-Methanesulfonamido-2-cyclohexenone gave only  $\alpha$ -oxoazetidines, but desulfonation and aryl migration processes compete in the irradiation of the corresponding 2-arenesulfonamido compds. The main reactions of the corresponding 2-anilino and 2-benzoylamido compds. were divinylamine and photo-Fries rearrangement, resp. Thus, cyclohexenone I ( $R = R_1 = \text{Me}$ ) was irradiated in Et<sub>2</sub>O for 2 h to give 75% oxoazetidine II ( $R_2 = \text{Me}$ ,  $R_3 = \text{H}$ ). However, I ( $R = \text{Et}$ ,  $R_1 = p\text{-MeC}_6\text{H}_4$ ) on irradiation in EtOH for 3 h gave 30% oxoazetidine II ( $R_2 = p\text{-MeC}_6\text{H}_4$ ,  $R_3 = \alpha\text{-Me}$ ) and 25% cyclohexenone III.

L23 ANSWER 28 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1980:445524 HCAPLUS  
 DOCUMENT NUMBER: 93:45524  
 TITLE: Photolysis of conjugated heterosubstituted linear ketones  
 AUTHOR(S): Arnould, J. C.; Enger, A.; Feigenbaum, A.; Pete, J. P.  
 CORPORATE SOURCE: Lab. Photochim., UER Sci., Reims, 51062, Fr.  
 SOURCE: Tetrahedron (1979), 35(21), 2501-2  
 CODEN: TETRAB; ISSN: 0040-4020  
 DOCUMENT TYPE: Journal  
 LANGUAGE: French  
 GI



AB Photoenolization of Me<sub>2</sub>C:CRCOME ( $R = \text{OMe}$ , piperidino) is preferred to H abstraction  $\alpha$  to the heteroatom, whereas similar photolysis of E- and/or Z-PhCH:CRCOPh ( $R = \text{OMe}$ , NEt<sub>2</sub>, piperidino, morpholino) gave oxetanol

I and isoquinolines II [R1 = Et, R2 = Me; R1R2 = (CH2)4, CH2CH2OCH2], resp. The differences in the photoreactivity of these mols. are discussed and the conformational control of the H<sub>γ</sub> abstraction process by the excited CO function is analyzed.

L23 ANSWER 29 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1979:9896 HCAPLUS

DOCUMENT NUMBER: 90:9896

TITLE: Effect of heat treatment on the structural evolution of iron-carbon-chromium-vanadium alloys

AUTHOR(S): Schissler, Jean Marie; Arnould, Jean; Parent-Simonin, Simone

CORPORATE SOURCE: Fr.

SOURCE: Fonderie (Paris) (1978), 380, 209-23

CODEN: FONDAP; ISSN: 0015-6094

DOCUMENT TYPE: Journal

LANGUAGE: French

AB Austenitization at moderate and elevated temps. was studied. The austenitization at moderate temperature consisted of heating to Ac3 + 50 to 100° and quenching to form martensite. This is followed by either tempering at 250° or hardening by precipitation of secondary carbides at 550°. The high-temperature austenitization was done at >1100° followed by quenching. Structures of tempered martensite and austenite were studied by dilatometric anal., microprobe anal., optical and electron microscopy, and electron diffraction.

L23 ANSWER 30 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1978:583648 HCAPLUS

DOCUMENT NUMBER: 89:183648

TITLE: Chromium-vanadium white cast irons. Their value for wear resistance

AUTHOR(S): Parent-Simonin, Simone; Arnould, Jean; Schissler, Jean Marie

CORPORATE SOURCE: Cent. Tech. Ind. Fonderie, Paris, Fr.

SOURCE: Fonderie (Paris) (1978), 33(375), 43-53

CODEN: FONDAP; ISSN: 0015-6094

DOCUMENT TYPE: Journal

LANGUAGE: French

AB A study was performed on 12 varieties of cast iron with high V content accompanied by Cr (1, 5, 15%) and with or without Ni. The mech. properties and wear resistance were determined after casting and after heat treatment. With grade 3 (Cr 15%, V 8.5%, and C 1.96%) in the as-cast state, high mech. properties were obtained with excellent friction behavior. The grades with Cr 15%, V 6%, and Ni 0.8% had performances equal to those of cast iron 15-3(A2), whose qualities are well known for resistance to abrasive wear. If the conditions of use necessitated a simultaneous resistance to wear by impact and abrasive friction the grades with high V are indicated. The presence of Cr does not appear indispensable but a little Ni or Mn is necessary.

L23 ANSWER 31 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1977:139454 HCAPLUS

DOCUMENT NUMBER: 86:139454

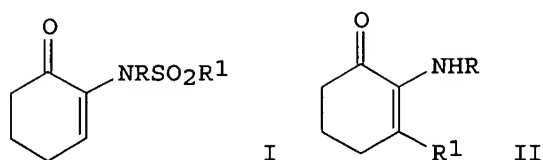
TITLE: Photolysis of 2(N-alkyl-arylsulfonylamido) cyclohexenone. An unusual and useful desulfonation reaction

AUTHOR(S): Arnould, Jean C.; Cossy, Janine; Pete, Jean P.

CORPORATE SOURCE: Lab. Photochim., U.E.R. Sci., Reims, Fr.

SOURCE: Tetrahedron Letters (1976), (43), 3919-22

DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



AB The title compds. (I; R = Et, PhCH<sub>2</sub>, CH<sub>2</sub>:CHCH<sub>2</sub>, Me<sub>2</sub>CH, R<sub>1</sub> = C<sub>6</sub>H<sub>4</sub>Me-4; R = Et, Me<sub>2</sub>CH, R<sub>1</sub> = α-, β-C<sub>10</sub>H<sub>7</sub>; R = Me<sub>2</sub>CH, R<sub>1</sub> = Ph) underwent photochem. desulfonation and rearrangement to give 10-70% aminoarylcyclohexenones II. A mechanistic scheme, involving radical intermediates, is described.

L23 ANSWER 32 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1976:580745 HCAPLUS

DOCUMENT NUMBER: 85:180745

TITLE: Study of the decomposition of post-bainitic austenite in iron-carbon-silicon alloys of 1% carbon and 4% silicon during isothermal holding at 420°C. Effect of 1% addition of manganese

AUTHOR(S): Schissler, J. M.; Arnould, J.; Metauer, G.

CORPORATE SOURCE: Lab. Metall., CNRS, Nancy, Fr.

SOURCE: Memoires Scientifiques de la Revue de Metallurgie (1975), 72(11), 779-92

CODEN: MRMTAU; ISSN: 0025-9128

DOCUMENT TYPE: Journal

LANGUAGE: French

AB In an Fe alloy [51668-81-6] containing 1.15% C and 3.9% Si, the bainitic transformation at 420° proceeded by 2 sep. stages. The initial γ-phase transformed to a lenticular ferrite [12427-24-6] (α-phase) with Widmanstaetten structure in the residual austenite [12244-31-4] matrix enriched by .apprx.2% C. The 2nd step consisted of the transformation of the matrix to α-phase, an aged carbide 1st proposed by Konoval (Nature 184, 1959, 1862), and a new Si carbide having orthorhombic structure. The addition of Mn destroyed the inhibiting action of Si in the formation of carbides at 420°. The alloy no longer formed post-bainitic austenite but ferrite phase and another new orthorhombic Si carbide. The effect of Mn disappeared when the holding temperature of bainite [12427-23-5] was decreased to 330°.

L23 ANSWER 33 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1975:563965 HCAPLUS

DOCUMENT NUMBER: 83:163965

TITLE: Photochemistry of α-dialkylamino enones. I. New oxidative cyclization of chalcone derivatives

AUTHOR(S): Arnould, J. C.; Pete, J. P.

CORPORATE SOURCE: Lab. Photochim., U.E.R. Sci., Reims, Fr.

SOURCE: Tetrahedron Letters (1975), (29), 2459-62

CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

LANGUAGE: English  
 GI For diagram(s), see printed CA Issue.  
 AB Photolysis of (E)- or (Z)-PhCH:C(NRCH<sub>2</sub>R<sub>1</sub>)COPh [R = Et, R<sub>1</sub> = Me; RR<sub>1</sub> = (CH<sub>2</sub>)<sub>4</sub>, (CH<sub>2</sub>)<sub>2</sub>OCH<sub>2</sub>] gave the isoquinolines I together with chalcone.

L23 ANSWER 34 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1975:563919 HCAPLUS  
 DOCUMENT NUMBER: 83:163919  
 TITLE: Photochemistry of  $\alpha$ -dialkylamino enones. II.  
 Photocyclization of (dialkylamino)cyclohexenones and  
 p-tolylsulfonylalkylaminocyclohexenones  
 AUTHOR(S): Arnould, J. C.; Pete, J. P.  
 CORPORATE SOURCE: Lab. Photochim., U.E.R. Sci., Reims, Fr.  
 SOURCE: Tetrahedron Letters (1975), (29), 2463-6  
 CODEN: TELEAY; ISSN: 0040-4039  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

GI For diagram(s), see printed CA Issue.  
 AB Irradiation of 2-piperidino-2-cyclohexenone in Et<sub>2</sub>O or EtOH at 366 nm gave >30% I. Similar irradiation of the tolylsulfonylaminocyclohexenones II (R = Me, Ph, R<sub>1</sub> = H) gave the corresponding azabicyclooctanes III. Photolysis of II (R = CH:CH<sub>2</sub>, R<sub>1</sub> = H) in EtOH gave 40% III (R = CH:CH<sub>2</sub>) and 35% IV (R = H), whereas II (R = CH:CH<sub>2</sub>, R<sub>1</sub> = Me) gave 25% IV (R = Me) as the only isolable material.

L23 ANSWER 35 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1975:458208 HCAPLUS  
 DOCUMENT NUMBER: 83:58208  
 TITLE: Photolysis of  $\alpha$ -alkoxycycloalkanones  
 AUTHOR(S): Arnould, J. C.; Pete, J. P.  
 CORPORATE SOURCE: Lab. Photochim., Fac. Sci., Reims, Fr.  
 SOURCE: Tetrahedron (1975), 31(7), 815-23  
 CODEN: TETRAB; ISSN: 0040-4020  
 DOCUMENT TYPE: Journal  
 LANGUAGE: French  
 GI For diagram(s), see printed CA Issue.  
 AB Irradiation of  $\alpha$ -alkoxycyclohexanones in EtOH gave the corresponding dealkoxylated compound as the predominant product, with ring cleaved esters and oxetanols. E.g.,  $\alpha$ -methoxycyclohexanone gave 80% cyclohexanone, 4% cyclohexanol, 12% MeO(CH<sub>2</sub>)<sub>5</sub>CO<sub>2</sub>Et and 1% I. Cholestanone II gave 90% III and 10% IV. Similar treatment of  $\alpha$ -methoxycyclopentanone gave 32% cyclopentanone and 32% cis- and 20% trans-MeOCH:CH(CH<sub>2</sub>)<sub>2</sub>CHO. 2-Methoxyindan-1-one gave 70% indanone and 30% oxetanol V. 2-Methoxynorbornanone on irradiation underwent epimerization. The dealkoxylation occurred by a Norrish Type II mechanism via a cycloalkenol which rearranged to the ketone or underwent cycloaddn. with the departing ketone to give the oxetanol.

L23 ANSWER 36 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1972:525583 HCAPLUS  
 DOCUMENT NUMBER: 77:125583  
 TITLE: Photolysis of  $\alpha$ -alkoxycyclohexanones  
 AUTHOR(S): Arnould, J. C.; Pete, J. P.  
 CORPORATE SOURCE: Dep. Chim., Fac. Sci., Rheims, Fr.  
 SOURCE: Tetrahedron Letters (1972), (24), 2415-18  
 CODEN: TELEAY; ISSN: 0040-4039  
 DOCUMENT TYPE: Journal  
 LANGUAGE: French  
 GI For diagram(s), see printed CA Issue.  
 AB Photolysis of the  $\alpha$ -alkoxycyclohexanones (I) (R = Me, Et, Me<sub>2</sub>CH,

PhCH<sub>2</sub>) in EtOH gave cyclohexanone as the major product. The acids (II) (R = Me, Et, Me<sub>2</sub>CH) and compd. III were also isolated. 2-Methoxytetralone was photolyzed in EtOH to give 42% IV, 8% V, and 15% VI.

L23 ANSWER 37 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1954:37310 HCAPLUS

DOCUMENT NUMBER: 48:37310

ORIGINAL REFERENCE NO.: 48:6670f-i,6671a

TITLE: Recent improvements in refractory cements and hydraulic concretes

AUTHOR(S): Arnould, Jean

SOURCE: Chimie et Industrie (Paris) (1953), 70, 1081-5

CODEN: CHIEAN; ISSN: 0009-4358

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

AB An account of the use of Ca aluminate hydraulic cement in the preparation of refractory concretes. Depending on conditions to be met, 1 cu. m. may contain 250-500 kg. of aluminous cement, together with "chamotte" (precalcined clay), containing 25-40% Al<sub>2</sub>O<sub>3</sub>. The composition of the cement and its m.p., approx. 1400°, limits its application, but admixts. with carborundum may permit use at this temperature "Super-refractory 250" is a hydraulic cement now in quantity production, containing Al<sub>2</sub>O<sub>3</sub> 70-2, CaO 26-9, SiO<sub>2</sub> and Fe<sub>2</sub>O<sub>3</sub> 0.5-1.0%. Its setting, hardening, and crystallizing properties are comparable to the regular type; the setting time is about 4 hrs., the reaction exothermic. The ratio of cement to mixing water is 1.75-2.2. Slow heating to 600° can be started 24-48 hrs. after setting; then the normal working temperature can be reached. Cement-250 (Segger cone 23) m. 1580°. In admixt. with pure carborundum it may exceed 1745°. The cement cannot be used alone because of shrinkage and checking on heating. Loss of water between 500° and 1100° causes a friable condition and prevents its use below 1100°. Above this temperature the constituents recombine and unite with the aggregate. Combinations of cement-250 with white carborundum or pure Al<sub>2</sub>O<sub>3</sub> allows use of working temps. of 1600-1700°. The d. of such concretes is 2.8-3.5. The filter must be refractory, clean, and free from fusible matter (Fe<sub>2</sub>O<sub>3</sub>, CaO, SiO<sub>2</sub>, and alkalis), and be previously calcined at the working temperature. The size distribution should be standardized, not to exceed

30 mm. diameter. The quantity is chosen according to the service conditions and for the hardness desired. The more cement the higher the mech. strength; the less cement the more refractory the concrete. Graphs show the shrinkages under load of 2 kg./sq. cm. of various concretes subjected to temps. up to 1800° for periods up to 5 hrs. These are approx. 4-5% for mixts. at 300 kg./cu. m. The cement-250 mixts. with carborundum resist thermal shocks because of their low coefficient of expansion, 2.5-5.0 + 10<sup>-6</sup> between 0° and 1400°. Values of the coefficient of thermal conductivity are given as 0.79-1.15 cal./sq. m./m./hr./°C. for cement-250 containing chamotte and carborundum, resp. Other values found for the carborundum mixture, graphed for temps. 200-1400°, are 1.6-2.6.

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AB A mixture of fused cement ("electric" or "aluminous" cement), MgO calcined at 1000° and water glass gives a good cement which stands up at fairly high temps., but which unfortunately sets too rapidly. A 1:2 mixture of fused cement and of calcined (above 1070°) and ground bauxite constitutes a true hydraulic cement, which, on mixing with 22-30% H<sub>2</sub>O, begins to set in 1 hr. and is completely set in 4-6 hrs. It hardens very rapidly, and after 3 days has a crushing strength of 145 kg. per cm.<sup>2</sup> and a tensile strength of 21 kg. per cm.<sup>2</sup>. It can be made into concrete by using 1, 2 or 3 parts of broken-up old refractory bricks (screened, or preferably washed, to remove dust) to 3 parts of cement, which is used and handled the same as ordinary portland cement concrete. Both the cement (fused cement-bauxite mixture) and the concrete after setting soften at 1350-1400° and m. at about 1600°. The cement has a crushing strength of 20 kg. up to 1200-50°, which drops to 2 kg. at 1300-50°; above this it becomes quite soft, but does not flow. The crushing strength curve at high temps. lies between the corresponding curves of bauxite and of carborundum. Both the cement and the concrete have a very small shrinkage, 1-1.5% at 1350°, and as low as 0.5% in some cases. They are remarkably resistant to sudden changes in temps. and do not crack on rapid cooling from 1380° to 20°. Strength tests on the cold cement after heating to various temps. showed a certain degree of friability (probably due to elimination of H<sub>2</sub>O of constitution), which appeared at about 800°, reached a maximum at 1000-1200°, and disappeared at about 1300°. The friability decreases with the proportion of bauxite in the mixture. Friability in the concrete can be completely eliminated by heating once above 1250°. The addition of broken refractory in the concrete decreases the shrinkage, increases the strength, prevents cracking of the concrete, and cheapens the product, but increases the friability. BeO gives the same results as Al<sub>2</sub>O<sub>3</sub>, which confirms the place assigned to it in the table of elements, but is of no practical interest. Presence of up to 3% TiO<sub>2</sub> in the bauxite causes no trouble. Using an aluminous cement prepared by clinkering instead of fusion raises the m. p. of the cement-bauxite mixture by about 50°. The friability can be reduced, and even eliminated completely, by addition of a little powdered flint or powdered Na silicate (of low alkalinity and consequently non-hygroscopic); but this lowers the m. and softening points.

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